

10/562,112

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| | | | |
|------|----|--------|---|
| NEWS | 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS | 2 | APR 04 | STN AnaVist, Version 1, to be discontinued |
| NEWS | 3 | APR 15 | WPIDS, WPINDEX, and WPIX enhanced with new predefined hit display formats |
| NEWS | 4 | APR 28 | EMBASE Controlled Term thesaurus enhanced |
| NEWS | 5 | APR 28 | IMSRESEARCH reloaded with enhancements |
| NEWS | 6 | MAY 30 | INPAFAMDB now available on STN for patent family searching |
| NEWS | 7 | MAY 30 | DGENE, PCTGEN, and USGENE enhanced with new homology sequence search option |
| NEWS | 8 | JUN 06 | EPFULL enhanced with 260,000 English abstracts |
| NEWS | 9 | JUN 06 | KOREAPAT updated with 41,000 documents |
| NEWS | 10 | JUN 13 | USPATFULL and USPAT2 updated with 11-character patent numbers for U.S. applications |
| NEWS | 11 | JUN 19 | CAS REGISTRY includes selected substances from web-based collections |
| NEWS | 12 | JUN 25 | CA/CAPplus and USPAT databases updated with IPC reclassification data |
| NEWS | 13 | JUN 30 | AEROSPACE enhanced with more than 1 million U.S. patent records |
| NEWS | 14 | JUN 30 | EMBASE, EMBAL, and LEMBASE updated with additional options to display authors and affiliated organizations |
| NEWS | 15 | JUN 30 | STN on the Web enhanced with new STN AnaVist Assistant and BLAST plug-in |
| NEWS | 16 | JUN 30 | STN AnaVist enhanced with database content from EPFULL |
| NEWS | 17 | JUL 28 | CA/CAPplus patent coverage enhanced |
| NEWS | 18 | JUL 28 | EPFULL enhanced with additional legal status information from the epline Register |
| NEWS | 19 | JUL 28 | IFICDB, IFIPAT, and IFIUDB reloaded with enhancements |
| NEWS | 20 | JUL 28 | STN Viewer performance improved |
| NEWS | 21 | AUG 01 | INPADOCDB and INPAFAMDB coverage enhanced |
| NEWS | 22 | AUG 13 | CA/CAPplus enhanced with printed Chemical Abstracts page images from 1967-1998 |
| NEWS | 23 | AUG 15 | CAOLD to be discontinued on December 31, 2008 |
| NEWS | 24 | AUG 15 | CAPplus currency for Korean patents enhanced |
| NEWS | 25 | AUG 25 | CA/CAPplus, CASREACT, and IFI and USPAT databases enhanced for more flexible patent number searching |
| NEWS | 26 | AUG 27 | CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information |
| NEWS | 27 | SEP 18 | Support for STN Express, Versions 6.01 and earlier, to be discontinued |
| NEWS | 28 | SEP 25 | CA/CAPplus current-awareness alert options enhanced |

| | | | | |
|----------------|------------|--|--|--|
| | | | | to accommodate supplemental CAS indexing of exemplified prophetic substances |
| NEWS 29 SEP 26 | | | | WPIDS, WPINDEX, and WPIX coverage of Chinese and Korean patents enhanced |
| NEWS 30 SEP 29 | | | | IFICLS enhanced with new super search field |
| NEWS 31 SEP 29 | | | | EMBASE and EMBAL enhanced with new search and display fields |
| NEWS 32 SEP 30 | | | | CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents |
| NEWS EXPRESS | JUNE 27 08 | | | CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008. |
| NEWS HOURS | | | | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | | | | Welcome Banner and News Items |
| NEWS IPC8 | | | | For general information regarding STN implementation of IPC 8 |

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FILE 'REGISTRY' ENTERED AT 16:24:40 ON 02 OCT 2008
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STRUCTURE FILE UPDATES:      1 OCT 2008   HIGHEST RN 1056151-32-6
DICTIONARY FILE UPDATES:    1 OCT 2008   HIGHEST RN 1056151-32-6
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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

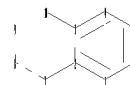
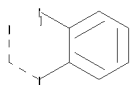
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

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=>

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chain nodes :
7 8 9 10
ring nodes :
1 2 3 4 5 6
chain bonds :
2-7 3-10 7-8 8-9
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6
exact/norm bonds :
2-7 3-10 7-8 8-9
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6
isolated ring systems :
containing 1 :

G1:CN,X

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS

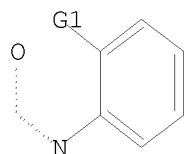
L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

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G1 CN,X

Structure attributes must be viewed using STN Express query preparation.

=> s l1 full

FULL SEARCH INITIATED 16:24:58 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 1806059 TO ITERATE

55.4% PROCESSED 1000000 ITERATIONS

214978 ANSWERS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.11

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**

BATCH **INCOMPLETE**

PROJECTED ITERATIONS: 1806059 TO 1806059

PROJECTED ANSWERS: 386397 TO 390127

L2 214978 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 16:25:17 ON 02 OCT 2008

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FILE COVERS 1907 - 2 Oct 2008 VOL 149 ISS 14

FILE LAST UPDATED: 1 Oct 2008 (20081001/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/legal/infopolicy.html>

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=> s 12 and quinazol?

2231 L2

15391 QUINAZOL?

L3 72 L2 AND QUINAZOL?

=> d 13 1- ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 72 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1009115 CAPLUS

DOCUMENT NUMBER: 149:288806

TITLE: 6-Hydroxydibenzodiazepinones useful as hepatitis C virus inhibitors and their preparation and use in the treatment of hepatitis C

INVENTOR(S): Raboisson, Pierre Jean-Marie Bernard; McGowan, David Craig; Vandyck, Koen; Vendeville, Sandrine Marie Helene; Bonfanti, Jean-Francois; Van den Broeck, Walter Marcel Mathilde; Nyanguile, Origene; Amssoms, Katie Ingrid Eduard; Hu, Lili; Boutton, Carlo Willy Maurice; Tahri, Abdellah; Last, Stefaan Julien; Rombauts, Klara; Rebstock, Anne-Sophie Helene Marie; Fortin, Jerome Michel Claude; Muller, Philippe

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 228pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

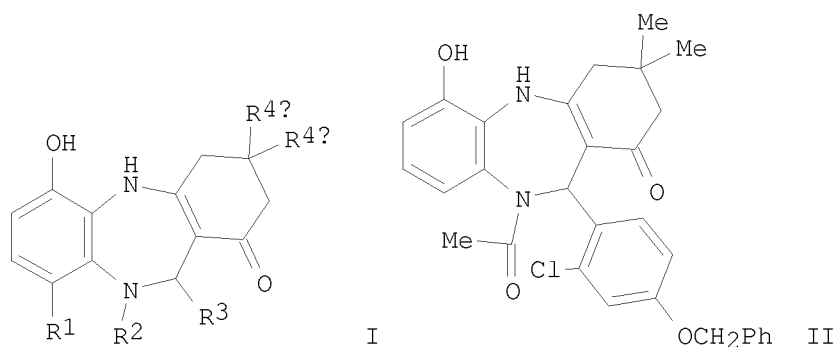
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2008099019 | A1 | 20080821 | WO 2008-EP51902 | 20080215 |
| W: | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

PRIORITY APPLN. INFO.: EP 2007-102519 A 20070216

GI



AB Inhibitors of HCV replication of formula I the stereoisomers, prodrugs, tautomers, racemics, salts, hydrates or solvates thereof. The invention also relates to processes for preparing said compds., pharmaceutical compns. containing them and their use in HCV therapy. Compds. of formula I wherein R1 is H, halo, CF₃, (un)substituted C1-6 alkyl; R2 is H, acyl, acylcarbonyl, alkoxy carbonyl, etc.; R3 is (un)substituted C1-6 alkyl, C3-7 cycloalkyl, aryl, etc.; R4a and R4b are independently C1-6 alkyl; R4aR4b taken together to form C3-7 cycloalkyl; and their stereoisomers, prodrugs, tautomers, racemics, salts, hydrates and solvates thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their HCV inhibitory activity. From the assay, it was determined that compound II exhibited EC₅₀ value of 1.43 μ M and IC₅₀ value of 0.05 μ M.

IT 1048336-37-3P 1048336-43-1P

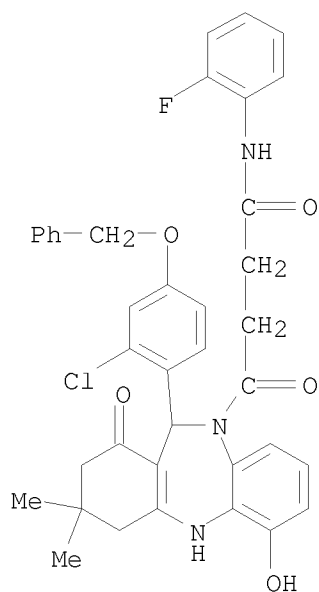
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of hydroxydibenodiazepinones as hepatitis C virus inhibitors useful in the treatment of HCV infection)

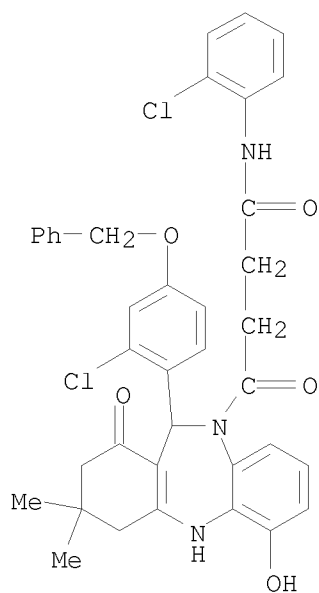
RN 1048336-37-3 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

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RN 1048336-43-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

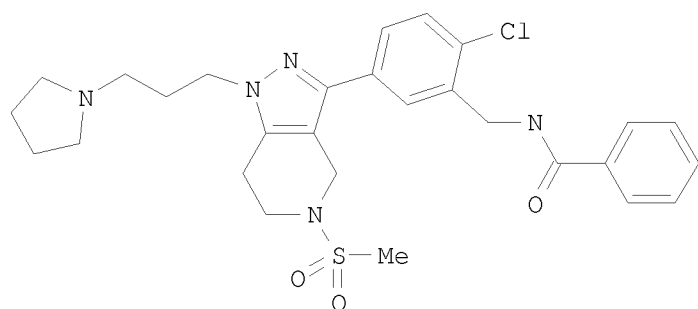
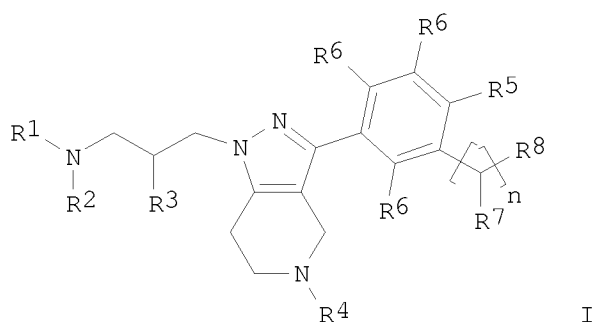
L3 ANSWER 2 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1006407 CAPLUS
DOCUMENT NUMBER: 149:288778
TITLE: 1-[3-(Monocyclic amino)propyl]-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridines as modulators of cathepsin S and their preparation, pharmaceutical compositions and

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use in the treatment of CatS-mediated diseases
INVENTOR(S): Allen, Darin; Ameriks, Michael K.; Axe, Frank U.;
Burdett, Matthew; Cai, Hui; Choong, Ingrid; Edwards,
James P.; Lew, Willard; Meduna, Steven P.
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 177pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2008100635 | A1 | 20080821 | WO 2008-US2165 | 20080215 |
| W: | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| PRIORITY APPLN. INFO.: | | | US 2007-889982P | P 20070215 |
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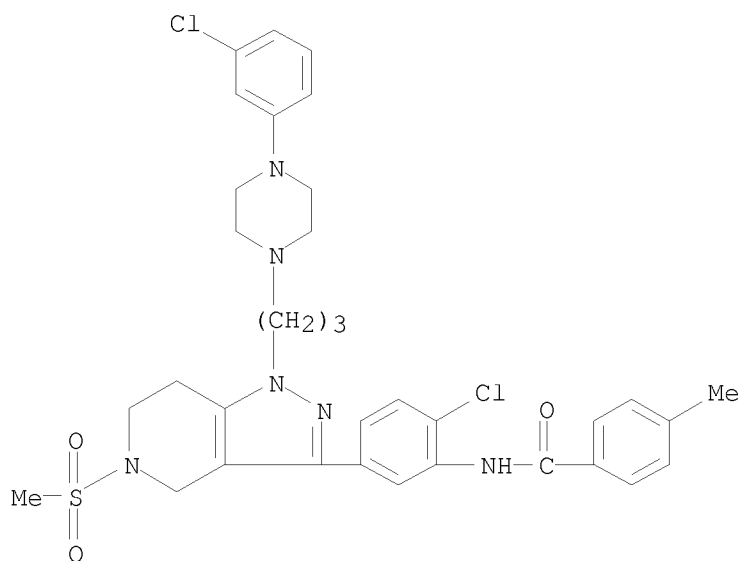
GI



- AB Monocyclic aminopropyl tetrahydro-pyrazolo-pyridine compds. of formula I are described, which are useful as cathepsin S modulators. Such compds. may be used in pharmaceutical compns. and methods for the treatment of disease states, disorders, and conditions mediated by cathepsin S activity, such as psoriasis, pain, multiple sclerosis, atherosclerosis, and rheumatoid arthritis. Compds. of formula I wherein R1R2 is taken together to form (un)substituted monocyclic heterocycloalkyl; R3 is H, OH, C1-4 alkyl, O-C1-4 alkyl, and O-CO-C1-4 alkyl; R4 is H, C1-4 alkyl, (un)substituted CO-C1-4 alkyl, COCF3, SO2-C1-4 alkyl, etc.; R5 is halo and CF3; R6 is and F; n is 0, 1, and 2; R7 is H and C1-4 alkyl; R8 is CONH2 and derivs., NH-acyl and derivs., NH2 and derivs., OH and derivs., etc.; and their pharmaceutically acceptable salts, prodrugs, and metabolites thereof, are claimed. Example compound II was prepared by N-alkylation of 2-chloro-5-(5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)benzonitrile; the resulting 2-chloro-5-[1-(2-[1,3]-dioxolan-2-ylethyl)-5-methanesulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]benzonitrile underwent hydrolysis to give the corresponding aldehyde, which underwent reductive amination with pyrrolidine to give 2-chloro-5-(5-methanesulfonyl-1-(3-pyrrolidin-1-ylpropyl)-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl)benzonitrile, which underwent hydrogenation to give the corresponding benzylamine, which underwent amidation with benzoyl chloride to give compound II. All the invention compds. were evaluated for their CatS modulatory activity. From the assay, it was determined that compound II exhibited IC50 value of 0.32 μ M.
- IT 1048034-21-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methylbenzamide 1048034-22-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)benzamide 1048034-23-6P, 4-Chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide 1048034-24-7P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-cyanobenzamide 1048034-43-0P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-nitrobenzamide 1048034-44-1P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methoxybenzamide 1048034-45-2P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-ethylbenzamide 1048034-46-3P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-trifluoromethylbenzamide 1048034-47-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-fluorobenzamide 1048034-48-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide
- RL: PAC (Pharmacological activity); PRPH (Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (prophetic drug candidate; preparation of (aminopropyl)tetrahydropyrazolopyridines as cathepsin S modulators useful in the treatment of CatS-mediated diseases)
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- CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-

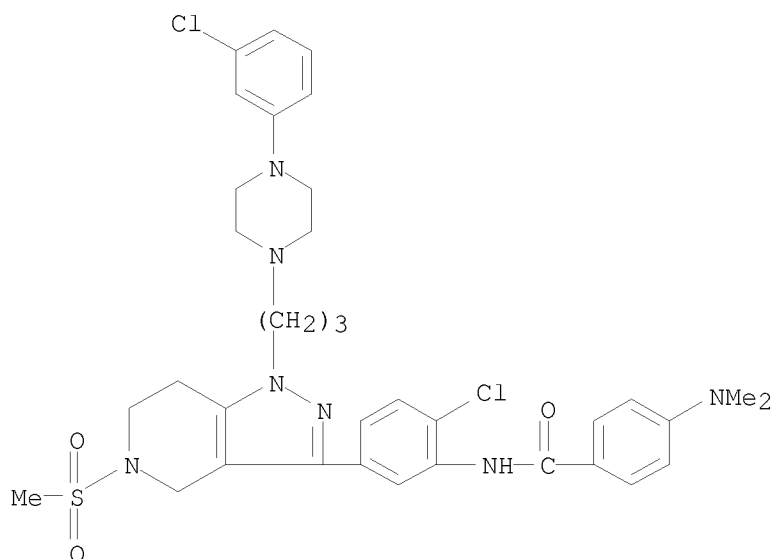
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4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methyl- (CA INDEX NAME)



RN 1048034-22-5 CAPLUS

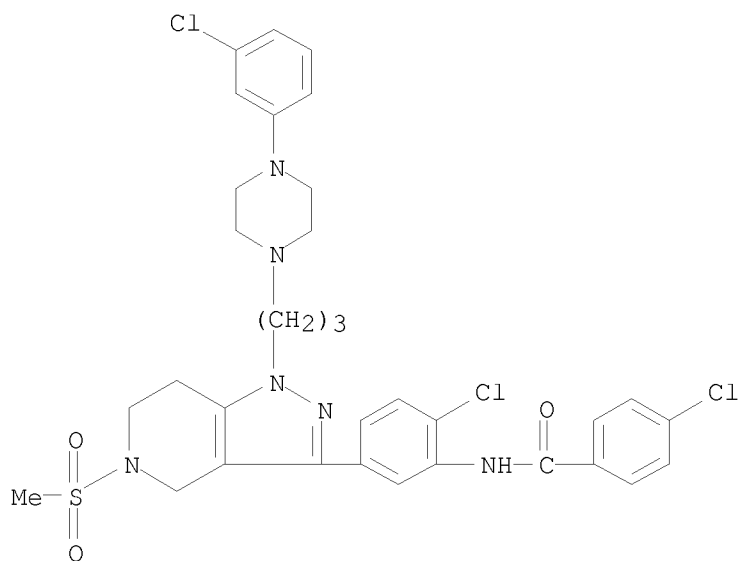
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)- (CA INDEX NAME)



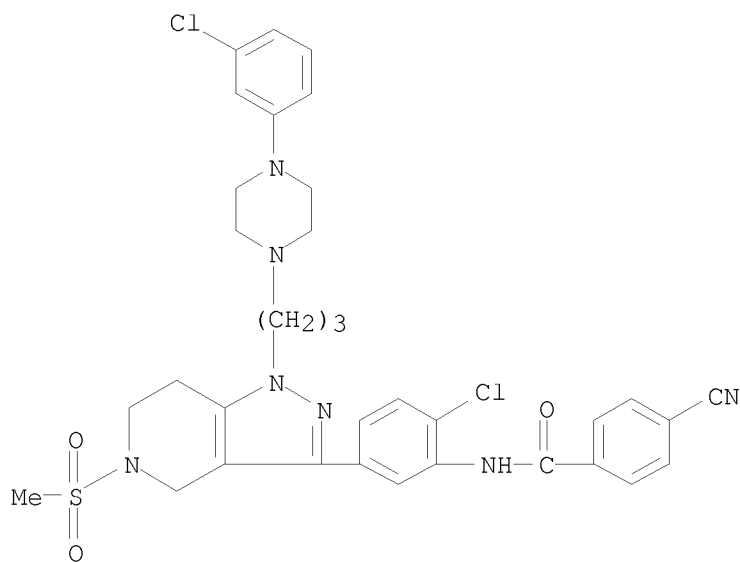
RN 1048034-23-6 CAPLUS

CN Benzamide, 4-chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)

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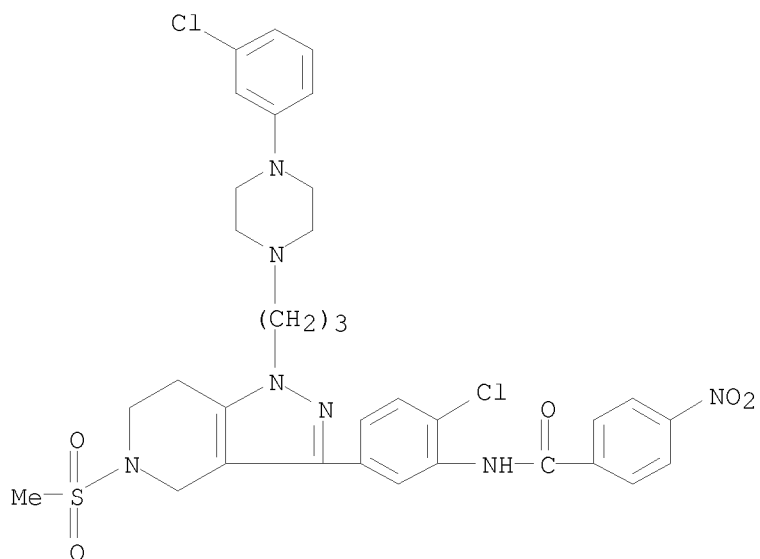


RN 1048034-24-7 CAPLUS
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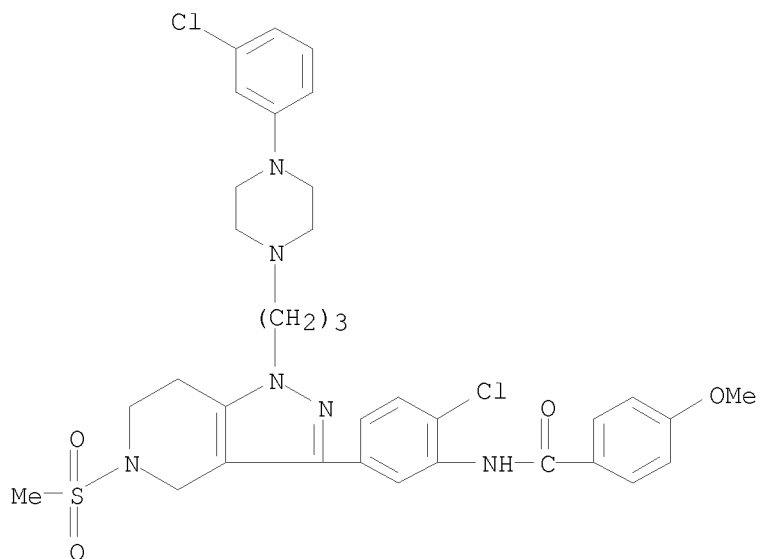
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10/562,112



RN 1048034-44-1 CAPLUS

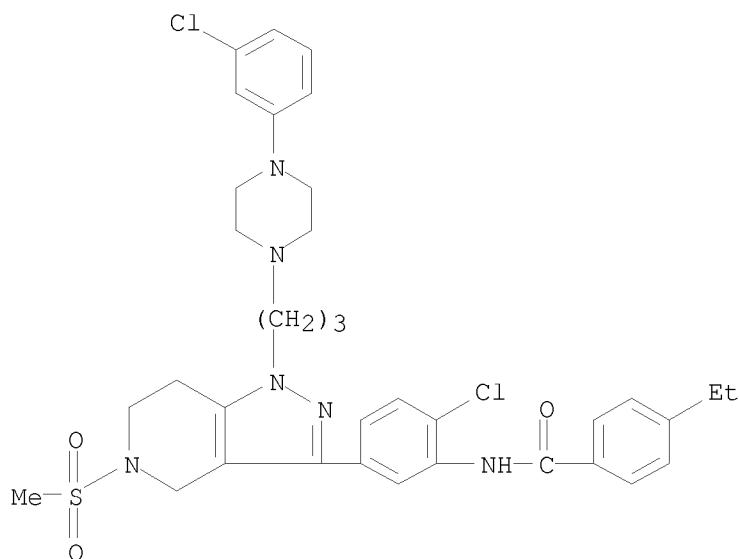
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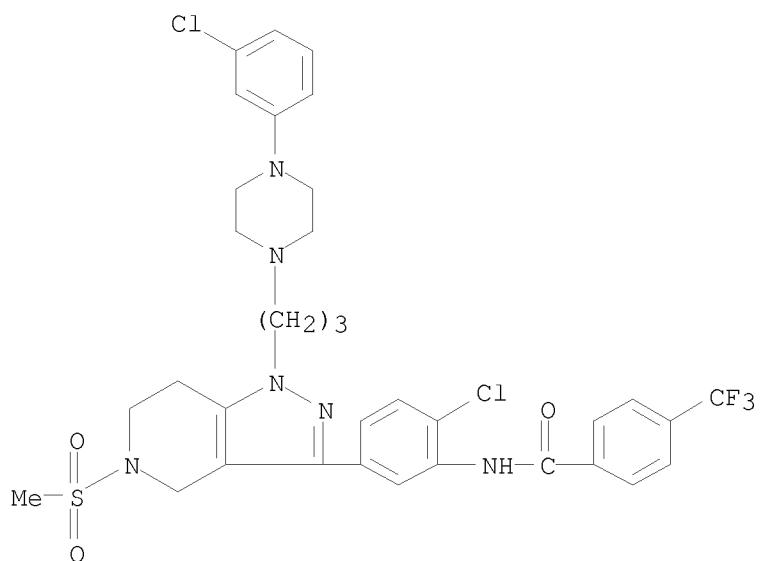
RN 1048034-45-2 CAPLUS

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10/562,112

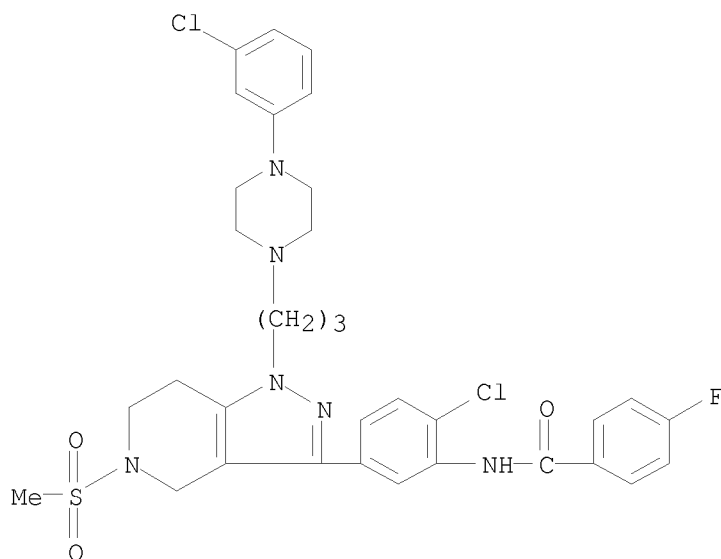


RN 1048034-46-3 CAPLUS
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

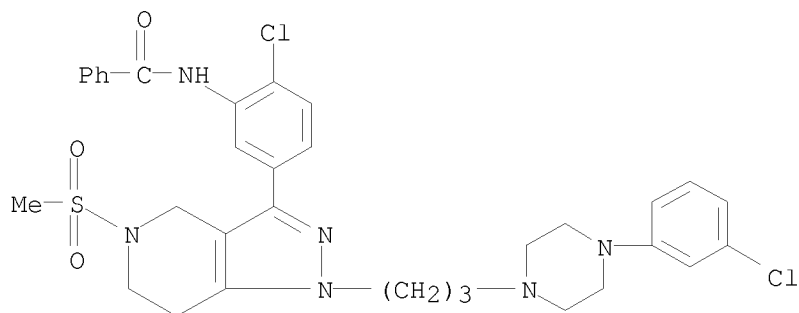


RN 1048034-47-4 CAPLUS
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10/562,112



RN 1048034-48-5 CAPLUS
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)



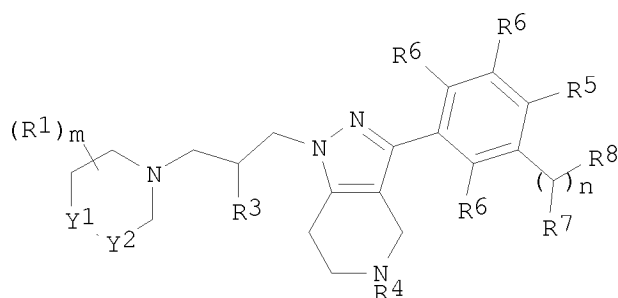
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:1005647 CAPLUS
DOCUMENT NUMBER: 149:288776
TITLE: Preparation of heterocyclylpropyl tetrahydropyrazolopyridines as modulators of cathepsin S.
INVENTOR(S): Allen, Darin; Ameriks, Michael K.; Axe, Frank U.; Burdett, Matthew; Cai, Hui; Choong, Ingrid; Edwards, James P.; Lew, Willard; Meduna, Steven P.
PATENT ASSIGNEE(S): Sunesis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 166pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2008100620 | A2 | 20080821 | WO 2008-US2110 | 20080215 |
| W: | AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| PRIORITY APPLN. INFO.: | | | US 2007-889987P | P 20070215 |
| | | | US 2008-31597 | A 20080214 |

GI



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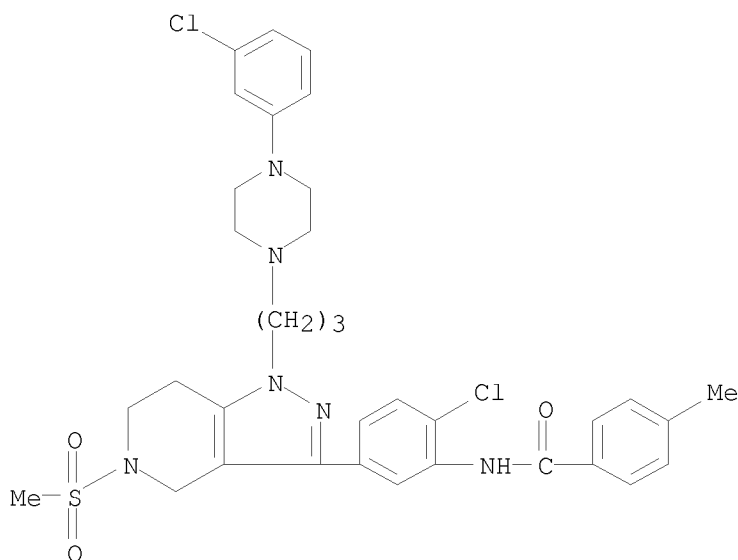
- AB Title compds. [I; Y1Y2 = C_{Ra}R_bCH₂, C_{Ra}R_b, NR_bCH₂; R_a = H, OH; R_b = R_c, COR_c, SO₂R_c; R_c = (substituted) cycloalkyl, Ph, naphthyl, heterocycloalkyl, heteroaryl; m = 0-2; R₁ = alkyl, OH, alkoxy, halo, CF₃, amino; R₃ = H, OH, alkyl, alkoxy, alkylcarbonyloxy; R₄ = H, alkyl, COCF₃, alkylsulfonyl, SO₂CF₃, CONH₂, COCONH₂, (substituted) alkylcarbonyl, etc.; R₅ = halo, CF₃; R₆ = H, F; n = 1, 2; R₇ = H, alkyl; R₈ = CON(R₉)₂, N(R₉)₂, OY, SY, OCH₂Y, (substituted) heteroaryl, etc.; R₉ = H, alkyl; Y = (substituted) cycloalkyl, Ph, styrenyl, naphthyl, heterocycloalkyl, heteroaryl], were prepared. Thus, N-[2-chloro-5-[1-[2-hydroxy-3-[4-(2-oxopyrrolidin-1-yl)piperidin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]benzyl]-4-fluorobenzamide (7 step preparation given) inhibited human cathepsin S with IC₅₀ = 0.02 μM.
- IT 1048034-21-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methylbenzamide 1048034-22-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)benzamide 1048034-23-6P, 4-Chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide 1048034-24-7P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-

yl]phenyl]-4-cyanobenzamide 1048034-43-0P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-nitrobenzamide 1048034-44-1P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methoxybenzamide 1048034-45-2P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-ethylbenzamide 1048034-46-3P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-trifluoromethylbenzamide 1048034-47-4P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-fluorobenzamide 1048034-48-5P, N-[2-Chloro-5-[1-[3-[4-(3-chlorophenyl)piperazin-1-yl]propyl]-5-methylsulfonyl-4,5,6,7-tetrahydro-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]benzamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of heterocyclylpropyl tetrahydropyrazolopyridines as modulators of cathepsin S)

RN 1048034-21-4 CAPLUS

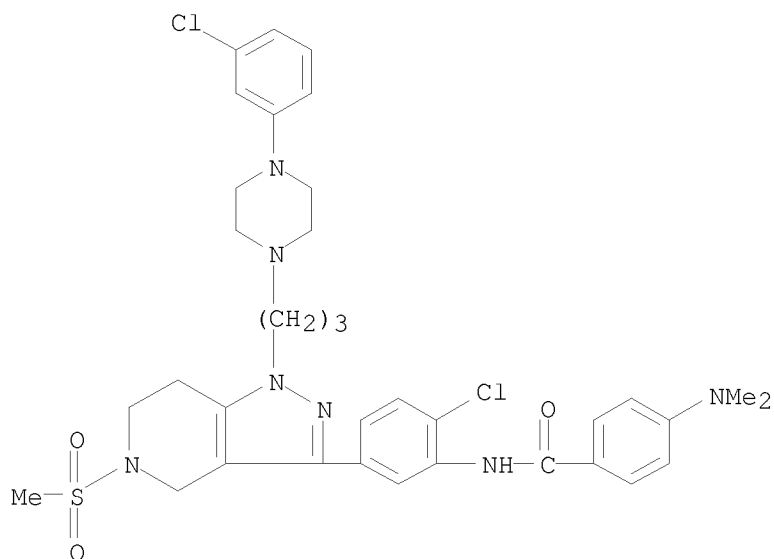
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methyl- (CA INDEX NAME)



RN 1048034-22-5 CAPLUS

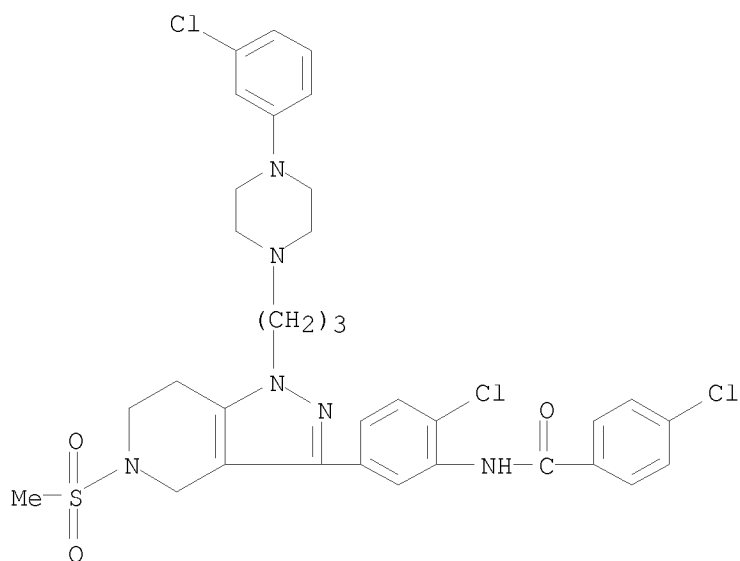
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(dimethylamino)- (CA INDEX NAME)

10/562,112



RN 1048034-23-6 CAPLUS

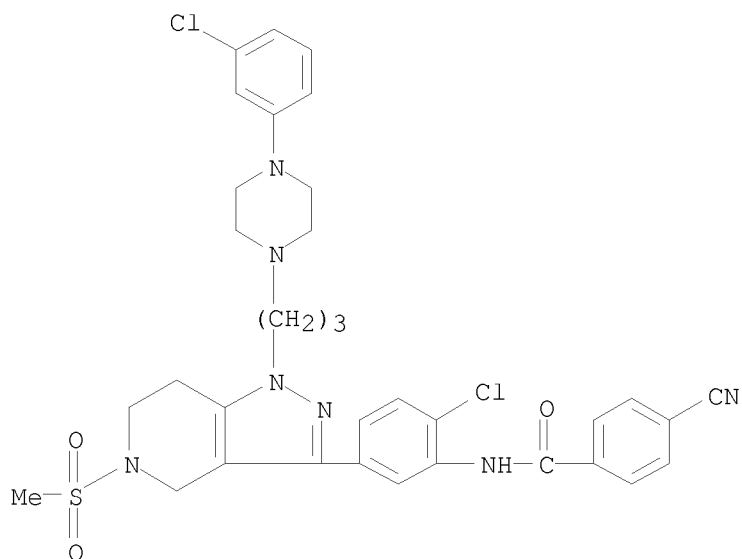
CN Benzamide, 4-chloro-N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)



RN 1048034-24-7 CAPLUS

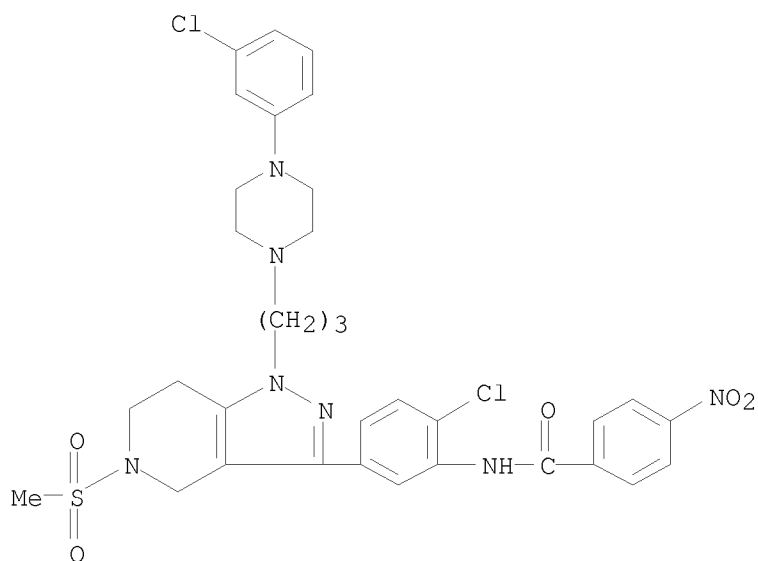
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-cyano- (CA INDEX NAME)

10/562,112



RN 1048034-43-0 CAPLUS

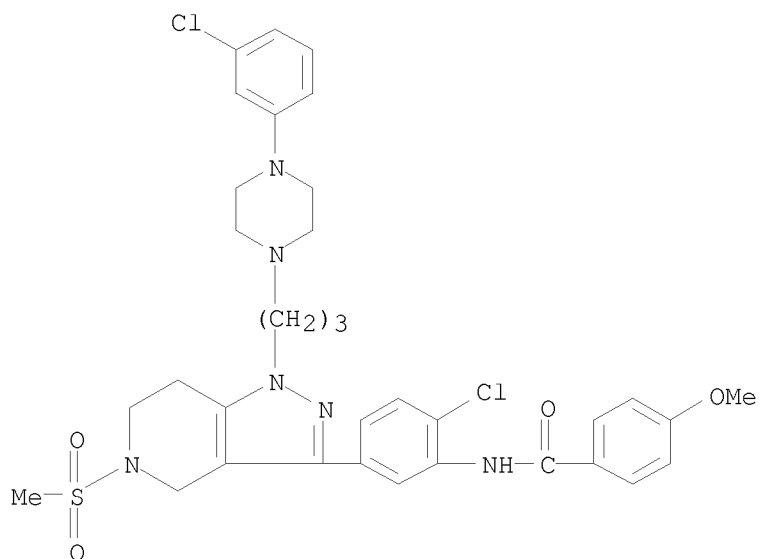
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-nitro- (CA INDEX NAME)



RN 1048034-44-1 CAPLUS

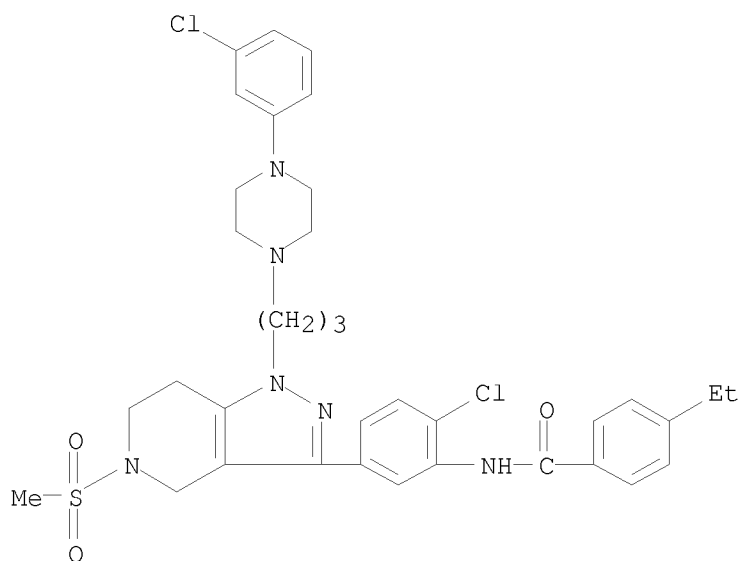
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-methoxy- (CA INDEX NAME)

10/562,112



RN 1048034-45-2 CAPLUS

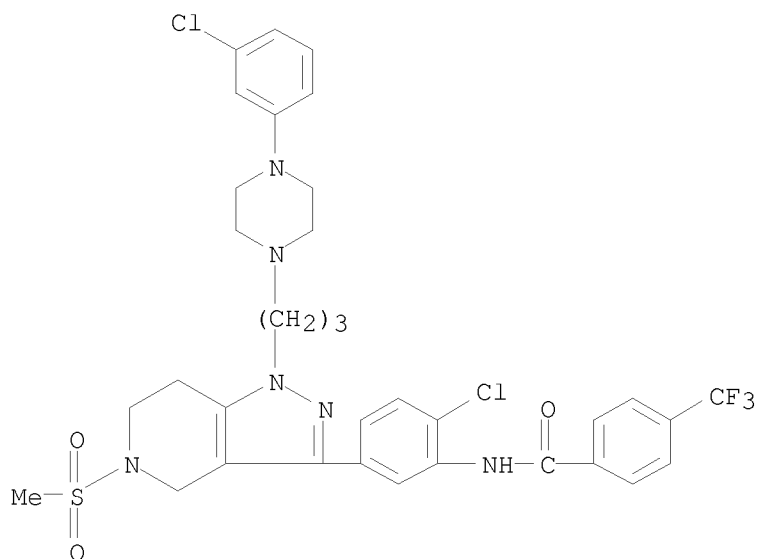
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-ethyl- (CA INDEX NAME)



RN 1048034-46-3 CAPLUS

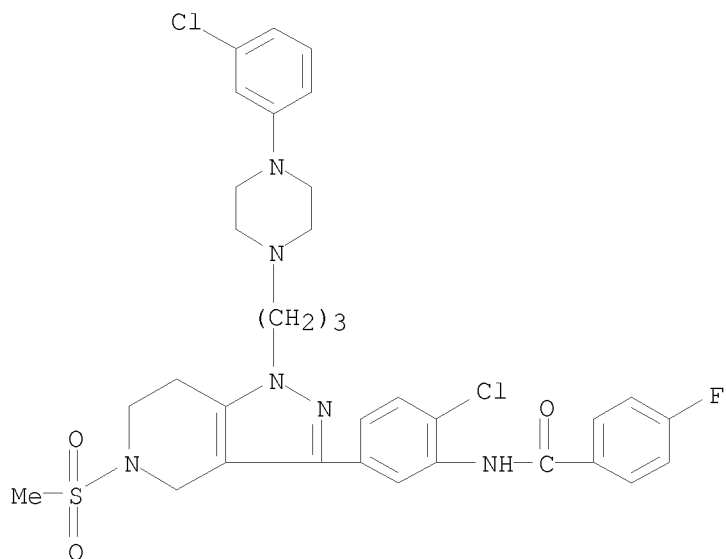
CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

10/562,112



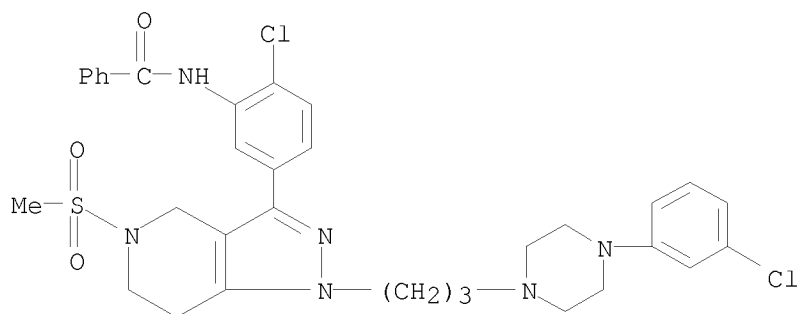
RN 1048034-47-4 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]-4-fluoro- (CA INDEX NAME)



RN 1048034-48-5 CAPLUS

CN Benzamide, N-[2-chloro-5-[1-[3-[4-(3-chlorophenyl)-1-piperazinyl]propyl]-4,5,6,7-tetrahydro-5-(methylsulfonyl)-1H-pyrazolo[4,3-c]pyridin-3-yl]phenyl]- (CA INDEX NAME)



L3 ANSWER 4 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:508498 CAPLUS
 DOCUMENT NUMBER: 148:472019
 TITLE: Preparation of isoxazole compounds as therapeutic
 farnesoid X receptor agonists
 INVENTOR(S): Navas, Frank; Spearing, Paul Kenneth
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: U.S. Pat. Appl. Publ., 95pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|----------|
| US 20080096921 | A1 | 20080424 | US 2007-876906 | 20071023 |
| WO 2008051942 | A2 | 20080502 | WO 2007-US82170 | 20071023 |
| WO 2008051942 | A3 | 20080703 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.: US 2006-853886P P 20061024
 US 2006-855337P P 20061030
 US 2007-911954P P 20070416

OTHER SOURCE(S): MARPAT 148:472019
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

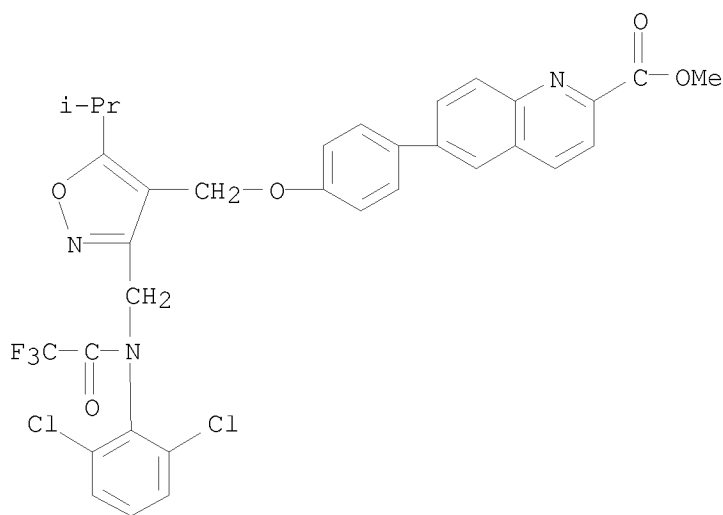
AB The present invention provides novel substituted isoxazole compds. of general formula I (wherein Y1, Y2, Y3 and Y4 are independently N, CH, or and C-R1; R1 is independently alkyl, fluoroalkyl, etc.; R2 is H, halo,

alkyl or fluoroalkyl; a = 0-2; R3 is halo, alkyl and fluoroalkyl; Z1 is O, S, etc.; n = 1-3; R4 is alkyl, 2,2,2-trifluoroethyl, etc.; c and d are both 0 or c is 1 and d = 0-1; R5 is C1-3alkylene; Z2 is O, NH, etc.; Ring D is C3-6cycloalkyl, C3-6cycloalkenyl, etc.), pharmaceutical compns., therapeutic uses and processes for preparing the same. Example compound II was prepared by reacting Me 6-(4-hydroxyphenyl)-2-quinolinecarboxylate (preparation given) and 4-(chloromethyl)-3-(2,6-dichlorophenyl)-5-(1-methylethyl)isoxazole (preparation given) and then converting the Me ester intermediate obtained to the acid. II (10-100 mg/kg, orally) decreased body fat mass, serum glucose, insulin, cholesterol, triglyceride, NEFA, and glycerol in high-fat diet fed obese mice.

IT 1020572-26-2P, Methyl 6-[4-[[[3-[(2,6-dichlorophenyl)(trifluoroacetyl)amino]methyl]-5-(1-methylethyl)-4-isoxazolyl]methyl]oxy]phenyl]-2-quinolinecarboxylate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of isoxazole compds. as therapeutic farnesoid X receptor agonists)

RN 1020572-26-2 CAPLUS

CN 2-Quinolinecarboxylic acid, 6-[4-[[3-[(2,6-dichlorophenyl)(2,2,2-trifluoroacetyl)amino]methyl]-5-(1-methylethyl)-4-isoxazolyl]methoxy]phenyl]-, methyl ester (CA INDEX NAME)



L3 ANSWER 5 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:473604 CAPLUS

DOCUMENT NUMBER: 148:449655

TITLE: Preparation of N,N'-diphenylurea and N-phenyl-N'-pyridylurea derivatives as BRAF kinase inhibitors

INVENTOR(S): Wada, Kunio; Ito, Mitsuru; Fujiwara, Kosaku; Iwasaki, Shiho

PATENT ASSIGNEE(S): Daiichi Sankyo Company, Limited, Japan

SOURCE: PCT Int. Appl., 113pp.

CODEN: PIXXD2

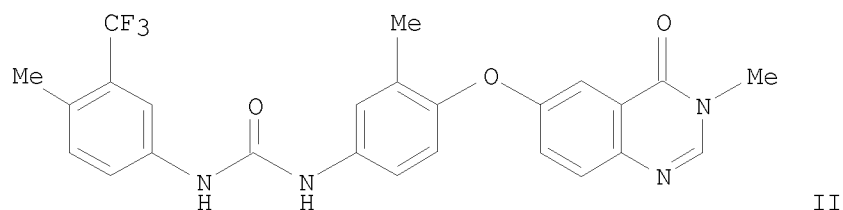
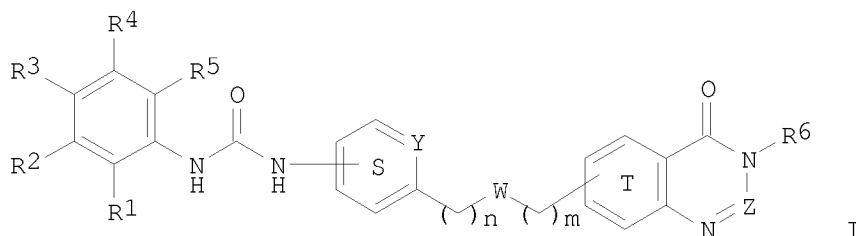
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2008044688 | A1 | 20080417 | WO 2007-JP69714 | 20071010 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO.: | | | JP 2006-277722 | A 20061011 |
| OTHER SOURCE(S): | | | MARPAT 148:449655 | |
| GI | | | | |



AB There are disclosed compds. represented by the general formula (I) or pharmacol. acceptable salts thereof [R1, R2, R3, R4, R5 = H, halogen atom, C1-4 alkyl, halogeno-C1-4 alkyl, C1-4 alkoxy, halogeno-C1-4 alkoxy, NO2, C1-4 alkylsulfonyl; R6 = C1-4 alkyl, C3-4 cycloalkyl; n, m = 0, 1; Y = CR, N; R = H, halogen atom, trihalomethyl, Me; W = O, S; Z = CH, N; the binding position of an ureido group to the ring S is position-3 or position-4 in the ring S; and the binding position of a partial structure containing W to the fused ring T is position-6 or position-7 in the ring T]. These compds. have an activity of inhibiting a BRAF kinase and are useful for the treatment of BRAF mutant tumors such as malignant melanoma, colon cancer, ovarian cancer, thyroid cancer, bile duct cancer, glioma, lung cancer, sarcoma, breast cancer and/or liver cancer. Thus, 6-(4-amino-2-methylphenoxy)-3-methyl-3H-quinazolin-4-one was stirred with 3-(trifluoromethyl)-4-methylphenyl isocyanate in DMF at room temperature for 67 h to give

N-[3-methyl-4-(3-methyl-4-oxo-3,4-dihydroquinazolin-

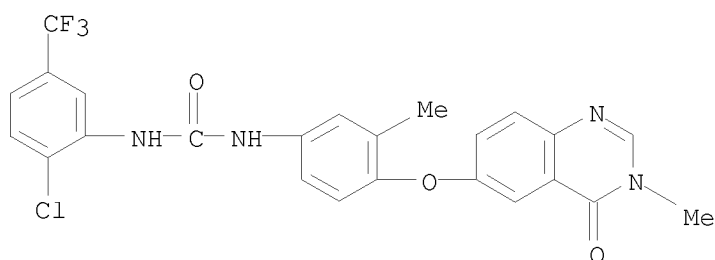
6-yloxy)phenyl]-N'-(4-methyl-3-trifluoromethylphenyl)urea (II). II in vitro showed IC₅₀ of 0.0031 μ M against recombinant human BRAF kinase and in vitro showed IC₅₀ of 0.056 and 1 μ M against the proliferation of human melanoma WM-266-4 and A375 cell, resp.

IT 1018983-43-1P, N-(2-Chloro-5-trifluoromethylphenyl)-N'-[3-methyl-4-[(3-methyl-4-oxo-3,4-dihydroquinazolin-6-yl)oxy]phenyl]urea
 1018983-58-8P, N-(2-Fluoro-5-trifluoromethylphenyl)-N'-[3-methyl-4-[(3-methyl-4-oxo-3,4-dihydroquinazolin-6-yl)oxy]phenyl]urea
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N,N'-diphenylurea and N-phenyl-N'-pyridylurea derivs. as BRAF kinase inhibitors for treatment of BRAF mutant tumors)

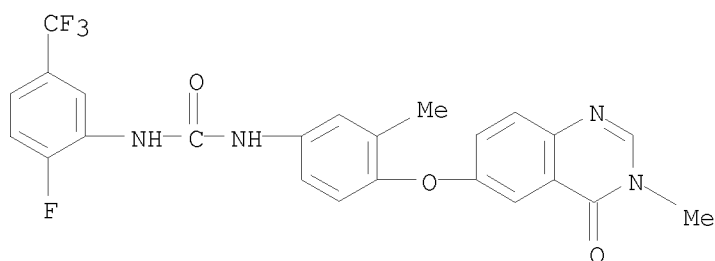
RN 1018983-43-1 CAPLUS

CN Urea, N-[2-chloro-5-(trifluoromethyl)phenyl]-N'-[4-[(3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl)oxy]-3-methylphenyl]- (CA INDEX NAME)



RN 1018983-58-8 CAPLUS

CN Urea, N-[4-[(3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl)oxy]-3-methylphenyl]-N'-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:380871 CAPLUS

DOCUMENT NUMBER: 148:403236

TITLE: Preparation of 2,4-quinazolin-6-one and 1,3-benzoxazin-4-one derivatives and their related analogs as platelet ADP receptor inhibitors
 INVENTOR(S): Scarborough, Robert M.; Bauer, Shawn M.; Pandey, Anjali

PATENT ASSIGNEE(S): Portola Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 114pp.

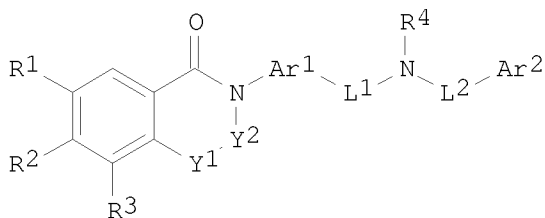
CODEN: PIXXD2

DOCUMENT TYPE: Patent

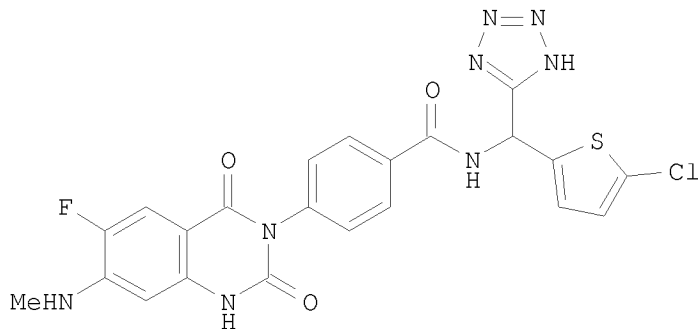
10/562,112

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-------------------|------------|
| WO 2008036843 | A2 | 20080327 | WO 2007-US79076 | 20070920 |
| WO 2008036843 | A3 | 20080515 | | |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| US 20080132499 | A1 | 20080605 | US 2007-856616 | 20070917 |
| PRIORITY APPLN. INFO.: | | | US 2006-846328P | P 20060920 |
| | | | US 2007-856616 | A 20070917 |
| OTHER SOURCE(S): | | | MARPAT 148:403236 | |
| GI | | | | |



I

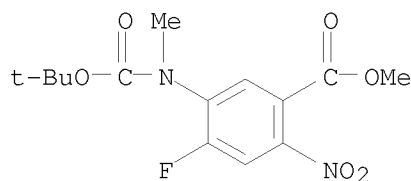


II

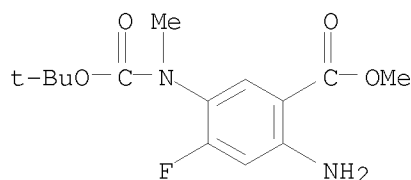
AB Title compds. I [Y¹ = N, NH, O, CR₅ or CH₂; Y² = CO, CH₂, CH or N; each R¹, R² and R³ independently = H, (un)substituted alkyl, alkenyl, alkynyl, alkoxy, halo, etc.; R⁴ = H or -(CH₂)_mCO₂H; R₅ = H, alkyl, cyano, halo, haloalkyl, aryl, etc.; each Ar¹ and Ar² independently = an aromatic ring consisting of (un)substituted benzene, pyridine, pyrazine, pyrimidine, tetrazole or thiophene; L¹ = bond, CO, CH₂, NHCO or CH₂CO; L² = bond,

CR92, CR92CH2 or CO, wherein each R9 independently = H, (un)substituted alkyl, hydroxyalkyl, heterocyclyl, etc.], and their pharmaceutically acceptable salts thereof, are prepared and disclosed as platelet ADP receptor inhibitors, for treating thrombosis and for reducing the likelihood and/or severity of a secondary ischemic event in a patient. Thus, e.g., II was prepared in a multi-step synthesis starting from 5-chlorothiophene-2-carboxaldehyde. The invention compds. were evaluated for their ADP inhibitory activity. For instance, II showed an IC50 value of < 10 μ M in both an ADP-mediated platelet aggregation inhibition assay and in a ADP receptor binding inhibition assay.

IT 1015435-68-3P 1015435-70-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazolinone and benzoxazinone derivs. as platelet ADP receptor inhibitors for treating thrombosis and reducing secondary ischemia)
 RN 1015435-68-3 CAPLUS
 CN Benzoic acid, 5-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-fluoro-2-nitro-, methyl ester (CA INDEX NAME)



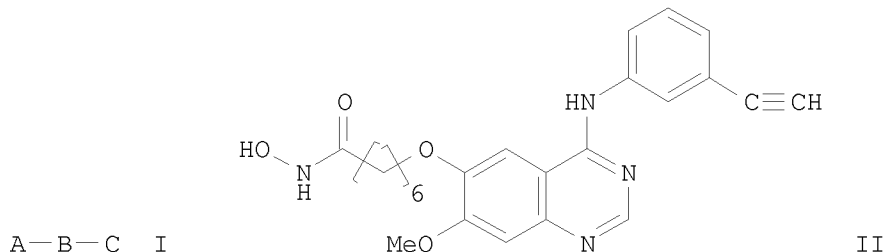
RN 1015435-70-7 CAPLUS
 CN Benzoic acid, 2-amino-5-[[[(1,1-dimethylethoxy)carbonyl]methylamino]-4-fluoro-, methyl ester (CA INDEX NAME)



L3 ANSWER 7 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:353001 CAPLUS
 DOCUMENT NUMBER: 148:355828
 TITLE: Multi-functional small molecules as anti-proliferative agents and their preparation
 INVENTOR(S): Cai, Xiong; Qian, Changgeng; Gould, Stephen; Zhai, Haixiao
 PATENT ASSIGNEE(S): Curis, Inc., USA
 SOURCE: PCT Int. Appl., 494pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|-------|-----------------|-------|
| ----- | ---- | ----- | ----- | ----- |

WO 2008033747 A2 20080320 WO 2007-US77971 20070910
 WO 2008033747 A9 20080724
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 CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI,
 GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG,
 KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME,
 MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL,
 PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN,
 TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA
 US 20080221132 A1 20080911 US 2007-852458 20070910
 PRIORITY APPLN. INFO.: US 2006-843590P P 20060911
 US 2007-895889P P 20070320
 OTHER SOURCE(S): MARPAT 148:355828
 GI



AB The invention relates to the compns., methods, and applications of an approach to selective inhibition of several cellular or mol. targets with a single small mol. More specifically, the present invention relates to multi-functional small mols. of formula I wherein one functionality is capable of inhibiting histone deacetylases (HDAC) and the other functionality is capable of inhibiting a different cellular or mol. pathway involved in aberrant cell proliferation, differentiation or survival. Compds. of formula I wherein A is a pharmacophore of an anticancer agent capable of inhibiting at least one cellular or mol. pathway involved in the aberrant cell proliferation, differentiation or survival; B is a linker; C is a zinc-binding moiety; and their geometrical isomers, enantiomers, diastereoisomers, racemates, pharmaceutically acceptable salts, prodrugs and solvates thereof, are claimed. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their antiproliferative activity (some data given).

IT 1012055-55-8P 1012055-56-9P 1012055-57-0P
 1012055-58-1P 1012055-59-2P 1012055-60-5P
 1012055-61-6P 1012055-62-7P 1012055-63-8P
 1012055-64-9P 1012055-65-0P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

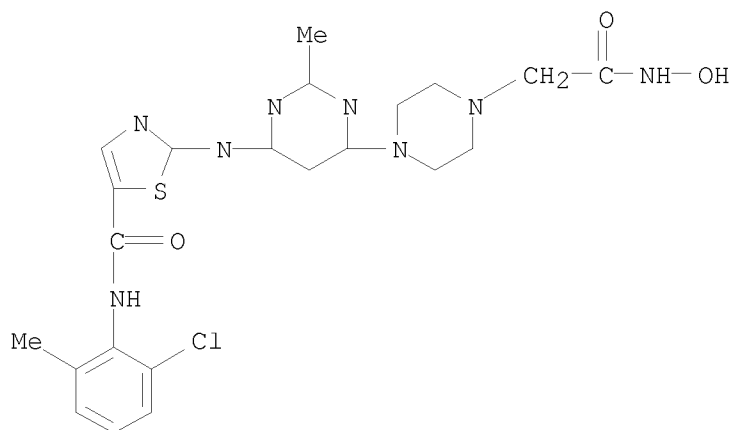
(drug candidate; preparation of multi-functional small mols. as antiproliferative agents)

RN 1012055-55-8 CAPLUS

CN 1-Piperazineacetamide, 4-[6-[[5-[[[2-chloro-6-methylphenyl]amino]carbonyl]-

10/562,112

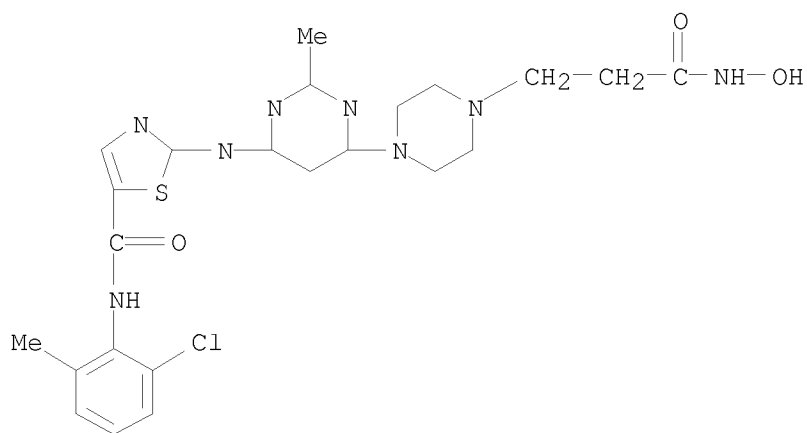
2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-56-9 CAPLUS

CN 1-Piperazinepropanamide, 4-[6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)

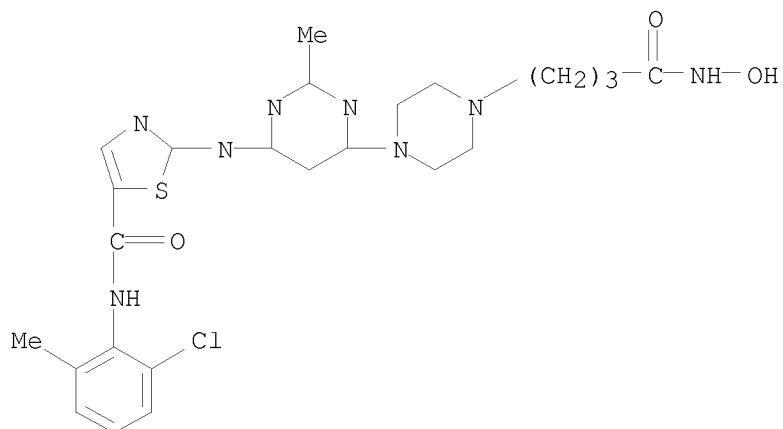


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-57-0 CAPLUS

CN 1-Piperazinebutanamide, 4-[6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)

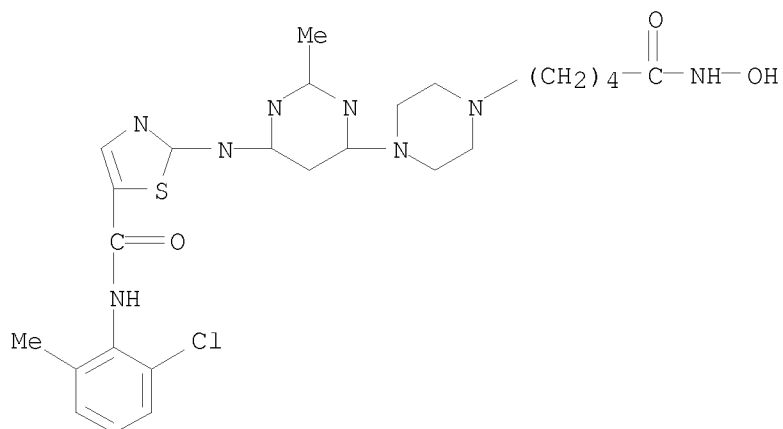
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-58-1 CAPLUS

CN 1-Piperazinepentanamide, 4-[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)

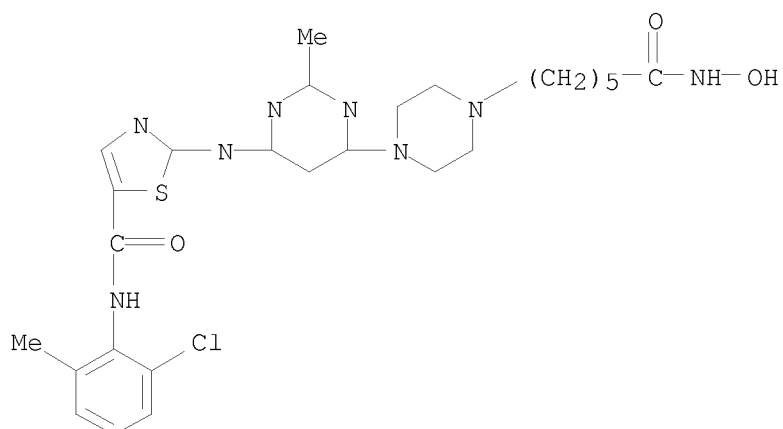


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-59-2 CAPLUS

CN 1-Piperazinehexanamide, 4-[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy- (CA INDEX NAME)

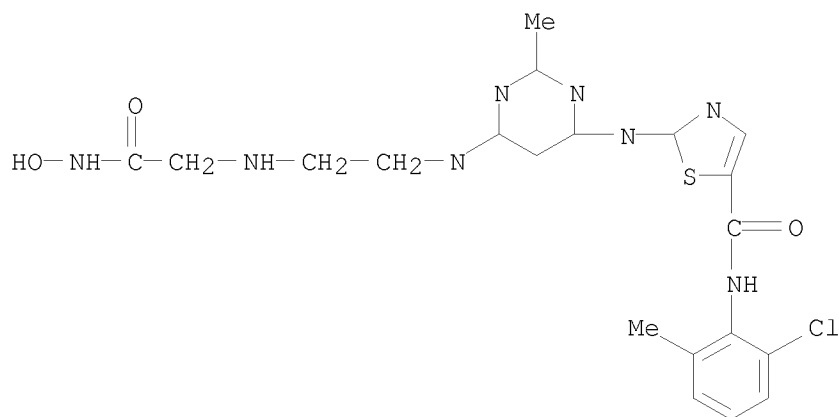
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-60-5 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[2-(hydroxyamino)-2-oxoethyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]-
(CA INDEX NAME)

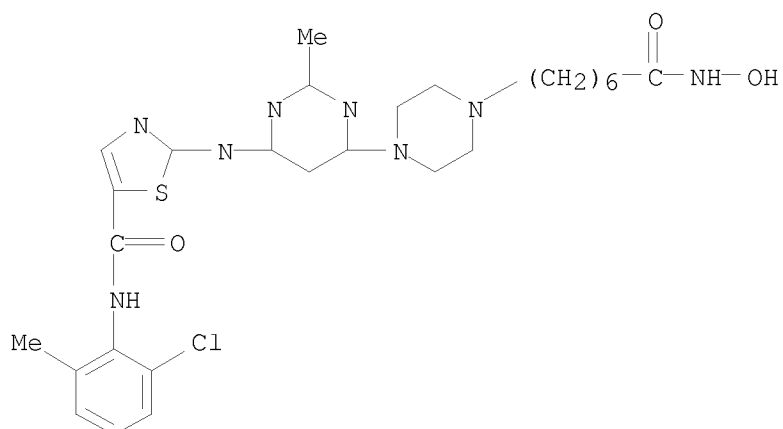


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-61-6 CAPLUS

CN 1-Piperazineheptanamide, 4-[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N-hydroxy-
(CA INDEX NAME)

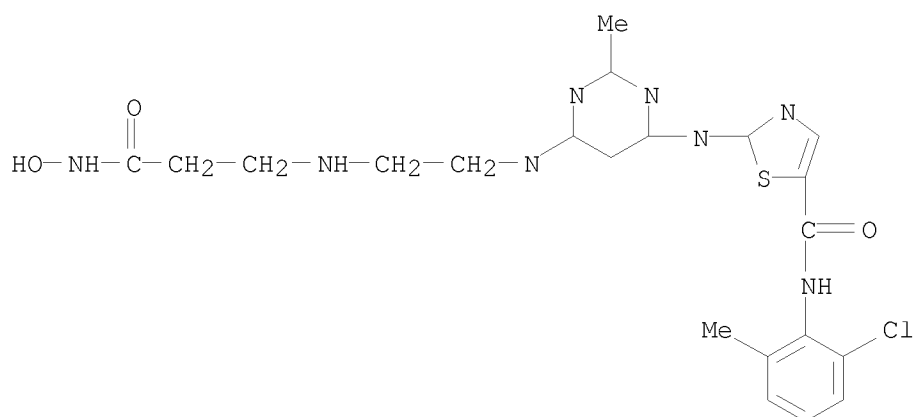
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-62-7 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[3-(hydroxyamino)-3-oxopropyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

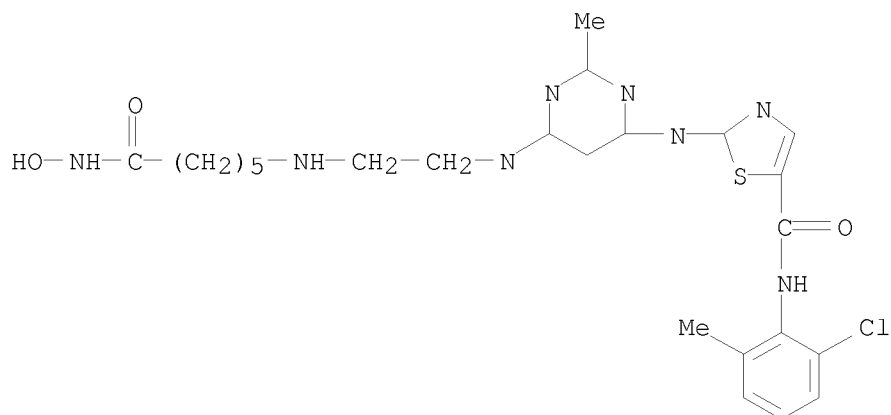


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-63-8 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[6-(hydroxyamino)-6-oxohexyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

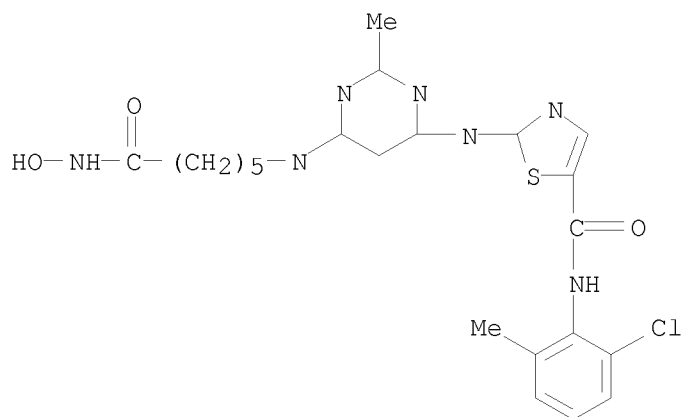
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-64-9 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[6-(hydroxyamino)-6-oxohexyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

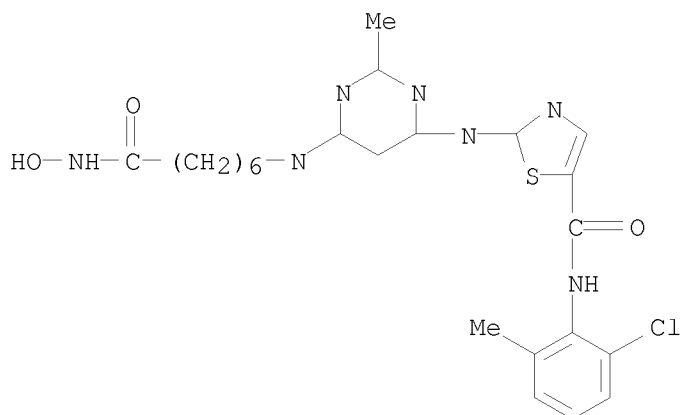


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012055-65-0 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[7-(hydroxyamino)-7-oxoheptyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

10/562,112



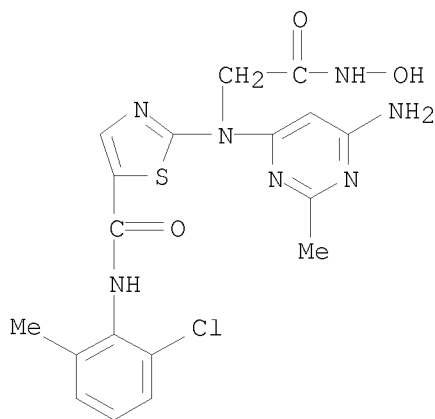
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT 1012056-93-7

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug candidate; preparation of multi-functional small mols. as antiproliferative agents)

RN 1012056-93-7 CAPLUS

CN 5-Thiazolecarboxamide, 2-[(6-amino-2-methyl-4-pyrimidinyl)[2-(hydroxyamino)-2-oxoethyl]amino]-N-(2-chloro-6-methylphenyl)- (CA INDEX NAME)



IT 910297-51-7P 910297-59-5P 910297-62-0P

1012058-67-1P 1012058-68-2P 1012058-69-3P

1012058-70-6P 1012058-71-7P 1012058-72-8P

1012058-73-9P 1012058-74-0P 1012058-75-1P

1012058-76-2P

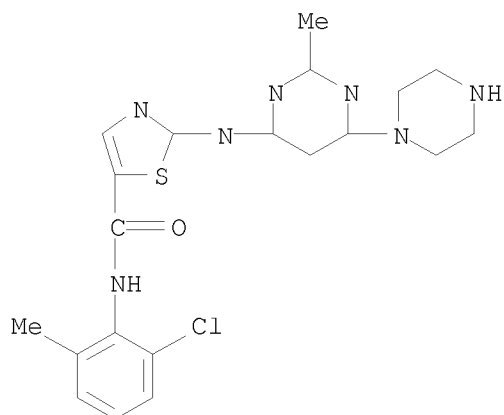
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of multi-functional small mols. as antiproliferative agents)

RN 910297-51-7 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[2-methyl-6-(1-piperazinyl)-4-pyrimidinyl]amino]- (CA INDEX NAME)

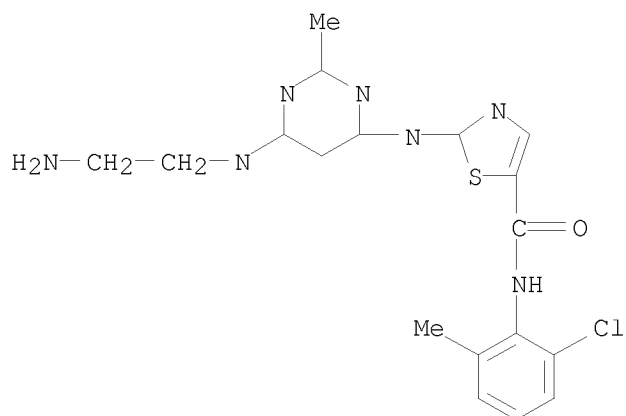
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 910297-59-5 CAPLUS

CN 5-Thiazolecarboxamide, 2-[[6-[(2-aminoethyl)amino]-2-methyl-4-pyrimidinyl]amino]-N-(2-chloro-6-methylphenyl)- (CA INDEX NAME)

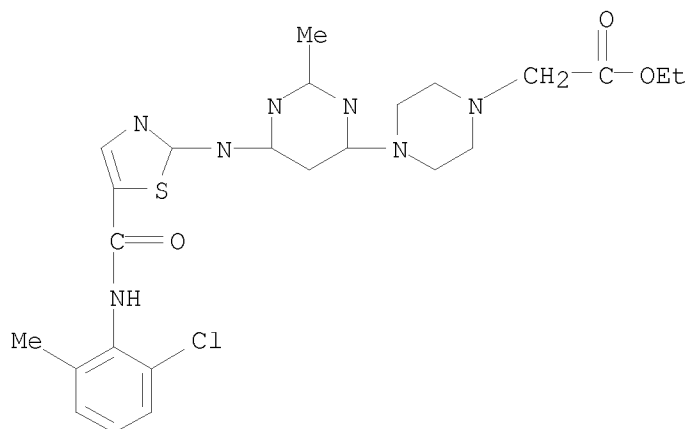


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 910297-62-0 CAPLUS

CN 1-Piperazineacetic acid, 4-[6-[[5-[[2-chloro-6-methylphenyl]amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

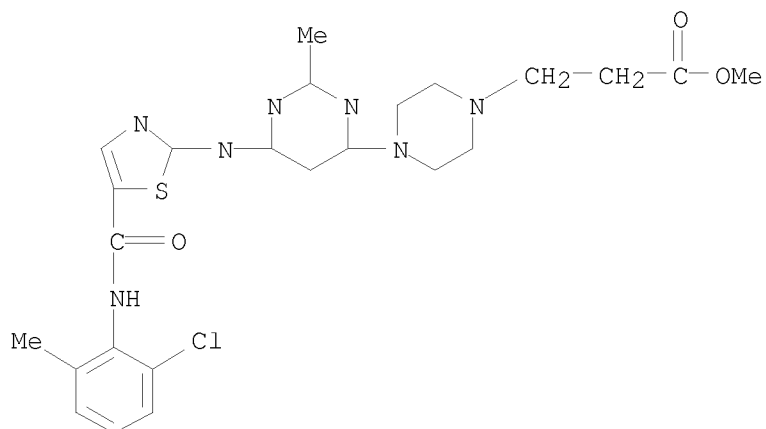
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-67-1 CAPLUS

CN 1-Piperazinepropanoic acid, 4-[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

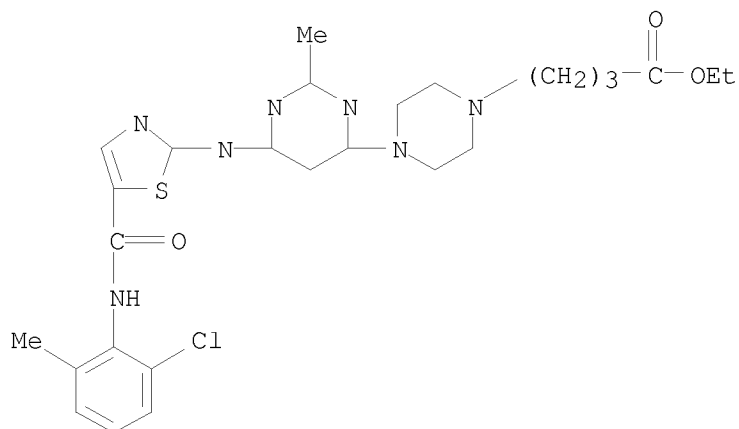


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-68-2 CAPLUS

CN 1-Piperazinebutanoic acid, 4-[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

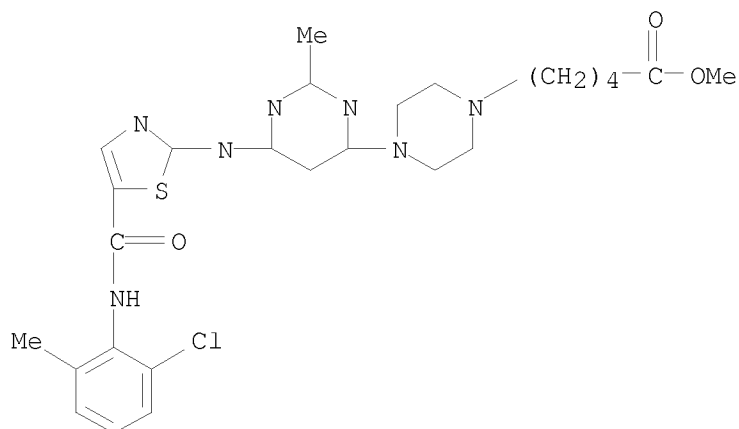
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-69-3 CAPLUS

CN 1-Piperazinepentanoic acid, 4-[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, methyl ester (CA INDEX NAME)

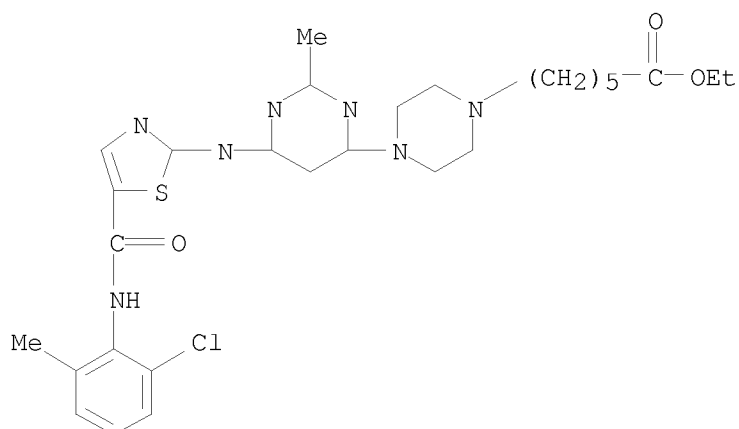


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-70-6 CAPLUS

CN 1-Piperazinehexanoic acid, 4-[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

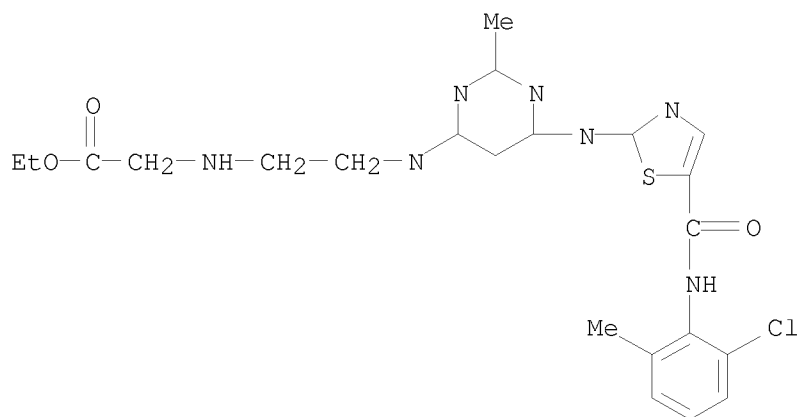
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-71-7 CAPLUS

CN Glycine, N-[2-[[6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]ethyl]-, ethyl ester (CA INDEX NAME)

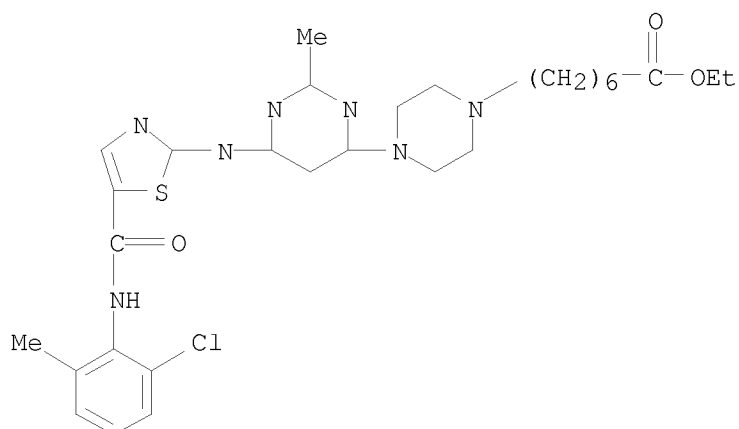


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-72-8 CAPLUS

CN 1-Piperazineheptanoic acid, 4-[6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-, ethyl ester (CA INDEX NAME)

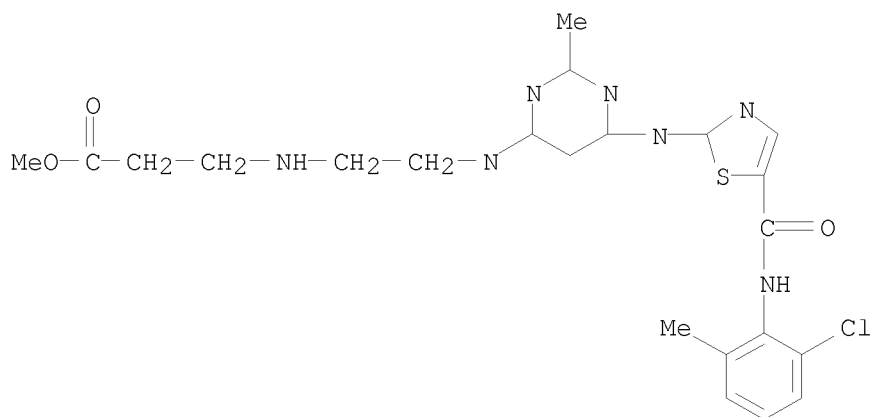
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-73-9 CAPLUS

CN β -Alanine, N-[2-[[6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]ethyl]-, methyl ester (CA INDEX NAME)

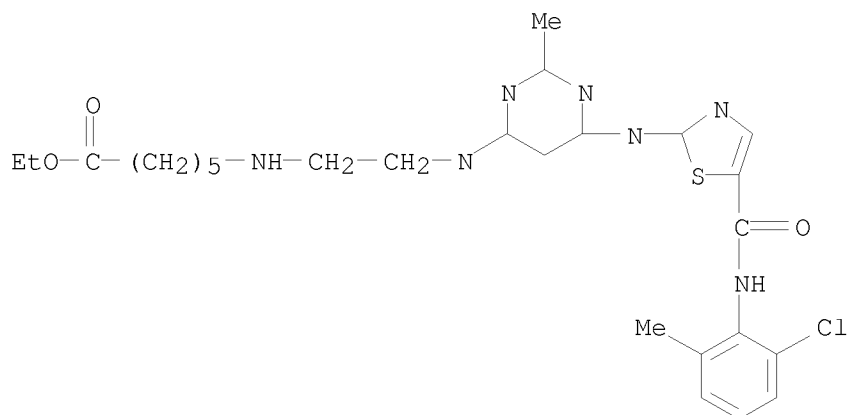


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-74-0 CAPLUS

CN Hexanoic acid, 6-[[2-[[6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]ethyl]amino]-, ethyl ester (CA INDEX NAME)

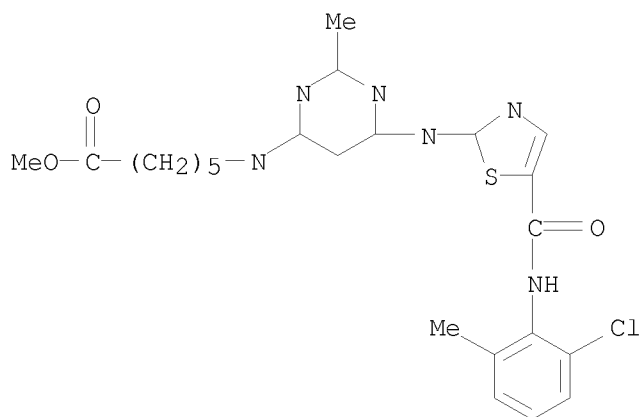
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-75-1 CAPLUS

CN Hexanoic acid, 6-[[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

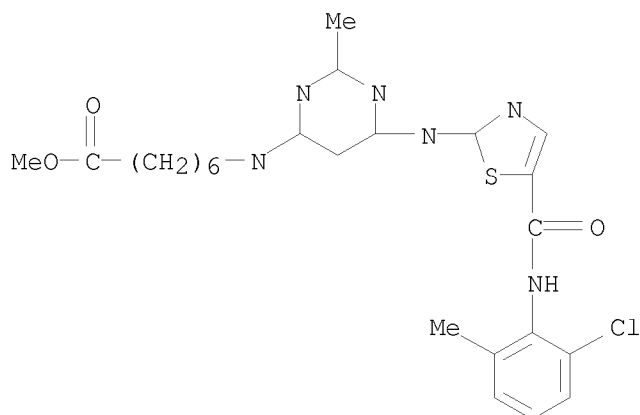


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012058-76-2 CAPLUS

CN Heptanoic acid, 7-[[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]amino]-, methyl ester (CA INDEX NAME)

10/562,112



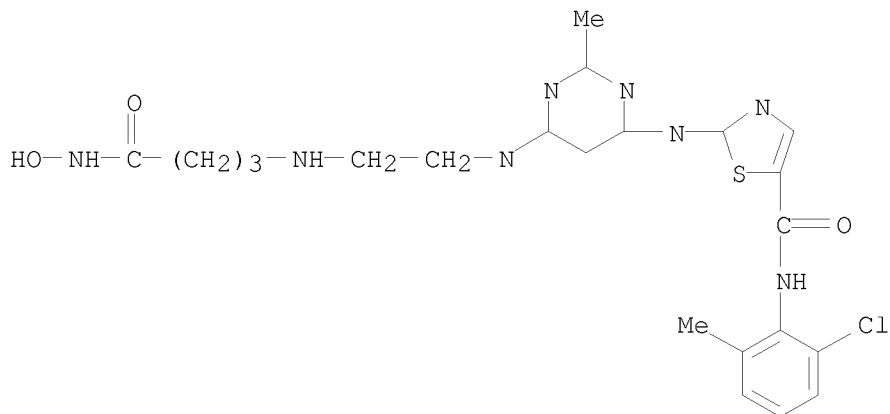
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

IT 1012885-78-7P 1012885-79-8P 1012885-80-1P
1012885-81-2P 1012885-82-3P 1012885-83-4P
1012885-84-5P 1012885-85-6P 1012885-86-7P
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1021359-97-6P 1021359-98-7P 1021359-99-8P
1021360-00-8P 1021360-01-9P 1021360-02-0P
1021360-03-1P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); PRPH
(Prophetic); SPN (Synthetic preparation); THU (Therapeutic use); BIOL
(Biological study); PREP (Preparation); USES (Uses)
(prophetic starting material; preparation of multi-functional small mols. as
antiproliferative agents)

RN 1012885-78-7 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[4-
(hydroxyamino)-4-oxobutyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]-
(CA INDEX NAME)

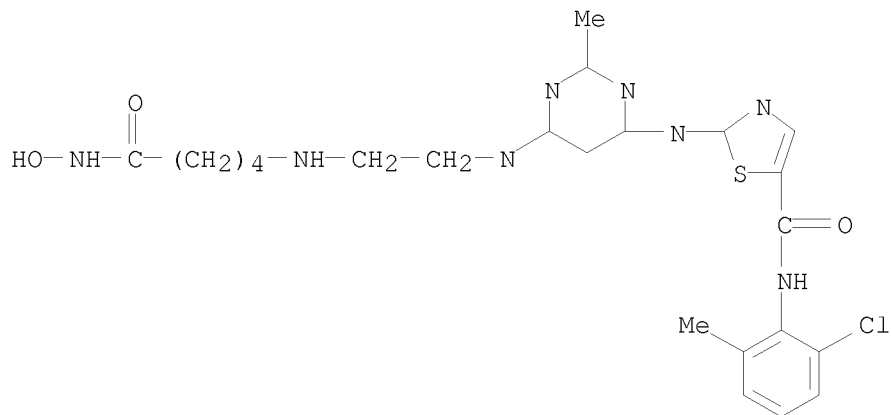


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-79-8 CAPLUS

10/562,112

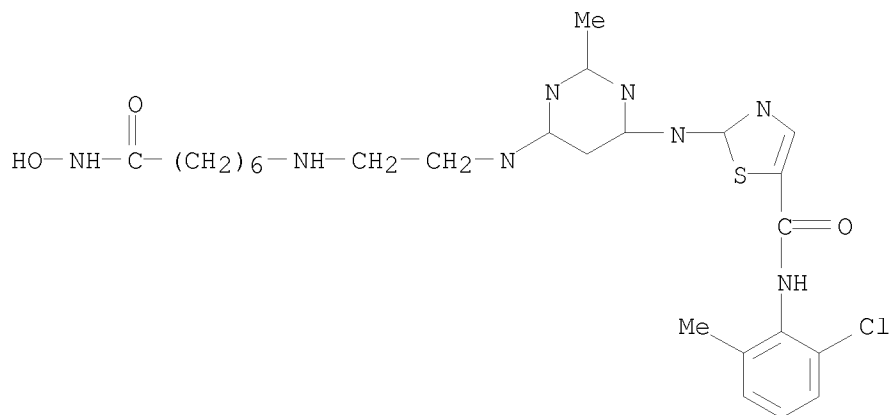
CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[5-(hydroxyamino)-5-oxopentyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-80-1 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[7-(hydroxyamino)-7-oxoheptyl]amino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

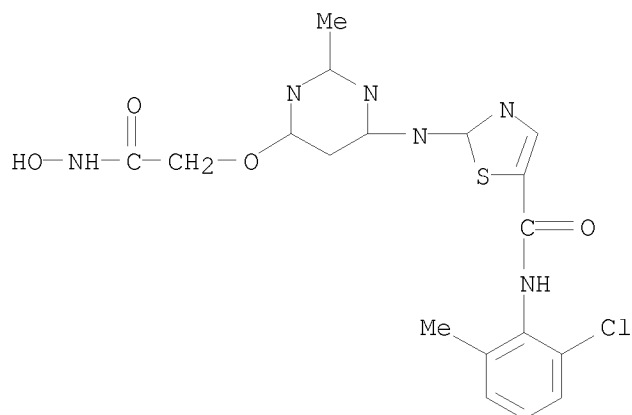


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-81-2 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-(hydroxyamino)-2-oxoethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

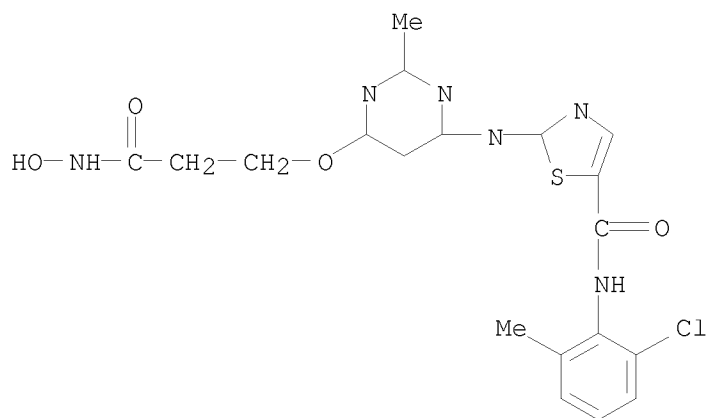
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-82-3 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[3-(hydroxyamino)-3-oxopropoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

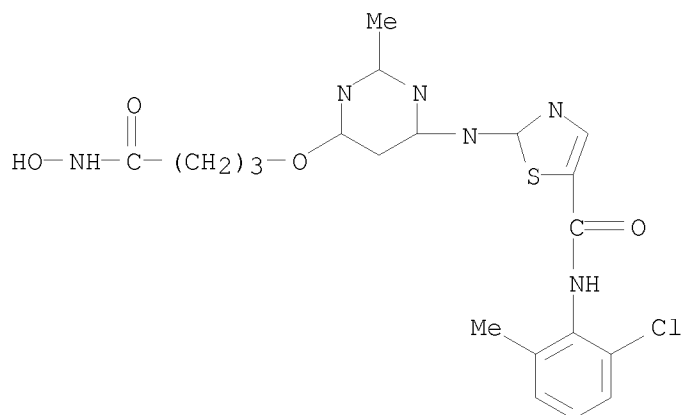


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-83-4 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[4-(hydroxyamino)-4-oxobutoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

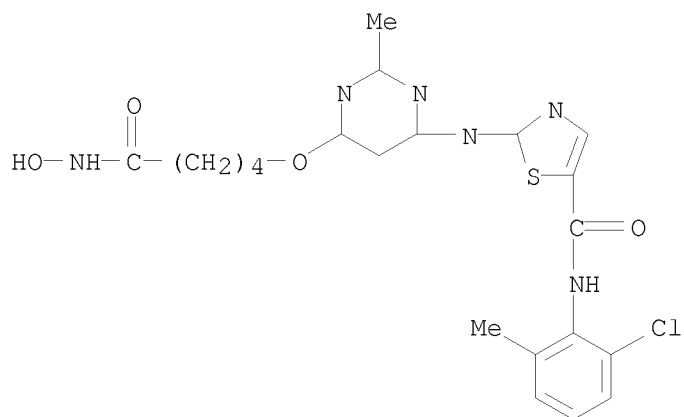
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-84-5 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[5-(hydroxyamino)-5-oxopentyl]oxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

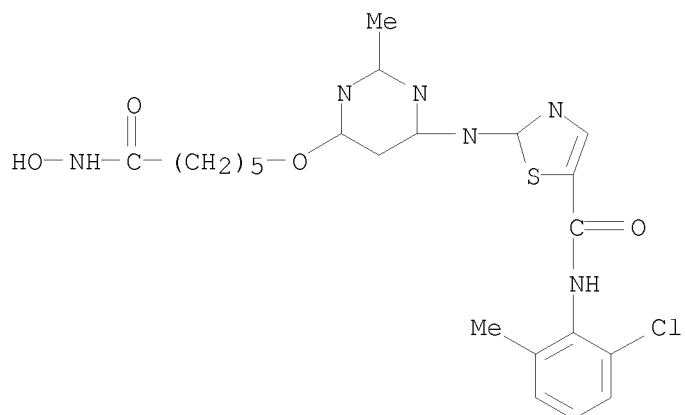


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-85-6 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[6-(hydroxyamino)-6-oxohexyl]oxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

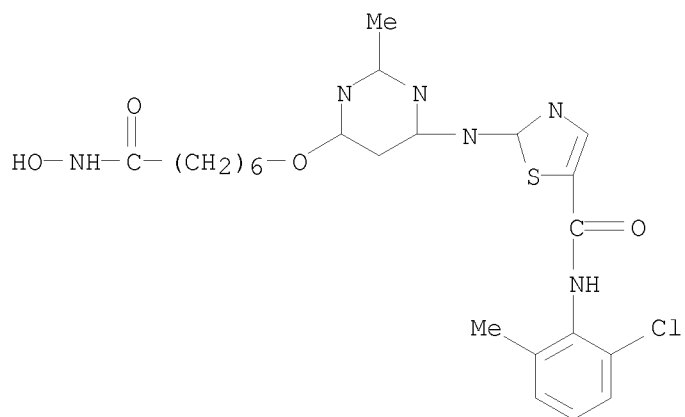
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-86-7 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[7-(hydroxyamino)-7-oxoheptyl]oxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

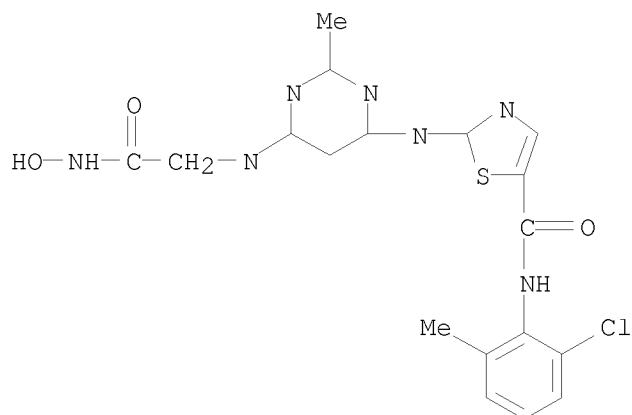


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-87-8 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-(hydroxyamino)-2-oxoethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

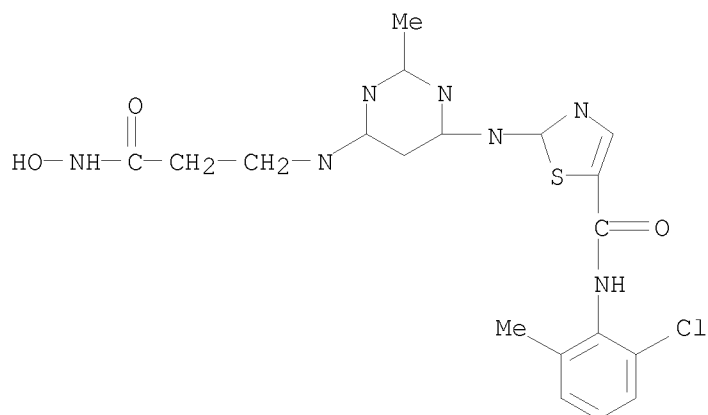
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-88-9 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[3-(hydroxyamino)-3-oxopropyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

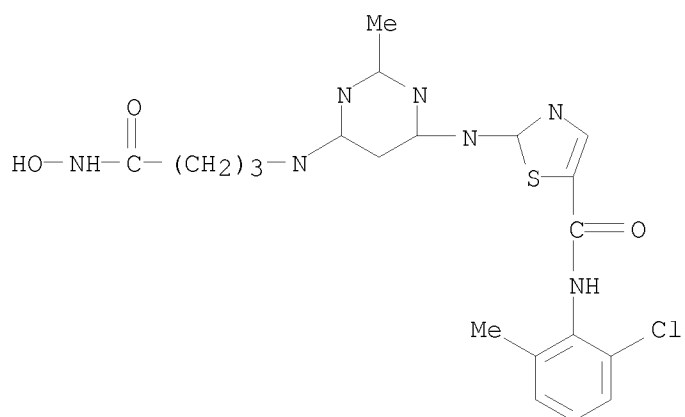


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-89-0 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[4-(hydroxyamino)-4-oxobutyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

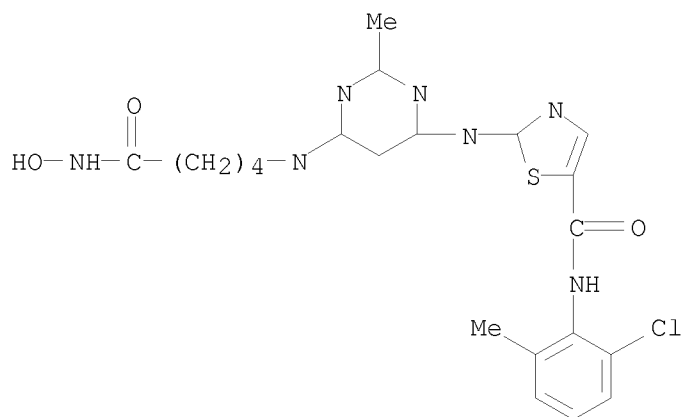
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-90-3 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[5-(hydroxyamino)-5-oxopentyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



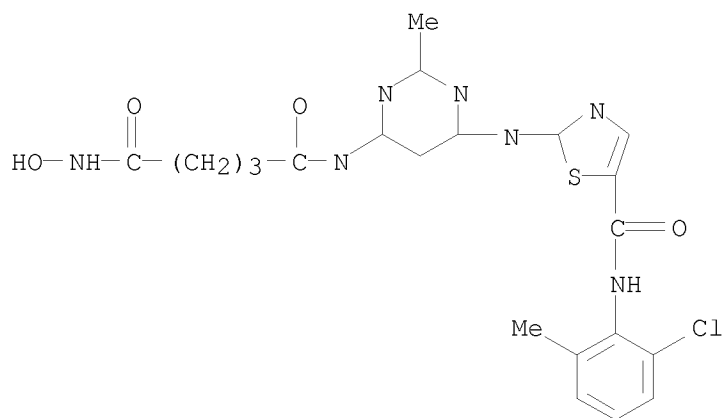
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012885-91-4 CAPLUS

CN Butanediamide, N1-[6-[[5-[[2-(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N4-hydroxy- (CA INDEX NAME)

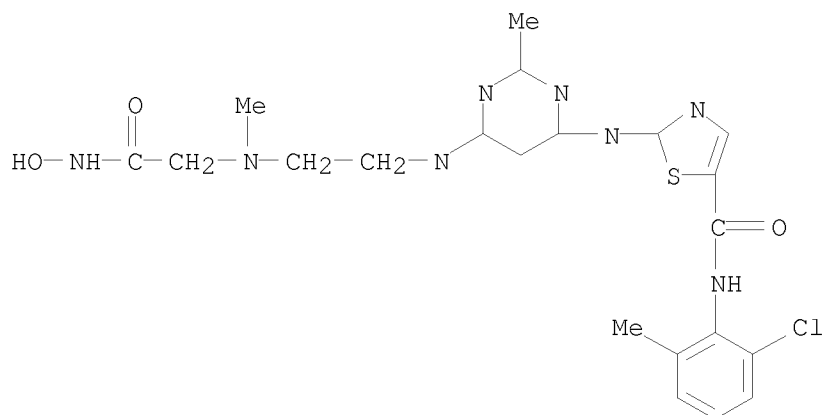
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CN Pentanediamide, N1-[6-[[5-[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-N5-hydroxy- (CA INDEX NAME)



CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[[2-[[2-(hydroxyamino)-2-oxoethyl]methylamino]ethyl]amino]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

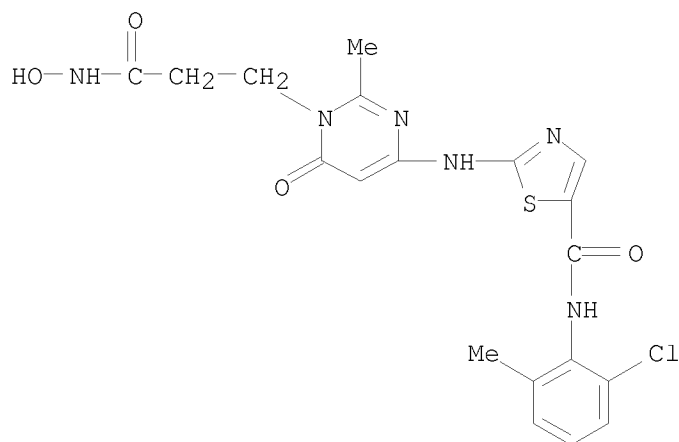
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1012886-02-0 CAPLUS

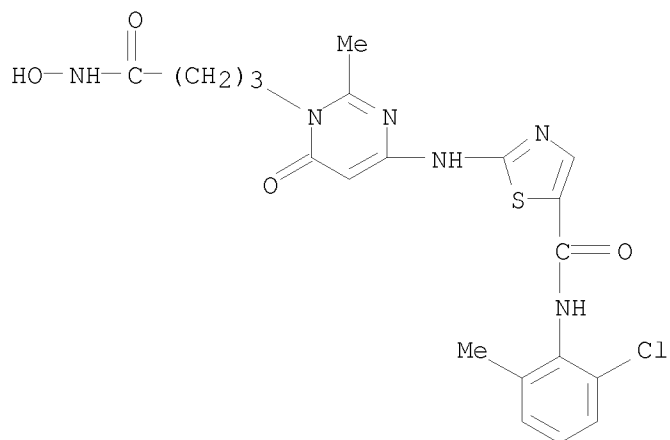
CN 1(6H)-Pyrimidinepropanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo-]
(CA INDEX NAME)



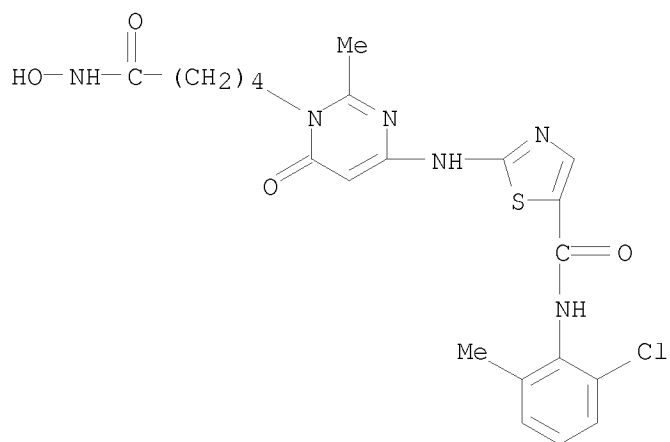
RN 1012886-03-1 CAPLUS

CN 1(6H)-Pyrimidinebutanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo-]
(CA INDEX NAME)

10/562,112

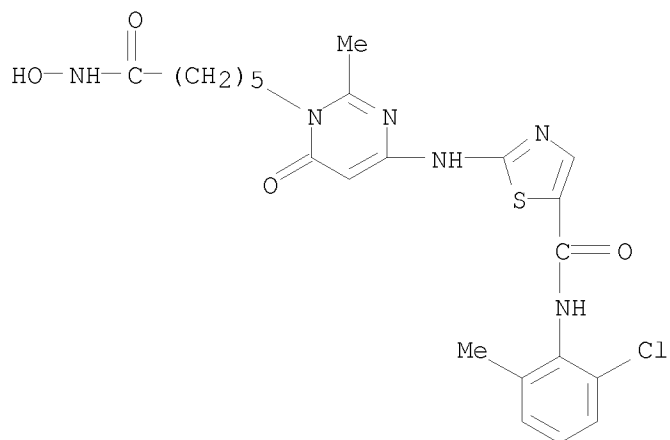


RN 1012886-04-2 CAPLUS
CN 1(6H)-Pyrimidinepentanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo-
(CA INDEX NAME)

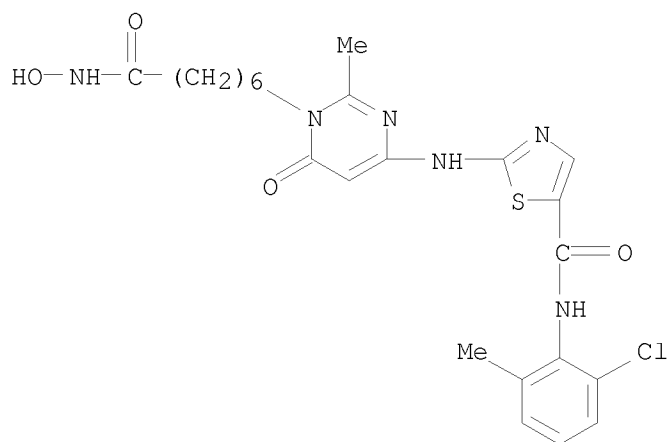


RN 1012886-05-3 CAPLUS
CN 1(6H)-Pyrimidinehexanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo-
(CA INDEX NAME)

10/562,112



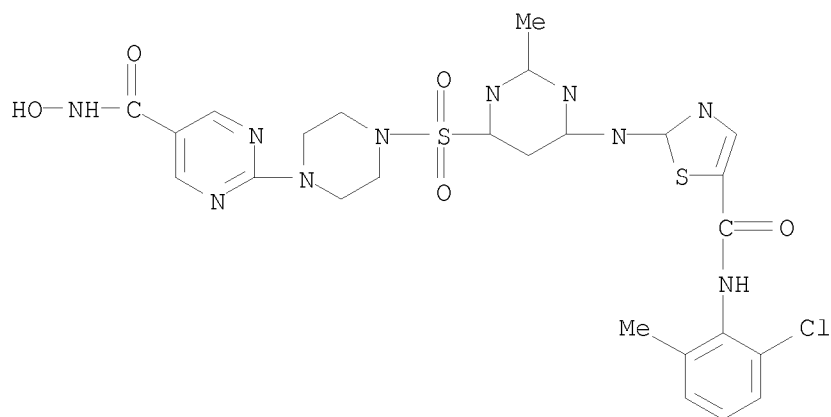
RN 1012886-06-4 CAPLUS
 CN 1(6H)-Pyrimidineheptanamide, 4-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-N-hydroxy-2-methyl-6-oxo- (CA INDEX NAME)



RN 1012886-07-5 CAPLUS
 CN 5-Pyrimidinecarboxamide, 2-[4-[6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]-1-piperazinyl]-N-hydroxy- (CA INDEX NAME)

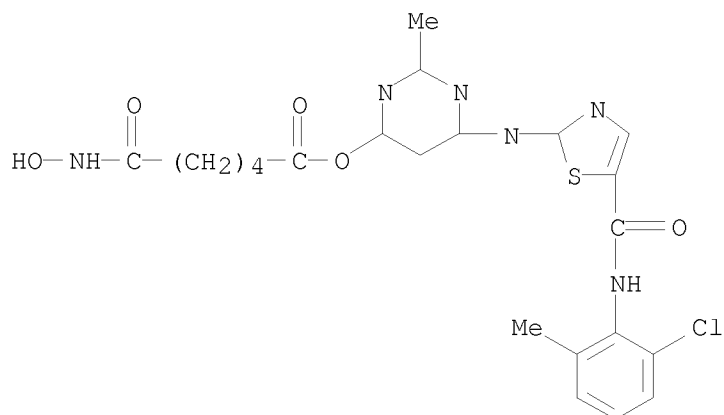
Cc1cc(C(=O)Nc2cc(C(=O)NO)ncn2)ccc1N3CCN(C4CCN(C5CCN(C6CCN(C7CCN(C8CCN(C7C8)C)C5)C4)C3)C)C

CN 5-Pyrimidinecarboxamide, 2-[4-[[6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl]sulfonyl]-1-piperazinyl]-N-hydroxy- (CA INDEX NAME)



CN Hexanoic acid, 6-(hydroxyamino)-6-oxo-, 6-[[5-[[2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl ester (CA INDEX NAME)

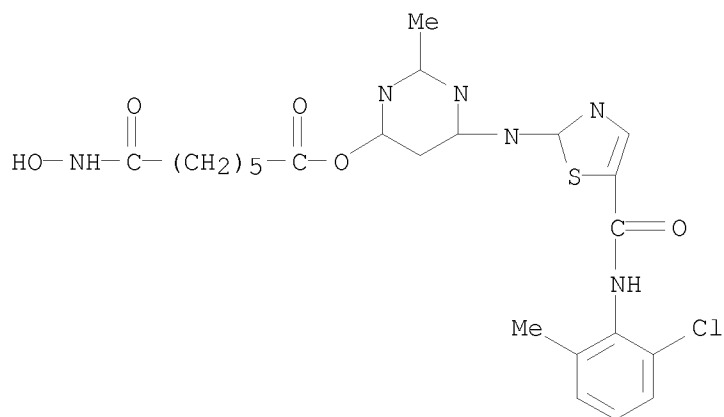
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021359-97-6 CAPLUS

CN Heptanoic acid, 7-(hydroxyamino)-7-oxo-, 6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl ester (CA INDEX NAME)

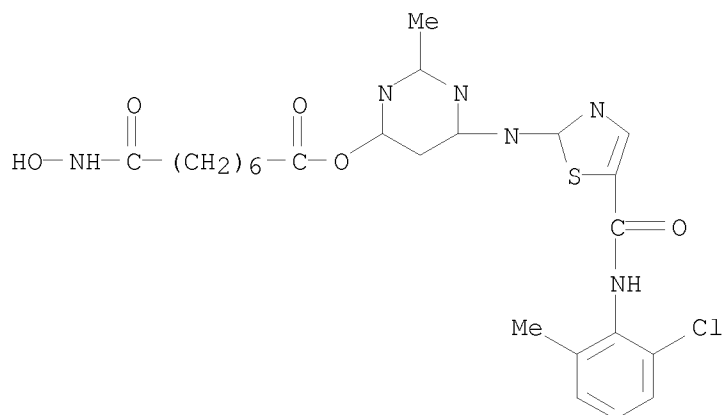


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021359-98-7 CAPLUS

CN Octanoic acid, 8-(hydroxyamino)-8-oxo-, 6-[[5-[[[(2-chloro-6-methylphenyl)amino]carbonyl]-2-thiazolyl]amino]-2-methyl-4-pyrimidinyl ester (CA INDEX NAME)

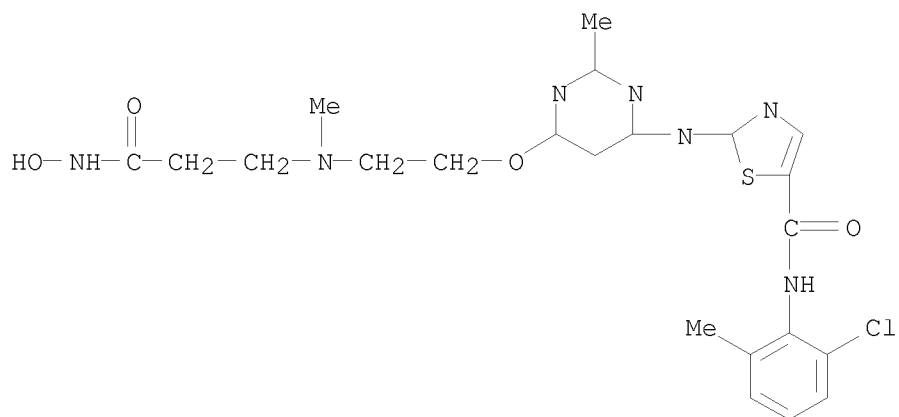
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021359-99-8 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-[[3-(hydroxyamino)-3-oxopropyl]methylamino]ethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

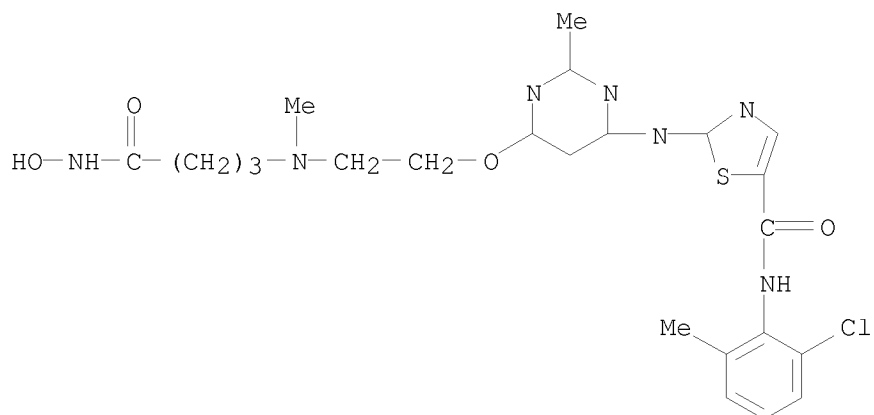


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021360-00-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

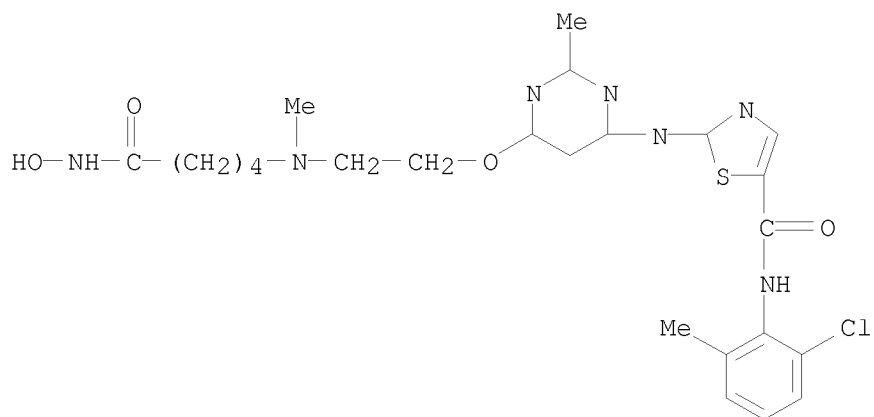
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021360-01-9 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-[[5-(hydroxyamino)-5-oxopentyl]methylamino]ethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

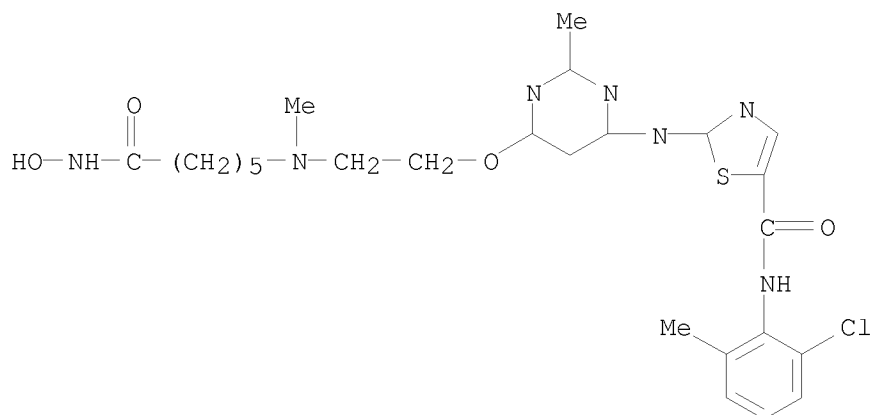


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021360-02-0 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-[[6-(hydroxyamino)-6-oxohexyl]methylamino]ethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)

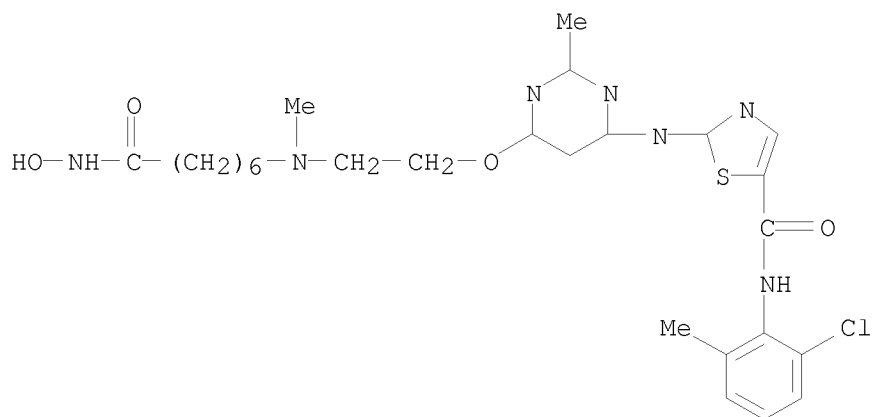
10/562,112



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 1021360-03-1 CAPLUS

CN 5-Thiazolecarboxamide, N-(2-chloro-6-methylphenyl)-2-[[6-[2-[[7-(hydroxyamino)-7-oxoheptyl]methylamino]ethoxy]-2-methyl-4-pyrimidinyl]amino]- (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

L3 ANSWER 8 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:70070 CAPLUS

DOCUMENT NUMBER: 148:168588

TITLE: Preparation of N-heterocyclyl- and N-aryl-5,5-diphenylpentadienamide derivatives as antagonists of transient receptor potential Vanilloid (TRPV1)

INVENTOR(S): Nakasato, Yoshisuke; Saku, Osamu; Atsumi, Eri; Sugimoto, Yoshiyuki; Ishida, Hiroshi

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 245pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2008007780 | A1 | 20080117 | WO 2007-JP64007 | 20070713 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| PRIORITY APPLN. INFO.: | | | JP 2006-193044 | A 20060713 |
| OTHER SOURCE(S): | MARPAT 148:168588 | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1 = (un)substituted aryl or aromatic heterocyclic group; R2 = each (un)substituted aryl, aromatic heterocyclic group, or alicyclic heterocyclic group; R3 = H or R3 together with R4 and a nitrogen atom adjacent to R3, forms (un)substituted heterocyclic group; R4 = each (un)substituted lower alkyl, cycloalkyl, aryl, aromatic heterocyclic group, or alicyclic heterocyclic group; or R4 together with R3 and a nitrogen atom adjacent to R4, forms (un)substituted heterocyclic group; R5, R6, R7 = independently H or Me] or pharmaceutically acceptable salts thereof are prepared These compds. are useful for the prevention and/or treatment of pain, in particular neuropathic pain. Thus, 97 mg (E)-5,5-bis[4-(trifluoromethyl)phenyl]-2,4-pentadienoic acid (preparation given) was dissolved in 2 mL SOCl₂, refluxed for 2 h, concentrated under reduced pressure, dissolved in 2 mL CH₂Cl₂, treated with 0.030 mL thiomorpholine and 0.052 mL Et₃N, stirred at room temperature for 4 h to give, after workup and recrystn. from Et₂O/hexane, (E)-1-(thiomorpholino)-5,5-bis[4-(trifluoromethyl)phenyl]penta-2,4-dien-1-one (II). (2E,4Z)-5-(4-Fluorophenyl)-N-(isoquinolin-5-yl)-5-[4-(trifluoromethyl)phenyl]-2,4-pentadienamide (III) in vitro showed IC₅₀ of <10 nm for inhibiting the binding of [3H]resiniferatoxin to homogenized rat vertebra and in vivo at 20 mg/kg significantly suppressed neuropathic pain in rats having the sciatic nerve of the hind left leg detached. A tablet formulation containing II was described.

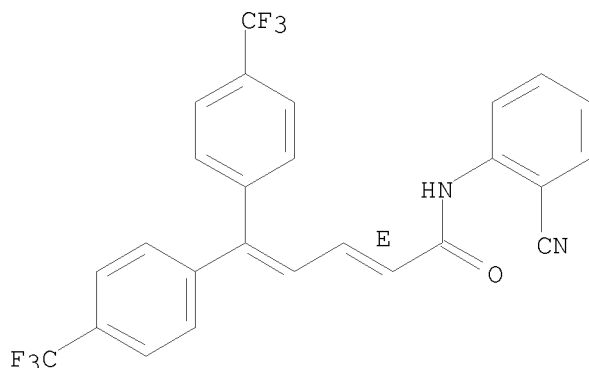
IT 1002123-29-6P, (E)-N-(2-Cyanophenyl)-5,5-bis[4-(trifluoromethyl)phenyl]-2,4-pentadienamide
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (intermediate; preparation of N-heterocyclyl- and N-aryl-5,5-diphenylpentadienamide derivs. as antagonists of transient receptor potential Vanilloid (TRPV1) for prevention and/or treatment of pains and neuropathic pain)

RN 1002123-29-6 CAPLUS

CN 2,4-Pentadienamide, N-(2-cyanophenyl)-5,5-bis[4-(trifluoromethyl)phenyl]-, (2E)- (CA INDEX NAME)

10/562,112

Double bond geometry as shown.

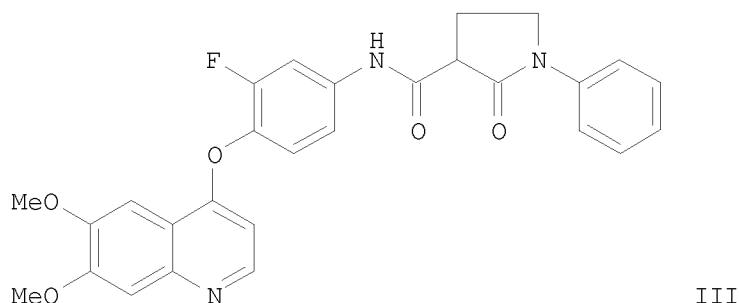
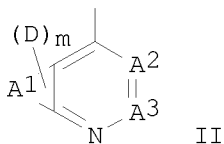
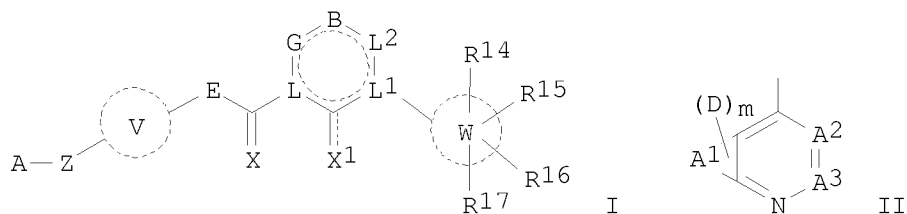


REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:12248 CAPLUS
DOCUMENT NUMBER: 148:121726
TITLE: Preparation of quinoline and quinazoline derivatives as inhibitors of VEGF receptor and HGF receptor signaling
INVENTOR(S): Raeppe, Stephane; Claridge, Stephen William; Saavedra, Oscar Mario; Vaisburg, Arkadii; Deziel, Robert; Zhan, Lijie; Mannion, Michael; Gaudette, Frederic; Zhou, Nancy Z.; Isakovic, Ljubomir
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 122pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 20080004273 | A1 | 20080103 | US 2007-807907 | 20070530 |
| WO 2008035209 | A2 | 20080327 | WO 2007-IB3264 | 20070530 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: US 2006-803412P P 20060530
OTHER SOURCE(S): MARPAT 148:121726
GI



AB The invention relates to compds. of formula I that inhibit protein tyrosine kinase activity, in particular that inhibit the protein tyrosine kinase activity of growth factor receptors, resulting in the inhibition of receptor signaling, for example, the inhibition of VEGF receptor signaling and HGF receptor signaling. Compds. of formula I [A = II (A1 = fused 6-membered aryl or heteroaryl; A2 and A3 independently = N or CR107, wherein R107 = H, halo, alkyl, alkenyl, etc.; D = H, halo, CN, NO₂, etc.; m = 0-4); V = (un)substituted 5- to 7-membered cycloalkyl, aryl, heterocyclic or heteroaryl ring system; Z = O, S, S(O), SO₂, CH₂, etc.; E = O, NH, N-alkyl, CH₂NH, NHCH₂, etc.; X = O, S, NH, N-alkyl, N-OH, etc.; solid/dash line = single or double bond; X1 = O, S, CH₂, NH, etc., when solid/dash line = double bond, or X1 = H, halo, CN, NH₂, trihalomethyl, etc., when solid/dash = single bond; L and L1 independently = CH, N, C(halo), C(alkyl), etc.; or L1 = O and W = absent; L2 and G = CH₂, NH, O, S, C(O), C(S), etc.; B = (L₄)_n, wherein L₄ = absent, CH₂, NH, O, S, C(O), C(S), etc.; n = 0-5; W = (un)substituted 5- to 10-membered cycloalkyl, aryl, heterocyclic or heteroaryl ring system; R14, R15, R16 and R17 independently = H, halo, trihalomethyl, CN, NO₂, NH₂, etc.], and their N-oxides, hydrates, solvates, pharmaceutically acceptable salts, prodrugs and complexes thereof, are prepared and disclosed. Thus, e.g., III was prepared in a multi-step synthesis starting from 3,4-dimethoxybenzenamine with 5-(methoxymethylene)-2,2-dimethyl-1,3-dioxane-4,6-dione. The exemplar compds. showed inhibition of recombinant human c-Met/HGF receptor and VEGF receptor enzymic activity in in vitro receptor tyrosine kinase assays. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

IT 1000850-55-4P

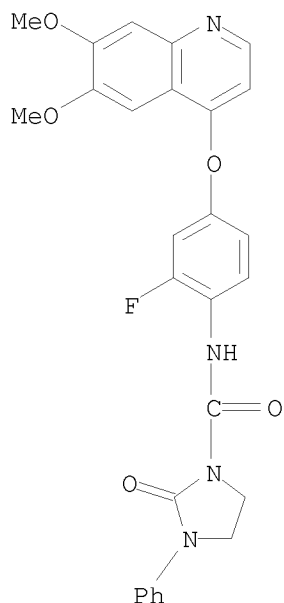
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinoline and quinazoline derivs. as inhibitors of VEGF receptor and HGF receptor signaling for treatment of proliferative diseases)

RN 1000850-55-4 CAPLUS

CN 1-Imidazolidinecarboxamide, N-[4-[(6,7-dimethoxy-4-quinolinyl)oxy]-2-

fluorophenyl]-2-oxo-3-phenyl- (CA INDEX NAME)



L3 ANSWER 10 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:1469103 CAPLUS
 DOCUMENT NUMBER: 148:93193
 TITLE: Method using fused heterocyclic compounds for the treatment of glioma brain tumors
 INVENTOR(S): Bush, Ashley
 PATENT ASSIGNEE(S): Prana Biotechnology Limited, Australia
 SOURCE: PCT Int. Appl., 115pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2007147217 | A1 | 20071227 | WO 2007-AU876 | 20070622 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: US 2006-815779P P 20060622
 OTHER SOURCE(S): MARPAT 148:93193

AB The invention discloses therapeutic agents, formulations comprising them, and their use in the treatment, amelioration and/or prophylaxis of glioma

brain tumors and related conditions. The therapeutic agent comprises two fused 6-membered rings with at least a nitrogen at position 1 and a hydroxyl at position 8.

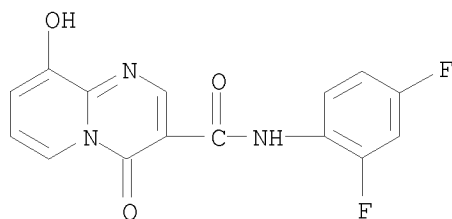
IT 1000013-74-0

RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(fused heterocyclic compds. for treatment of glioma)

RN 1000013-74-0 CAPLUS

CN 4H-Pyrido[1,2-a]pyrimidine-3-carboxamide, N-(2,4-difluorophenyl)-9-hydroxy-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1281234 CAPLUS

DOCUMENT NUMBER: 148:121845

TITLE: Chemical modification of the alkaloid

2,3-tetramethylene-3,4-dihydroquinazol-4-one

AUTHOR(S): Shakhidoyatov, Kh. M.; Samarov, Z. U.; Mukarramov, N. I.; Levkovich, M. G.; Abdullaev, N. D.; Tashkhodzhaev, B.; Barakat, Yasser; Urakov, B. A.

CORPORATE SOURCE: S. Yu. Yunusov Institute of the Chemistry of Plant Substances, Academy of Sciences of the Republic of Uzbekistan, Tashkent, Uzbekistan

SOURCE: Chemistry of Natural Compounds (2007), 43(4), 441-449
CODEN: CHNCA8; ISSN: 0009-3130

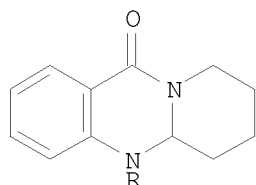
PUBLISHER: Springer

DOCUMENT TYPE: Journal

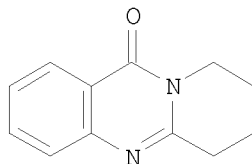
LANGUAGE: English

OTHER SOURCE(S): CASREACT 148:121845

GI



I



II

AB The 1,2-dihydro derivative I (R = H) of the title alkaloid, a.k.a mackinazolinone (II), was prepared by NaBH₄ reduction of II and was characterized by NMR spectra. N-acyl derivs. I [R = COMe, CPh, COC₆H₄-4-NO₂, COCH₂Cl] by reactions of I (R = H) with acetic anhydride or

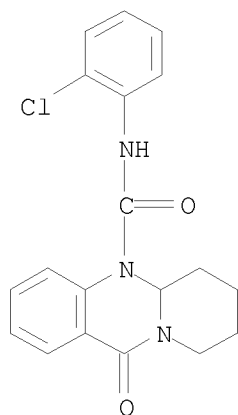
corresponding acyl chlorides, and N-thiocarboxamido and N-carboxamido derivs. I [R = CSNHPH, CONHC6H4-2-NO2, CONHC6H4-2-Cl, CONHC6H4-3-Cl, CONHC6H4-4-Me] were prepared by reactions of I (R = H) with PhNCS or corresponding isocyanates. Chloroacetyl derivative I [R = COCH2Cl] was subsequently reacted with amines to form aminoacetyl derivs. I [R = COCH2R1, R1 = NMe2, NEt2, 1-morpholinyl, 1-piperidinyl]. The mol. structures of I [R = COMe and R = CONHC6H4-3-Cl] were established using x-ray structure analyses.

IT 1000871-71-5P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of acyl and carboxamido derivs. of the alkaloid
2,3-tetramethylene-3,4-dihydroquinazolin-4-one)

RN 1000871-71-5 CAPLUS

CN 7H-Pyrido[2,1-b]quinazolin-5(11H)-carboxamide, N-(2-chlorophenyl)-
5a,6,8,9-tetrahydro-11-oxo- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1204014 CAPLUS

DOCUMENT NUMBER: 147:486453

TITLE: Quinazolin-4-one derivatives as B-Raf inhibitors, process for their preparation and pharmaceutical compositions containing them for treating cancer

INVENTOR(S): Aquila, Brian; Lyne, Paul; Pontz, Timothy

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited

SOURCE: PCT Int. Appl., 52pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

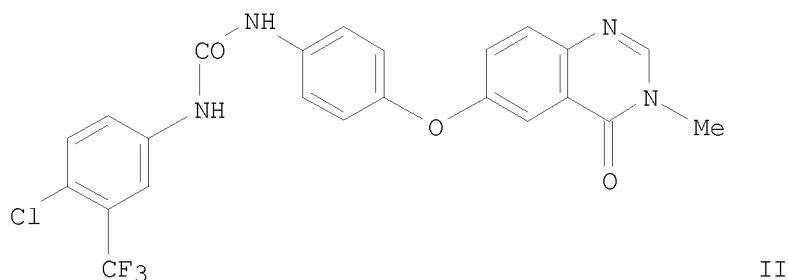
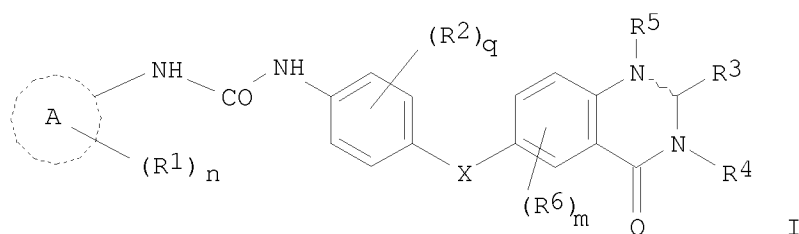
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2007119055 | A1 | 20071025 | WO 2007-GB1389 | 20070417 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, | | | | |

MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
 RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
 TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF,
 BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW,
 GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
 BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-745038P P 20060418
 OTHER SOURCE(S): CASREACT 147:486453; MARPAT 147:486453
 GI



AB The invention relates to chemical compds. of the formula I (wherein Ring A is carbocyclyl or heterocyclyl; R1 is a substituent on C and is halo, nitro, etc.; n is 0-4; R2 is halo, nitro, cyano, OH, etc.; q is 0-2; X is NR16 or O; R3 and R6 are H, halo, nitro, cyano, etc.; R4, R5 and R16 are H, C1-6alkyl, C1-6alkanoyl, etc.; m is 3 wherein the value of R6 may be the same or different) or pharmaceutically acceptable salts thereof, which possess B-Raf inhibitory activity and are accordingly useful for their anti-cancer activity and thus in methods of treatment of the human or animal body. The invention also relates to processes for the manufacture of said chemical compds., to pharmaceutical compns. containing them and to their

use

in the manufacture of medicaments of use in the production of an anti-cancer effect

in a warm-blooded animal such as man. Example compound II was prepared by reacting 1-chloro-4-isocyanato-2-(trifluoromethyl)benzene and 6-(4-aminophenoxy)-3-methylquinazolin-4(3H)-one. In the B-Raf in vitro AlphaScreen assay, II had an IC50 of 0.287 μ M.

IT 953413-93-9P, 1-[2-Fluoro-3-(trifluoromethyl)phenyl]-3-[4-[(3-methyl-4-oxo-3,4-dihydroquinazolin-6-yl)oxy]phenyl]urea
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

10/562,112

(Uses)

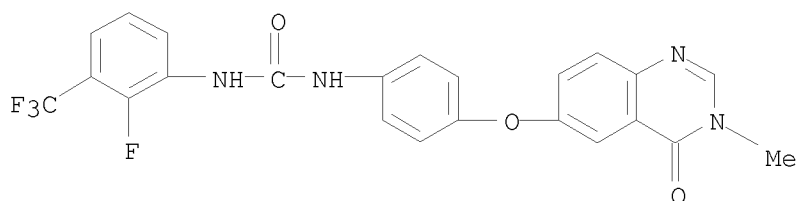
(drug candidate; quinazolin-4-one derivs. as B-Raf inhibitors, process for their preparation and pharmaceutical compns.

containing

them for treating cancer)

RN 953413-93-9 CAPLUS

CN Urea, N-[4-[(3,4-dihydro-3-methyl-4-oxo-6-quinazolinyl)oxy]phenyl]-N'-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1176211 CAPLUS

DOCUMENT NUMBER: 147:469365

TITLE: Preparation of quinazolines for PDK1 inhibition

INVENTOR(S): Ramurthy, Savithri; Lin, Xiadong; Subramanian, Sharada; Rico, Alice C.; Wang, Xiajong M.; Jain, Rama; Murray, Jeremy M.; Bashman, Steven E.; Warne, Robert L.; Shu, Wei; Zhou, Yasheen; Dove, Jeffrey; Aikawa, Mina; Amiri, Payman; Wang, Weibo; Jensen, Johanna M.; Wagman, Allan S.; Pfister, Keith B.; Ng, Simon C.

PATENT ASSIGNEE(S): Novartis Vaccines & Diagnostics, Inc., USA

SOURCE: PCT Int. Appl., 390pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

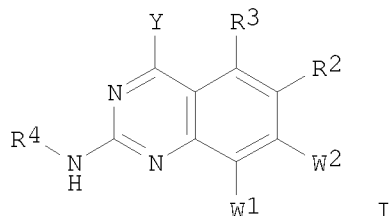
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2007117607 | A2 | 20071018 | WO 2007-US8592 | 20070405 |
| WO 2007117607 | A3 | 20071221 | | |
| WO 2007117607 | A9 | 20080306 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |

PRIORITY APPLN. INFO.: US 2006-790304P P 20060406

OTHER SOURCE(S): MARPAT 147:469365

GI



AB The title compds. I [one of W1 or W2 = R1 and the other = LA1; L = a bond, C(O), CONH, O, etc.; A1 = (un)substituted aryl, heteroaryl, heterocyclyl; Y = H, alkyl, halo, CN, NO₂ or NH₂; R1 = H, alkyl, alkoxy, acyl, etc.; R2, R3 = H, alkyl, alkoxy, acyl, etc.; R4 = (un)substituted aryl, heteroaryl, cycloalkyl, heterocyclyl; with the proviso] that are inhibitors of PDK1, were prepared E.g., a multi-step synthesis of 4-[6-ethynyl-8-(1-isopropylpiperidin-4-yloxy)quinazolin-2-ylamino]benzenesulfonamide, starting from 6-bromo-2-chloro-8-methoxyquinazoline, was given. Exemplified compds. I were tested in various assays. For example, I showed an IC₅₀ value of less than or equal to 25 μ M, with respect to inhibition of PDK1. Also provided are pharmaceutical compns. including the compds. I, and methods of treating proliferative diseases, such as cancers, with the compds. or compns.

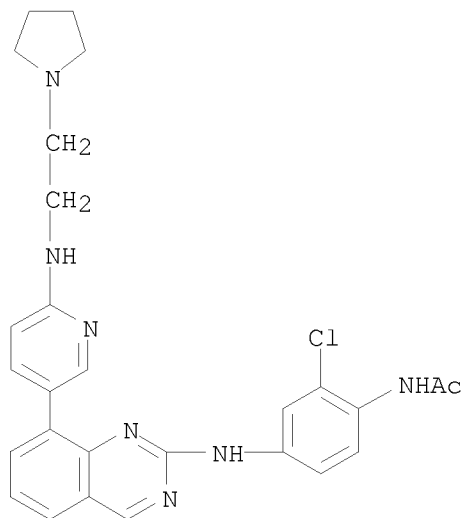
IT 953034-64-5P 953034-81-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazolines for PDK1 inhibition)

RN 953034-64-5 CAPLUS

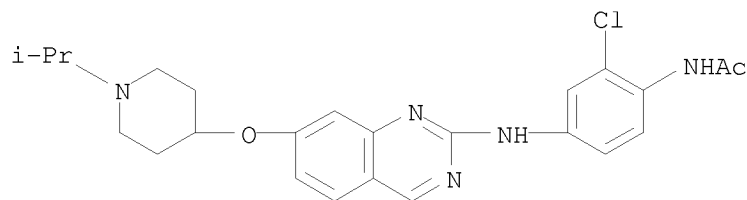
CN Acetamide, N-[2-chloro-4-[[8-[6-[[2-(1-pyrrolidinyl)ethyl]amino]-3-pyridinyl]-2-quinazolinyl]amino]phenyl]- (CA INDEX NAME)



RN 953034-81-6 CAPLUS

10/562,112

CN Acetamide, N-[2-chloro-4-[[7-[[1-(1-methylethyl)-4-piperidinyl]oxy]-2-quinazolinyl]amino]phenyl]- (CA INDEX NAME)



L3 ANSWER 14 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1151701 CAPLUS

DOCUMENT NUMBER: 147:421326

TITLE: Preparation of N-phenyl-1,1,1-trifluoromethanesulfonamide hydrazone derivatives as ecto- and endoparasitocides

INVENTOR(S): Winzenberg, Kevin Norman; Meyer, Adam Gerhard; Yang, Qi; Riches, Andrew Geoffrey

PATENT ASSIGNEE(S): Australia

SOURCE: U.S. Pat. Appl. Publ., 110pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

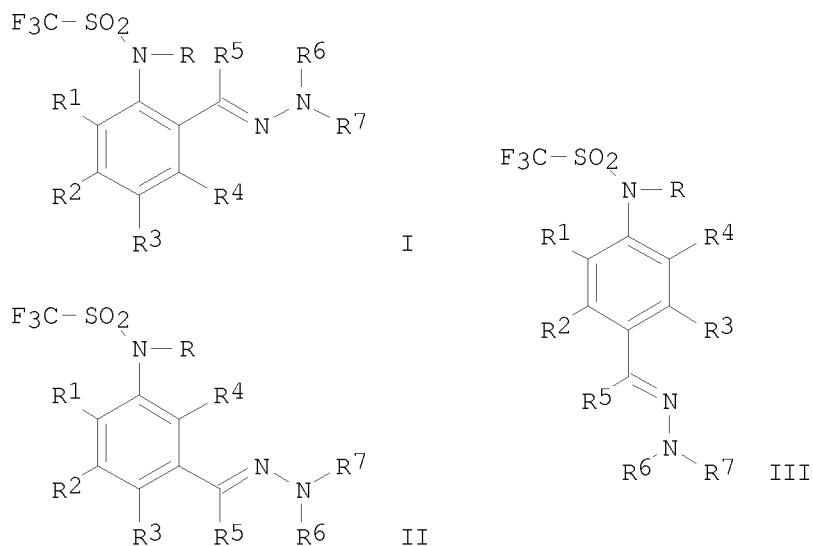
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|--|----------|-----------------|----------|
| US 20070238700 | A1 | 20071011 | US 2007-695226 | 20070402 |
| WO 2007116314 | A1 | 20071018 | WO 2007-IB997 | 20070405 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |

PRIORITY APPLN. INFO.: US 2006-790839P P 20060410

OTHER SOURCE(S): MARPAT 147:421326

GI

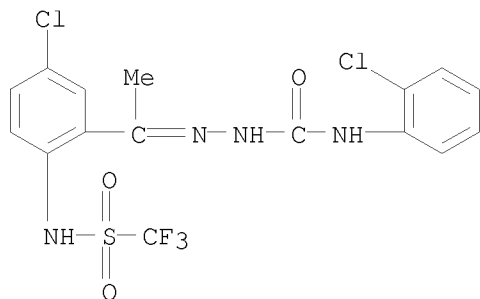


AB The N-phenyl-1,1,1-trifluoromethanesulfonamide hydrazone derivs. I, II and III [R = h, alkyl, alkenyl, alkynyl, (cyclo)arylalkyl, etc.; R1-4 = H, CN, NO₂, halo, (un)substituted (cyclo)alkyl, heteroaryl, etc.; R5 = H, halo, CN, (un)substituted alkyl, alkenyl, etc.; R6, R7 = H, (un)substituted (cyclo)alkyl, (cyclo)alkenyl, etc.] are prepared as ecto- and endoparasitocides.

IT 951780-24-8P
RL: AGR (Agricultural use); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as ecto- and endoparasiticide)

RN 951780-24-8 CAPLUS

CN Hydrazinecarboxamide, N-(2-chlorophenyl)-2-[1-[5-chloro-2-[[(trifluoromethyl)sulfonyl]amino]phenyl]ethylidene]- (CA INDEX NAME)



L3 ANSWER 15 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1145534 CAPLUS

DOCUMENT NUMBER: 147:448797

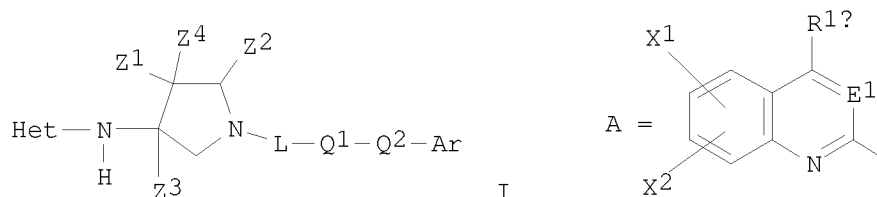
TITLE: Preparation of aminopyrrolidine derivatives as MC4 receptor antagonists for treatment of depression, anxiety disorder, etc.

INVENTOR(S): Okubo, Taketoshi; Kumagai, Toshihito; Ishii, Takaaki; Nakamura, Toshio; Abe, Kumi; Amada, Yuri; Ishizaka,

PATENT ASSIGNEE(S): Tomoko; Sun, Xiang-Min; Sekiguchi, Yoshinori; Sasako, Shigetada; Shimizu, Takanori; Nagatsuka, Takayuki
 SOURCE: Taisho Pharmaceutical Co., Ltd., Japan
 PCT Int. Appl., 230pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2007114323 | A1 | 20071011 | WO 2007-JP57054 | 20070330 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |

PRIORITY APPLN. INFO.: JP 2006-102744 A 20060404
 OTHER SOURCE(S): MARPAT 147:448797
 GI



AB The title compds. I [Het = A, etc.; E1 = N, CR1; R1 = H, alkyl; R1a = OH, alkyl, cycloalkyl, etc.; X1, X2 = H, alkyl, alkoxy, etc.; Z1 - Z4 = H, hydroxy, alkyl, etc.; or Z4 and Z1 together form cycloalkane; Q1 = single bond, (CH2)_n; n = integer of 1 - 10; Q2 = CO, O, S, etc.; L = CO, CS; Ar = (un)substituted Ph, naphthyl, heteroaryl] are prepared. Thus, 1-(7-fluoro-2-((S)-1-(2-(4-trifluoromethoxyphenyl)ethanoyl)pyrrolidin-3-ylamino)quinazolin-4-yl)piperidine-4-carboxylic acid di-Me amide monohydrochloride was prepared in a multistep process starting from 2-amino-4-fluorobenzoic acid and urea. In an MC4 receptor binding assay, compds. of this invention showed IC₅₀ values of 0.3 nM to 180 nM. Formulations are given.

IT 952438-23-2P 952438-25-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

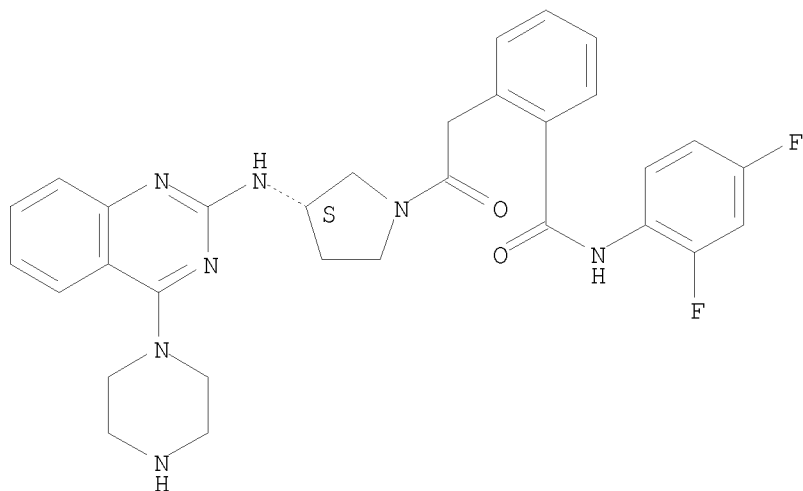
(preparation of aminopyrrolidine derivs. as MC4 receptor antagonists for treatment of depression, anxiety disorder)

RN 952438-23-2 CAPLUS

CN Benzamide, N-(2,4-difluorophenyl)-2-[2-oxo-2-[(3S)-3-[[4-(1-piperazinyl)-2-quinazolinyl]amino]-1-pyrrolidinyl]ethyl]- (CA INDEX NAME)

10/562,112

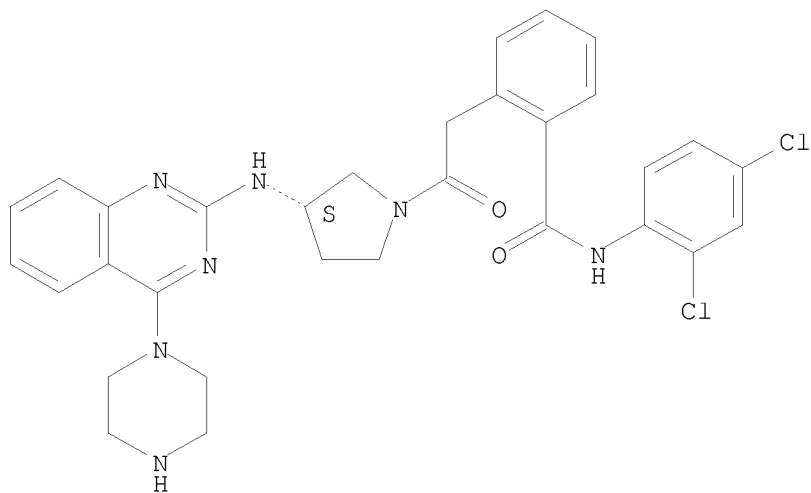
Absolute stereochemistry.



RN 952438-25-4 CAPLUS

CN Benzamide, N-(2,4-dichlorophenyl)-2-[2-oxo-2-[(3S)-3-[[4-(1-piperazinyl)-2-quinazolinyl]amino]-1-pyrrolidinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1064310 CAPLUS

DOCUMENT NUMBER: 147:386011

TITLE: Preparation of 4-amino-quinazolines as metabotropic glutamate receptors

INVENTOR(S): Reich, Melanie; Oberboersch, Stefan; Kuehnert, Sven; Haurand, Michael; Schiene, Klaus

PATENT ASSIGNEE(S): Gruenenthal GmbH, Germany

SOURCE: PCT Int. Appl., 360pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

Chemical structures I, II, and III are shown.

Structure I is a general template with a central benzene ring substituted with R⁴, R⁵, R⁶, R³, R¹, and R², and a side chain with atoms V, U, W, T, R⁸, and a nitrogen with R⁹ and R¹⁰.

Structure II is a specific embodiment with a quinazoline ring, a 4-fluorophenyl group, and a 2-hydroxyethyl group.

Structure III is another specific embodiment with a quinazoline ring, a 4-fluorophenyl group, and a 2-(cyclopropylamino)acetyl group.

AB Title compds. I [T = N, S, O, etc.; U = N, S, O, etc.; V = N, S, O, etc.; W = (W')n; W' = N, CR14; n = 0, 1; R1, R2 = H, CO2H, CHO, etc.; R3 = H, halo, NO2, etc.; R4, R5, R6 = H, halo, NO2, etc.; R7, R8 = H, halo, NO2, etc.; R9 = H, CO2H, CHO, etc.; R10 = CO2H, CHO, CONH2, etc.; CR14 = H, halo, NO2, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, Mitsunbo coupling of alc. II and

maleic imide afforded claimed 4-amino-quinazoline in 60% yield.
In mGluR5 inhibition assays, 15-examples of compds. I exhibited K_i values ranging from 0.0008-0.039 μM .

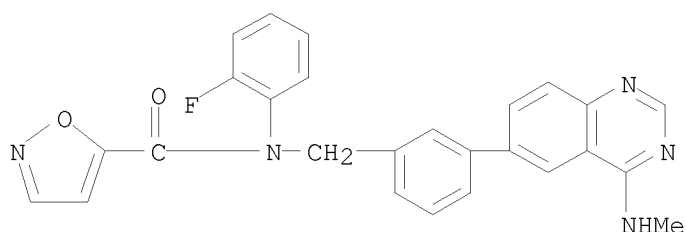
IT 950574-54-6P 950574-62-6P 950574-70-6P
950574-78-4P 950574-94-4P 950575-12-9P
950575-50-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4-amino-quinazolines as metabotropic glutamate receptors)

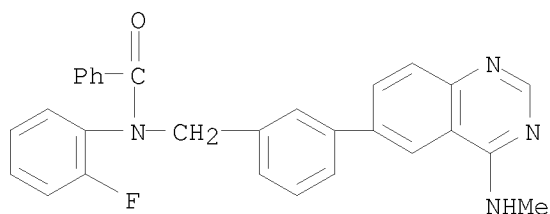
RN 950574-54-6 CAPLUS

CN 5-Isoxazolecarboxamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



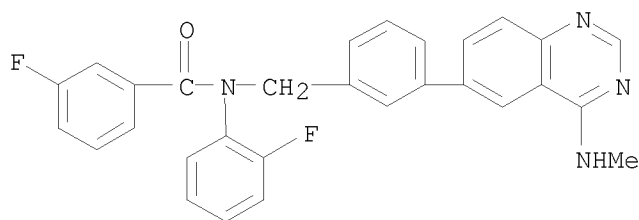
RN 950574-62-6 CAPLUS

CN Benzamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



RN 950574-70-6 CAPLUS

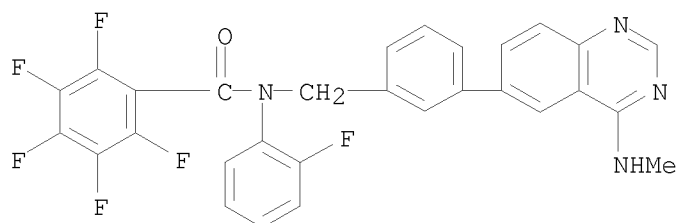
CN Benzamide, 3-fluoro-N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



RN 950574-78-4 CAPLUS

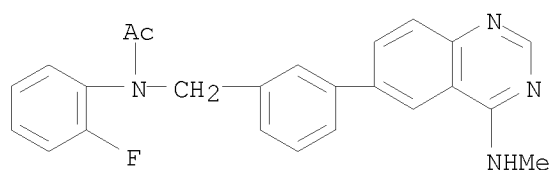
CN Benzamide, 2,3,4,5,6-pentafluoro-N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)

10/562,112



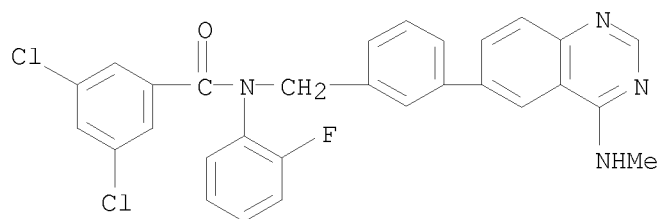
RN 950574-94-4 CAPLUS

CN Acetamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



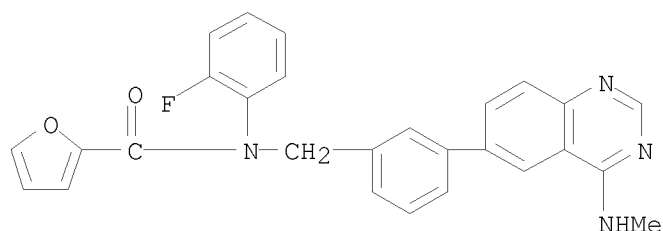
RN 950575-12-9 CAPLUS

CN Benzamide, 3,5-dichloro-N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



RN 950575-50-5 CAPLUS

CN 2-Furancarboxamide, N-(2-fluorophenyl)-N-[[3-[4-(methylamino)-6-quinazolinyl]phenyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT:

7

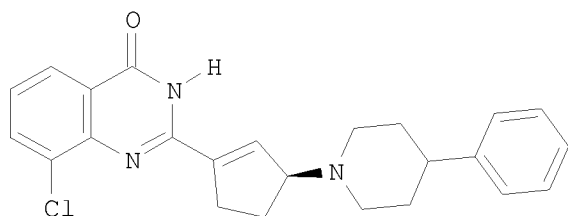
THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:1051296 CAPLUS

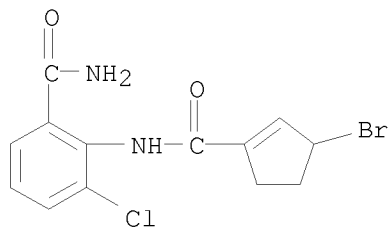
DOCUMENT NUMBER: 147:461580

TITLE: Rational design of conformationally restricted quinazolinone inhibitors of poly(ADP-ribose)polymerase
 AUTHOR(S): Hattori, Kouji; Kido, Yoshiyuki; Yamamoto, Hirofumi; Ishida, Junya; Iwashita, Akinori; Mihara, Kayoko
 CORPORATE SOURCE: Chemistry Research Laboratories, Astellas Pharma Inc., 21, Miyukigaoka, Tsukuba-shi, Ibaraki, 305-8585, Japan
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(20), 5577-5581
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier Ltd.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 147:461580
 GI



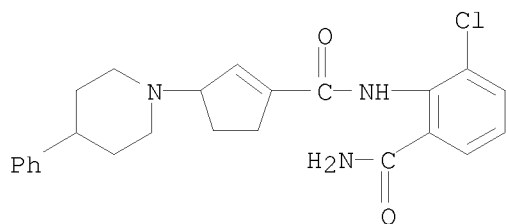
I

AB A successful design of conformationally restricted novel quinazolinone derivs. linked via a cyclopentene moiety as potent poly(ADP-ribose)polymerase-1 (PARP-1) inhibitors has been developed. One selected member of the new series, 8-chloro-2-[(3S)-3-(4-phenylpiperidin-1-yl)cyclopent-1-en-1-yl]quinazolin-4(3H)-one (S-16d, I), was found to be highly potent with IC₅₀ = 8.7 nM and good brain penetration.
 IT 952606-63-2P 952606-64-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (conformationally restricted quinazolinone inhibitors of poly(ADP-ribose)polymerase)
 RN 952606-63-2 CAPLUS
 CN Benzamide, 2-[[[(3-bromo-1-cyclopenten-1-yl)carbonyl]amino]-3-chloro- (CA INDEX NAME)



RN 952606-64-3 CAPLUS
 CN Benzamide, 3-chloro-2-[[[3-(4-phenyl-1-piperidinyl)-1-cyclopenten-1-

yl]carbonyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:912269 CAPLUS

DOCUMENT NUMBER: 147:277915

TITLE: Preparation of 4-phenylpiperidine-substituted amino acid derivatives, particularly valine amides, as modulators of chemokine receptor activity and their use in the treatment of inflammatory and autoimmune diseases

INVENTOR(S): Carter, Percy H.; Cavallaro, Cullen L.; Duncia, John V.; Gardner, Daniel S.; Hynes, John; Liu, Rui-Qin; Santella, Joseph B.; Dodd, Dharmpal S.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 515pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

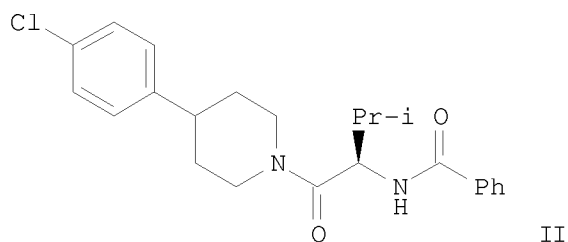
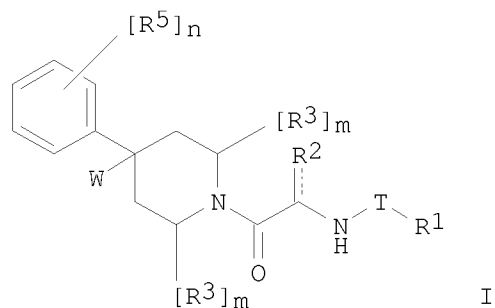
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2007092681 | A2 | 20070816 | WO 2007-US61012 | 20070125 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| US 20070208056 | A1 | 20070906 | US 2007-625874 | 20070123 |
| AU 2007212236 | A1 | 20070816 | AU 2007-212236 | 20070125 |
| PRIORITY APPLN. INFO.: | | | US 2006-762801P | P 20060127 |
| | | | US 2007-625874 | A 20070123 |
| | | | WO 2007-US61012 | W 20070125 |

OTHER SOURCE(S): MARPAT 147:277915

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AB Title compds. I [T = CO, COO, CONH, CON-alkyl, SO₂; R₁ = (un)substituted cyclo/alkyl, (hetero)aryl, heterocyclyl; R₂ = cycloalkyl/cyclo/alkyl, alkenyl optionally substituted with OH; R₃ at each occurrence = alkyl; or any 2 R₃'s attached to the same C may form a 3-6 membered ring; W = H, F, OH, CN, NH₂; R₅ = halo, CN, alkoxy; W and one R₅ together with the C atoms to which each is attached may form an (un)substituted 3-6 membered O containing ring; m at each occurrence = independently 0-2; n = 1-3; and their stereoisomers, prodrugs and pharmaceutically acceptable salts] were prepared as modulators of CCR-1 and MIP-1, especially MIP-1 α receptors. Thus, valine amide II was prepared using N-(tert-butoxycarbonyl)-D-valine, 4-(4-chlorophenyl)piperidine hydrochloride, and benzoic acid. All the invention compds. were evaluated for their chemokine receptor modulatory activity. Methods of treating and preventing inflammatory diseases such as asthma and allergic diseases, as well as autoimmune pathologies such as rheumatoid arthritis and atherosclerosis using said modulators are disclosed.

IT 946581-56-2P

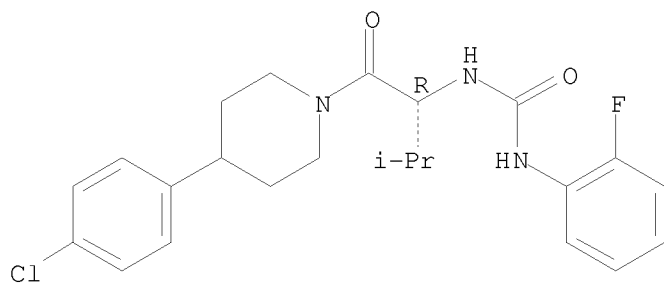
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of piperidine-substituted amino acid derivs., particularly valine amides, as chemokine receptor modulators)

RN 946581-56-2 CAPLUS

CN Urea, N-[(1R)-1-[[4-(4-chlorophenyl)-1-piperidinyl]carbonyl]-2-methylpropyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 19 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:817828 CAPLUS

DOCUMENT NUMBER: 147:211910

TITLE: Preparation of piperidinylmethoxyquinazolinylaminopyrazolylacetamides as aurora kinase inhibitors.

INVENTOR(S): Foote, Kevin Michael

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Ltd.

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

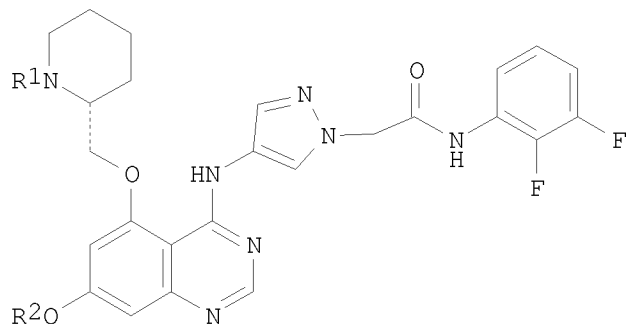
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2007083096 | A2 | 20070726 | WO 2007-GB119 | 20070117 |
| WO 2007083096 | A3 | 20071101 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |

PRIORITY APPLN. INFO.: GB 2006-1215 A 20060121

OTHER SOURCE(S): MARPAT 147:211910

GI

10/562,112



AB Title compds. (I; R1 = H, Me; R2 = Me, Et), were prepared Thus, I (R1 = H; R2 = Et) (multistep preparation given) inhibited aurora B kinase with IC50 = 1.4 nM.

IT 944741-98-4P 944742-00-1P 944742-02-3P
944742-04-5P

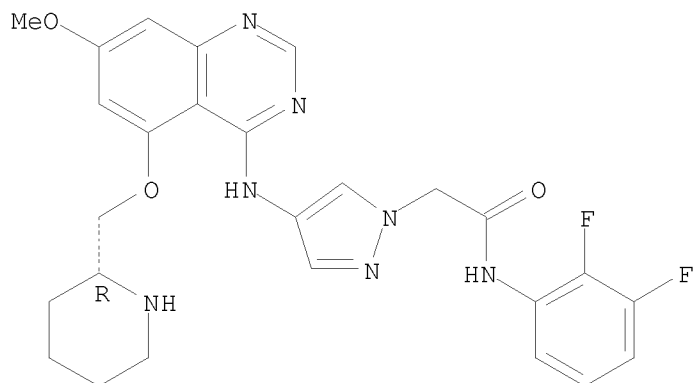
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(claimed compound; preparation of
piperidinylmethoxyquinazolinylaminopyrazolyl
acetamides as aurora kinase inhibitors)

RN 944741-98-4 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-methoxy-5-[(2R)-2-piperidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

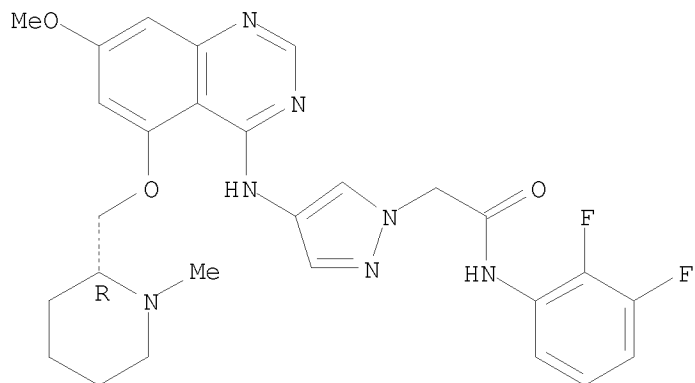


RN 944742-00-1 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-methoxy-5-[[(2R)-1-methyl-2-piperidinyl]methoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

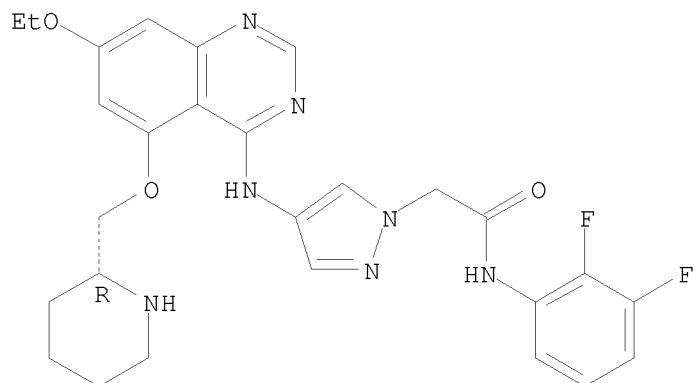
10/562,112



RN 944742-02-3 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(2R)-2-piperidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

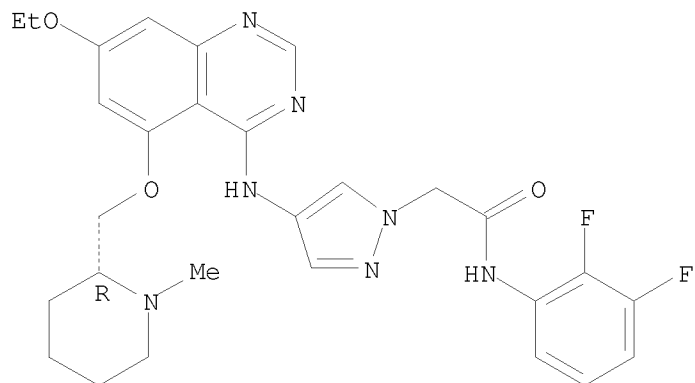
Absolute stereochemistry.



RN 944742-04-5 CAPLUS

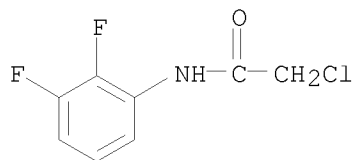
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[[(2R)-1-methyl-2-piperidinyl]methoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

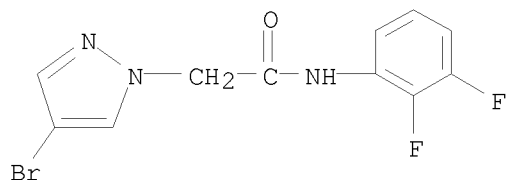


10/562,112

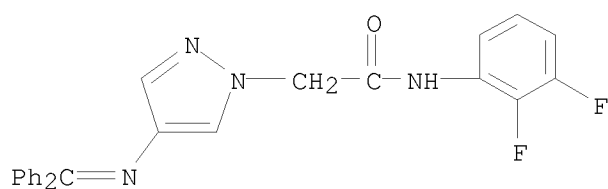
IT 916483-51-7P 916483-52-8P 916483-53-9P
916483-54-0P 944742-22-7P 944742-35-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of piperidinylmethoxyquinazolinylaminopyrazolylacetamides as
aurora kinase inhibitors)
RN 916483-51-7 CAPLUS
CN Acetamide, 2-chloro-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 916483-52-8 CAPLUS
CN 1H-Pyrazole-1-acetamide, 4-bromo-N-(2,3-difluorophenyl)- (CA INDEX NAME)

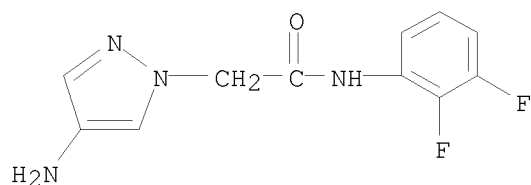


RN 916483-53-9 CAPLUS
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-
[(diphenylmethylene)amino]- (CA INDEX NAME)



RN 916483-54-0 CAPLUS
CN 1H-Pyrazole-1-acetamide, 4-amino-N-(2,3-difluorophenyl)-, hydrochloride
(1:1) (CA INDEX NAME)

10/562,112

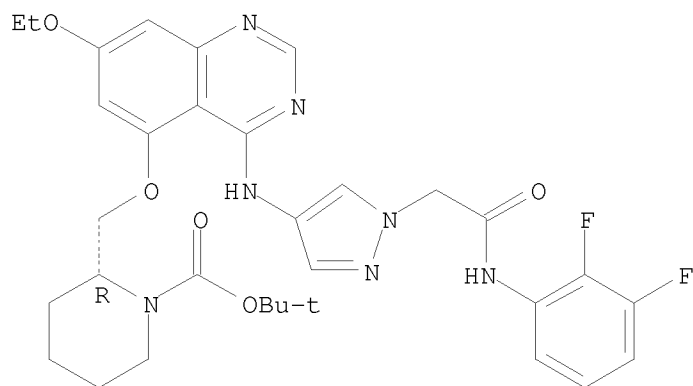


● HCl

RN 944742-22-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

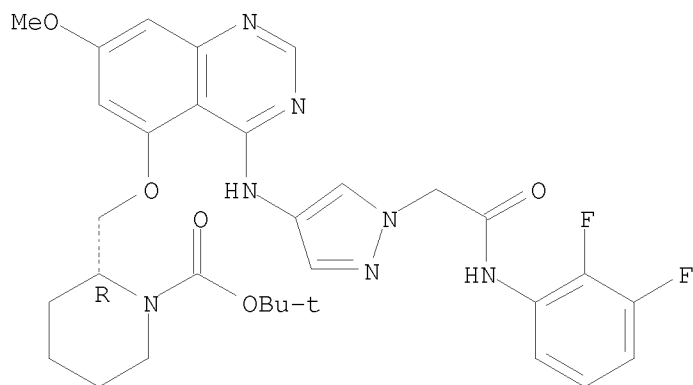


● HCl

RN 944742-35-2 CAPLUS

CN 1-Piperidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-methoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L3 ANSWER 20 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:754498 CAPLUS
 DOCUMENT NUMBER: 147:143463
 TITLE: Heterocycle-substituted amide derivatives, their preparation, and pharmaceuticals and ACAT inhibitors containing them
 INVENTOR(S): Natsukari, Hideaki; Uede, Tomonori
 PATENT ASSIGNEE(S): Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 34pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|-------------------|----------|-----------------|----------|
| JP 2007176809 | A | 20070712 | JP 2005-374007 | 20051227 |
| PRIORITY APPLN. INFO.: | | | JP 2005-374007 | 20051227 |
| OTHER SOURCE(S): | MARPAT 147:143463 | | | |

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title derivs. I [ring A = (un)substituted benzene ring, heteroarom. ring; rings B and C = (un)substituted benzene ring; X = N, CR1 [R1 = H, (un)substituted lower alkyl, halo]; m = 1, 2; n = 0-2; except the cases where rings A, B, and C = benzene ring, C₆H₄Cl-2, and C₆H₃F₂-2,4, resp., X = N, m = 1, and n = 0] or their salts, are prepared by reaction of carboxylic acids II (rings A and B, X, n = same as above) or their salts with amines III (ring C, n = same as above) or their salts or by cyclization of IV (rings A, B, and C, X, m, n = same as above) or their salts. Title pharmaceuticals and inhibitors, useful for treatment of hypercholesterolemia, arteriosclerosis, etc., are also claimed. Thus, a DMF solution of [2-(2-chlorophenyl)-4-oxo-4H-quinazolin-3-yl]-acetic

acid (preparation given) was treated with 2,6-dimethoxyaniline and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride at room temperature for 16 h to give 60% 2-[2-(2-chlorophenyl)-4-oxo-4H-quinazolin-3-yl]-N-(2,6-dimethoxyphenyl)acetamide. IC₅₀ of this compound against ACAT of rat liver microsome was 0.0213 μ M.

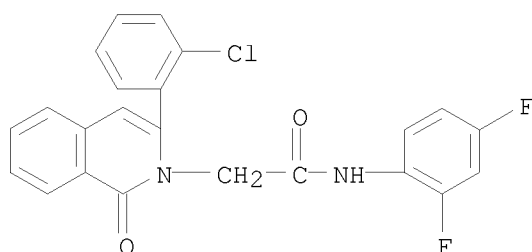
IT 943754-88-9P 943754-91-4P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocycle-substituted amide derivs. as ACAT inhibitors for pharmaceuticals)

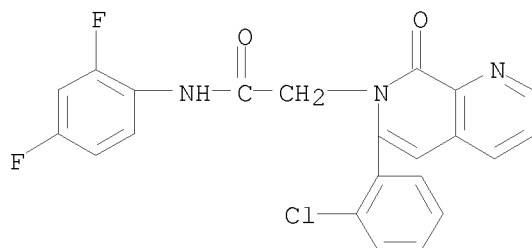
RN 943754-88-9 CAPLUS

CN 2(1H)-Isoquinolineacetamide, 3-(2-chlorophenyl)-N-(2,4-difluorophenyl)-1-oxo- (CA INDEX NAME)



RN 943754-91-4 CAPLUS

CN 1,7-Naphthyridine-7(8H)-acetamide, 6-(2-chlorophenyl)-N-(2,4-difluorophenyl)-8-oxo- (CA INDEX NAME)



L3 ANSWER 21 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:737455 CAPLUS

DOCUMENT NUMBER: 148:471970

TITLE: Synthesis and reactions of 2-phenylamino-6,8-dibromo-3,1-benzoxazin-4-one and 4(3H)quinazolin-4-one derivatives

AUTHOR(S): Kassab, E. A.; El-Hashash, M. A.; Ali, R. S.

CORPORATE SOURCE: Industrial Education College, Ammeria, Egypt

SOURCE: Communications de la Faculte des Sciences de l'Universite d'Ankara, Series B: Chemistry and Chemical Engineering (2006), 52(1), 25-43
CODEN: CFBEEC; ISSN: 1303-6017

PUBLISHER: University of Ankara, Faculty of Sciences

DOCUMENT TYPE: Journal

LANGUAGE: English

AB 2-Phenylamino-6,8-dibromo-4H-3,1-benzoxazinone (I), when reacted with

nitrogen nucleophiles such as hydrazine hydrate, amines, and formamide, yielded 4(3H)quinazolin-one derivs.; with sulfur nucleophiles I yielded the corresponding thioesters. The behavior of aminoquinazolinone and 4(3H)-quinazolinone towards carbon electrophiles under different conditions has been described.

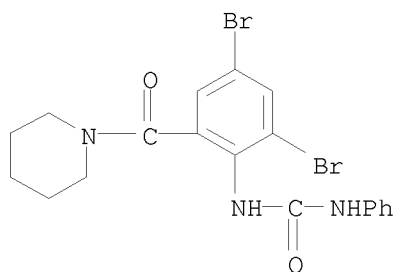
IT 1020153-14-3P 1020153-15-4P 1020153-16-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and reactions of 2-phenylamino-6,8-dibromo-3,1-benzoxazin-4-one and 4(3H)-quinazolin-4-one derivs. with nucleophiles)

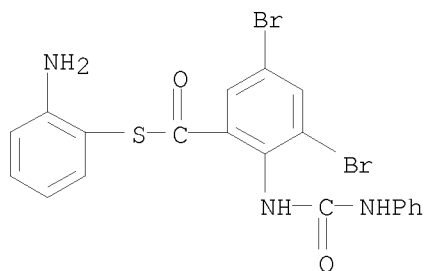
RN 1020153-14-3 CAPLUS

CN Urea, N-[2,4-dibromo-6-(1-piperidinylcarbonyl)phenyl]-N'-phenyl- (CA INDEX NAME)



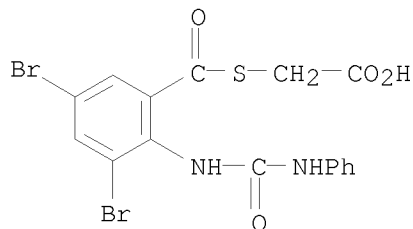
RN 1020153-15-4 CAPLUS

CN Benzenecarbothioic acid, 3,5-dibromo-2-[[(phenylamino)carbonyl]amino]-, S-(2-aminophenyl) ester (CA INDEX NAME)



RN 1020153-16-5 CAPLUS

CN Acetic acid, 2-[[3,5-dibromo-2-[[(phenylamino)carbonyl]amino]benzoyl]thio]- (CA INDEX NAME)



REFERENCE COUNT:

21

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:640728 CAPLUS

DOCUMENT NUMBER: 147:72651

TITLE: Preparation of nitrogen-containing
heteroaryl-substituted aryl bicycles as kinase
inhibitors for the treatment of cancerINVENTOR(S): Calderwood, Emily F.; Duffey, Matthew; Gould,
Alexandra E.; Greenspan, Paul D.; Kulkarni,
Bheemashankar; Lamarche, Matthew J.; Rowland, Robyn
Scott; Tregay, Ming; Vos, Tricia J.

PATENT ASSIGNEE(S): Millennium Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 292pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

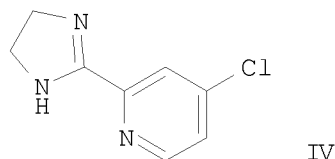
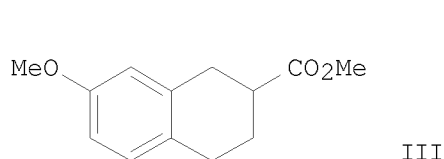
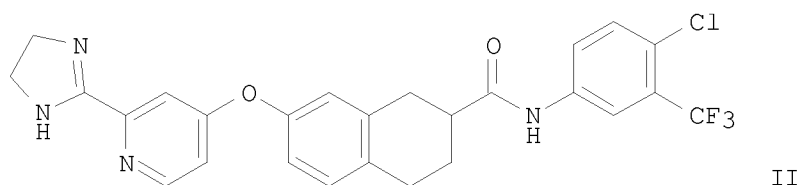
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2007067444 | A1 | 20070614 | WO 2006-US46097 | 20061207 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006322094 | A1 | 20070614 | AU 2006-322094 | 20061207 |
| US 20070149533 | A1 | 20070628 | US 2006-636609 | 20061207 |
| EP 1957460 | A1 | 20080820 | EP 2006-838840 | 20061207 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| MX 200807179 | A | 20080627 | MX 2008-7179 | 20080605 |
| KR 2008074220 | A | 20080812 | KR 2008-716456 | 20080707 |
| PRIORITY APPLN. INFO.: | | | US 2005-748369P | P 20051208 |
| | | | WO 2006-US46097 | W 20061207 |

OTHER SOURCE(S): MARPAT 147:72651

GI



AB Bicyclic aryl compds. B-G1-A-G2-C {A = (un)substituted fused bicycle with at least one benzene ring such as 2,7-naphthalenediyl, 3,6-quinolinediyl, 3,6-isoquinolinediyl, 2,7-quinolinediyl, 2,7-quinazolinediyl, etc.; B = (un)substituted nitrogen-containing monocyclic heteroaryl ring or an (un)substituted pyridine- or pyrimidine-fused lactam; C = (un)substituted five- or six-membered aryl or heteroaryl ring containing 0-3 nitrogen atoms and 0-1 oxygen or sulfur atoms; G1 = (un)substituted CH₂, C(:O), O, S, S(:O), SO₂, or imino; G2 = (un)substituted C(:O)NH or NHC(:O) [if G2 is attached to a nitrogen atom of A, then G2 = (un)substituted C(:O)NH]; I} such as II are prepared as kinase inhibitors (particularly for Raf kinases) for the treatment of cancer. II is prepared in six steps (longest linear sequence) from 7-methoxy-1-tetralone and 4-chloro-2-pyridinecarbonitrile; II is separated into its enantiomers by chiral HPLC. Hydrolysis of tetrahydronaphthalenecarboxylate III, coupling of the naphthalenecarboxylic acid and 4-chloro-3-(trifluoromethyl)aniline, boron tribromide-mediated demethylation to yield a phenol, and O-arylation of the phenol with IV yields II. III is prepared in two steps by Claisen condensation of 7-methoxy-1-tetralone with di-Me carbonate followed by reduction of the ketone, while IV is prepared by cyclocondensation of 4-chloro-2-pyridinecarbonitrile with 1,2-ethanediamine. Ranges of IC₅₀ values for the inhibition of B-Raf and C-Raf kinases and for the inhibition of Raf kinases in A375 cells by approx. 300 of the invention compds. are determined. Pharmaceutical compns. of I with an appropriate carrier are claimed.

IT 942068-94-2P 942069-07-0P 942071-65-0P
942072-88-0P 942073-56-5P 942075-78-7P
942075-80-1P 942075-84-5P 942075-89-0P
942075-91-4P 942076-09-7P 942076-13-3P
942076-18-8P

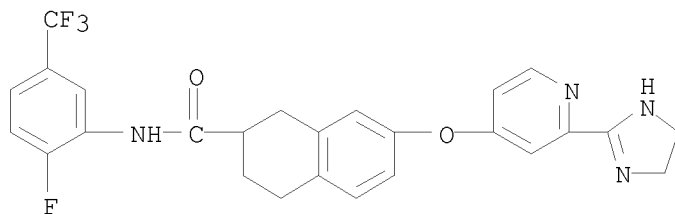
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrogen-containing heteroaryl-substituted aryl bicycles as inhibitors of kinases such as B-Raf and C-Raf kinases for treatment of cancer)

RN 942068-94-2 CAPLUS

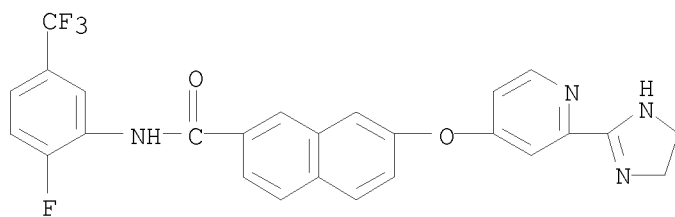
CN 2-Naphthalenecarboxamide, 7-[[2-(4,5-dihydro-1H-imidazol-2-yl)-4-pyridinyl]oxy]-N-[2-fluoro-5-(trifluoromethyl)phenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)

10/562,112



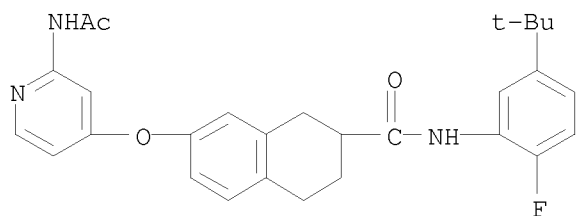
RN 942069-07-0 CAPLUS

CN 2-Naphthalenecarboxamide, 7-[[2-(4,5-dihydro-1H-imidazol-2-yl)-4-pyridinyl]oxy]-N-[2-fluoro-5-(trifluoromethyl)phenyl]- (CA INDEX NAME)



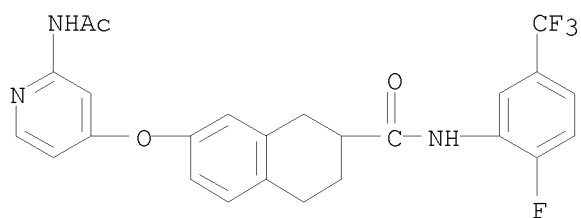
RN 942071-65-0 CAPLUS

CN 2-Naphthalenecarboxamide, 7-[[2-(acetylamino)-4-pyridinyl]oxy]-N-[5-(1,1-dimethylethyl)-2-fluorophenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 942072-88-0 CAPLUS

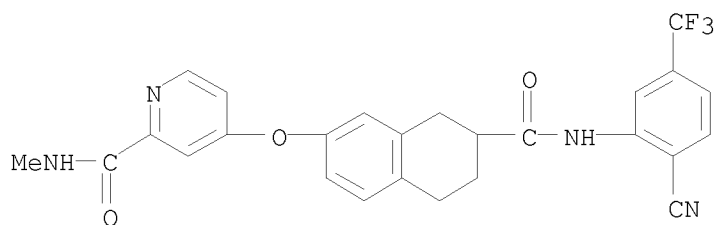
CN 2-Naphthalenecarboxamide, 7-[[2-(acetylamino)-4-pyridinyl]oxy]-N-[2-fluoro-5-(trifluoromethyl)phenyl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 942073-56-5 CAPLUS

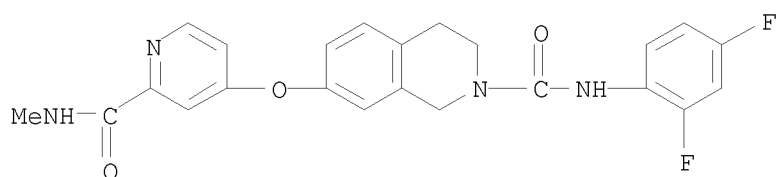
CN 2-Pyridinecarboxamide, 4-[[[7-[[[2-cyano-5-(trifluoromethyl)phenyl]amino]carbonyl]-5,6,7,8-tetrahydro-2-naphthalenyl]oxy]-N-methyl- (CA INDEX NAME)

10/562,112



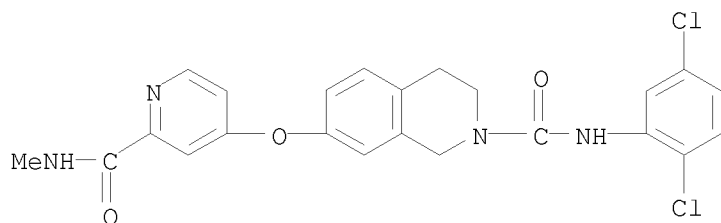
RN 942075-78-7 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,4-difluorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



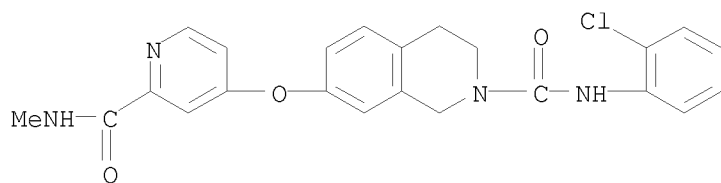
RN 942075-80-1 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,5-dichlorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



RN 942075-84-5 CAPLUS

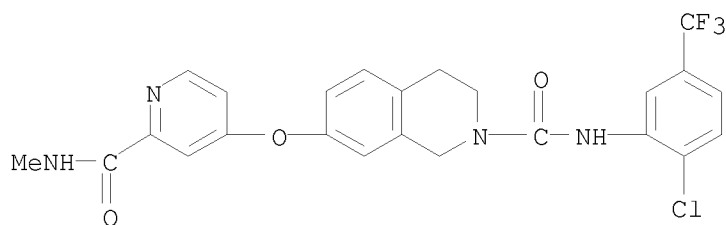
CN 2(1H)-Isoquinolinecarboxamide, N-(2-chlorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



RN 942075-89-0 CAPLUS

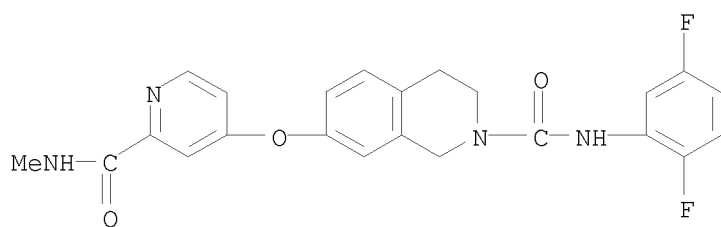
CN 2(1H)-Isoquinolinecarboxamide, N-[2-chloro-5-(trifluoromethyl)phenyl]-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)

10/562,112



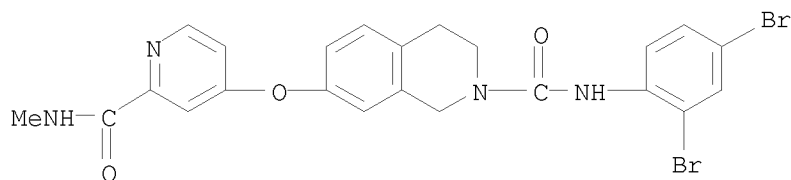
RN 942075-91-4 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,5-difluorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



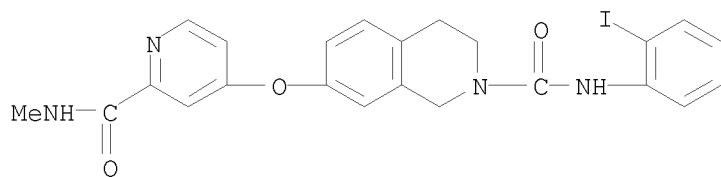
RN 942076-09-7 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(2,4-dibromophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



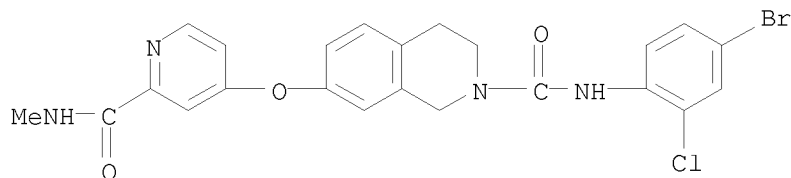
RN 942076-13-3 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, 3,4-dihydro-N-(2-iodophenyl)-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



RN 942076-18-8 CAPLUS

CN 2(1H)-Isoquinolinecarboxamide, N-(4-bromo-2-chlorophenyl)-3,4-dihydro-7-[[2-[(methylamino)carbonyl]-4-pyridinyl]oxy]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 23 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:619478 CAPLUS

DOCUMENT NUMBER: 147:52814

TITLE: Heteroaryl substituted piperidine derivatives as L-CPT1 inhibitors and their preparation, pharmaceutical compositions and use in the treatment of diseases

INVENTOR(S): Ackermann, Jean; Bleicher, Konrad; Ceccarelli Grenz, Simona M.; Chomienne, Odile; Mattei, Patrizio; Schulz-Gasch, Tanja

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 179pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

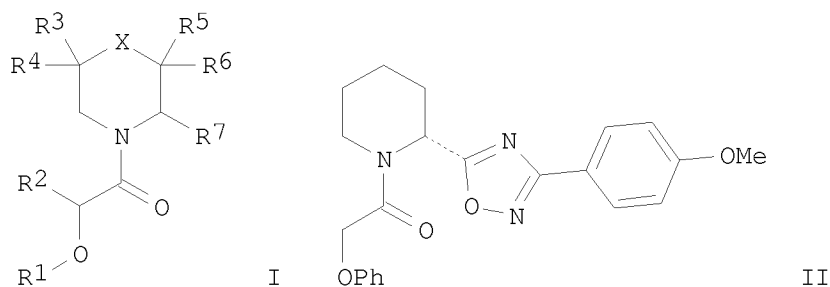
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2007063012 | A1 | 20070607 | WO 2006-EP68745 | 20061122 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| AU 2006319247 | A1 | 20070607 | AU 2006-319247 | 20061122 |
| CA 2630460 | A1 | 20070607 | CA 2006-2630460 | 20061122 |
| EP 1959951 | A1 | 20080827 | EP 2006-819660 | 20061122 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | |
| US 20070129544 | A1 | 20070607 | US 2006-605904 | 20061129 |
| MX 200806776 | A | 20080602 | MX 2008-6776 | 20080526 |
| IN 2008DN04829 | A | 20080815 | IN 2008-DN4829 | 20080605 |
| KR 2008072097 | A | 20080805 | KR 2008-715998 | 20080630 |
| PRIORITY APPLN. INFO.: | | | EP 2005-111560 | A 20051201 |
| | | | WO 2006-EP68745 | W 20061122 |

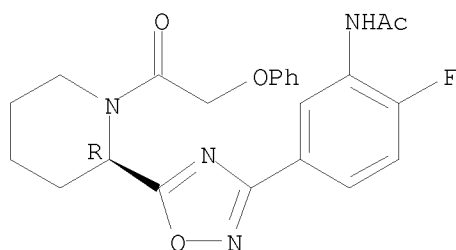
OTHER SOURCE(S): MARPAT 147:52814

GI



- AB The invention is concerned with substituted piperidine derivs. of formula I as well as physiol. acceptable salts and esters thereof. Compds. of formula I wherein X is (un)substituted CH₂, NH and derivs., O, S, SO and SO₂; R₁ is (un)substituted phenyl; R₂ is H and lower alkyl; R₃, R₄, R₅ and R₆ are independently H, halo, lower alkyl and lower alkoxy; R₃R₄ and R₅R₆ may independently be taken together to form a =O; R₇ is (un)substituted oxadiazolyl and (un)substituted triazolyl; and their pharmaceutically acceptable salts and esters thereof, are claimed. These compds. inhibit L- CPT1 and can be used as medicaments. Example compound II was prepared by a multistep procedure (procedure given). All the invention compds. were evaluated for their L-CPT1 inhibitory activity.
- IT 939998-54-6P 939998-59-1P 939999-17-4P,
N-(5-Cyano-2-fluorophenyl)acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heteroaryl substituted piperidine derivs. as L-CPT1 inhibitors useful as therapeutic and prophylactic agents)
- RN 939998-54-6 CAPLUS
- CN Acetamide, N-[2-fluoro-5-[5-[(2R)-1-(2-phenoxyacetyl)-2-piperidinyl]-1,2,4-oxadiazol-3-yl]phenyl]- (CA INDEX NAME)

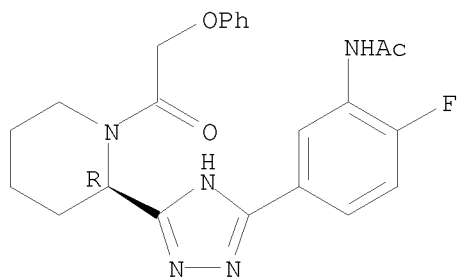
Absolute stereochemistry.



- RN 939998-59-1 CAPLUS
- CN Acetamide, N-[2-fluoro-5-[5-[(2R)-1-(2-phenoxyacetyl)-2-piperidinyl]-1H-1,2,4-triazol-3-yl]phenyl]- (CA INDEX NAME)

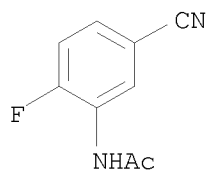
Absolute stereochemistry.

10/562, 112



RN 939999-17-4 CAPLUS

CN Acetamide, N-(5-cyano-2-fluorophenyl)- (CA INDEX NAME)



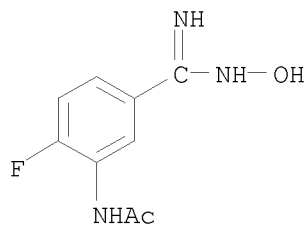
IT 940000-21-5 940000-25-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of heteroaryl substituted piperidine derivs. as L-CPT1 inhibitors useful as therapeutic and prophylactic agents)

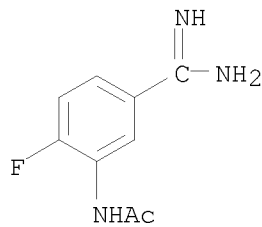
RN 940000-21-5 CAPLUS

| | | |
|----|--|------------|
| CN | Acetamide, N-[2-fluoro-5-[(hydroxyamino)iminomethyl]phenyl]- (NAME) | (CA INDEX) |
|----|--|------------|



RN 940000-25-9 CAPLUS

CN Acetamide, N-[5-(aminoiminomethyl)-2-fluorophenyl]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 24 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:565402 CAPLUS
 DOCUMENT NUMBER: 147:9942
 TITLE: Quinazolines useful as modulators of voltage
 gated ion channels and their preparation,
 pharmaceutical compositions and use in the treatment
 of diseases
 INVENTOR(S): Wilson, Dean; Fanning, Lev T. D.; Krenitsky, Paul;
 Termin, Andreas; Joshi, Pramod; Sheth, Urvi
 PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
 SOURCE: PCT Int. Appl., 133pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2007058989 | A2 | 20070524 | WO 2006-US43895 | 20061113 |
| WO 2007058989 | A3 | 20070907 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |
| AU 2006315675 | A1 | 20070524 | AU 2006-315675 | 20061113 |
| CA 2628650 | A1 | 20070524 | CA 2006-2628650 | 20061113 |
| EP 1957482 | A2 | 20080820 | EP 2006-837387 | 20061113 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | |
| US 20080221137 | A1 | 20080911 | US 2006-598576 | 20061113 |
| US 20080167305 | A1 | 20080710 | US 2008-50289 | 20080318 |
| KR 2008073749 | A | 20080811 | KR 2008-714446 | 20080613 |
| PRIORITY APPLN. INFO.: | | | US 2005-737330P | P 20051114 |
| | | | WO 2006-US43895 | W 20061113 |
| OTHER SOURCE(S): | MARPAT 147:9942 | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of formula I useful as inhibitors of voltage-gate sodium channels. Compds. of formula I where squiggle line indicated either (R)- or (S) stereochem.; R is R is H and (un)substituted C1-6 aliphatic; R3, R4 and R5 are independently Q-Rx; Q is bond and C1-6 alkylidene, etc.; Rx is halo, =NH and derivs., NO2, CN, OH and derivs., SH and derivs., etc.; and their pharmaceutically acceptable salts thereof, are claimed. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention and methods of using the

comps. in the treatment of various disorders. Example compound II was prepared by amidation of 2-fluoro-6-methoxybenzoic acid with 2-amino-4-methylbenzonitrile; the resulting N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxybenzamide underwent cyclization to give 2-(2-fluoro-6-methoxyphenyl)-7-methyl-3H-quinazolin-4-one, which underwent chlorination to give 4-chloro-2-(2-fluoro-6-methoxyphenyl)-7-methylquinazoline, which underwent demethylation to give 2-(4-chloro-7-methylquinazolin-2-yl)-3-fluorophenol, which underwent amination with (R)-benzyl pyrrolidin-3-ylcarbamate to give (R)-benzyl 1-[2-(2-fluoro-6-hydroxyphenyl)-7-methylquinazolin-4-yl]pyrrolidin-3-ylcarbamate, which underwent hydrogenation to give (R)-2-[4-(3-aminopyrrolidin-1-yl)-7-methylquinazolin-2-yl]-3-fluorophenol, which underwent acylation with 2-methoxyethyl chloroformate to give compound II•TFA. All the invention compds. were evaluated for their NaV inhibitory activity. From the assay, it was determined that compound II exhibited IC50 value between 1 μ M and 5 μ M.

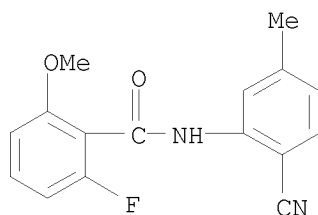
IT 879274-77-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazoline compds. as inhibitors of voltage-gated sodium channels useful useful useful in treatment of various disorders)

RN 879274-77-8 CAPLUS

CN Benzamide, N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxy- (CA INDEX NAME)



L3 ANSWER 25 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:526090 CAPLUS

DOCUMENT NUMBER: 147:143379

TITLE: The discovery of highly selective erbB2 (Her2) inhibitors for the treatment of cancer

AUTHOR(S): Lippa, Blaise; Kauffman, Goss S.; Arcari, Joel; Kwan, Tricia; Chen, Jinshan; Hungerford, William; Bhattacharya, Samit; Zhao, Xumiao; Williams, Courtney; Xiao, Jun; Pustilnik, Leslie; Su, Chunyan; Moyer, James D.; Ma, Ling; Campbell, Mary; Steyn, Stefanus

CORPORATE SOURCE: PGRD Groton, Pfizer, Inc., Groton, CT, 06340, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2007), 17(11), 3081-3086

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:143379

AB The synthesis and biol. evaluation of potent and selective inhibitors of the erbB2 kinase is presented. Based on the 4-anilinoquinazoline chemotype, the syntheses of several new series of erbB2 inhibitors are described with quinazoline and pyrido[3,4-d]pyrimidine cores. The vast majority of these compds. are >100+ selective over the

closely related EGFR kinase. Two lead compds. (4-[[4-[[1-(cyclopentylcarbonyl)piperidin-4-yl]oxy]-3-methylphenyl]amino]-6-(morpholin-4-yl)pyrido[3,4-d]pyrimidine hydrochloride and tert-Bu 4-[2-methyl-4-[[6-(morpholin-4-yl)pyrido[3,4-d]pyrimidin-4-yl]amino]phenoxy]benzoate) further have low clearance and moderate bioavailability in rat.

IT 943784-37-0P, N-[3-[4-[[4-[[1-(2,6-Difluorophenyl)carbonyl]piperidin-4-yl]oxy]-3-methylphenyl]amino]quinazolin-6-yl]-2-propynyl]-2-methoxyacetamide 943784-58-5P, N-(2,6-Difluorophenyl)-4-[[4-[[6-(2-methoxyethoxy)quinazolin-4-yl]amino]-2-methylphenyl]oxy]piperidine-1-carboxamide 943784-59-6P, N-(2,6-Difluorophenyl)-4-[2-methyl-4-[[6-[3-(morpholin-4-yl)propoxy]quinazolin-4-yl]amino]phenoxy]piperidine-1-carboxamide

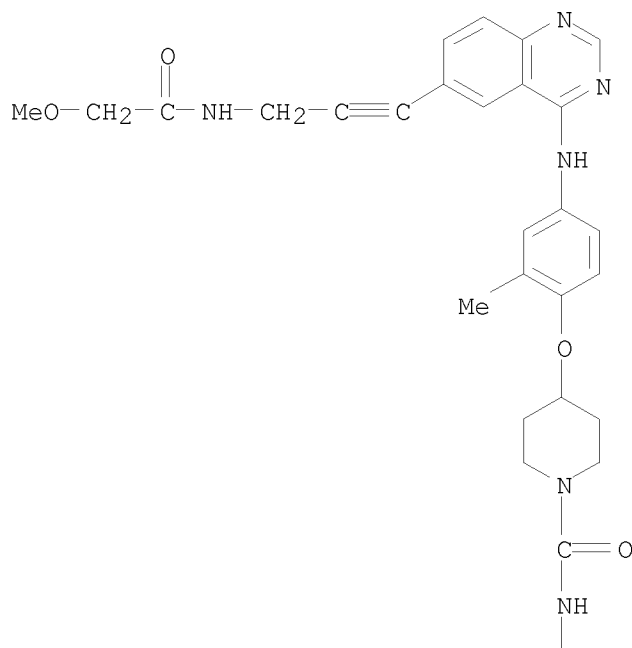
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation of anilinoquinazolines and anilinopyridopyrimidines as highly selective erbB2 (Her2) inhibitors for treatment of cancer)

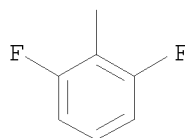
RN 943784-37-0 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-[3-(2-methoxyacetyl)amino]-1-propyn-1-yl]-4-quinazolinyl]amino]-2-methylphenoxy]-(CA INDEX NAME)

PAGE 1-A



PAGE 2-A

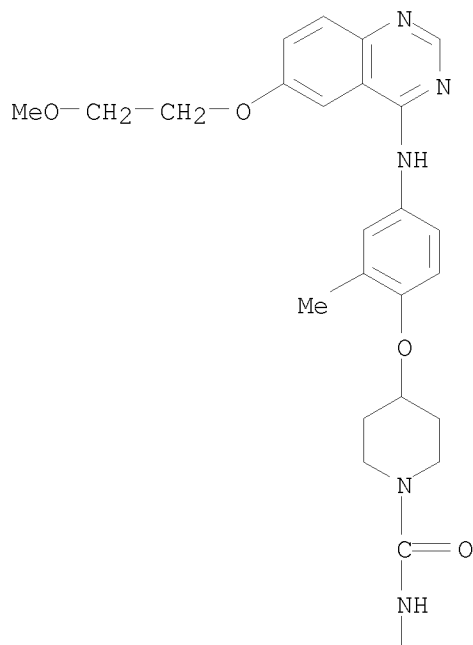


10/562,112

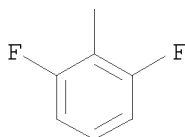
RN 943784-58-5 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[4-[[6-(2-methoxyethoxy)-4-quinazolinyl]amino]-2-methylphenoxy]- (CA INDEX NAME)

PAGE 1-A

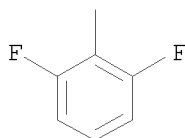
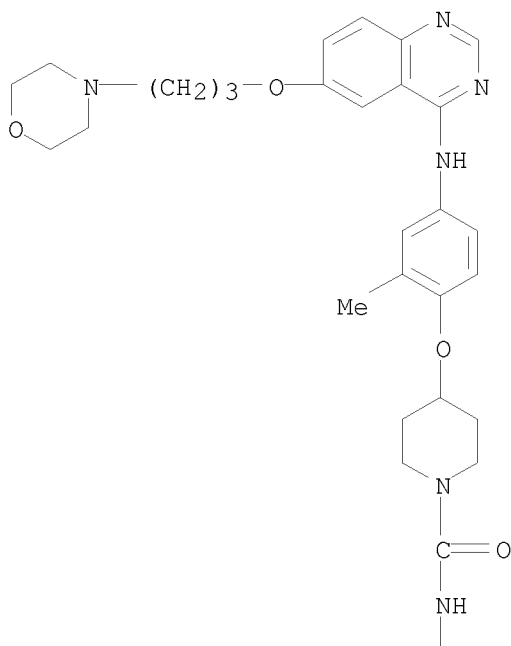


PAGE 2-A



RN 943784-59-6 CAPLUS

CN 1-Piperidinecarboxamide, N-(2,6-difluorophenyl)-4-[2-methyl-4-[[6-[3-(4-morpholinyl)propoxy]-4-quinazolinyl]amino]phenoxy]- (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 26 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:410879 CAPLUS

DOCUMENT NUMBER: 148:538299

TITLE: Process for synthesis of quinazolinones as antimycobacterial agents

INVENTOR(S): Meyyanathan, S. N.; Suresh, Bhojraj; Anbunathan, Perumal Nirmala

PATENT ASSIGNEE(S): India

SOURCE: Indian Pat. Appl., 14pp.

CODEN: INXXBQ

DOCUMENT TYPE: Patent

LANGUAGE: English

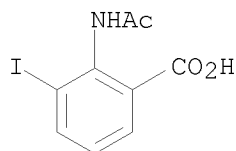
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

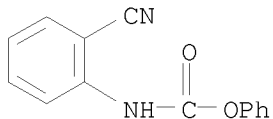
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| IN 2004CH01048 | A | 20070309 | IN 2004-CH1048 | 20041011 |
| PRIORITY APPLN. INFO.: | | | IN 2004-CH1048 | 20041011 |

10/562,112

OTHER SOURCE(S): CASREACT 148:538299
AB A process for the synthesis of 4-(2-methyl-4-oxo-4h-quinazolin-3-yl)-benzoyl pyrrolidine-2-carboxylic acid starting from anthranilic acids and acetic anhydride. The claimed compds. are active against Mycobacterium tuberculosis.
IT 1027340-18-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for synthesis of quinazolinones as antimycobacterial agents)
RN 1027340-18-6 CAPLUS
CN Benzoic acid, 2-(acetylamino)-3-iodo- (CA INDEX NAME)



L3 ANSWER 27 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:392415 CAPLUS
DOCUMENT NUMBER: 148:308280
TITLE: Convenient preparation procedure for 3-alkyl-4-imino-3,4-dihydro-1H-quinazolin-2-ones
AUTHOR(S): Vovk, M. B.
CORPORATE SOURCE: Institute of Organic Chemistry, National Academy of Sciences of the Ukraine, Kiev, 02094, Ukraine
SOURCE: Russian Journal of Organic Chemistry (2007), 43(2), 312-314
CODEN: RJOCEQ; ISSN: 1070-4280
PUBLISHER: Pleiades Publishing, Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 148:308280
AB Cyclization of Ph N-(2-cyanophenyl)carbamate with alkylamines in MeCN gave the title compds. in 76-85% yields.
IT 924715-43-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of iminoquinazolinones by cyclization of (cyanophenyl)carbamate with aliphatic amines)
RN 924715-43-5 CAPLUS
CN Carbamic acid, N-(2-cyanophenyl)-, phenyl ester (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 28 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:321162 CAPLUS
DOCUMENT NUMBER: 146:521755

TITLE: Discovery, Synthesis, and in Vivo Activity of a New Class of Pyrazolylamino Quinazolines as Selective Inhibitors of Aurora B Kinase

AUTHOR(S): Mortlock, Andrew A.; Foote, Kevin M.; Heron, Nicola M.; Jung, Frederic H.; Pasquet, Georges; Lohmann, Jean-Jacques M.; Warin, Nicolas; Renaud, Fabrice; De Savi, Chris; Roberts, Nicola J.; Johnson, Trevor; Dousson, Cyril B.; Hill, George B.; Perkins, David; Hatter, Glenn; Wilkinson, Robert W.; Wedge, Stephen R.; Heaton, Simon P.; Odedra, Rajesh; Keen, Nicholas J.; Crafter, Claire; Brown, Elaine; Thompson, Katherine; Brightwell, Stephen; Khatri, Liz; Brady, Madeleine C.; Kearney, Sarah; McKillop, David; Rhead, Steve; Parry, Tony; Green, Stephen

CORPORATE SOURCE: AstraZeneca Pharmaceuticals, Macclesfield, Cheshire, SK10 4TG, UK

SOURCE: Journal of Medicinal Chemistry (2007), 50(9), 2213-2224
CODEN: JMCMAR; ISSN: 0022-2623

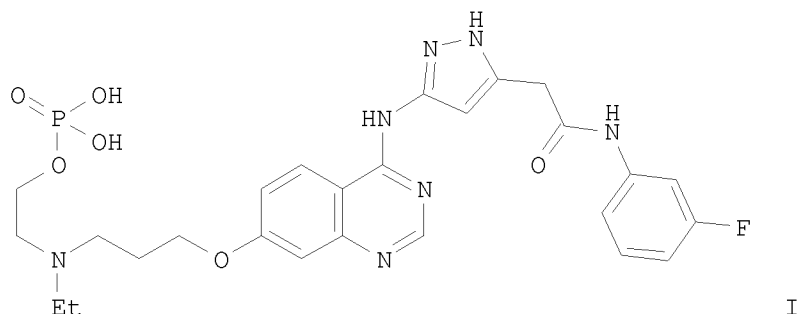
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:521755

GI



AB A series of pyrazolylamino-substituted quinazolines was synthesized and biol. evaluated as inhibitors of Aurora kinases, which have been the subject of considerable interest as targets for the development of new anticancer agents. Some of the products demonstrated greater than 1000-fold selectivity for Aurora B over Aurora A kinase activity in recombinant enzyme assays. These compds. have been designed for parenteral administration and achieve high levels of solubility by virtue of their ability to be delivered as readily activated phosphate derivs. The prodrugs are comprehensively converted to the des-phosphate form in vivo, and the active species have advantageous pharmacokinetic properties and safety pharmacol. profiles. The compds. display striking in vivo activity, and I (AZD1152) has been selected for clin. evaluation and is currently in phase 1 clin. trials.

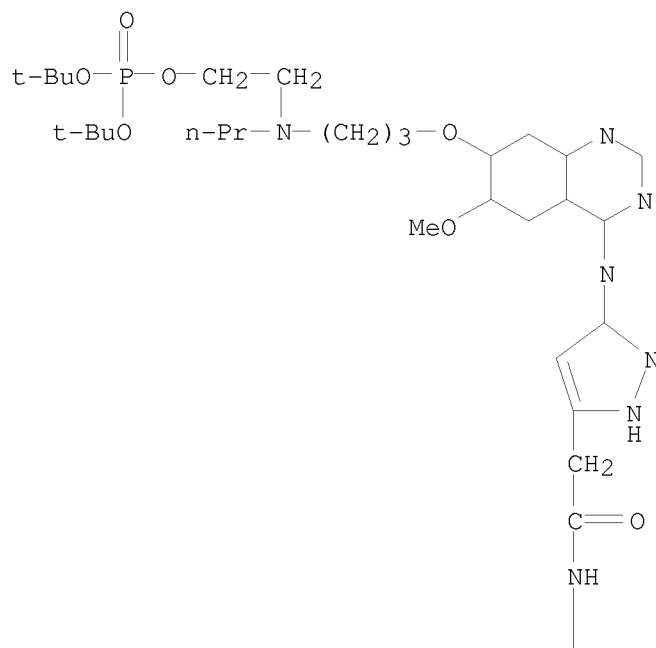
IT 936731-81-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and in vivo activity of pyrazolylamino-substituted quinazolines as selective inhibitors of Aurora B kinase and antitumor agents)

RN 936731-81-6 CAPLUS

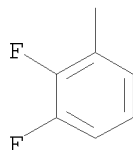
10/562,112

CN Phosphoric acid, 2-[[3-[[4-[[5-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-3-yl]amino]-6-methoxy-7-quinazolinyl]oxy]propyl]propylamino]ethyl bis(1,1-dimethylethyl) ester (CA INDEX NAME)

PAGE 1-A



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ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 29 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:262438 CAPLUS

DOCUMENT NUMBER: 146:500996

TITLE: A Novel Highly Stereoselective Synthesis of
2,3-Disubstituted 3H-Quinazoline-4-one
Derivatives

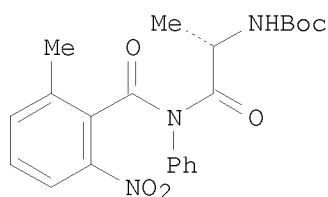
AUTHOR(S): Zhichkin, Paul; Kesicki, Edward; Treiberg, Jennifer;
Bourdon, Lisa; Ronsheim, Matthew; Ooi, Hua Chee;
White, Stephen; Judkins, Angela; Fairfax, David

CORPORATE SOURCE: Albany Molecular Research, Inc., Albany, NY, 12212,
USA

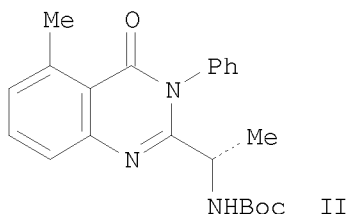
SOURCE: Organic Letters (2007), 9(7), 1415-1418
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 146:500996
 GI



I



II

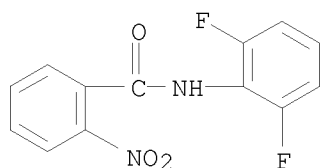
AB An efficient three-step synthesis of chiral 3H-quinazolin-4-one derivs. from com. materials is disclosed. The Mumm reaction of nitrobenzimidoyl chlorides with chiral L- α -amino acids, which were prepared by chlorination of nitrobenzamides, affords the corresponding (nitrobenzamido)oxoethylcarbamate derivs, e.g., I. Reductive cyclocondensation of the (nitrobenzamido)oxoethylcarbamate derivs affords enantiomerically pure (ee >93%) quinazolin-4-ones, e.g., II, in good overall yield. A comparison with existing approaches indicates that this method is superior for hindered substrates.

IT 936025-10-4P 936025-14-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (stereoselective preparation of quinazolinone derivs. via amidation and chlorination of nitrobenzoic acids/nitrobenzoyl chloride to generate nitrobenzimidoyl chlorides which undergo Mumm reaction and reductive cyclocondensation)

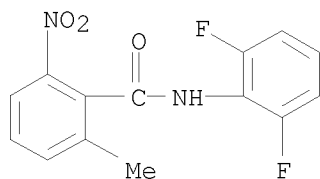
RN 936025-10-4 CAPLUS

CN Benzamide, N-(2,6-difluorophenyl)-2-nitro- (CA INDEX NAME)



RN 936025-14-8 CAPLUS

CN Benzamide, N-(2,6-difluorophenyl)-2-methyl-6-nitro- (CA INDEX NAME)



REFERENCE COUNT:

44

THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/562,112

L3 ANSWER 30 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:85847 CAPLUS

DOCUMENT NUMBER: 146:184486

TITLE: Preparation of piperazinomethyl substituted
quinazolines useful in cancer treatment

INVENTOR(S): Mallams, Alan K.; Dasmahapatra, Bimalendu; Neustadt,
Bernard R.; Demma, Mark; Vaccaro, Henry A.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 569pp.

CODEN: PIXXD2

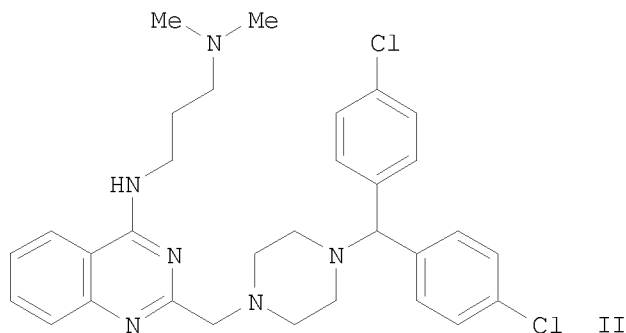
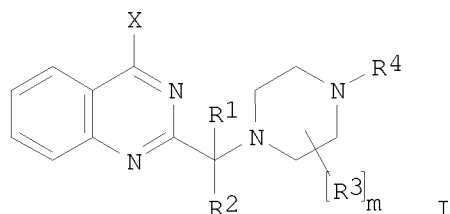
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

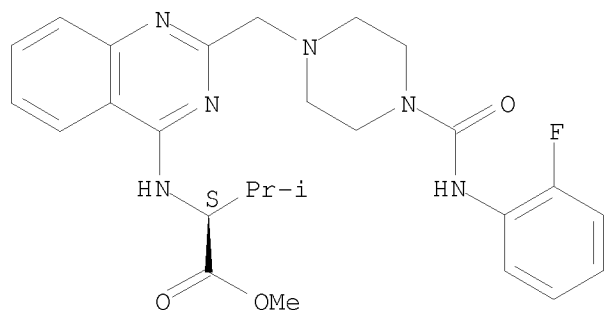
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|-------------------|----------|------------------|------------|
| ----- | --- | ----- | ----- | ----- |
| WO 2007011623 | A1 | 20070125 | WO 2006-US27114 | 20060713 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| CA 2615380 | A1 | 20070125 | CA 2006-2615380 | 20060713 |
| US 20070032502 | A1 | 20070208 | US 2006-486358 | 20060713 |
| EP 1924568 | A1 | 20080528 | EP 2006-787068 | 20060713 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | | |
| MX 200800745 | A | 20080314 | MX 2008-745 | 20080115 |
| CN 101263125 | A | 20080910 | CN 2006-80033539 | 20080312 |
| PRIORITY APPLN. INFO.: | | | US 2005-700058P | P 20050715 |
| | | | WO 2006-US27114 | W 20060713 |
| OTHER SOURCE(S): | MARPAT 146:184486 | | | |
| GI | | | | |



- AB The title compds. I [$m = 0-2$; $X = OR_5, N(R_6)_2$; $R_1, R_2 = H, \text{ alkyl}$; $R_3 =$ (un)substituted alkyl, cycloalkyl, aryl, etc.; $R_4 = \text{alkyl, cycloalkyl, aryl, etc.}$; $R_5, R_6 = H, \text{ alkyl, cycloalkyl, etc.}$], useful for treating cellular proliferative diseases, disorders associated with activity of mutants of p53, or in causing apoptosis of cancer cells, were prepared E.g., a multi-step synthesis of II, starting from Et 2-aminobenzoate and chloroacetonitrile, was given. Compound II showed EC_{50} of $1.1 \mu M$ (MB468) when tested in proliferation assay measuring the growth suppression effects of small mols. in cells with mutant p53 vs. p53 null background. The present invention also provides compns. comprising the compds. I.
- IT 922152-99-6P 922153-10-4P 922153-26-2P
922155-86-0P 922155-97-3P 922156-12-5P
922158-93-8P 922159-03-3P 922159-18-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinomethyl substituted quinazolines as antitumor agents)
- RN 922152-99-6 CAPLUS
- CN L-Valine, N-[2-[[4-[[[(2-fluorophenyl)amino]carbonyl]-1-piperazinyl]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

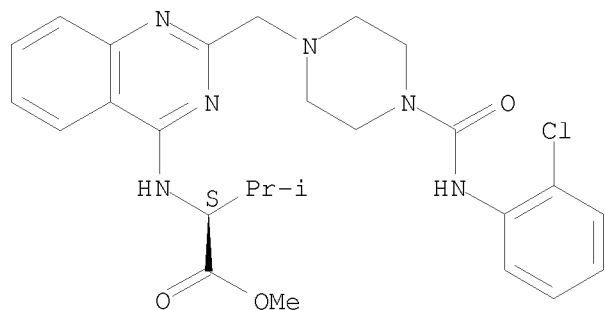
10/562,112



RN 922153-10-4 CAPLUS

CN L-Valine, N-[2-[[4-[[[(2-chlorophenyl)amino]carbonyl]-1-piperazinyl]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

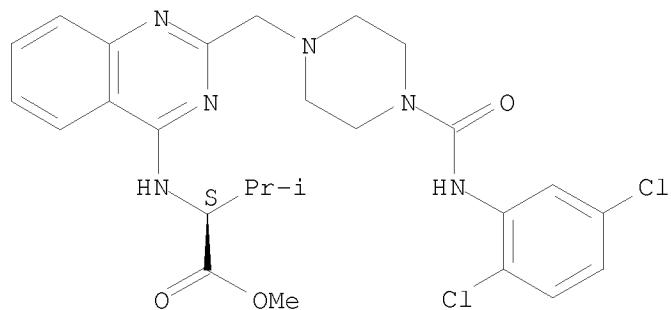
Absolute stereochemistry.



RN 922153-26-2 CAPLUS

CN L-Valine, N-[2-[[4-[[[(2,5-dichlorophenyl)amino]carbonyl]-1-piperazinyl]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

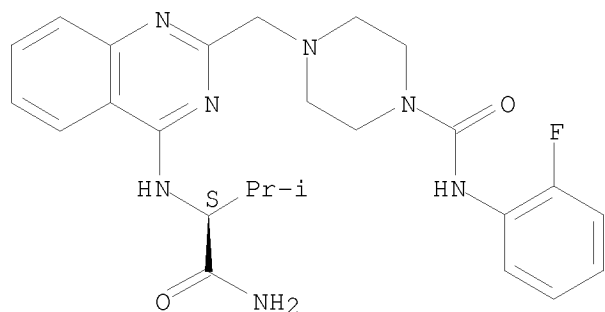


RN 922155-86-0 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[4-[[[(1S)-1-(aminocarbonyl)-2-methylpropyl]amino]-2-quinazolinyl]methyl]-N-(2-fluorophenyl)- (CA INDEX NAME)

Absolute stereochemistry.

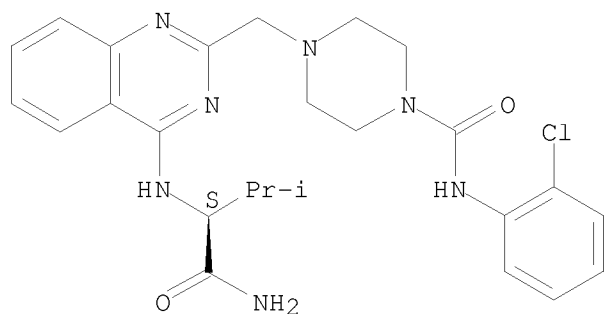
10/562,112



RN 922155-97-3 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[4-[[[(1S)-1-(aminocarbonyl)-2-methylpropyl]amino]-2-quinazolinyl]methyl]-N-(2-chlorophenyl)- (CA INDEX NAME)

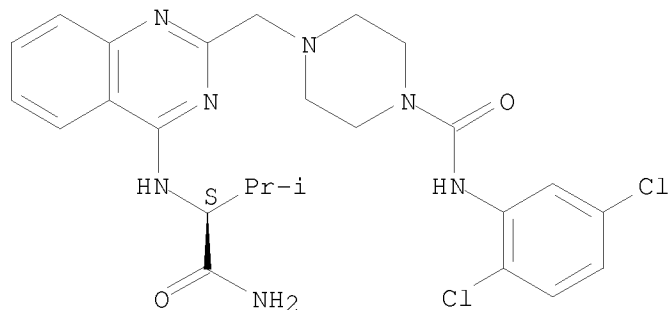
Absolute stereochemistry.



RN 922156-12-5 CAPLUS

CN 1-Piperazinecarboxamide, 4-[[4-[[[(1S)-1-(aminocarbonyl)-2-methylpropyl]amino]-2-quinazolinyl]methyl]-N-(2,5-dichlorophenyl)- (CA INDEX NAME)

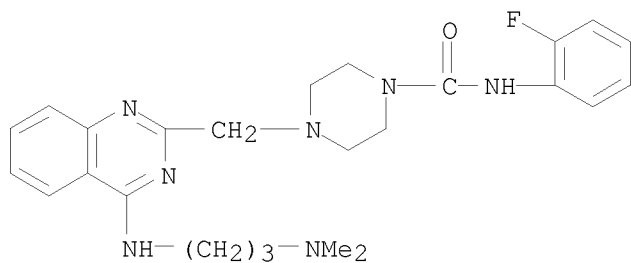
Absolute stereochemistry.



RN 922158-93-8 CAPLUS

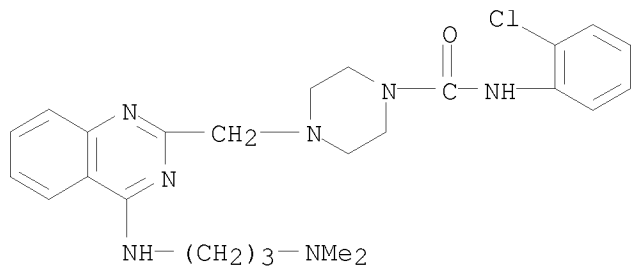
CN 1-Piperazinecarboxamide, 4-[[4-[[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]-N-(2-fluorophenyl)- (CA INDEX NAME)

10/562, 112



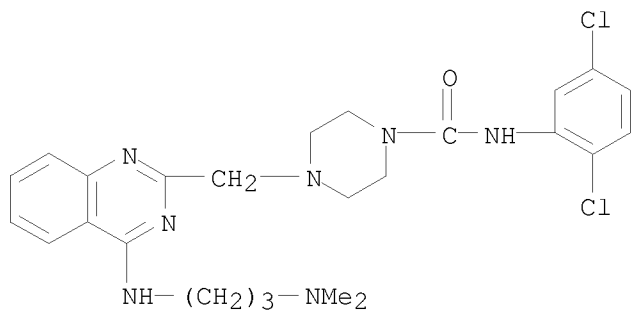
RN 922159-03-3 CAPLUS

CN 1-Piperazinecarboxamide, N-(2-chlorophenyl)-4-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]- (CA INDEX NAME)



RN 922159-18-0 CAPLUS

CN 1-Piperazinecarboxamide, N-(2,5-dichlorophenyl)-4-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]- (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 31 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2007:63627 CAPLUS

DOCUMENT NUMBER: 146:163135

TITLE: Preparation of quinazoline derivatives
useful in cancer treatment

INVENTOR(S): Mallams, Alan K.; Dasmahapatra, Bimalendu; Neustadt, Bernard R.; Demma, Mark; Vaccaro, Henry A.

PATENT ASSIGNEE(S): Schering Corporation, USA

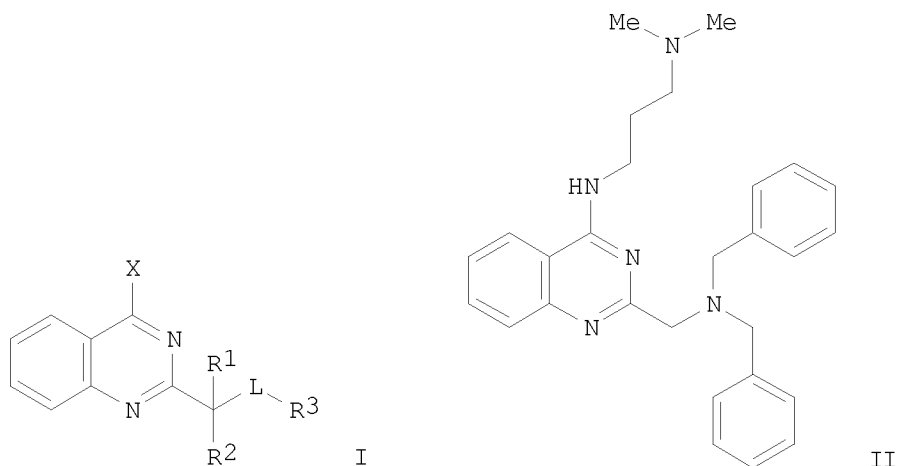
SOURCE: U.S. Pat. Appl. Publ., 536pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| US 20070015774 | A1 | 20070118 | US 2006-486300 | 20060713 |
| CA 2615373 | A1 | 20070125 | CA 2006-2615373 | 20060713 |
| WO 2007011618 | A1 | 20070125 | WO 2006-US27105 | 20060713 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM EP 1915351 A1 20080430 EP 2006-787060 20060713 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS MX 200800744 A 20080310 MX 2008-744 20080115 CN 101263124 A 20080910 CN 2006-80033491 20080312 PRIORITY APPLN. INFO.: US 2005-700056P P 20050715 WO 2006-US27105 W 20060713 OTHER SOURCE(S): MARPAT 146:163135 GI | | | | |



AB The title compds. I [X = OR₄, SR₅ or N(R₆)₂; L = NR₇, NR₇CO, NR₇CONR₇, NR₇SO₂; R₁, R₂ = H, alkyl; R₃ = (un)substituted alkyl, cycloalkyl, aryl, etc.; R₄-R₆ = H, alkyl, cycloalkyl, etc.; R₇ = H, alkyl, CH₂Ph; with the proviso], useful for treating cellular proliferative diseases, disorders associated with activity of mutants of p53, or in causing apoptosis of cancer cells, were prepared. Thus, reacting 4-chloro-2-(N,N-dibenzylaminomethyl)quinazoline with 3-dimethylaminopropylamine afforded 97% II. Exemplified compound I were tested for their ability to bind directly to p53

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core and restore DNA binding activity to mutant p53 (data were given for selected compds. I). The present invention also provides compns. comprising the compds. I.

IT 920027-69-6P 920027-81-2P 920029-39-6P
920029-49-8P 920032-45-7P 920032-56-0P

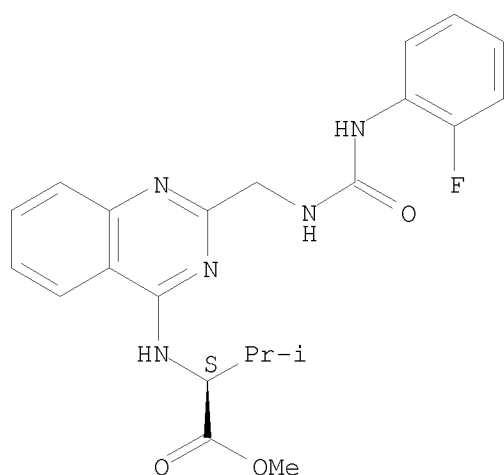
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. as antitumor agents)

RN 920027-69-6 CAPLUS

CN L-Valine, N-[2-[[[(2-fluorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

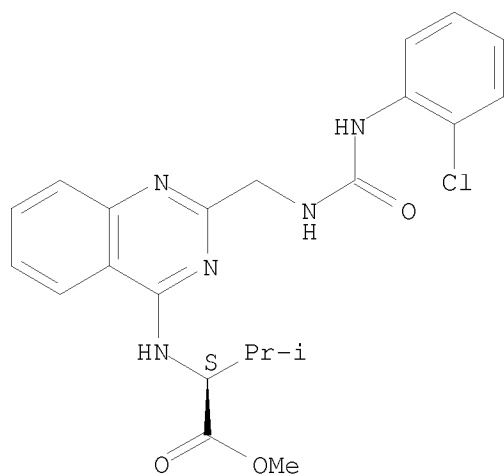
Absolute stereochemistry.



RN 920027-81-2 CAPLUS

CN L-Valine, N-[2-[[[(2-chlorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

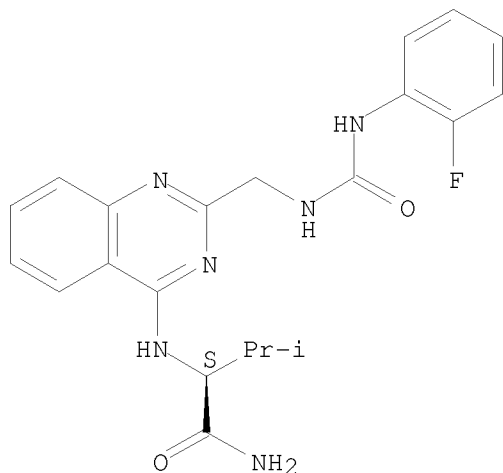


RN 920029-39-6 CAPLUS

10/562,112

CN Butanamide, 2-[[2-[[[(2-fluorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

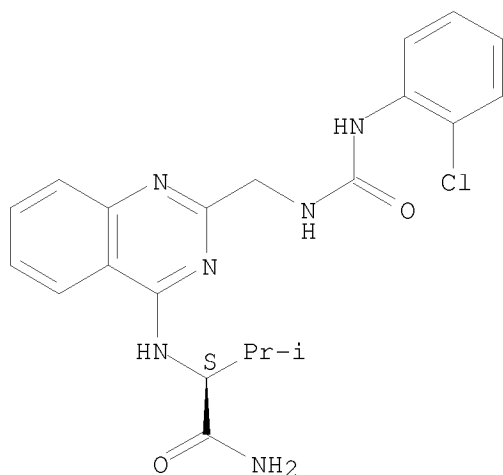
Absolute stereochemistry.



RN 920029-49-8 CAPLUS

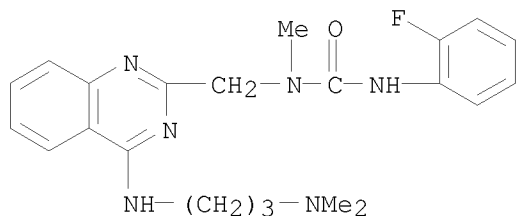
CN Butanamide, 2-[[2-[[[(2-chlorophenyl)amino]carbonyl]amino]methyl]-4-quinazolinyl]amino]-3-methyl-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



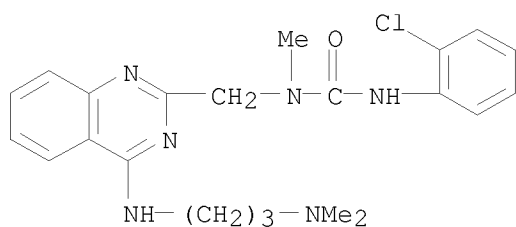
RN 920032-45-7 CAPLUS

CN Urea, N-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]-N'-(2-fluorophenyl)-N-methyl- (CA INDEX NAME)



RN 920032-56-0 CAPLUS

CN Urea, N'-(2-chlorophenyl)-N-[[4-[[3-(dimethylamino)propyl]amino]-2-quinazolinyl]methyl]-N-methyl- (CA INDEX NAME)



L3 ANSWER 32 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1358129 CAPLUS

DOCUMENT NUMBER: 146:100721

TITLE: Preparation of 8-alkoxy or cycloalkoxy-4-methyl-3,4-dihydro-quinazolin-2-ylamines for treating 5-HT5A receptor related diseases

INVENTOR(S): Alanine, Alexander; Gobbi, Luca Claudio; Kolczewski, Sabine; Luebbers, Thomas; Peters, Jens-Uwe; Steward, Lucinda

PATENT ASSIGNEE(S): Fr.

SOURCE: U.S. Pat. Appl. Publ., 13pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

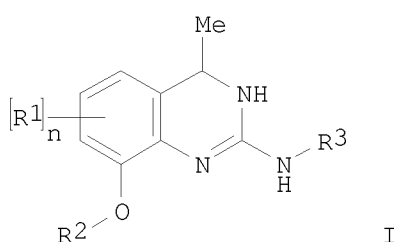
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

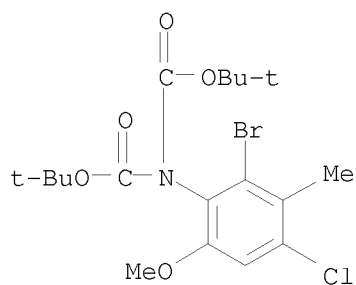
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 20060293350 | A1 | 20061228 | US 2006-472084 | 20060621 |
| AU 2006263925 | A1 | 20070104 | AU 2006-263925 | 20060616 |
| CA 2612478 | A1 | 20070104 | CA 2006-2612478 | 20060616 |
| WO 2007000393 | A1 | 20070104 | WO 2006-EP63269 | 20060616 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, | | | | |

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM
 EP 1899307 A1 20080319 EP 2006-777337 20060616
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR
 MX 200715777 A 20080222 MX 2007-15777 20071211
 CN 101208308 A 20080625 CN 2006-80022929 20071225
 KR 2008014082 A 20080213 KR 2007-730363 20071226
 IN 2007CN06007 A 20080627 IN 2007-CN6007 20071227
 PRIORITY APPLN. INFO.: EP 2005-105699 A 20050627
 WO 2006-EP63269 W 20060616
 OTHER SOURCE(S): MARPAT 146:100721
 GI



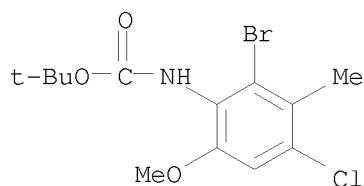
- AB The title compds. I [R1 = H, halo, alkyl; R2 = alkyl or cycloalkyl; R3 = H, alkyl, haloalkyl, etc.; n = 0-2] which can be used for the treatment of 5-HT5A receptor antagonists related diseases, which include depression, anxiety disorders, schizophrenia, panic disorders, agoraphobia, social phobia, obsessive compulsive disorders, post-traumatic stress disorders, pain, memory disorders, disorders of eating behaviors, sexual dysfunction, sleep disorders, withdrawal from abuse of drugs, motor disorders such as Parkinson's disease, dementia in Parkinson's disease, neuroleptic-induced Parkinsonism and tardive dyskinesias, as well as other psychiatric disorders and gastrointestinal disorders such as irritable bowel syndrome, were prepared and formulated. E.g., a multi-step synthesis of I [R1 = H; R2 = Me; R3 = H], starting from 2'-amino-3'-hydroxyacetophenone, was given. Exemplified compds. I were tested to determine the affinity for the recombinant human 5-HT5A receptor (Ki data were given).
- IT 918136-58-0P 918136-59-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 8-(cyclo)alkoxy-4-methyl-3,4-dihydro-quinazolin-2-ylamines for treating 5-HT5A receptor related diseases)
- RN 918136-58-0 CAPLUS
- CN Imidodicarbonic acid, N-(2-bromo-4-chloro-6-methoxy-3-methylphenyl)-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)

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RN 918136-59-1 CAPLUS

CN Carbamic acid, N-(2-bromo-4-chloro-6-methoxy-3-methylphenyl)-,
1,1-dimethylethyl ester (CA INDEX NAME)



L3 ANSWER 33 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1338413 CAPLUS

DOCUMENT NUMBER: 146:81779

TITLE: Preparation of quinolinones and analogs for the
treatment of multi-drug resistant bacterial infections

INVENTOR(S): Breault, Gloria; Eyermann, Charles Joseph; Geng,
Bolin; Morningstar, Marshall; Reck, Folkert

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 209pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

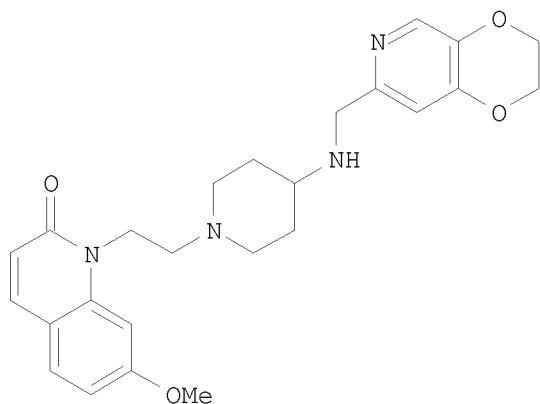
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2006134378 | A1 | 20061221 | WO 2006-GB2207 | 20060616 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| AU 2006258879 | A1 | 20061221 | AU 2006-258879 | 20060616 |
| CA 2610900 | A1 | 20061221 | CA 2006-2610900 | 20060616 |

EP 1893599 A1 20080305 EP 2006-744233 20060616
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
 BA, HR, MK, YU
 IN 2007DN09254 A 20080118 IN 2007-DN9254 20071130
 MX 200715297 A 20080221 MX 2007-15297 20071204
 KR 2008021031 A 20080306 KR 2007-729378 20071214
 NO 2008000338 A 20080229 NO 2008-338 20080116
 CN 101243068 A 20080813 CN 2006-80029394 20080213
 PRIORITY APPLN. INFO.: US 2005-691340P P 20050616
 WO 2006-GB2207 W 20060616
 OTHER SOURCE(S): MARPAT 146:81779
 GI



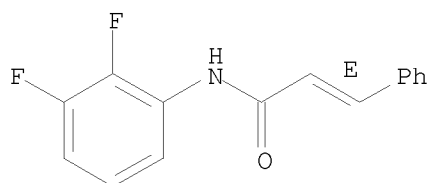
II

AB The invention is related to compds. L-U1-M-U2-R [I; L = (un)substituted 2-oxo-1,2-dihydroquinolin-1-yl, 2-oxo-1,4-dihydroquinolin-1-yl, 3-oxo-2,3-dihydro-4H-1,4-benzoxazin-4-yl, 2,4-dioxo-3,4-dihydroquinazolin-1(2H)-yl, 2-oxo-1,8-naphthyridin-1(2H)-yl, 2-oxoquinoxalin-1(2H)-yl, 3-oxopyrido[2,3-b]pyrazin-4(3H)-yl, etc.; U1 = CRaRb-CRcRd, CRaRb-CRcRd-CReRf; Ra-f = independently H, (un)substituted alkyl; M = (un)substituted 1,4-piperidinylenes, 1,4-pyrazinylenes, 2,5-piperidinylenes, etc.; U2 = NR'-W; R' = H, alkyl, alkylcarbonyl, etc.; W = CH2, CO, CO2, CH2CH2, etc.; when W = CH2, CO or SO2, R = (un)substituted hetero/aryl, heterocyclyl, or ortho-fused bicyclic heteroaryl; when W = CH2CH2, CH2CH:CH, CH2C.tplbond.C, or CH2-cyclopropylene, R = (un)substituted hetero/aryl, heteroaryloxy, heteroarylthio, heteroarylsulfinyl, heteroarylsulfonyl, heteroarylamino; with proviso] their pharmaceutically acceptable salts, and N-oxides that demonstrate antibacterial activity, processes for their preparation, pharmaceutical compns. containing them as the active ingredient, to their use as medicaments and to their use in the manufacture of medicaments for use in the treatment of multi-drug resistant bacterial infections in warm blooded animals such as humans. Thus, alkylation of 7-methoxyquinolin-2(1H)-one with 2-[4-[(tert-butoxycarbonyl)amino]piperidin-1-yl]ethyl methanesulfonate, deprotection, and reduction amination of 2,3-dihydro-[1,4]dioxino[2,3-c]pyridine-7-carboxaldehyde with the amine intermediate gave oxoquinoline salt II•2HCl. Compds. I generally have IC50 <20 µg/mL for inhibition of Escherichia coli DNA supercoiling and GyrB ATPase activities and have MIC's ≤8 µg/mL vs. Gram-pos. species, including Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, and Enterococcus

faecium and vs. Gram-neg. species including Haemophilus influenzae, Escherichia coli and Moraxella catarrhalis.

IT 917341-41-4P, (2E)-N-(2,3-Difluorophenyl)-3-phenyl-2-propenamide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of quinolinones and analogs for the treatment of multi-drug resistant bacterial infections)
 RN 917341-41-4 CAPLUS
 CN 2-Propenamide, N-(2,3-difluorophenyl)-3-phenyl-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 34 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1280896 CAPLUS
 DOCUMENT NUMBER: 146:45534
 TITLE: Preparation of quinazolines as aurora kinase inhibitors
 INVENTOR(S): Foote, Kevin Michael
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited
 SOURCE: PCT Int. Appl., 47pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2006129064 | A1 | 20061207 | WO 2006-GB1911 | 20060524 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| EP 1888572 | A1 | 20080220 | EP 2006-727154 | 20060524 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| IN 2007DN08643 | A | 20071214 | IN 2007-DN8643 | 20071108 |
| US 20080194556 | A1 | 20080814 | US 2007-914474 | 20071115 |
| CN 101184751 | A | 20080521 | CN 2006-80018768 | 20071128 |
| PRIORITY APPLN. INFO.: | | | GB 2005-10963 | A 20050528 |

GB 2006-743

A 20060114

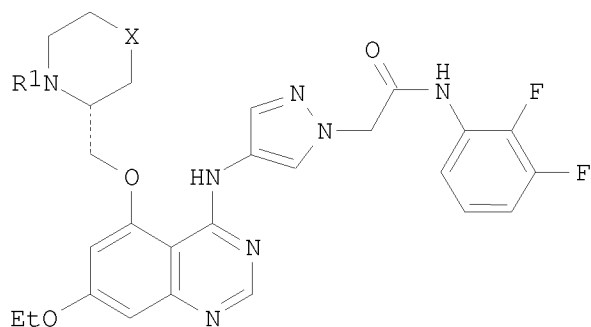
WO 2006-GB1911

W 20060524

OTHER SOURCE(S):

CASREACT 146:45534; MARPAT 146:45534

GI



I

AB Title compds. represented by the formula I [wherein R¹ = H or Me; X = a bond or O; and pharmaceutically acceptable salts thereof] were prepared as aurora kinase inhibitors. For example, I [R¹ = Me, X = a bond] was provided in a multi-step synthesis starting from the reaction of 5,7-difluoroquinazolin-4(3H)-one with 4-anilinoquinazoline. The prepared quinazoline derivs. showed biol. activity in in vitro aurora A & B kinase inhibition test, in vitro cell phenotype and substrate phosphorylation assay, and in vitro drug-resistant cell phenotype and substrate phosphorylation assay. Thus, I and their pharmaceutical compns. are useful as aurora kinase inhibitors for the treatment of disease, in particular proliferative diseases such as cancer.

IT 916483-46-0P, N-(2,3-Difluorophenyl)-2-[4-[[7-ethoxy-5-((2R)-pyrrolidin-2-ylmethoxy)quinazolin-4-yl]amino]-1H-pyrazol-1-yl]acetamide

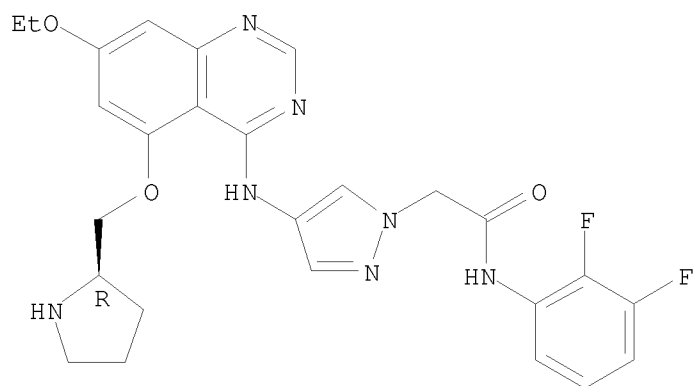
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of quinazoline derivs. as aurora kinase inhibitors for treatment of cancers)

RN 916483-46-0 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(2R)-2-pyrrolidinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

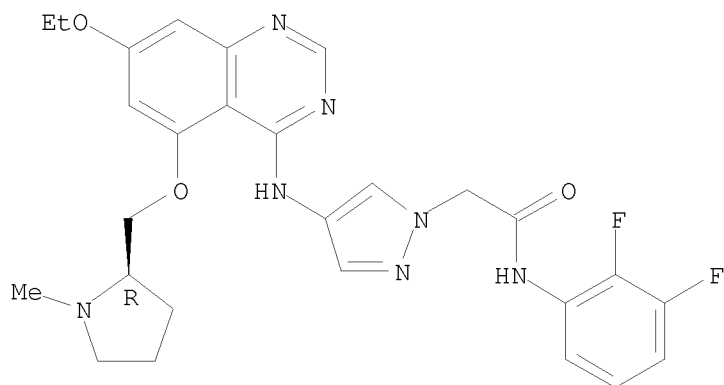
Absolute stereochemistry.

10/562,112



IT 916483-45-9P 916483-64-2P, N-(2,3-Difluorophenyl)-2-[4-
[[7-ethoxy-5-((3R)-morpholin-3-ylmethoxy)quinazolin
-4-yl]amino]-1H-pyrazol-1-yl]acetamide 916483-70-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of quinazoline derivs. as aurora kinase inhibitors
for treatment of cancers)
RN 916483-45-9 CAPLUS
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(2R)-1-
methyl-2-pyrrolidinyl]methoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

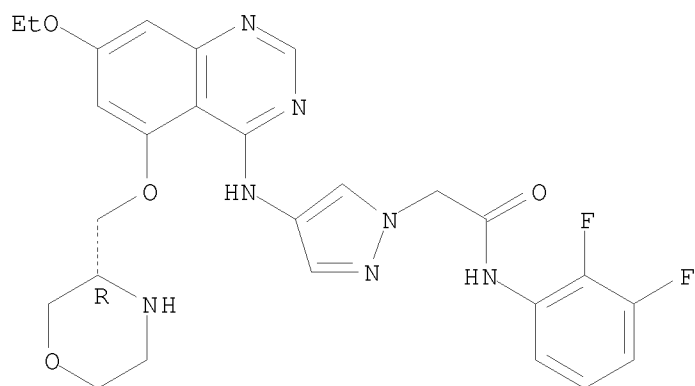
Absolute stereochemistry.



RN 916483-64-2 CAPLUS
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(3R)-3-
morpholinylmethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

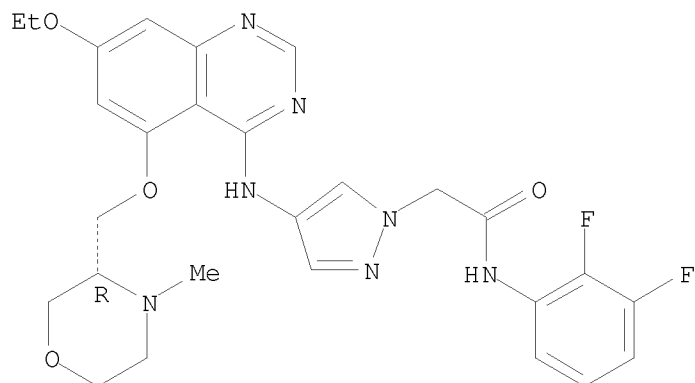
10/562,112



RN 916483-70-0 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[[7-ethoxy-5-[(3R)-4-methyl-3-morpholinyl]methoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



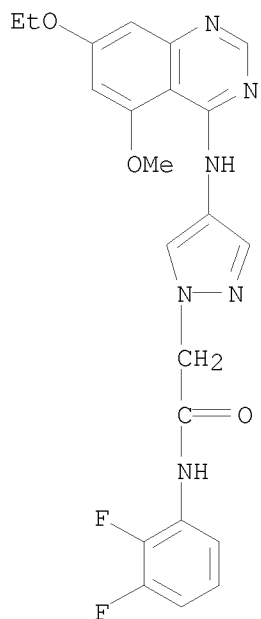
IT 916483-49-3, N-(2,3-Difluorophenyl)-2-[4-[(7-ethoxy-5-methoxyquinazolin-4-yl)amino]-1H-pyrazol-1-yl]acetamide

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of quinazoline derivs. as aurora kinase inhibitors for treatment of cancers)

RN 916483-49-3 CAPLUS

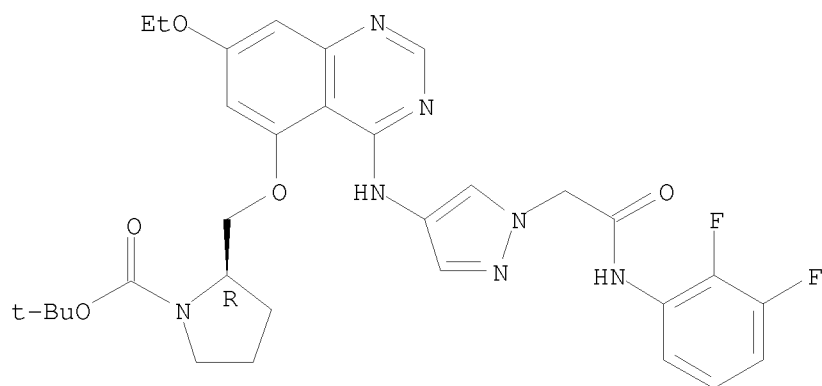
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-ethoxy-5-methoxy-4-quinazolinyl)amino]- (CA INDEX NAME)



- IT 916483-47-1P, tert-Butyl (2R)-2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxyquinazolin-5-yl]oxy]methyl]pyrrolidine-1-carboxylate
 916483-48-2P, N-(2,3-Difluorophenyl)-2-[4-[(7-ethoxy-5-methoxyquinazolin-4-yl)amino]-1H-pyrazol-1-yl]acetamide hydrochloride
 916483-50-6P, N-(2,3-Difluorophenyl)-2-[4-[(7-ethoxy-5-hydroxyquinazolin-4-yl)amino]-1H-pyrazol-1-yl]acetamide
 916483-51-7P, 2-Chloro-N-(2,3-difluorophenyl)acetamide
 916483-52-8P, 2-(4-Bromo-1H-pyrazol-1-yl)-N-(2,3-difluorophenyl)acetamide 916483-53-9P, N-(2,3-Difluorophenyl)-2-[4-[(diphenylmethylene)amino]-1H-pyrazol-1-yl]acetamide
 916483-54-0P, 2-(4-Amino-1H-pyrazol-1-yl)-N-(2,3-difluorophenyl)acetamide hydrochloride 916483-55-1P, tert-Butyl (2R)-2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxyquinazolin-5-yl]oxy]methyl]pyrrolidine-1-carboxylate hydrochloride 916483-65-3P 916483-66-4P, (3R)-3-[[[4-[[1-[2-[(2,3-Difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxyquinazolin-5-yl]oxy]methyl]morpholine-4-carboxylic acid tert-butyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of quinazoline derivs. as aurora kinase inhibitors for treatment of cancers)
 RN 916483-47-1 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

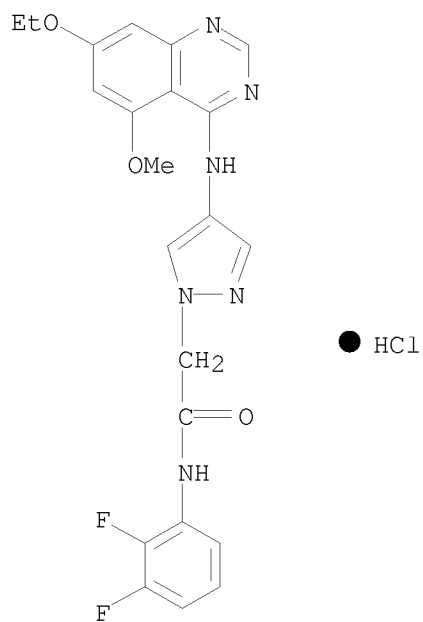
Absolute stereochemistry.

10/562,112



RN 916483-48-2 CAPLUS

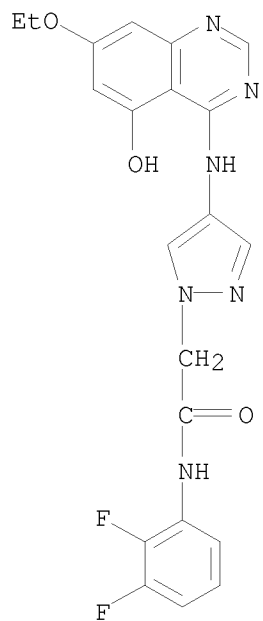
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-ethoxy-5-methoxy-4-quinazolinyl)amino]-, hydrochloride (1:1) (CA INDEX NAME)



RN 916483-50-6 CAPLUS

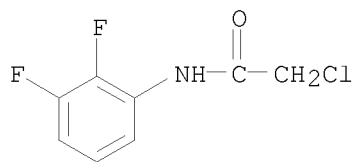
CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-[(7-ethoxy-5-hydroxy-4-quinazolinyl)amino]- (CA INDEX NAME)

10/562,112



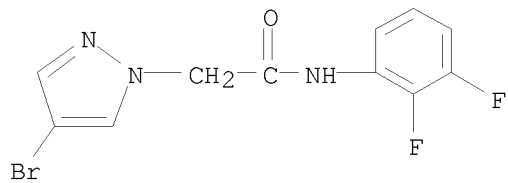
RN 916483-51-7 CAPLUS

CN Acetamide, 2-chloro-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 916483-52-8 CAPLUS

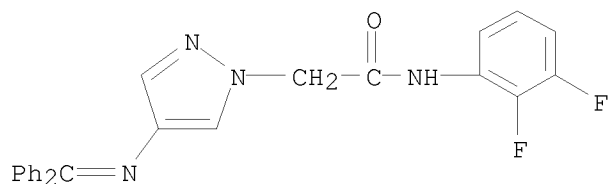
CN 1H-Pyrazole-1-acetamide, 4-bromo-N-(2,3-difluorophenyl)- (CA INDEX NAME)



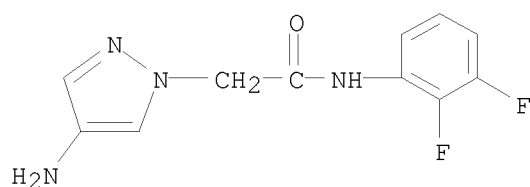
RN 916483-53-9 CAPLUS

CN 1H-Pyrazole-1-acetamide, N-(2,3-difluorophenyl)-4-
[(diphenylmethylene)amino]- (CA INDEX NAME)

10/562,112



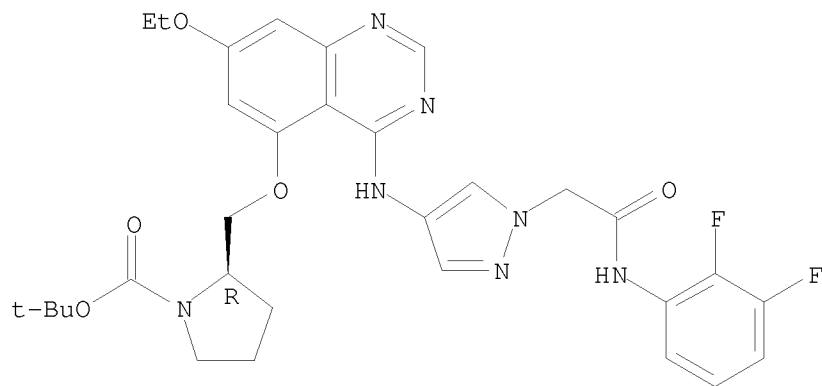
RN 916483-54-0 CAPLUS
CN 1H-Pyrazole-1-acetamide, 4-amino-N-(2,3-difluorophenyl)-, hydrochloride
(1:1) (CA INDEX NAME)



● HCl

RN 916483-55-1 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (2R)- (CA INDEX NAME)

Absolute stereochemistry.

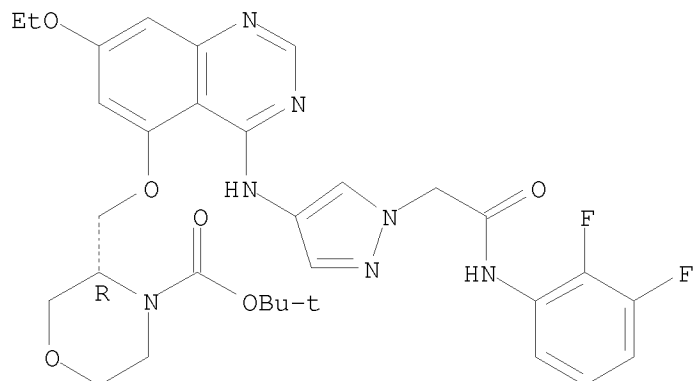


● HCl

RN 916483-65-3 CAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, hydrochloride (1:1), (3R)- (CA INDEX NAME)

10/562,112

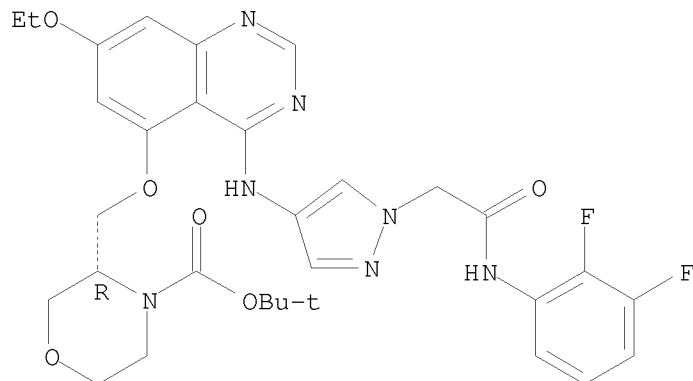
Absolute stereochemistry.



● HCl

RN 916483-66-4 CAPLUS
CN 4-Morpholinecarboxylic acid, 3-[[[4-[[1-[2-[(2,3-difluorophenyl)amino]-2-oxoethyl]-1H-pyrazol-4-yl]amino]-7-ethoxy-5-quinazolinyl]oxy]methyl]-, 1,1-dimethylethyl ester, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



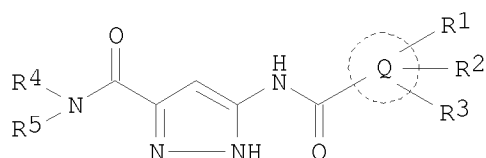
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1252442 CAPLUS
DOCUMENT NUMBER: 146:27826
TITLE: Preparation of pyrazole compounds as hepatic glycogen phosphorylase inhibitors and therapeutic agents for diabetes
INVENTOR(S): Takagi, Masaki; Nakamura, Takeshi; Matsuda, Isamu; Fukuda, Kenji; Ozawa, Koichi; Ueda, Nobuhisa; Sakata, Kaoru; Nomura, Yukihiro
PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan
SOURCE: PCT Int. Appl., 490pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2006126695 | A1 | 20061130 | WO 2006-JP310603 | 20060522 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006250354 | A1 | 20061130 | AU 2006-250354 | 20060522 |
| CA 2609394 | A1 | 20061130 | CA 2006-2609394 | 20060522 |
| JP 2007191461 | A | 20070802 | JP 2006-141015 | 20060522 |
| EP 1884513 | A1 | 20080206 | EP 2006-756652 | 20060522 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| US 20070032529 | A1 | 20070208 | US 2006-438489 | 20060523 |
| KR 2008012304 | A | 20080211 | KR 2007-727179 | 20071122 |
| MX 200714866 | A | 20080214 | MX 2007-14866 | 20071123 |
| CN 101208306 | A | 20080625 | CN 2006-80018194 | 20071123 |
| IN 2007CN05312 | A | 20080627 | IN 2007-CN5312 | 20071123 |
| NO 2007006524 | A | 20080204 | NO 2007-6524 | 20071218 |
| PRIORITY APPLN. INFO.: | | | JP 2005-148847 | A 20050523 |
| | | | US 2005-685037P | P 20050526 |
| | | | JP 2005-367286 | A 20051220 |
| | | | US 2006-755820P | P 20060103 |
| | | | WO 2006-JP10603 | W 20060522 |
| | | | WO 2006-JP310603 | W 20060522 |

OTHER SOURCE(S): MARPAT 146:27826
 GI



I

AB The title compds. (I) or pharmacol. acceptable salts thereof [ring Q = aryl or aromatic heterocyclic group; R1 = H, halo, C1-6 alkyl, C1-6 alkoxy; R2 = halo, C1-6 alkyl, C1-6 alkoxy, azido; R3 = halo, hydroxyl, C1-6 alkyl, halo-C1-6 alkyl, C1-6 alkoxy, azido, amino, acylamino, C1-6 alkylsulfonylamino; R4, R5 independently = H, C2-6 alkenyl, C2-6 alkynyl, (un)substituted C1-6 alkyl, C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, 5- or 6-membered saturated monocyclic heterocyclic group, aryl, C7-14 aralkyl, or 5- or 6-membered aromatic monocyclic heterocyclic group]

optionally fused to a benzene ring, etc.] are prepared These compds. have a hepatic glycogen phosphorylase inhibitory activity and therefore is useful as a therapeutic or prophylactic agent for diabetes. Thus, 6.00 g 5-(2-chloro-4,5-difluoro-benzoylamino)-1H-pyrazole-3-carboxylic acid imidazolide was suspended in 50 mL DMF, treated with 1.72 mL 3-picolyamine under ice-cooling, and stirred at room temperature overnight to give 4.49 g 5-(2-chloro-4,5-difluoro-benzoylamino)-1H-pyrazole-3-carboxylic acid N-(pyridin-3-ylmethyl)amide (II). II showed IC50 of <100 nm against human hepatic glycogen phosphorylase.

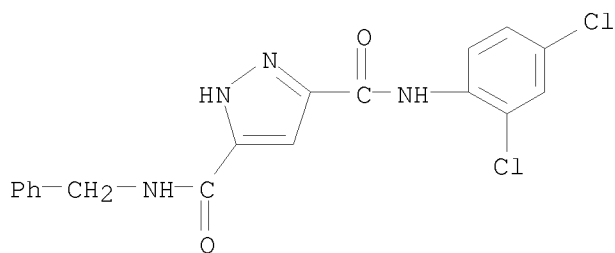
IT 915787-91-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole compds. as hepatic glycogen phosphorylase inhibitors and therapeutic agents for diabetes)

RN 915787-91-6 CAPLUS

CN 1H-Pyrazole-3,5-dicarboxamide, N3(2,4-dichlorophenyl)-N5-(phenylmethyl)-(CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 36 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1226404 CAPLUS

DOCUMENT NUMBER: 146:7978

TITLE: Preparation of 2-amino-4-phenylquinazolines as HSP90 modulators

INVENTOR(S): Eggenweiler, Hans-Michael; Wolf, Michael; Buchstaller, Hans-Peter

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: PCT Int. Appl., 113pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006122631 | A1 | 20061123 | WO 2006-EP3734 | 20060424 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |

IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

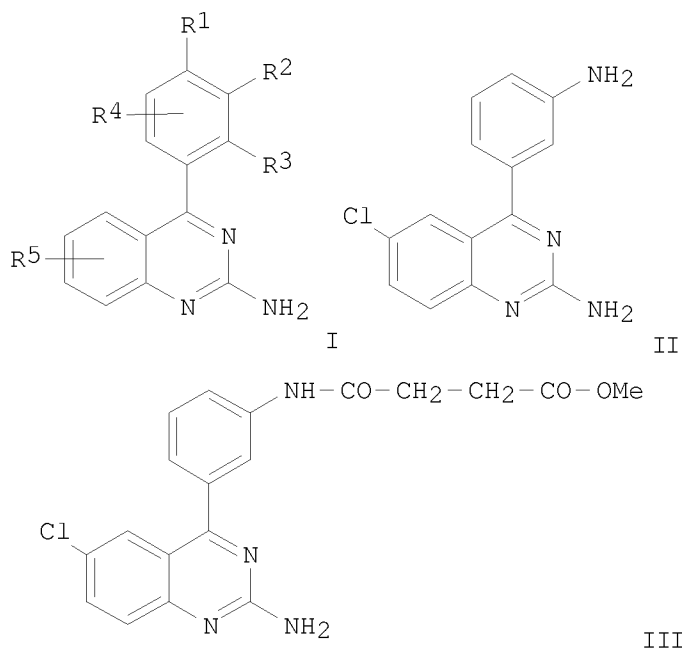
| | | | | |
|-----------------|----|----------|----------------------|----------|
| DE 102005022977 | A1 | 20061207 | DE 2005-102005022977 | 20050519 |
| AU 2006246744 | A1 | 20061123 | AU 2006-246744 | 20060424 |
| CA 2608766 | A1 | 20061123 | CA 2006-2608766 | 20060424 |
| EP 1881965 | A1 | 20080130 | EP 2006-724519 | 20060424 |

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

| | | | | |
|----------------|----|----------|------------------|----------|
| MX 200714264 | A | 20080122 | MX 2007-14264 | 20071114 |
| US 20080214586 | A1 | 20080904 | US 2007-914604 | 20071116 |
| CN 101180278 | A | 20080514 | CN 2006-80017419 | 20071119 |
| KR 2008016651 | A | 20080221 | KR 2007-729415 | 20071217 |

PRIORITY APPLN. INFO.: DE 2005-102005022977A 20050519
 WO 2006-EP3734 W 20060424

OTHER SOURCE(S): MARPAT 146:7978
 GI



- AB Title compds. I [R1 = Halo, OH, SH, etc.; R2, R3 = H, halo, NHCOA, etc.; R4, R5 = H, halo, CN, etc.; A = alkyl, cycloalkyl with provisos] and their pharmaceutically acceptable salts and formulations were prepared For example, Me succinate chloride N-acylation of aniline II afforded claimed phenylquinazoline III. In HSP90 receptor binding assays, 7-examples of compds. I exhibited IC₅₀ values ranging from 3.7-9.5x10⁻⁷ M.
- IT 915692-35-2P, 2-Amino-6-chloro-4-[4-chloro-3-[2-[(tert-butylloxycarbonyl)amino]-2-(1H-imidazol-4-yl)acetamido]phenyl]quinazoline 915692-36-3P, 2-Amino-6-chloro-4-[4-chloro-3-[2-amino-2-(1H-imidazol-4-yl)acetamido]phenyl]quinazoline 915692-57-8P, 2-Amino-6-chloro-4-[3-[2-[3-(2-fluorophenyl)ureido]ethoxy]phenyl]quinoline 915692-60-3P, [[(3-(2-Aminoquinazolin-4-yl)-2,4-dichlorophenyl]carbamoyl]methoxy]acetic acid methyl ester 915692-61-4P, [[[3-(2-Aminoquinazolin-4-yl)-

10/562,112

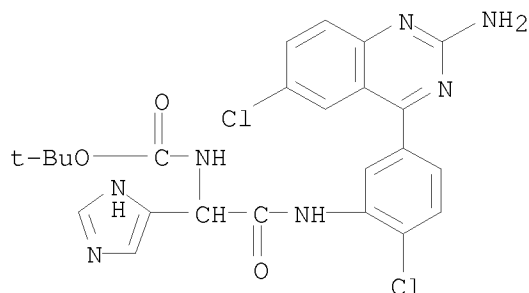
2,4-dichlorophenyl]carbamoyl]methoxy]acetic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-amino-4-phenylquinazolines as HSP90 modulators)

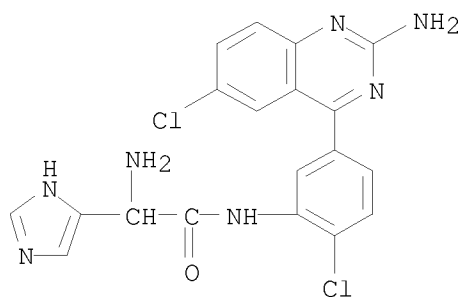
RN 915692-35-2 CAPLUS

CN Carbamic acid, N-[2-[[5-(2-amino-6-chloro-4-quinazoliny1)-2-chlorophenyl]amino]-1-(1H-imidazol-5-yl)-2-oxoethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)



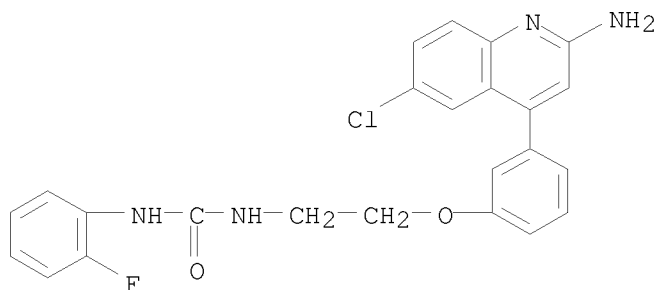
RN 915692-36-3 CAPLUS

CN 1H-Imidazole-5-acetamide, α -amino-N-[5-(2-amino-6-chloro-4-quinazoliny1)-2-chlorophenyl]- (CA INDEX NAME)



RN 915692-57-8 CAPLUS

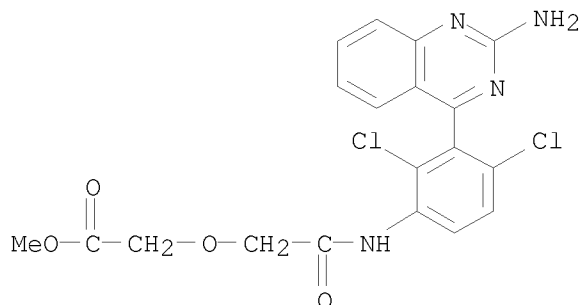
CN Urea, N-[2-[3-(2-amino-6-chloro-4-quinoliny1)phenoxy]ethyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



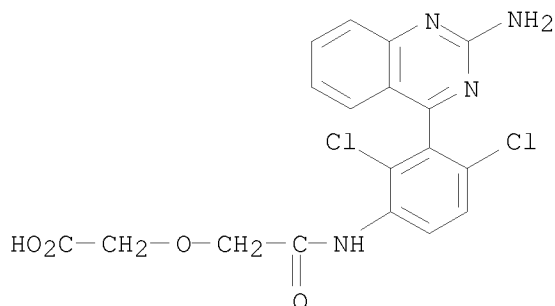
RN 915692-60-3 CAPLUS

CN Acetic acid, 2-[2-[[3-(2-amino-4-quinazoliny1)-2,4-dichlorophenyl]amino]-2-oxoethoxy]-, methyl ester (CA INDEX NAME)

10/562,112



RN 915692-61-4 CAPLUS
CN Acetic acid, 2-[2-[[3-(2-amino-4-quinazolinyl)-2,4-dichlorophenyl]amino]-2-oxoethoxy]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1176345 CAPLUS

DOCUMENT NUMBER: 145:489566

TITLE: Preparation of quinoline and quinazoline amino acid derivatives as inhibitors of kinase enzymatic activity

INVENTOR(S): Davidson, Alan Hornsby; Davies, Stephen John; Moffat, David Festus Charles

PATENT ASSIGNEE(S): Chroma Therapeutics Ltd., UK

SOURCE: PCT Int. Appl., 205pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|---|----------|-----------------|----------|
| ----- | --- | ----- | ----- | ----- |
| WO 2006117552 | A1 | 20061109 | WO 2006-GB1609 | 20060504 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, | | | |

SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

AU 2006243068 A1 20061109 AU 2006-243068 20060504
 CA 2606338 A1 20061109 CA 2006-2606338 20060504
 EP 1877383 A1 20080116 EP 2006-726986 20060504

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR

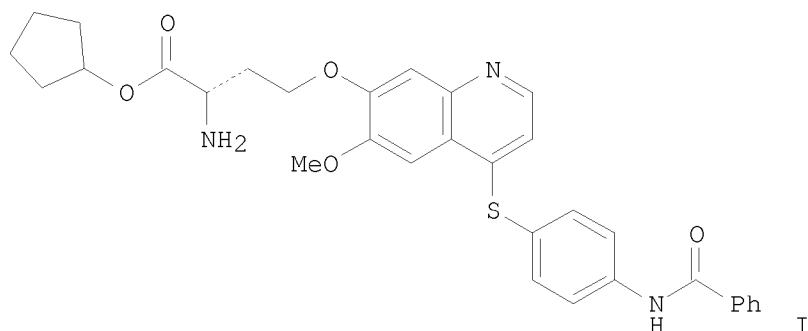
MX 200713276 A 20080121 MX 2007-13276 20071024
 IN 2007CN04846 A 20080125 IN 2007-CN4846 20071029
 KR 2008010400 A 20080130 KR 2007-724927 20071029
 CN 101166726 A 20080423 CN 2006-80014682 20071029

PRIORITY APPLN. INFO.:

GB 2005-9227 A 20050505
 WO 2006-GB1609 W 20060504

OTHER SOURCE(S): MARPAT 145:489566

GI



AB The invention relates to quinoline and quinazoline linker-attached amino acid derivs. which are inhibitors of kinase enzymic activity. Thus, quinoline derivative I was prepared by a multistep sequence, including etherification of 4-chloro-6-methoxy-7-quinolinol with (S)-4-bromo-2-(tert-butoxycarbonylamino)butyric acid cyclopentyl ester, followed by reaction with N-(4-mercaptophenyl)benzamide. Compound I showed IC50 < 2,000 nM in the aurora-A inhibition assay and IC50 < 1,000 nM for inhibition of cancer cell lines U937, HCT 116 and HUT.

IT 914488-67-8P 914488-68-9P 914488-73-6P
 914488-74-7P 914489-49-9P 914489-52-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

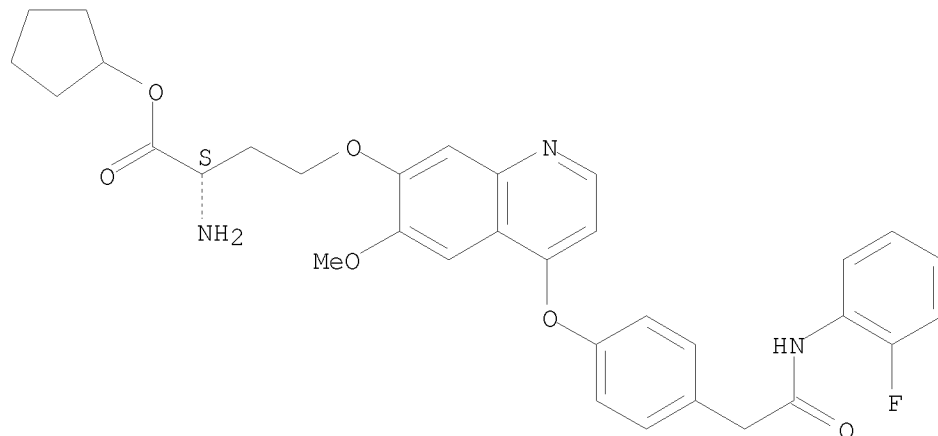
(preparation of quinoline and quinazoline amino acid derivs. as inhibitors of kinase enzymic activity)

RN 914488-67-8 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2-fluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinolinyl]-, cyclopentyl ester (CA INDEX NAME)

Absolute stereochemistry.

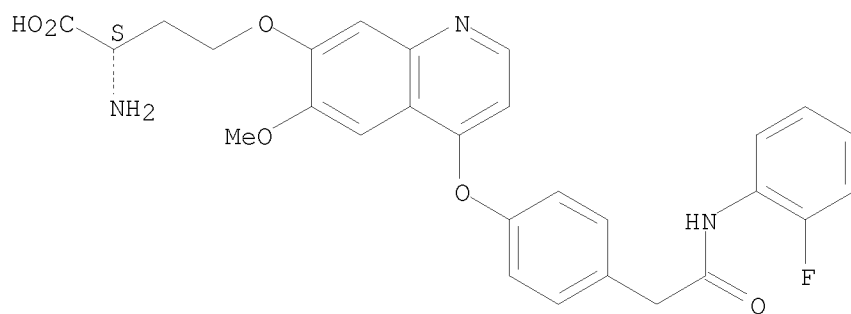
10/562,112



RN 914488-68-9 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2-fluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinolinyl]- (CA INDEX NAME)

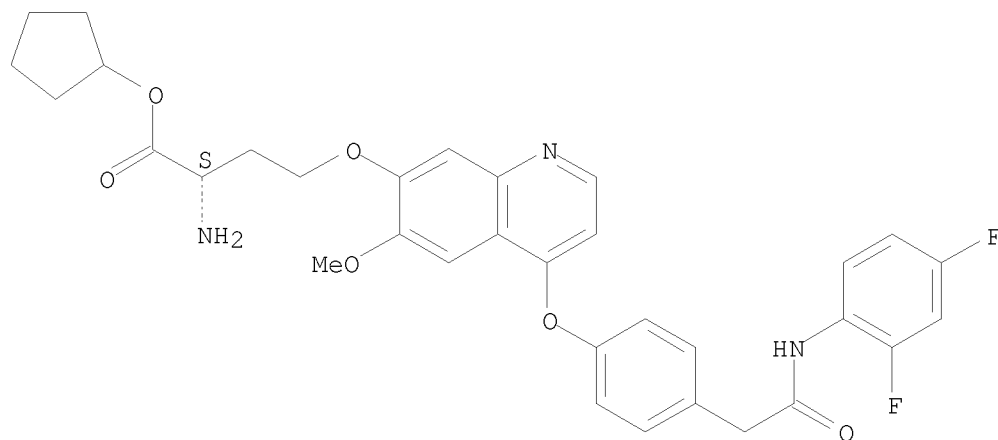
Absolute stereochemistry.



RN 914488-73-6 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2,4-difluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinolinyl]-, cyclopentyl ester (CA INDEX NAME)

Absolute stereochemistry.

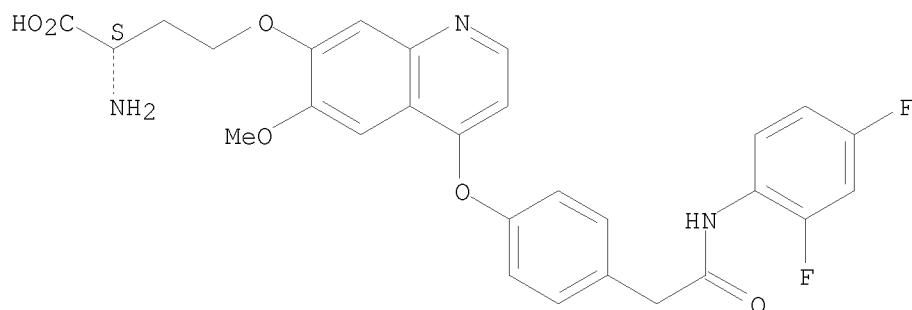


10/562,112

RN 914488-74-7 CAPLUS

CN L-Homoserine, O-[4-[4-[2-[(2,4-difluorophenyl)amino]-2-oxoethyl]phenoxy]-6-methoxy-7-quinolinyl]- (CA INDEX NAME)

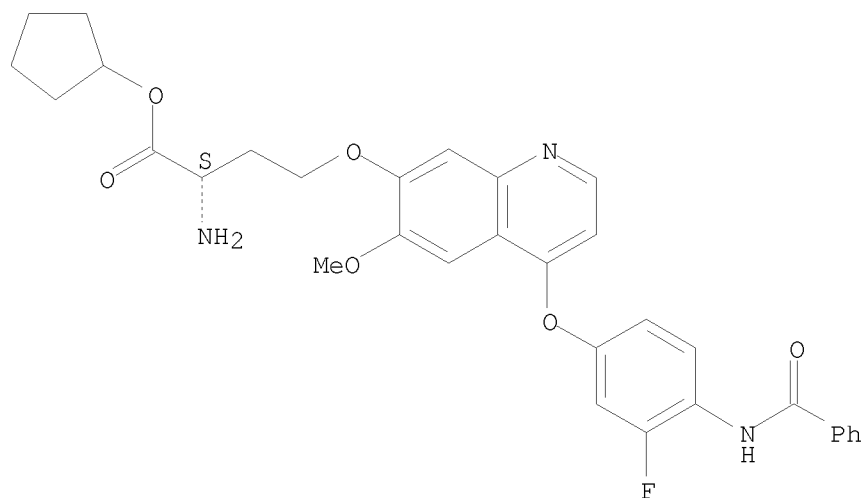
Absolute stereochemistry.



RN 914489-49-9 CAPLUS

CN L-Homoserine, O-[4-[4-(benzoylamino)-3-fluorophenoxy]-6-methoxy-7-quinolinyl]-, cyclopentyl ester (CA INDEX NAME)

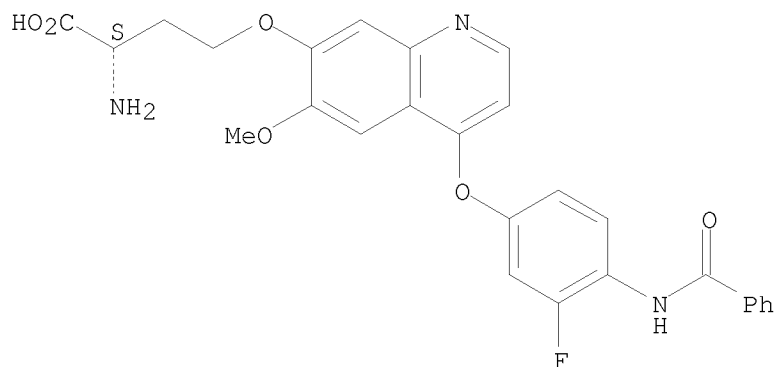
Absolute stereochemistry.



RN 914489-52-4 CAPLUS

CN L-Homoserine, O-[4-[4-(benzoylamino)-3-fluorophenoxy]-6-methoxy-7-quinolinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1176145 CAPLUS

DOCUMENT NUMBER: 145:489261

TITLE: Preparation of 2-aminoquinazoline derivatives as p38 mitogen-activated protein kinase inhibitors

INVENTOR(S): Kishikawa, Kuniyuki; Imase, Hidetomo; Kashima, Hajime; Mori, Kiyotoshi; Ikemura, Toshihide; Nakasato, Yoshisuke; Tomuro, Misato

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan

SOURCE: PCT Int. Appl., 265pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

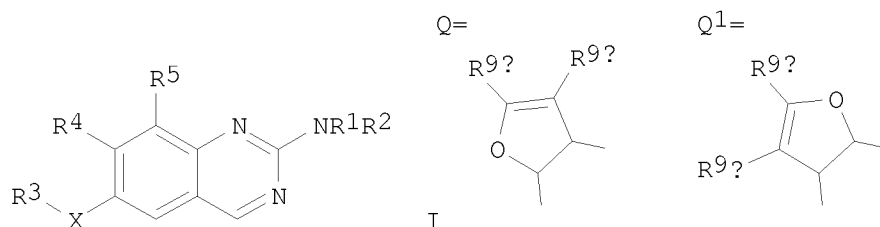
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2006118256 | A1 | 20061109 | WO 2006-JP309000 | 20060428 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| EP 1878727 | A1 | 20080116 | EP 2006-745859 | 20060428 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| PRIORITY APPLN. INFO.: | | | JP 2005-130704 | A 20050428 |
| | | | WO 2006-JP309000 | W 20060428 |

OTHER SOURCE(S): MARPAT 145:489261

GI



AB 2-Aminoquinazoline and 2-aminofuro[2,3-h]quinazoline derivs. represented by the general formula (I) or pharmacol. acceptable salts thereof [wherein R¹, R² = H, each (un)substituted lower alkyl, lower alkenyl, alkynyl, cycloalkyl, cycloalkenyl, lower alkanoyl, cycloalkylcarbonyl, aryl, heterocyclyl, CONH₂; X = a bond, (un)substituted CH₂; when X = a bond, R³ = (un)substituted aryl or aromatic heterocyclyl; when X = (un)substituted CH₂, R³ = each (un)substituted lower alkoxy, aryl, aromatic heterocyclyl, or CONH₂; R⁴ = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, lower alkynyl, lower alkoxy, lower alkanoyloxy, aryl, aroyloxy, or heterocyclyl; R⁵ = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, lower alkoxy, aryl, heterocyclyl, or CONH₂; or R⁴ and R⁵ together with the adjacent carbon atoms represent Q or Q¹; R^{9a}, R^{9b} = H, halo, HO, each (un)substituted lower alkyl, lower alkenyl, lower alkoxy, lower alkoxy carbonyl, aryl, heterocyclyl, or CONH₂] are prepared These compds. are useful as p38 mitogen-activated protein (P38MAP) kinase inhibitors for the prevention and/or treatment of diseases related to the function of P38MAP kinase, e.g. inflammations, chronic articular rheumatism, osteoarthritis, arthritis, osteoporosis, autoimmune diseases, blood poisoning, cachexia, cerebral infarction, Alzheimer's disease, asthma, a chronic pneumonia, chronic obstructive pulmonary disease (COPD), thrombosis, glomerulonephritis, diabetes, host vs. graft rejection, inflammatory bowel disease, Crohn's disease, ulcerative colitis, multiple sclerosis, tumor proliferation and metastasis, multiple myeloma. Thus, 1.20 g 6-bromo-2-isopropylamino-7-methoxyquinazoline was dissolved in 20 mL dioxane and 20 mL H₂O, treated with 0.900 g 2-chlorophenylboric acid, 1.03 g Na₂CO₃, and 197 mg [1,1'-bis(diphenylphosphino)ferrocene]dichloropalladium, and the resulting mixture was heated under refluxing for 2 h to give, after workup and silica gel chromatog., 66% 6-(2-chlorophenyl)-2-isopropylamino-7-methoxyquinazoline (II). II at 1 μM inhibited ≥50% human P38MAP.

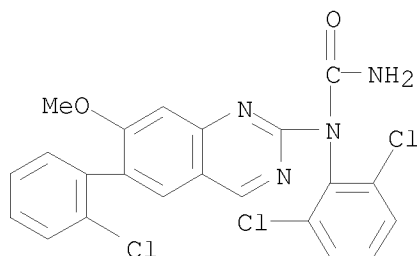
IT 914391-61-0P, 2-(N-Carbamoyl-2,6-dichloroanilino)-6-(2-chlorophenyl)-7-methoxyquinazoline

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-aminoquinazoline derivs. as p38 mitogen-activated protein kinase inhibitors)

RN 914391-61-0 CAPLUS

CN Urea, N-[6-(2-chlorophenyl)-7-methoxy-2-quinazolinyl]-N-(2,6-dichlorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1173792 CAPLUS

DOCUMENT NUMBER: 145:471556

TITLE: (3,4-Dihydroquinazolin-2-yl)-(2-aryloxyethyl)-amines as 5-HT receptor modulators, their preparation, pharmaceutical compositions, and use in therapy

INVENTOR(S): Alanine, Alexander; Gobbi, Luca Claudio; Kolczewski, Sabine; Luebbers, Thomas; Peters, Jens-Uwe; Steward, Lucinda

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 48pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

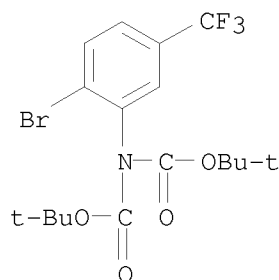
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|------------------|------------|
| WO 2006117305 | A1 | 20061109 | WO 2006-EP61779 | 20060424 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006243244 | A1 | 20061109 | AU 2006-243244 | 20060424 |
| CA 2607227 | A1 | 20061109 | CA 2006-2607227 | 20060424 |
| EP 1888538 | A1 | 20080220 | EP 2006-754806 | 20060424 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | | |
| US 20060252779 | A1 | 20061109 | US 2006-412432 | 20060427 |
| MX 200713606 | A | 20071210 | MX 2007-13606 | 20071030 |
| KR 2007116965 | A | 20071211 | KR 2007-725286 | 20071031 |
| CN 101171238 | A | 20080430 | CN 2006-80014923 | 20071101 |
| IN 2007CN04982 | A | 20080627 | IN 2007-CN4982 | 20071105 |
| PRIORITY APPLN. INFO.: | | | EP 2005-103744 | A 20050504 |
| | | | WO 2006-EP61779 | W 20060424 |

OTHER SOURCE(S): MARPAT 145:471556

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The invention relates to aminoquinazolines of formula I, which are 5-HT_{5A} receptor modulators. In compds. I, m is 1 or 2; R₁ is H, halo, lower alkyl, lower alkoxy, or lower haloalkyl; R₂ is selected from H, lower alkyl, optionally halo-substituted Ph, and heteroaryl, optionally substituted with lower alkyl; R₃ is H or halo, or R₁R₃ is -CH=CH-CH=CH-; R₄ is H or lower alkyl; and Ar is (un)substituted Ph or (un)substituted naphthyl. The invention also relates to the preparation of I, pharmaceutical compns. comprising one or more compds. of formula I and pharmaceutically acceptable excipients, as well as to the use of the compns. for the treatment of CNS disorders, such as anxiety, depression, sleep disorders, and schizophrenia. Coupling of tert-Bu N-(2-hydroxyethyl)carbamate with 2-methoxyphenol and deprotection resulted in the formation of amine II. Heterocyclization of 2-aminobenzylamine with thiophosgene followed by S-methylation gave quinazoline III, which was substituted with amine II to give aminoquinazoline IV. The compds. of the invention are modulators of 5-HT_{5A} receptors, e.g., compound IV expressed a K_i value of 7.5 nM in a 5-HT_{5A} affinity assay.
- IT 880384-45-2P, N,N-Bis(tert-butyloxycarbonyl)-2-bromo-5-trifluoromethylaniline
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of aminoquinazolines as 5-HT receptor modulators)
- RN 880384-45-2 CAPLUS
- CN Imidodicarbonic acid, N-[2-bromo-5-(trifluoromethyl)phenyl]-, C,C'-bis(1,1-dimethylethyl) ester (CA INDEX NAME)



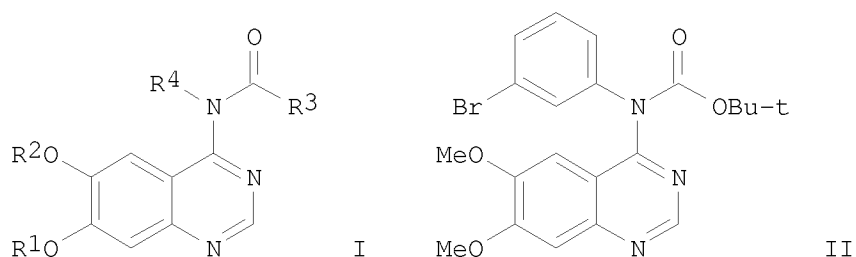
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1164522 CAPLUS
 DOCUMENT NUMBER: 145:505467
 TITLE: Preparation of quinazoline derivatives as antitumor agents
 INVENTOR(S): Feng, Zhiqiang; Chen, Xiaoguang; Guo, Zongru; Jiang, Yi; Li, Jing; Zhu, Fengming; Guo, Yanshen; Li, Yan; Fu, Jianjiang
 PATENT ASSIGNEE(S): Institute of Materia Medica, Chinese Academy of Medical Sciences, Peop. Rep. China
 SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 19pp.

10/562,112

DOCUMENT TYPE: CODEN: CNXXEV
LANGUAGE: Patent
FAMILY ACC. NUM. COUNT: Chinese
PATENT INFORMATION: 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|--|------------|
| CN 1854130 | A | 20061101 | CN 2006-10072180 | 20060414 |
| PRIORITY APPLN. INFO.: | | | CN 2005-10064425 | A 20050415 |
| OTHER SOURCE(S): | | | CASREACT 145:505467; MARPAT 145:505467 | |
| GI | | | | |

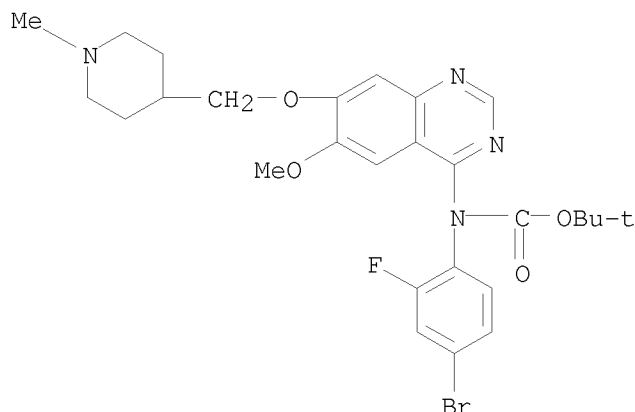


AB The title quinazoline derivs. I [wherein R¹ and R² = independently H, Me, Et, 2-methoxyethyl, etc.; R³ = Me, Et, Pr, 3-hydroxypropyl, etc.; R⁴ = substituted Ph, benzyl, benzoyl, etc.], or pharmaceutical acceptable salts, hydrates, solvates, or crystals thereof were prepared as antitumor agents (no data). For example, 3-bromoanile was reacted with bis(tert-Bu) dicarbonate, followed by the addition of 4-chloro-6,7-dimethoxyquinazoline to give II. II showed 97.14% inhibitory activity against human A2780 ovary cancer.

IT 915039-07-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinazoline derivs. as antitumor agents)

RN 915039-07-5 CAPLUS

CN Carbamic acid, (4-bromo-2-fluorophenyl)[6-methoxy-7-[(1-methyl-4-piperidinyl)methoxy]-4-quinazolinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 41 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1147676 CAPLUS

DOCUMENT NUMBER: 145:455009

TITLE: Substituted cyclic amide derivatives as protein kinase inhibitors for treating hepatocyte growth factor (HGF)-related diseases

INVENTOR(S): Kim, Tae-Seong; Bauer, David; Bellon, Steven; Boezio, Alessandro; Booker, Shon; Choquette, Deborah; D'Amico, Derin C.; D'Angelo, Noel; Dominguez, Celia; Fellows, Ingrid M.; Germain, Julie; Graceffa, Russell; Harmange, Jean-Christophe; Hirai, Satoko; La, Daniel; Lee, Matthew; Liu, Longbin; Norman, Mark H.; Potashman, Michele; Roveto, Philip; Siegmund, Aaron C.; Xi, Ning; Yang, Kevin

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 281pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006116713 | A1 | 20061102 | WO 2006-US16344 | 20060427 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2006239216 | A1 | 20061102 | AU 2006-239216 | 20060427 |
| CA 2605680 | A1 | 20061102 | CA 2006-2605680 | 20060427 |
| EP 1881976 | A1 | 20080130 | EP 2006-751834 | 20060427 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, | | | | |

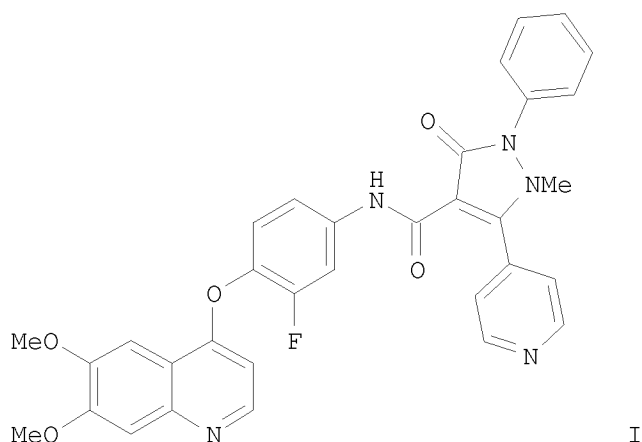
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

| | | | | | | |
|----|-------------|---|----------|----|---------------|----------|
| MX | 200713216 | A | 20071212 | MX | 2007-13216 | 20071023 |
| KR | 2008004617 | A | 20080109 | KR | 2007-727041 | 20071120 |
| IN | 2007DN09008 | A | 20080627 | IN | 2007-DN9008 | 20071122 |
| NO | 2007006093 | A | 20080125 | NO | 2007-6093 | 20071126 |
| CN | 101248059 | A | 20080820 | CN | 2006-80023169 | 20071227 |

PRIORITY APPLN. INFO.:

| | | | |
|----|--------------|---|----------|
| US | 2005-675805P | P | 20050427 |
| WO | 2006-US16344 | W | 20060427 |

GI

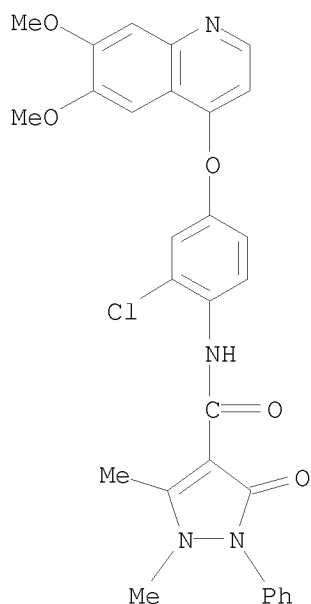


- AB Selected compds. of general formula R-X-W-Y-R1 (wherein R = an aryl or heterocyclic ring or ring system; W = (un)substituted Ph, benzomorpholinyl, C3-7 cycloalkyl, etc.; X = O, S, S(O), SO₂, etc.; Y = carboxamido, aminoalkyl, etc.; R1 = a partially unsatd. or saturated ring) are effective for prophylaxis and treatment of diseases, such as HGF mediated diseases. The invention encompasses novel compds., analogs, prodrugs and pharmaceutically acceptable salts thereof, pharmaceutical compns. and methods for prophylaxis and treatment of diseases and other maladies or conditions involving cancer and the like. The invention also relates to processes for making such compds. as well as to intermediates useful in such processes. For example, I was prepared by reacting 4-(6,7-dimethoxyquinolin-4-yloxy)-3-fluorobenzenamine and 1-methyl-3-oxo-2-phenyl-5-(pyridin-4-yl)-2,3-dihydro-1H-pyrazole-4-carboxylic acid (preparation given). Biol. testing methods are detailed for measuring the compds. of the invention as antitumor agents, but no specific test results are given.
- IT 913376-41-7P, N-[2-Chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-1,5-dimethyl-3-oxo-2-phenyl-2,3-dihydro-1H-pyrazole-4-carboxamide 913378-36-6P, 1-Benzyl-5-bromo-N-[2-chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-2-oxo-1,2-dihydropyridine-3-carboxamide 913378-44-6P, 5-Bromo-N-[2-chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-2-oxo-1-phenyl-1,2-dihydropyridine-3-carboxamide 913378-75-3P, N-[2-Chloro-4-[(6,7-dimethoxyquinolin-4-yl)oxy]phenyl]-6-methyl-3-oxo-2-phenyl-2,3-dihydropyridazine-4-carboxamide
- RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
- (drug candidate; preparation of substituted cyclic amide derivs. as protein kinase inhibitors for treating hepatocyte growth factor (HGF)-related diseases)

10/562,112

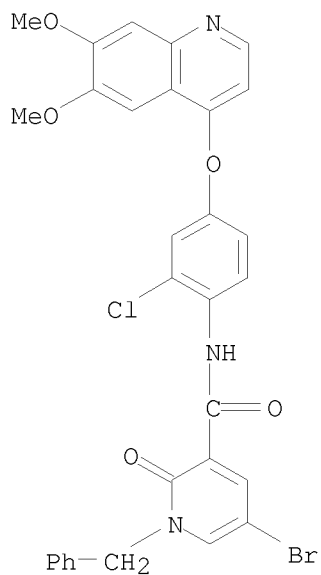
RN 913376-41-7 CAPLUS

CN 1H-Pyrazole-4-carboxamide, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl- (CA INDEX NAME)



RN 913378-36-6 CAPLUS

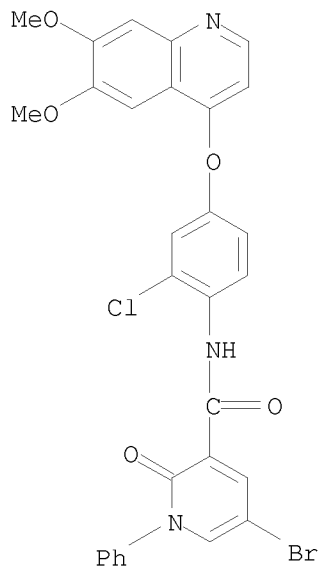
CN 3-Pyridinecarboxamide, 5-bromo-N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-1,2-dihydro-2-oxo-1-(phenylmethyl)- (CA INDEX NAME)



RN 913378-44-6 CAPLUS

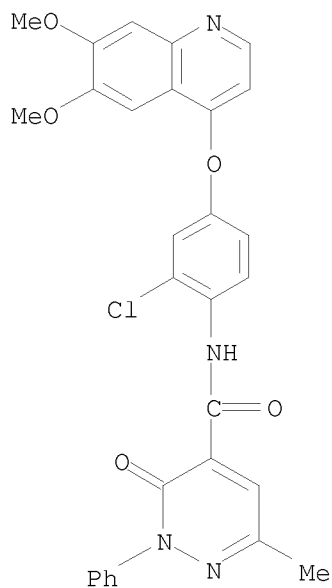
CN 3-Pyridinecarboxamide, 5-bromo-N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-1,2-dihydro-2-oxo-1-phenyl- (CA INDEX NAME)

10/562,112



RN 913378-75-3 CAPLUS

CN 4-Pyridazinecarboxamide, N-[2-chloro-4-[(6,7-dimethoxy-4-quinolinyl)oxy]phenyl]-2,3-dihydro-6-methyl-3-oxo-2-phenyl- (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1123440 CAPLUS

DOCUMENT NUMBER: 145:438652

TITLE: Preparation of compounds that modulate mitotic kinesin

KSP and are useful against proliferative diseases and disorders

INVENTOR(S):

Adams, Nicholas D.; Darcy, Michael Gerard; Dhanak, Dashyant; Duffy, Kevin J.; Fitch, Duke M.; Knight, Steven David; Newlander, Kenneth Allen; Shaw, Antony N.

PATENT ASSIGNEE(S):

SmithKline Beecham Corporation, USA

SOURCE:

PCT Int. Appl., 124pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

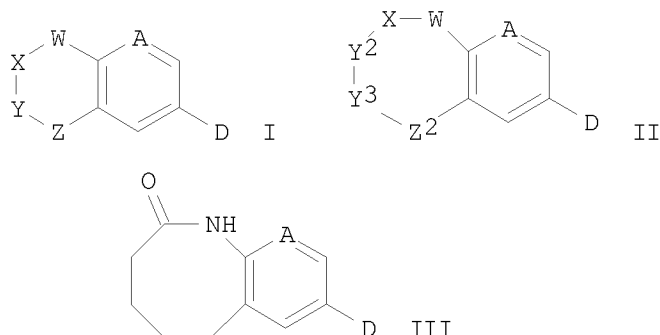
LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

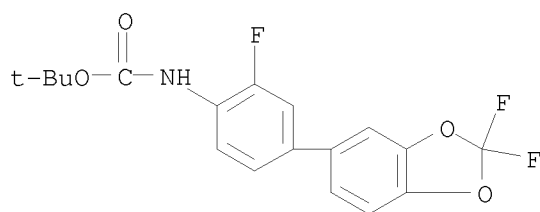
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| WO 2006113432 | A2 | 20061026 | WO 2006-US14062 | 20060413 |
| WO 2006113432 | A3 | 20070712 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | | |
| EP 1874753 | A2 | 20080109 | EP 2006-750171 | 20060413 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| US 20080176830 | A1 | 20080724 | US 2007-910731 | 20071004 |
| PRIORITY APPLN. INFO.: | | | US 2005-671299P | P 20050414 |
| | | | WO 2006-US14062 | W 20060413 |
| OTHER SOURCE(S): | | MARPAT 145:438652 | | |
| GI | | | | |



AB Compds. (shown as I, II and III; variables defined below; e.g. 6-[4-(trifluoromethyl)phenyl]-3,4-dihydro-1H-2,1,3-benzothiadiazine

2,2-dioxide (1)) useful for treating cellular proliferative diseases and disorders by modulating the activity of KSP are disclosed. Although the methods of preparation are not claimed, preps. and/or characterization data for .apprx.130 examples of I are included. For example, 1 was prepared in 3 steps starting with coupling of (4-trifluoromethylphenyl)boronic acid with 2-amino-5-bromobenzonitrile to give 60% 4-amino-4'-(trifluoromethyl)biphenyl-3-carbonitrile, which was reduced to 70% [3-(aminomethyl)-4'-(trifluoromethyl)biphenyl-4-yl]amine, which was cyclized with sulfamide (24%). For I-III: W is NR1, O, CH2, or CH(OH); R1 is H, C1-4alkyl, C1-4alkylaryl, CO2But, CO1-4alkyl, CH2CONMe2, or CO2CH2Ph; X is C:O, C:S, C:NOH, SO2, CH2, or CH(OH); Y-Z is V-CHR2; where V is O, NR3, or CHR4; R2 is H or C1-4alkyl; R3 is H, C1-2alkylOH, or C1-2alkyl; and R4 is H, C1-4alkyl, COSEt, NH2, OH, NHCHO, NHCOC1-4alkyl, NHSO2C1-4alkyl, CO2H, CH2OH, or CONH2; or Y-Z is V2:CR5, where V2 is N or OH; and R5 is H, Me or NH2; or Y-Z is V3-U, where V3 is CMe2, CO or CHR4. U is NR7, O, S, or SO2; R7 is H, CHO, or CH2R8, and R8 is H, CN, CO2Me, CONH2, CO2H, or CH2OH; or Y-Z is CH:N; A is N or CR10; R10 is H, F, CO2H, NH2, or NO2; D = 5-R12-6-R13pyridin-3-yl, 3-R11-4-R12-5-R13phenyl, or 4-R14cyclohex-1-enyl; R11 is H or F; R12 is H, halogen, Me, NH2, NHAc, NO2, CF3, 1-pyrrolyl, or CH2CN; R13 is H, CF3, CN, SO2CF3, SO2NMe2, SO2C1-3alkyl, SC1-3alkyl, halogen, 1-indolyl, Pri, But, NMe2 or NO2; or R12 and R13 taken together are OCF2O; and R14 is CF3 or C2-5alkyl; addnl. details including provisos are given in the claims. For II, in addition to the above definitions, Y2 is O, NR3, CHR4, or CMe2; Y3 is CH2, O, S, or NH; Z2 is CHR2, NR7, O, S, or SO2; or Y3-Z2 taken together is N:CH when Y2 is CHR4; addnl. details including provisos are given in the claims.

IT 912954-82-6P, 1,1-Dimethylethyl [4-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-fluorophenyl]carbamate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of compds. that modulate mitotic kinesin KSP and are useful against proliferative diseases and disorders)
 RN 912954-82-6 CAPLUS
 CN Carbamic acid, [4-(2,2-difluoro-1,3-benzodioxol-5-yl)-2-fluorophenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



L3 ANSWER 43 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:1070147 CAPLUS
 DOCUMENT NUMBER: 145:419134
 TITLE: Constrained indazoloazepinones and related compounds as CGRP-receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of migraine
 INVENTOR(S): Chaturvedula, Prasad V.; Mercer, Stephen E.; Fang, Haiquan
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: U.S. Pat. Appl. Publ., 140 pp., Cont.-in-part of U.S. Ser. No. 247,697.

CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|----------|
| US 20060229447 | A1 | 20061012 | US 2006-417326 | 20060503 |
| US 7384931 | B2 | 20080610 | | |
| US 20060094707 | A1 | 20060504 | US 2005-247697 | 20051011 |
| US 7384930 | B2 | 20080610 | | |
| IN 2007DN03133 | A | 20070831 | IN 2007-DN3133 | 20070426 |
| WO 2007130860 | A2 | 20071115 | WO 2007-US67617 | 20070427 |
| WO 2007130860 | A3 | 20080221 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:

| | | |
|-----------------|----|----------|
| US 2004-624655P | P | 20041103 |
| US 2005-678099P | P | 20050505 |
| US 2005-247697 | A2 | 20051011 |
| WO 2005-US36859 | W | 20051012 |
| US 2006-417326 | A | 20060503 |

OTHER SOURCE(S): MARPAT 145:419134
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention encompasses constrained bicyclic and tricyclic CGRP-receptor antagonists of formula I, methods for identifying them, pharmaceutical compns. comprising them, and methods for their use in therapy for treatment of migraine and other headaches, neurogenic vasodilation, neurogenic inflammation, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD), and other conditions the treatment of which can be effected by the antagonism of CGRP-receptors. Compds. I [R1 = (halo)alkyl, alkenyl, cycloalkyl, etc.; R2 = H, halo, OH, alkyl, etc.; R3 = H, OH, halo, alkyl, or alkenyl; or R2R3 together are CHNNR5; R4 = H, halo, alkyl, or alkenyl; R5 = H or alkyl; R6 = H, alkyl, or spiro[imidazolidinedione-cycloalkaphenyl]; or NR5R6 taken together = (un)substituted 6-membered aza-cycle, or spiro-substituted piperidine; X-Y = aminocarbonyl, oxycarbonyl, methylenecarbonyl, ethylene, or amino(cyano)iminomethyl; n = 0-1; and their pharmaceutically acceptable salts or solvates thereof] were prepared. Thus, compound II was prepared by substitution of (9-benzyl-4-chloro-8-oxo-3,6,7,8,9,10-hexahydro-2,3,9-triaza-(R)-cyclohepta[e]inden-7-yl)carbamic acid benzyl ester with 4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)piperidine. Compds. I were evaluated for their CGRP receptor binding activity. It was determined

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that most of the invention compds. exhibited CGRP receptor activity. For example, II was found to have an IC₅₀ value between 0.1-10 nM against CGRP receptors and for cAMP functions. Compds. I are claimed to be useful for treatment migraine.

IT 885609-84-7P 885609-96-1P 885609-97-2P

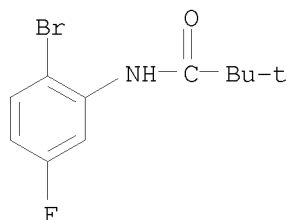
885609-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of constrained indazoloazepinones and related compds. as CGRP-receptor antagonists for treatment of migraine)

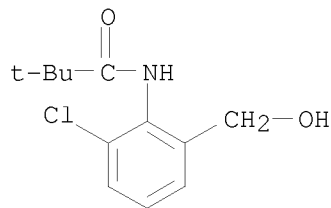
RN 885609-84-7 CAPLUS

CN Propanamide, N-(2-bromo-5-fluorophenyl)-2,2-dimethyl- (CA INDEX NAME)



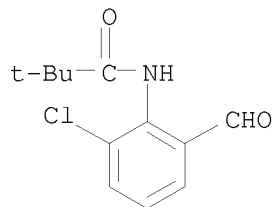
RN 885609-96-1 CAPLUS

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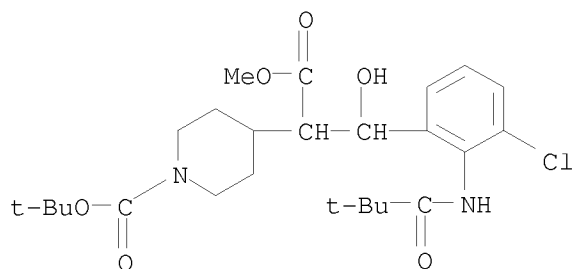
RN 885609-97-2 CAPLUS

CN Propanamide, N-(2-chloro-6-formylphenyl)-2,2-dimethyl- (CA INDEX NAME)



RN 885609-98-3 CAPLUS

CN 4-Piperidineacetic acid, α -[[3-chloro-2-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]hydroxymethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 113 THERE ARE 113 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 44 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1031556 CAPLUS

DOCUMENT NUMBER: 145:397534

TITLE: Preparation of 2,4-diaminoquinazolines as insecticides.

INVENTOR(S): Dixon, John A.; Rowley, Elizabeth G.; Sehgel, Saroj; Cullen, Thomas G.; Wyle, Michael J.; Zawacki, Frank J.; LaFrance, Louis V., III

PATENT ASSIGNEE(S): FMC Corporation, USA

SOURCE: PCT Int. Appl., 56pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

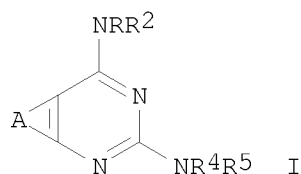
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2006105056 | A2 | 20061005 | WO 2006-US11218 | 20060328 |
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| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA | | | |

PRIORITY APPLN. INFO.: US 2005-665701P P 20050328

OTHER SOURCE(S): MARPAT 145:397534

GI



AB Title compds. [I; R = H, haloalkyl, alkoxyalkyl, alkylphenylalkyl, (substituted) cycloalkyl, heterocyclyl, aryl, etc.; A = atoms to form fused (substituted) cycloalkyl, thienyl, Ph rings; R2, R4 = H, alkylcarbonyl; R5 = (substituted) aryloxy, cycloalkyl, Ph], were prepared Thus, 2,4-dichloroquinazoline and cyclohexylamine were stirred in THF at 0° to room temperature over 18 h to give 2-chloro-4-cyclohexylaminoquinazoline. This was heated with 3,5-dichloroaniline at 100° for 3 h to give 4-cyclohexylamino-2-(3,5-dichlorophenylamino)quinazoline. The latter at 0.25 mM on a wheat germ based artificial diet gave 100% kill of *Heliothis virescens*.

IT 911680-07-4 911680-09-6 911680-11-0
911680-16-5 911680-18-7 911680-20-1
911680-22-3 911680-34-7 911680-43-8
911680-45-0 911680-46-1 911680-47-2

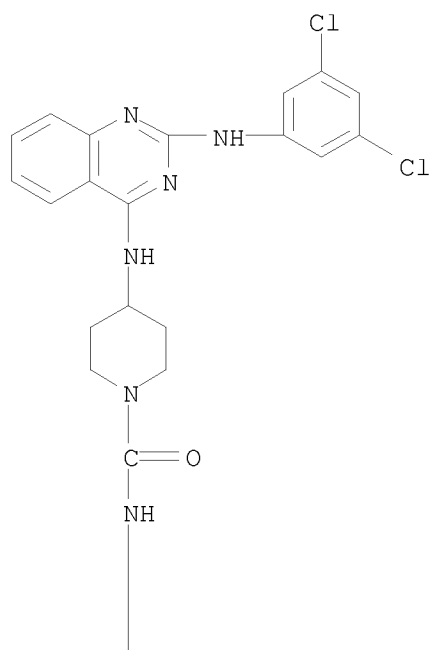
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)

(preparation of diaminoquinazolines as insecticides)

RN 911680-07-4 CAPLUS

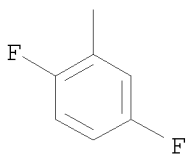
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PAGE 1-A



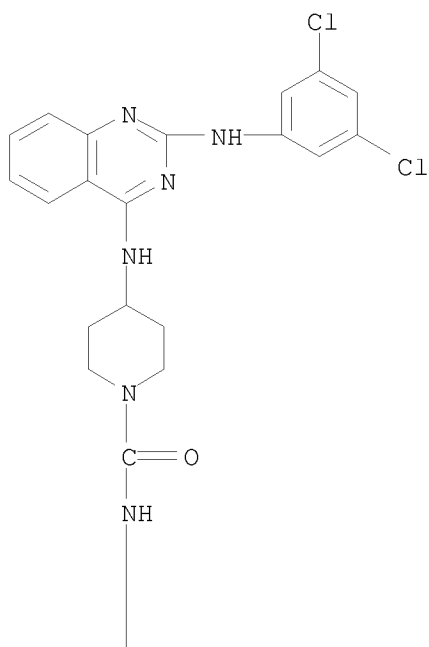
10/562,112

PAGE 2-A

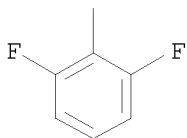


RN 911680-09-6 CAPLUS
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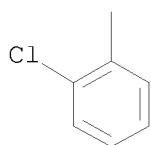
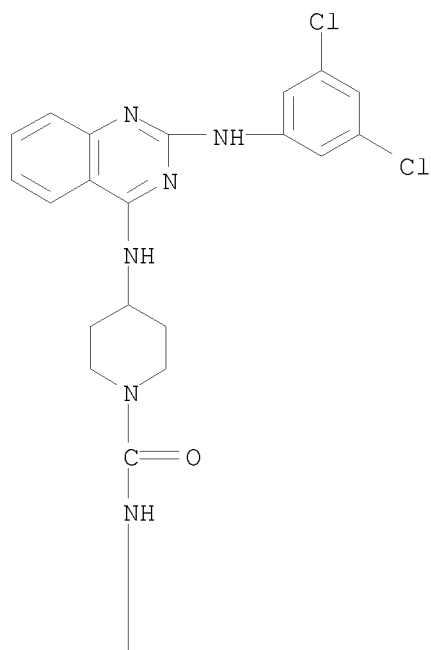
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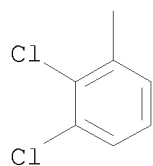
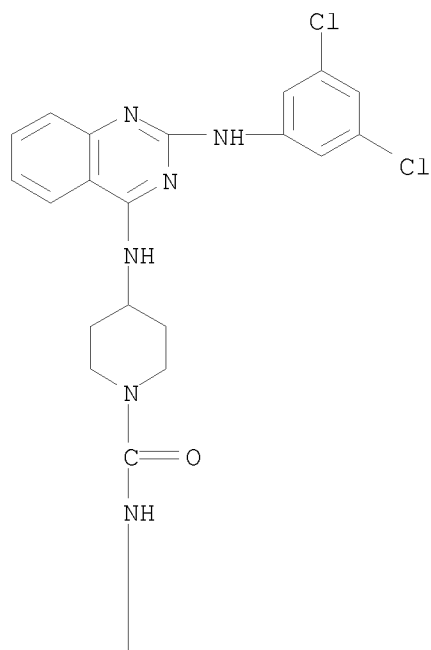
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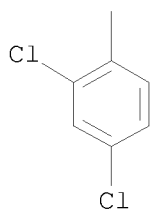
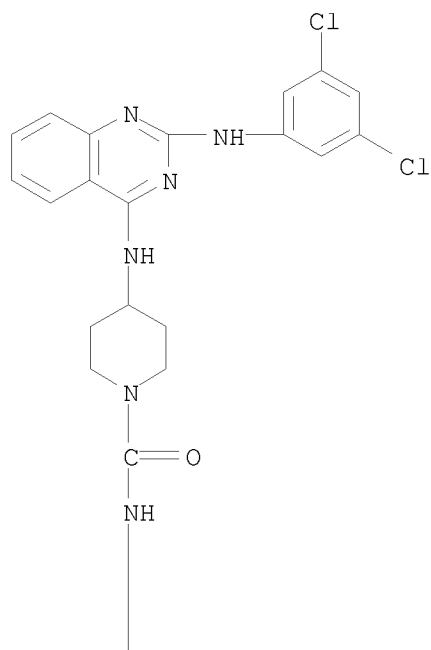
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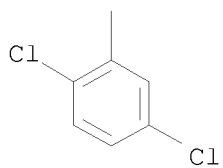
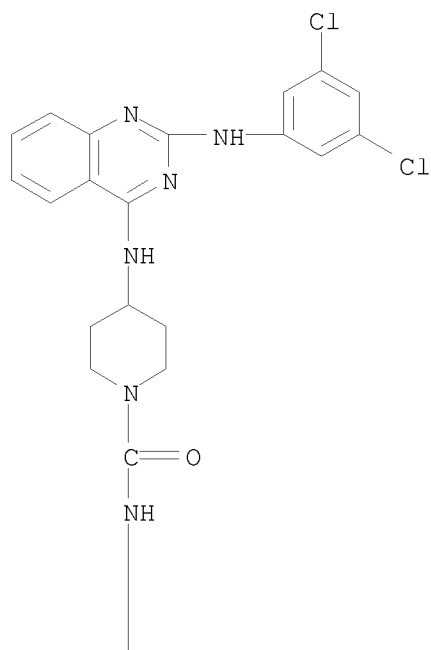
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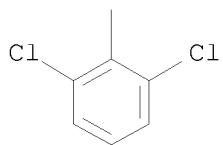
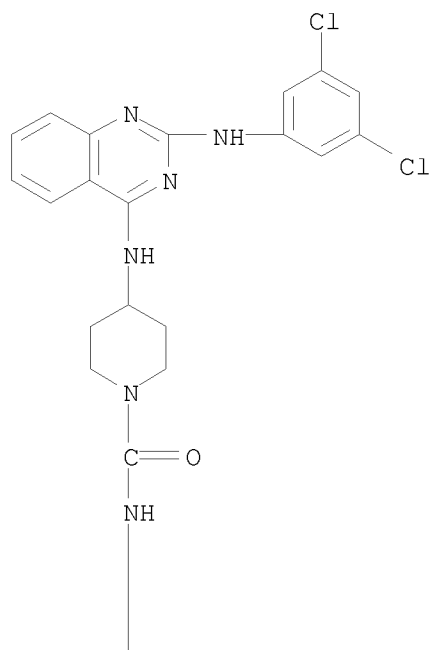
RN 911680-18-7 CAPLUS
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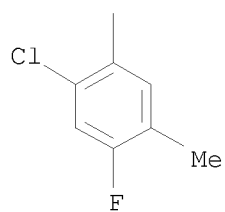
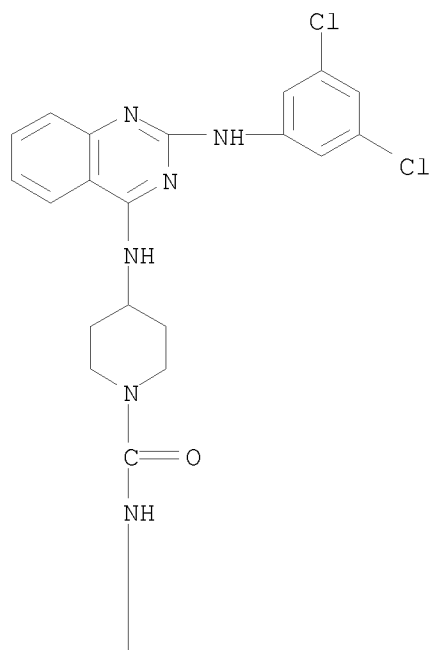
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RN 911680-22-3 CAPLUS
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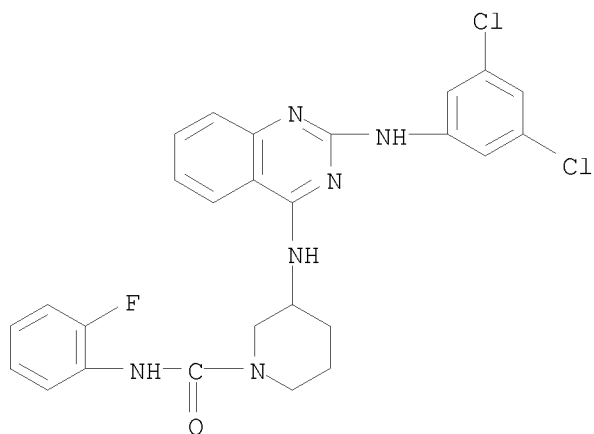


RN 911680-34-7 CAPLUS
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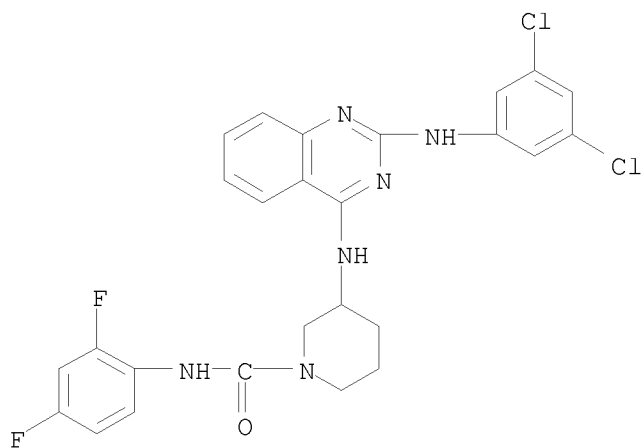
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10/562,112



RN 911680-45-0 CAPLUS

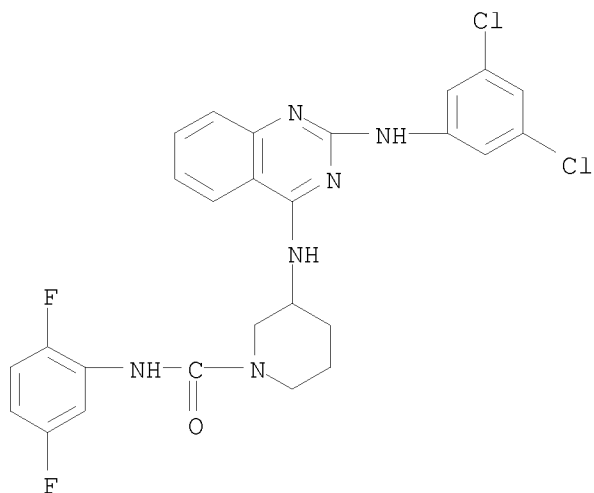
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RN 911680-46-1 CAPLUS

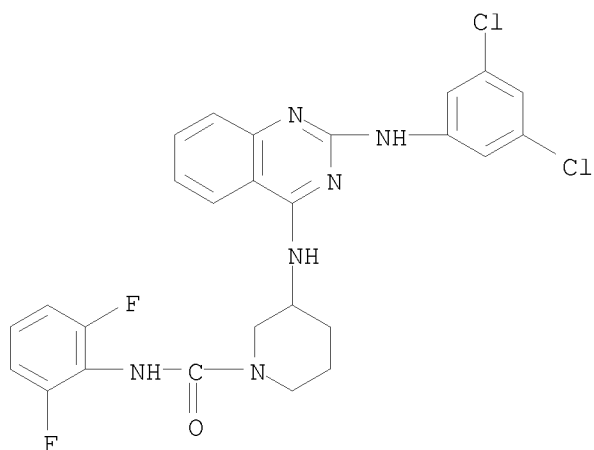
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10/562,112



RN 911680-47-2 CAPLUS

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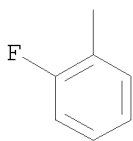
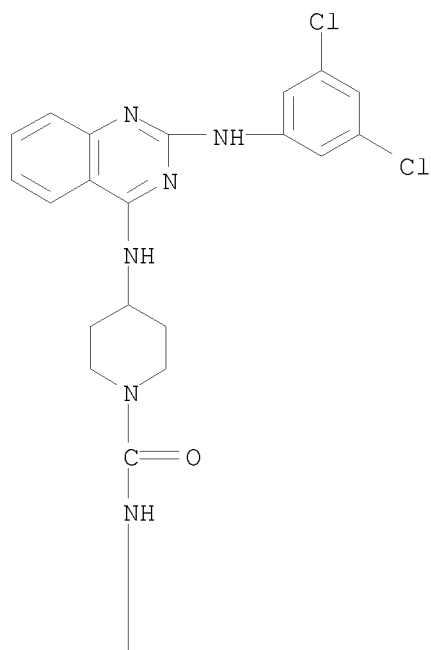
IT 911679-67-9P 911680-05-2P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

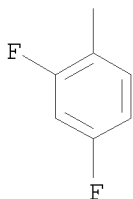
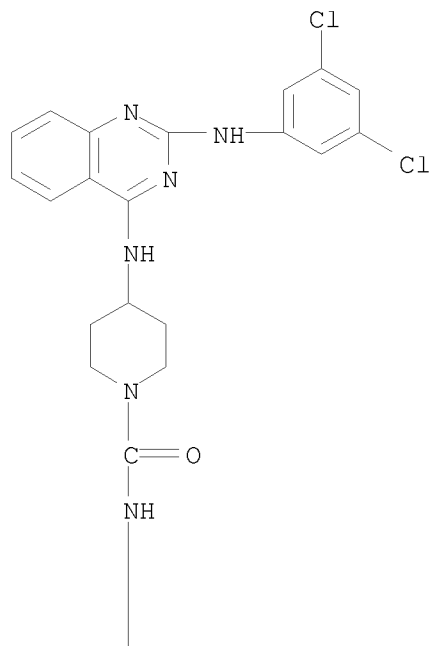
(preparation of diaminoquinazolines as insecticides)

RN 911679-67-9 CAPLUS

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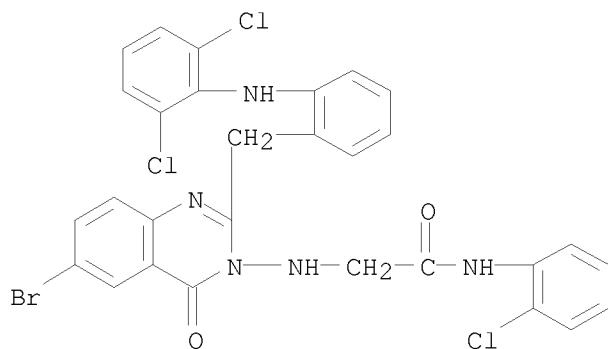
RN 911680-05-2 CAPLUS
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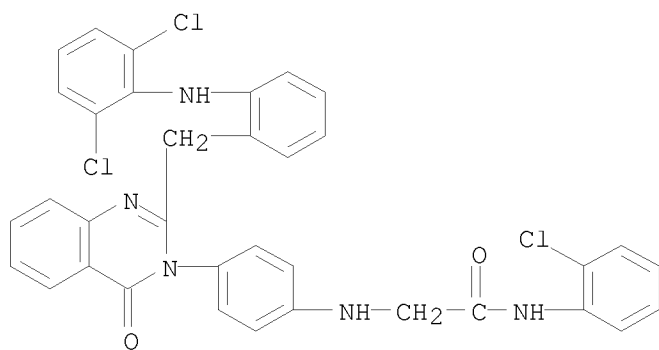
L3 ANSWER 45 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:992108 CAPLUS
DOCUMENT NUMBER: 147:235107
TITLE: Quinazolin-4(3H)-ones of
2-[(2',6'-dichlorophenyl)amino]phenyl acetic acid with
substituted aryl acetamide and their microbial studies
AUTHOR(S): Patel, N. B.; Chaudhari, R. C.
CORPORATE SOURCE: Department of Chemistry, Veer Narmad South Gujarat
University, Surat, 395 007, India
SOURCE: Journal of the Indian Chemical Society (2006), 83(8),
838-841
CODEN: JICSAH; ISSN: 0019-4522
PUBLISHER: Indian Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:235107
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB Synthesis and antimicrobial activity of quinazolinones I (X = 1,4-C₆H₄, bond; R = H, 2-NO₂, 3-NO₂, 4-NO₂, 2-Me, 3-Me, 4-Me, 2-MeO, 4-MeO, 2-Cl, 3-Cl, 4-Cl; R₁ = H, Br) were reported from [(2,6-dichlorophenyl)amino]phenylacetic acid and appropriate N-arylacetamides via benzoxazine II (R = H, Br). All the compds. were established on the basis of spectral data (IR, ¹H NMR) and elemental anal.
- IT 945487-18-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and antimicrobial activity of quinazolinones from benzoxazine)
- RN 945487-18-3 CAPLUS
- CN Acetamide, 2-[[6-bromo-2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-4-oxo-3(4H)-quinazolinyl]amino]-N-(2-chlorophenyl)- (CA INDEX NAME)



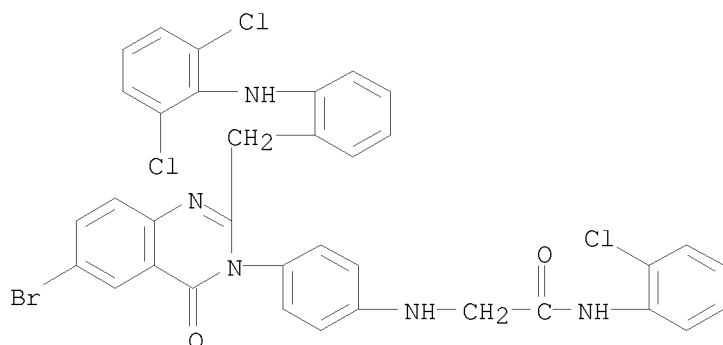
- IT 945486-82-8P 945486-94-2P 945487-06-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and antimicrobial activity of quinazolinones from benzoxazine)
- RN 945486-82-8 CAPLUS
- CN Acetamide, N-(2-chlorophenyl)-2-[[4-[2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-4-oxo-3(4H)-quinazolinyl]phenyl]amino]- (CA INDEX NAME)



- RN 945486-94-2 CAPLUS
- CN Acetamide, 2-[[4-[6-bromo-2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-

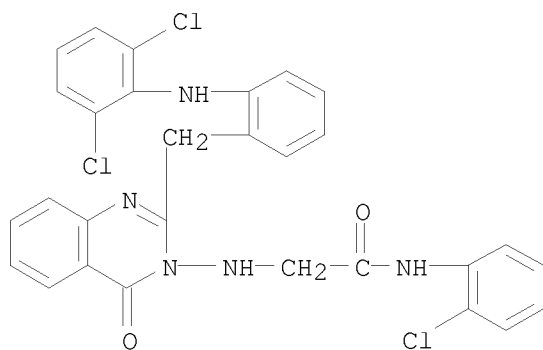
10/562,112

4-oxo-3(4H)-quinazolinyl]phenyl]amino]-N-(2-chlorophenyl)- (CA INDEX NAME)



RN 945487-06-9 CAPLUS

CN Acetamide, N-(2-chlorophenyl)-2-[[2-[[2-[(2,6-dichlorophenyl)amino]phenyl]methyl]-4-oxo-3(4H)-quinazolinyl]amino]-3-bromophenyl]methyl] (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 46 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:932784 CAPLUS

DOCUMENT NUMBER: 147:301069

TITLE: Synthesis and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon, and sulfur nucleophiles

AUTHOR(S): Abdel-Rahman, T. M.; El-Hashash, M. A.; El-Badry, Y. A.

CORPORATE SOURCE: Faculty of Specific Education, Ain Shams University, Cairo, Egypt

SOURCE: Egyptian Journal of Chemistry (2005), 48(6), 679-693
CODEN: EGJCA3; ISSN: 0449-2285

PUBLISHER: National Information and Documentation Centre

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:301069

AB 3-(6,8-Dibromo-4-oxo-4H-benzo[d][1,3]oxazin-2-yl)acrylic acid (I) was synthesized and allowed to react with some nitrogen nucleophiles to afford 3-substituted quinazolinones and benzamide derivs.

3-(6,8-Dibromo-3-hydroxy-4-oxo-3,4-dihydroquinazolin-2-yl)acrylic acid was subjected to acylation and alkylation. Also, 3-(6,8-dibromo-3-(2-hydroxyethyl)-4-oxo-3,4-dihydroquinazolin-2-yl)acrylic acid was used to alkylate some aromatic systems. Treatment of I with o-phenylenediamine in different solvents under different conditions furnished a substituted benzamide and 3-substituted quinazolinone. I was converted to 4(3H)-quinazolinone by treatment with formamide and/or ammonium acetate which was alkylated with Et chloroacetate and treated with hydrazine hydrate to produced the hydrazide. Interaction of I with hydrazine hydrate gave an unexpected fused quinazolinone, which was confirmed by its interaction with acid chlorides. Oxazinone ring cleavage occurred by the use of active methylene containing compds. under different conditions.

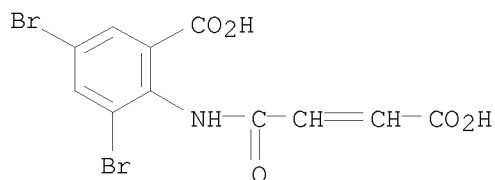
IT 934242-55-4P 934242-80-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon, and sulfur nucleophiles)

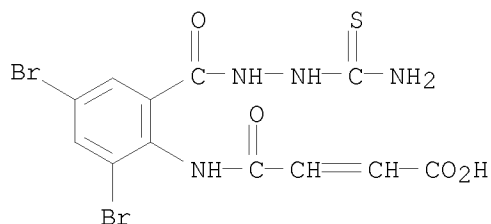
RN 934242-55-4 CAPLUS

CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]- (CA INDEX NAME)



RN 934242-80-5 CAPLUS

CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]-, 1-[2-(aminothioxomethyl)hydrazide] (CA INDEX NAME)



IT 934242-60-1P 934242-67-8P 934242-75-8P

934242-76-9P 934242-77-0P 934242-78-1P

934242-81-6P 947185-08-2P

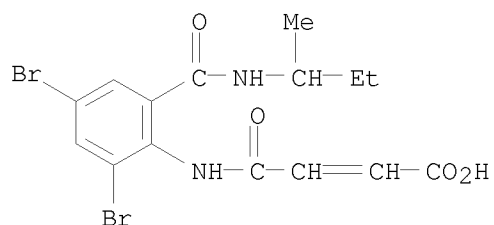
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon, and sulfur nucleophiles)

RN 934242-60-1 CAPLUS

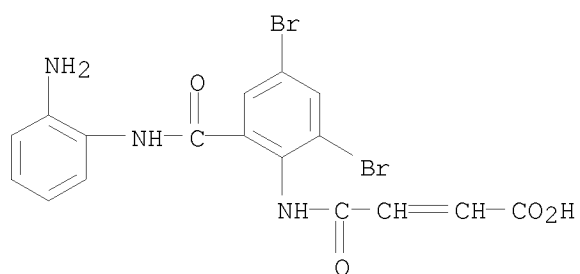
CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[[[(1-methylpropyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)

10/562,112



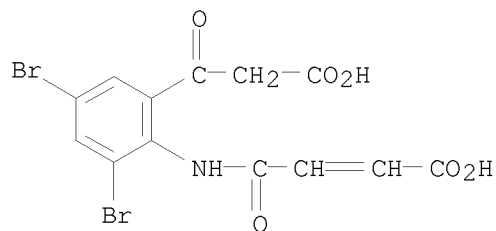
RN 934242-67-8 CAPLUS

CN 2-Butenoic acid, 4-[[2-[[[(2-aminophenyl)amino]carbonyl]-4,6-dibromophenyl]amino]-4-oxo- (CA INDEX NAME)



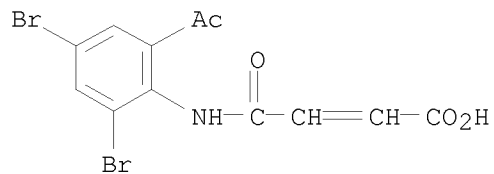
RN 934242-75-8 CAPLUS

CN Benzenepropanoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]-β-oxo- (CA INDEX NAME)



RN 934242-76-9 CAPLUS

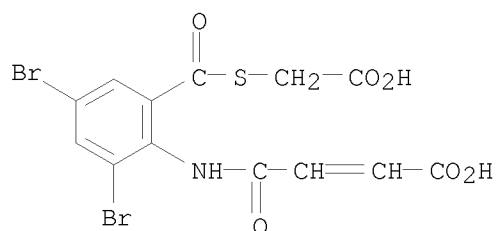
CN 2-Butenoic acid, 4-[(2-acetyl-4,6-dibromophenyl)amino]-4-oxo- (CA INDEX NAME)



RN 934242-77-0 CAPLUS

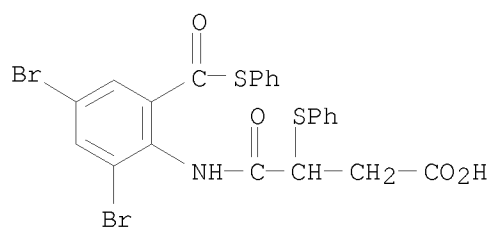
CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(carboxymethyl)thio]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)

10/562,112



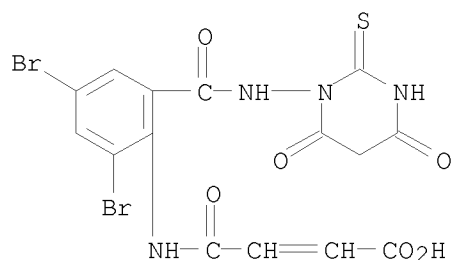
RN 934242-78-1 CAPLUS

CN Butanoic acid, 4-[[2,4-dibromo-6-[(phenylthio)carbonyl]phenyl]amino]-4-oxo-3-(phenylthio)- (CA INDEX NAME)



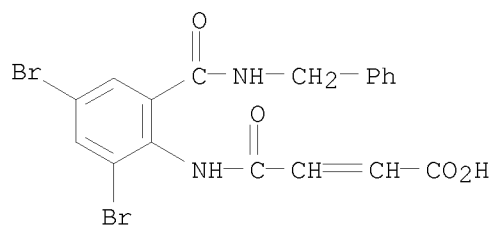
RN 934242-81-6 CAPLUS

CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[[tetrahydro-4,6-dioxo-2-thioxo-1(2H)-pyrimidinyl]amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



RN 947185-08-2 CAPLUS

CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[[phenylmethyl]amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



REFERENCE COUNT:

23

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 47 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:704403 CAPLUS
DOCUMENT NUMBER: 146:401924
TITLE: The synthesis of some new quinazolone
derivatives of potential biological activity
AUTHOR(S): El-Barbary, A. A.; Abou El-Ezz, A. Z.; Sharaf, A. M.;
Nielsen, C.
CORPORATE SOURCE: Chemistry Department, Tanta University, Tanta, Egypt
SOURCE: Phosphorus, Sulfur and Silicon and the Related
Elements (2006), 181(8), 1895-1912
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Taylor & Francis, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:401924
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

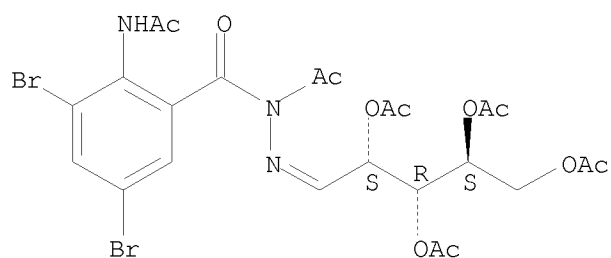
AB The refluxing of 3-amino-6,8-dibromo-2-thioxo-2,3-dihydro-1H-quinazolin-4-one (I) with Et chloroformate and/or Et chloroacetate afforded compds. (II; R = CO₂Et, CH₂CO₂Et). The reaction of I with Et bromobutyrate, chloroacetyl chloride, phenacyl chloride, and Ph isocyanate yielded compds. II [R = CH(Et)CO₂Et, COCH₂Cl, CH₂COPh] and (III), resp. The coupling of I with 2,3,4,6-tetra-O-acetyl- α -D-glucopyranosyl bromide (ABG) in DMF at room temperature gave 3-amino-6,8-dibromo-2-(2',3',4',6'-tetra-O-acetyl- β -D-glucopyranosyl)thioxo-2,3-dihydro-1H-quinazolin-4-one (IV; R = Ac). The deblocking of IV (R = Ac) in sodium methoxide gave I instead of the expected IV (R = H). 3-Amino-6,8-dibromo-2-methylthio-3H-quinazolin-4-one II (R = Me) was prepared by stirring I with Me iodide in methanol. The treatment of II (R = Me) with hydrazine hydrate afforded (V). The condensation of V with aldehydes furnished 3,5-dibromo-2-arylaminobenzoic acid hydrazide (VI; Ar = Ph, 4-methoxyphenyl, 2-nitrophenyl). The refluxing of VI (Ar = Ph) with acetic anhydride gave 3-(benzylideneamino)-6,8-dibromo-2-methyl-3H-quinazolin-4-one (VII). Hydrazones (VIII; R = L-arabino-Q, D-ribo-Q1, D-xylo-Q2, D-glucosyl-Q3, D-galactosyl-Q4, D-mannosyl-Q5; Z = H) were prepared by the condensation of V with pentoses and/or hexoses. The acetylation of VIII (R = Q, Q1, Q2, Q3, Q4, Q5; Z = H) with acetic anhydride gave the acetyl derivs. VIII (R = Q, Q1, Q2, Q3, Q4, Q5; Z = Ac).

IT 933453-25-9P 933453-26-0P 933453-27-1P
933453-28-2P 933453-29-3P 933453-30-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of new quinazolone derivs. of potential biol.
activity)

RN 933453-25-9 CAPLUS
CN L-Arabinose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,
2,3,4,5-tetraacetate (CA INDEX NAME)

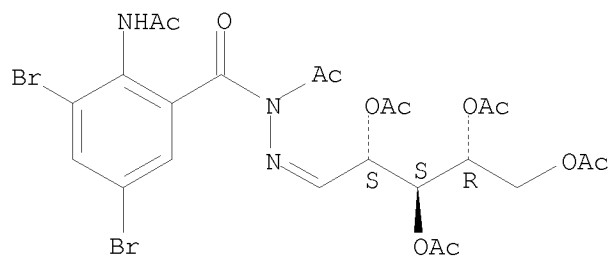
Absolute stereochemistry.
Double bond geometry unknown.

10/562,112



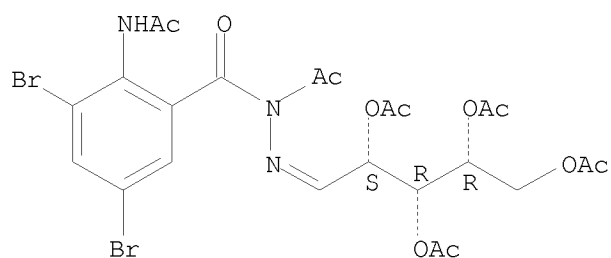
RN 933453-26-0 CAPLUS
CN D-Ribose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,
2,3,4,5-tetraacetate (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



RN 933453-27-1 CAPLUS
CN D-Xylose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,
2,3,4,5-tetraacetate (CA INDEX NAME)

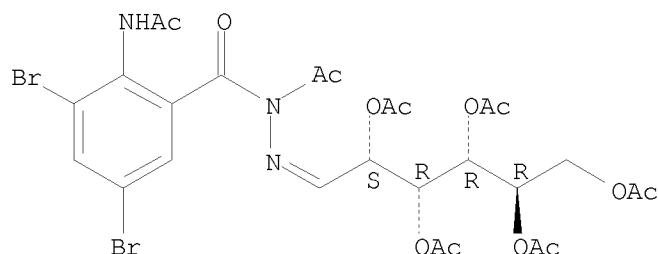
Absolute stereochemistry.
Double bond geometry unknown.



RN 933453-28-2 CAPLUS
CN D-Glucose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,
2,3,4,5,6-pentaacetate (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

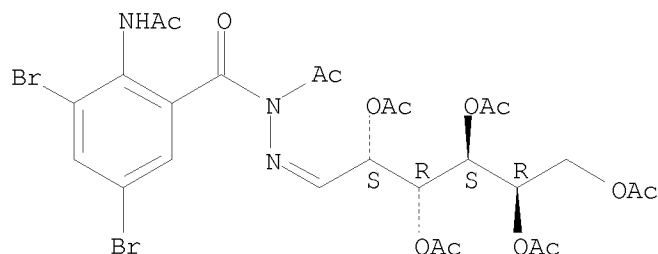
10/562,112



RN 933453-29-3 CAPLUS

CN D-Galactose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,
2,3,4,5,6-pentaacetate (CA INDEX NAME)

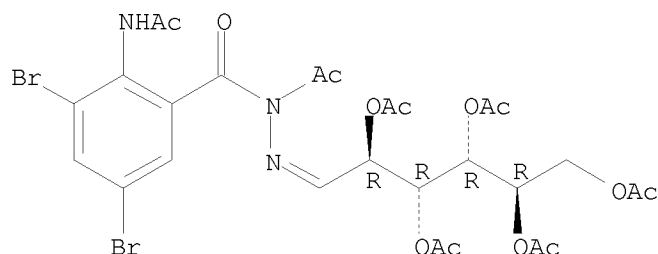
Absolute stereochemistry.
Double bond geometry unknown.



RN 933453-30-6 CAPLUS

CN D-Mannose, 2-acetyl-2-[2-(acetylamino)-3,5-dibromobenzoyl]hydrazone,
2,3,4,5,6-pentaacetate (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 48 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:693873 CAPLUS

DOCUMENT NUMBER: 145:249169

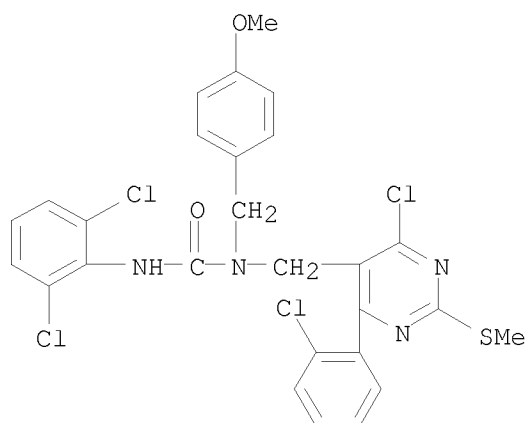
TITLE: p38 MAP kinase inhibitors. Part 3: SAR on
3,4-dihydropyrimido[4,5-d]pyrimidin-2-ones and
3,4-dihydropyrido[4,3-d]pyrimidin-2-ones

AUTHOR(S): Natarajan, Swaminathan R.; Wisnoski, David D.;
Thompson, James E.; O'Neill, Edward A.; O'Keefe,
Stephen J.

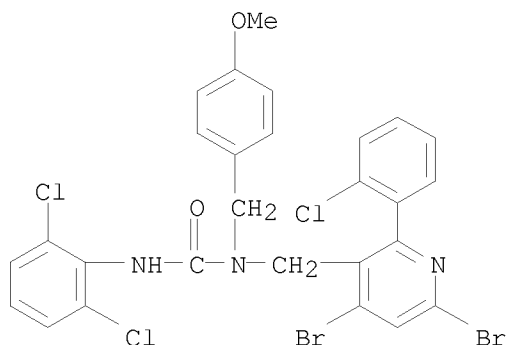
CORPORATE SOURCE: Department of Medicinal Chemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
 SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(16), 4400-4404
 CODEN: BMCLE8; ISSN: 0960-894X
 PUBLISHER: Elsevier B.V.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 145:249169
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB P38 inhibitors based on 3,4-dihydropyrimido[4,5-d]pyrimidin-2-one and 3,4-dihydropyrido[4,3-d]pyrimidin-2-one platforms were synthesized and preliminary SAR explored. Among the pyrimido-pyrimidones, the emergence of two sub-types of analogs, C7-amino-pyrimidines such as I and C7-amino-piperidines such as II characterized with good p38 inhibition and better off-target profiles in terms of ion channel activities, was significant. Representative compound III in the pyrido-pyrimidone class was found to be equipotent with corresponding analog in the quinazolinone series.
- IT 906462-80-4P 906463-09-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and biol. activities of 3,4-dihydropyrimido[4,5-d]pyrimidin-2-ones and 3,4-dihydropyrido[4,3-d]pyrimidin-2-one as p38 MAP kinase inhibitors)
- RN 906462-80-4 CAPLUS
- CN Urea, N-[[4-chloro-6-(2-chlorophenyl)-2-(methylthio)-5-pyrimidinyl]methyl]-N'-(2,6-dichlorophenyl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



- RN 906463-09-0 CAPLUS
- CN Urea, N-[[4,6-dibromo-2-(2-chlorophenyl)-3-pyridinyl]methyl]-N'-(2,6-dichlorophenyl)-N-[(4-methoxyphenyl)methyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 49 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:689576 CAPLUS

DOCUMENT NUMBER: 146:421942

TITLE: Synthesis and behavior of 2-carboxyvinyl-6,8-dibromo-4H-3,1-benzoxazin-4-one towards nitrogen, carbon and sulphur nucleophiles

AUTHOR(S): El-Hashash, M. A.; Abdel-Rahman, T. M.; El-Badry, Y. A.

CORPORATE SOURCE: Faculty of Science, Ain Shams University, Cairo, Egypt
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2006), 45B(6), 1470-1477

CODEN: IJSBDB; ISSN: 0376-4699

PUBLISHER: National Institute of Science Communication and Information Resources

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:421942

AB 3-(6,8-Dibromo-4-oxo-4H-3,1-benzoxazin-2-yl)-2-propenoic acid (I) is synthesized and allowed to react with some nitrogen nucleophiles namely, p-toluidine, hydroxylamine hydrochloride, ethanolamine, and glycine and affords 3-substituted quinazolinones, while with isobutylamine and benzylamine results benzamide derivs. Treatment of benzoxazinone I with o-phenylenediamine in different solvents under different conditions affords substituted benzamide and 3-substituted quinazolinone derivative

IT 934242-55-4P 934242-80-5P

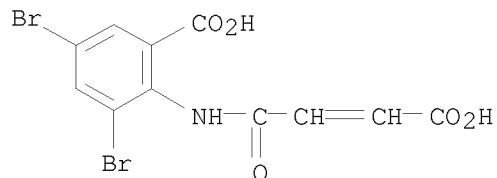
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of [dibromo(oxo)benzoxazinyl]propenoic acid and study if its reaction with carbon, nitrogen and sulfur nucleophiles)

RN 934242-55-4 CAPLUS

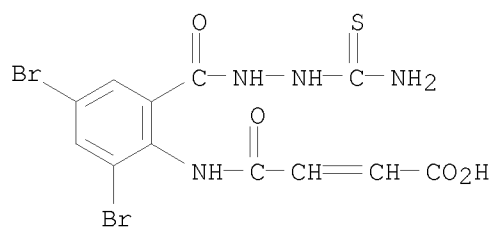
CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]- (CA INDEX NAME)

10/562,112



RN 934242-80-5 CAPLUS

CN Benzoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]-, 1-[2-(aminothioxomethyl)hydrazide] (CA INDEX NAME)



IT 934242-60-1P 934242-61-2P 934242-67-8P

934242-75-8P 934242-76-9P 934242-77-0P

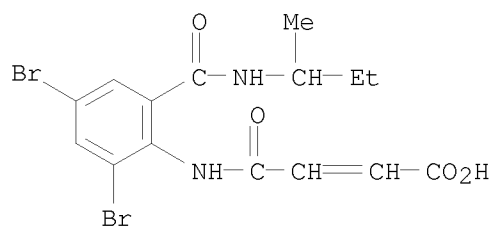
934242-78-1P 934242-81-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of [dibromo(oxo)benzoxaziny]propenoic acid and study if its reaction with carbon, nitrogen and sulfur nucleophiles)

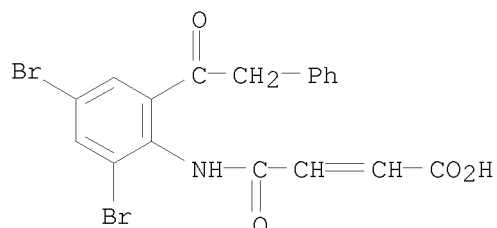
RN 934242-60-1 CAPLUS

CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(1-methylpropyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



RN 934242-61-2 CAPLUS

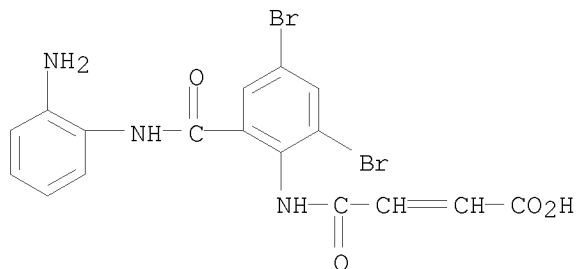
CN 2-Butenoic acid, 4-[[2,4-dibromo-6-(2-phenylacetyl)phenyl]amino]-4-oxo- (CA INDEX NAME)



10/562,112

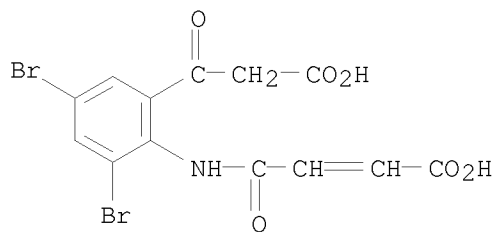
RN 934242-67-8 CAPLUS

CN 2-Butenoic acid, 4-[[2-[[[(2-aminophenyl)amino]carbonyl]-4,6-dibromophenyl]amino]-4-oxo- (CA INDEX NAME)



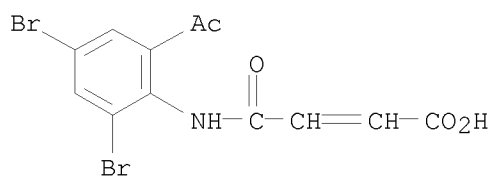
RN 934242-75-8 CAPLUS

CN Benzenepropanoic acid, 3,5-dibromo-2-[(3-carboxy-1-oxo-2-propen-1-yl)amino]- β -oxo- (CA INDEX NAME)



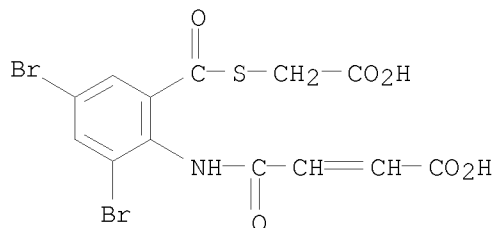
RN 934242-76-9 CAPLUS

CN 2-Butenoic acid, 4-[(2-acetyl-4,6-dibromophenyl)amino]-4-oxo- (CA INDEX NAME)



RN 934242-77-0 CAPLUS

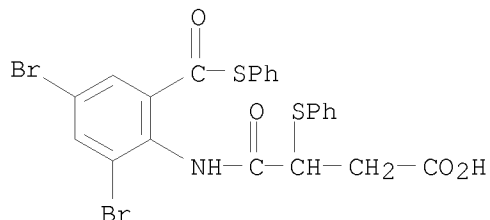
CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[(carboxymethyl)thio]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



10/562,112

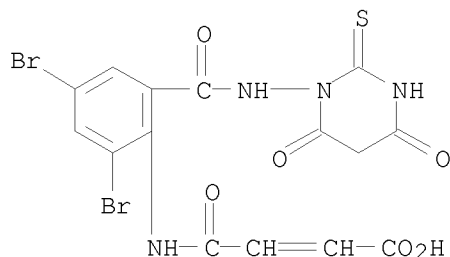
RN 934242-78-1 CAPLUS

CN Butanoic acid, 4-[[2,4-dibromo-6-[(phenylthio)carbonyl]phenyl]amino]-4-oxo-3-(phenylthio)- (CA INDEX NAME)



RN 934242-81-6 CAPLUS

CN 2-Butenoic acid, 4-[[2,4-dibromo-6-[[[(tetrahydro-4,6-dioxo-2-thioxo-1(2H)-pyrimidinyl)amino]carbonyl]phenyl]amino]-4-oxo- (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 50 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:655575 CAPLUS

DOCUMENT NUMBER: 145:124558

TITLE: Preparation of pyrazolyl phenyl ureas as enzyme modulators

INVENTOR(S): Flynn, Daniel L.; Petillo, Peter A.

PATENT ASSIGNEE(S): Deciphera Pharmaceuticals, LLC, USA

SOURCE: PCT Int. Appl., 974 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2006071940 | A2 | 20060706 | WO 2005-US47270 | 20051223 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, | | | |

CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM

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|----------------|----|----------|-----------------|----------|
| AU 2005321946 | A1 | 20060706 | AU 2005-321946 | 20051223 |
| CA 2592118 | A1 | 20060706 | CA 2005-2592118 | 20051223 |
| US 20070078121 | A1 | 20070405 | US 2005-318399 | 20051223 |
| EP 1835934 | A2 | 20070926 | EP 2005-855777 | 20051223 |

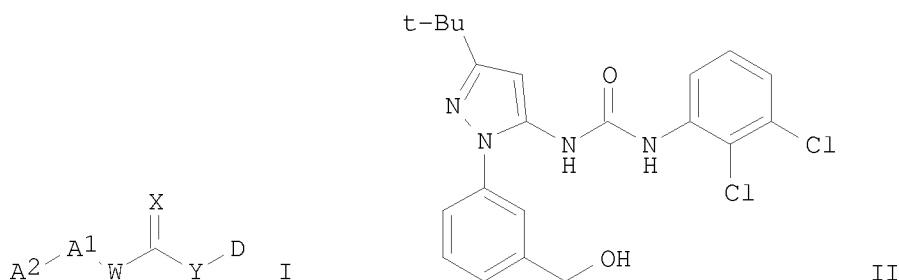
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|----------------|----|----------|----------------|----------|
| JP 2008525498 | T | 20080717 | JP 2007-548595 | 20051223 |
| US 20080113967 | A1 | 20080515 | US 2007-963740 | 20071221 |

PRIORITY APPLN. INFO.:

| | | |
|-----------------|----|----------|
| US 2004-638968P | P | 20041223 |
| US 2004-638986P | P | 20041223 |
| US 2004-638987P | P | 20041223 |
| US 2004-639087P | P | 20041223 |
| US 2005-318399 | B1 | 20051223 |
| WO 2005-US47270 | W | 20051223 |

OTHER SOURCE(S): MARPAT 145:124558
 GI



AB The invention relates to title compds. I [A2 = bicyclic fused aryl, bicyclic fused heteroaryl, and bicyclic fused heterocyclyl, etc.; A1 = pyrazolyl, Ph, pyridyl, pyrimidinyl, etc.; W, Y = CHR4, NR3 or O (wherein W and Y are not simultaneously O); X = O, S or NR3; D = Ph, heteroaryl, heterocyclyl, etc.; R3 = H, alkyl, cycloalkyl, Ph; R4 = H, alkyl, hydroxyalkyl, etc.] which are useful for the treatment of inflammatory conditions, hyperproliferative diseases, cancer, and diseases characterized by hypervascularization. Over 500 compds. I were prepared E.g., a multi-step synthesis of II, starting from m-aminobenzoic acid, was given. Compds. I were tested against various kinases (IC50 values were given for representative compds. I). In a preferred embodiment, modulation of the activation state of p38 kinase protein c-Abl kinase protein, Bcr-Abl kinase protein, B-raf kinase protein, VEGFR kinase protein, or PDGFR kinase protein comprises the step of contacting said kinase protein with the novel compds. I.

IT 897367-25-8P 897367-33-8P 897367-41-8P
 897367-45-2P 897367-56-5P 897367-61-2P
 897367-65-6P 897367-69-0P 897367-71-4P
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 897368-51-3P 897368-59-1P 897368-63-7P
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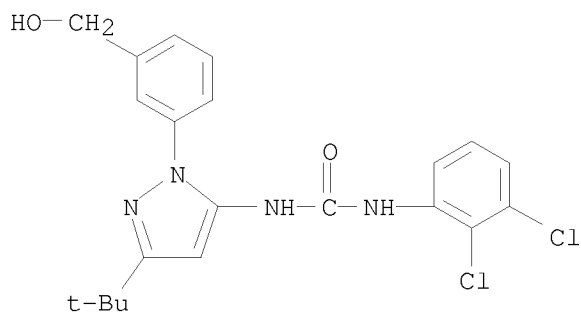
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 897371-22-1P 897371-25-4P 897371-29-8P
 897371-83-4P 897372-39-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer and hyperproliferative diseases)

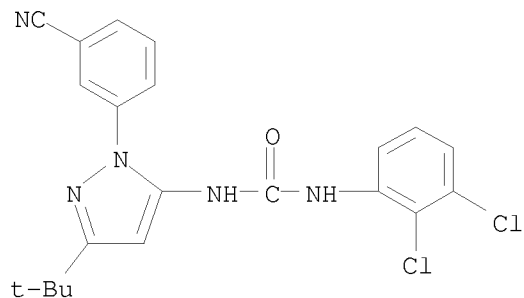
RN 897367-25-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897367-33-8 CAPLUS

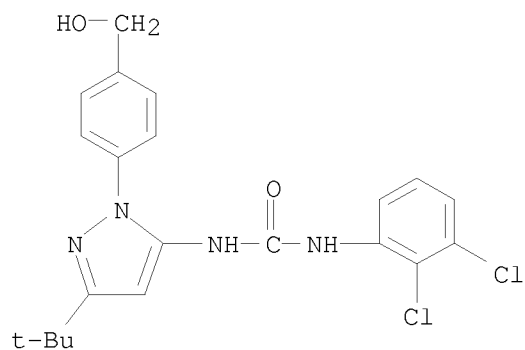
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RN 897367-41-8 CAPLUS

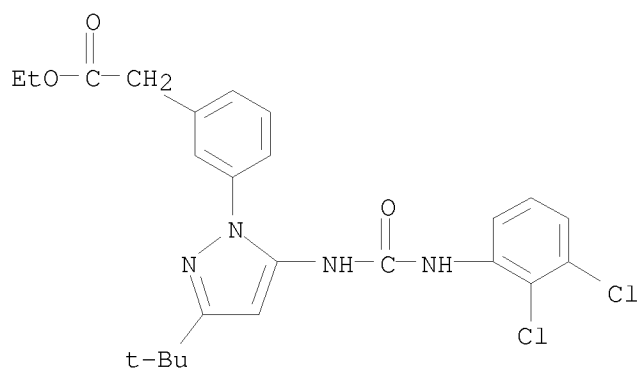
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



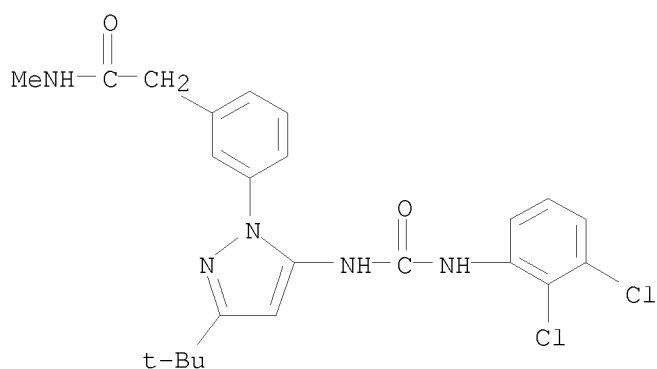
RN 897367-45-2 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897367-56-5 CAPLUS

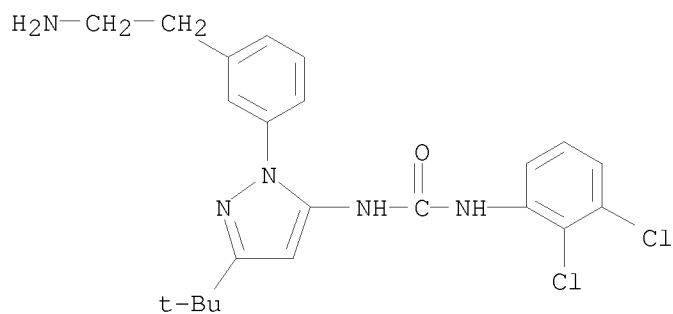
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-methyl- (CA INDEX NAME)



RN 897367-61-2 CAPLUS

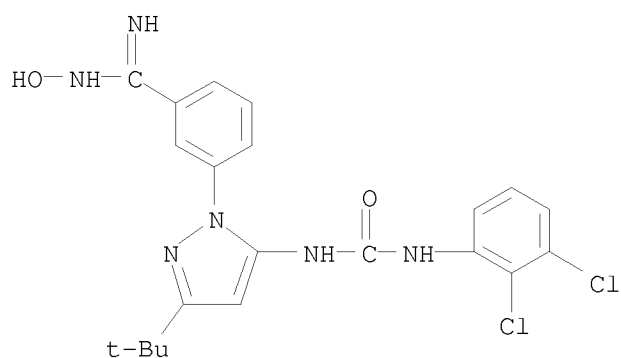
CN Urea, N-[1-[3-(2-aminoethyl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



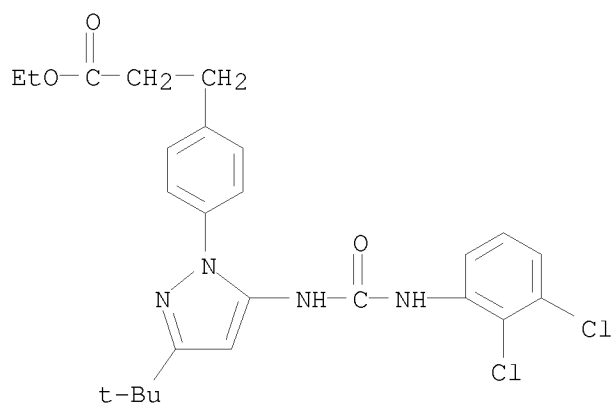
RN 897367-65-6 CAPLUS

CN Benzenecarboximidamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 897367-69-0 CAPLUS

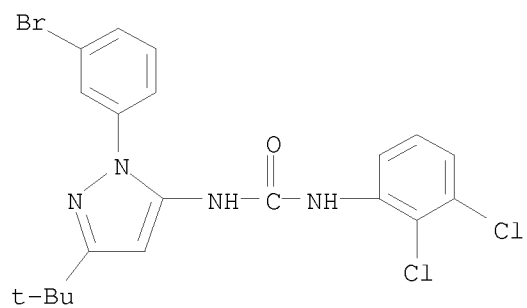
CN Benzenepropanoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897367-71-4 CAPLUS

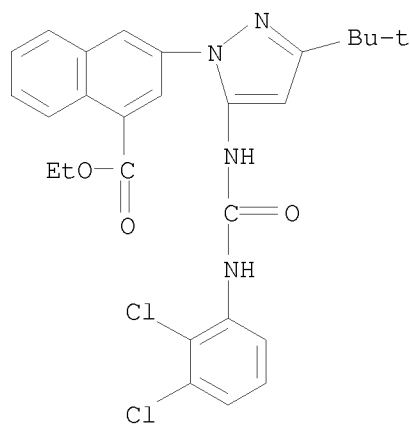
CN Urea, N-[1-(3-bromophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



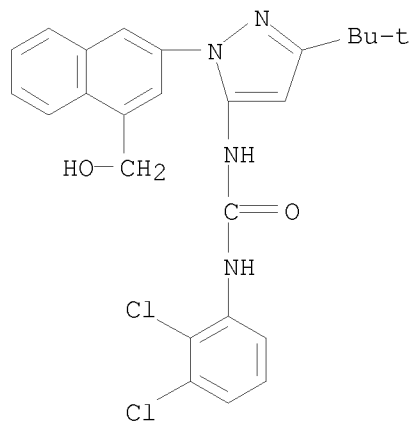
RN 897367-79-2 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897367-81-6 CAPLUS

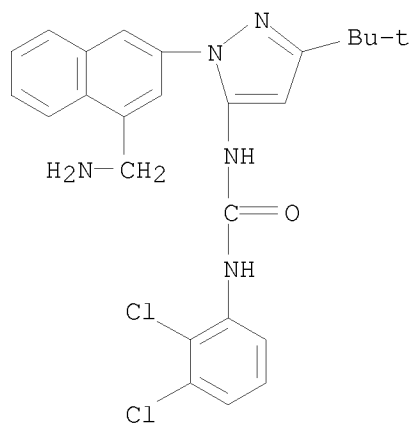
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897367-90-7 CAPLUS

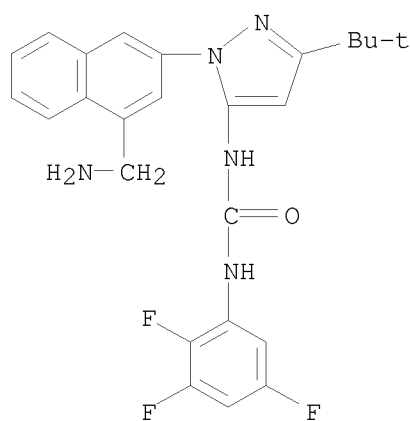
10/562,112

CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897367-91-8 CAPLUS

CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)-, hydrochloride (1:1) (CA INDEX NAME)

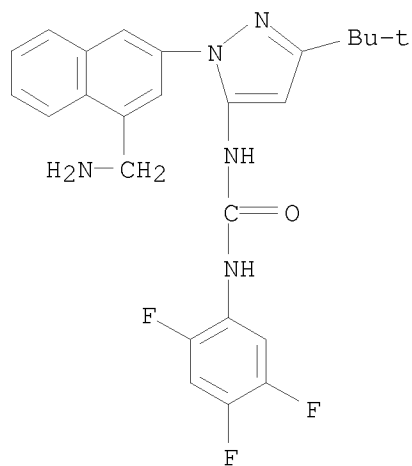


● HCl

RN 897367-92-9 CAPLUS

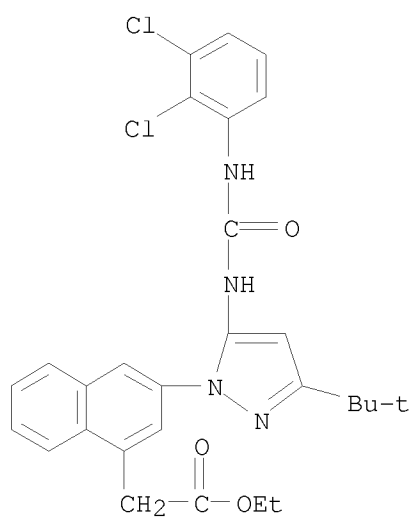
CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897367-97-4 CAPLUS

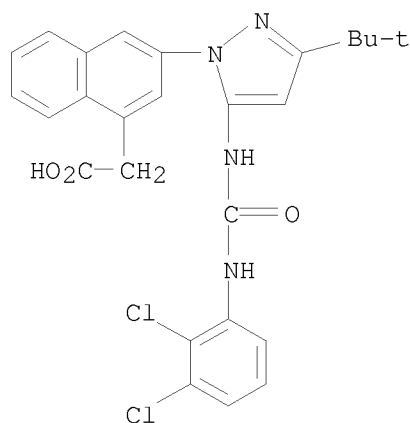
CN 1-Naphthaleneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897367-98-5 CAPLUS

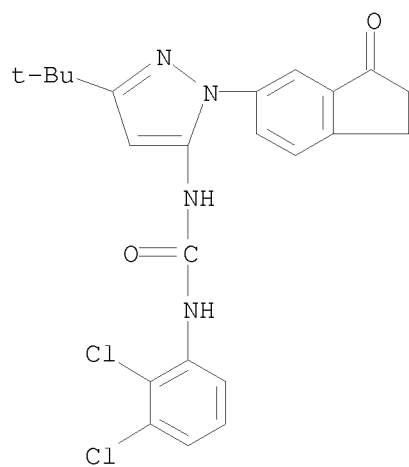
CN 1-Naphthaleneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897368-30-8 CAPLUS

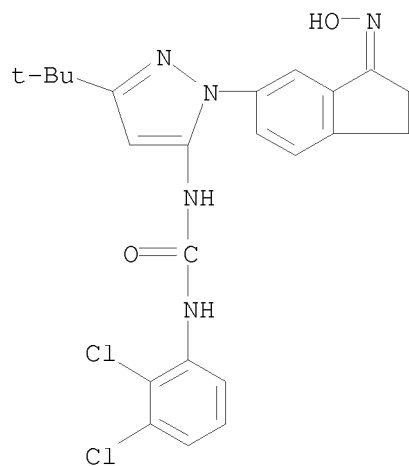
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-3-oxo-1H-inden-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-32-0 CAPLUS

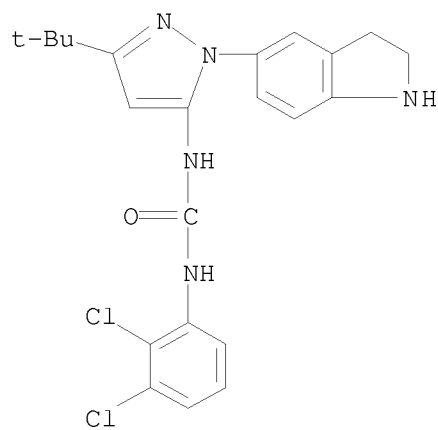
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[2,3-dihydro-3-(hydroxyimino)-1H-inden-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-34-2 CAPLUS

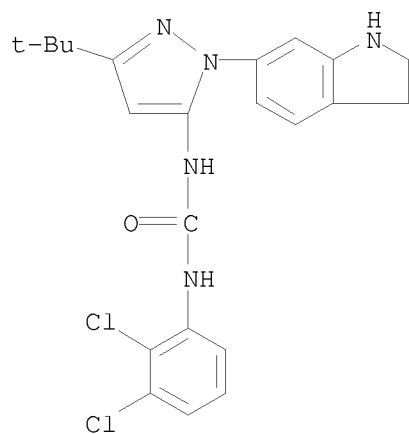
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-40-0 CAPLUS

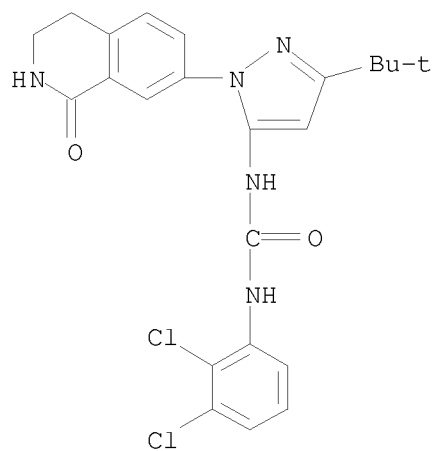
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-1H-indol-6-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-50-2 CAPLUS

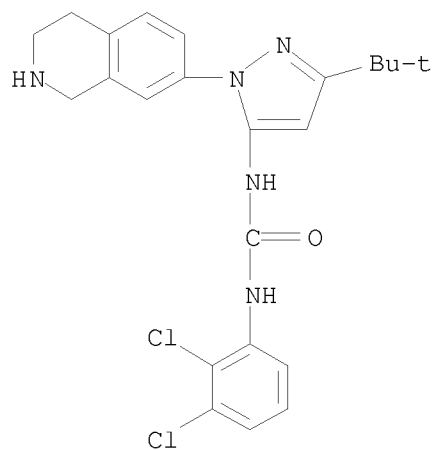
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-51-3 CAPLUS

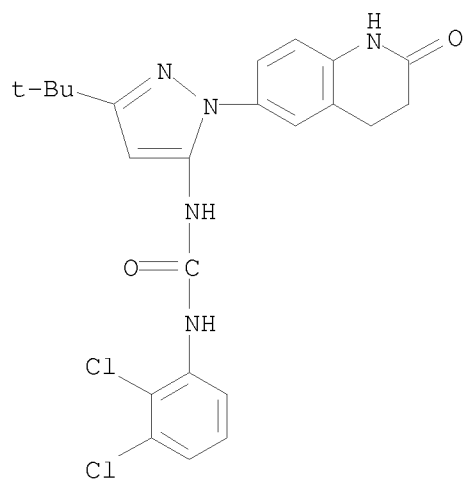
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-59-1 CAPLUS

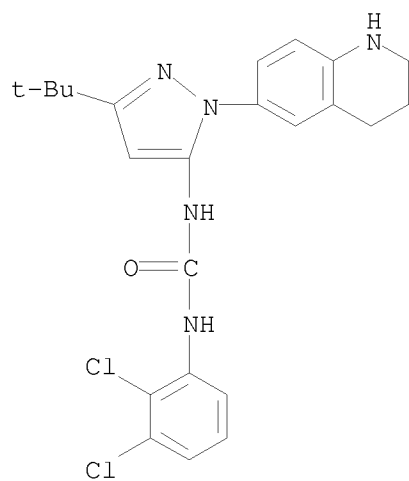
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-63-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-quinolinyl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

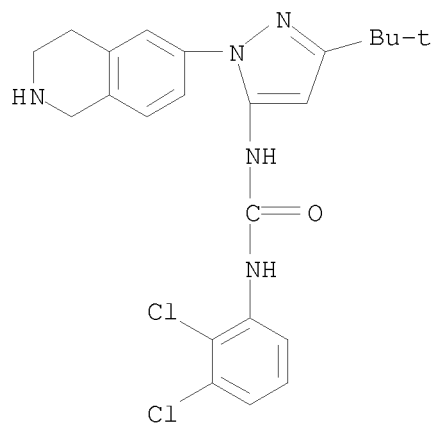
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● HCl

RN 897368-66-0 CAPLUS

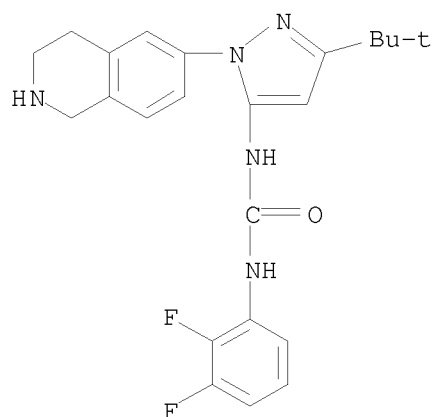
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



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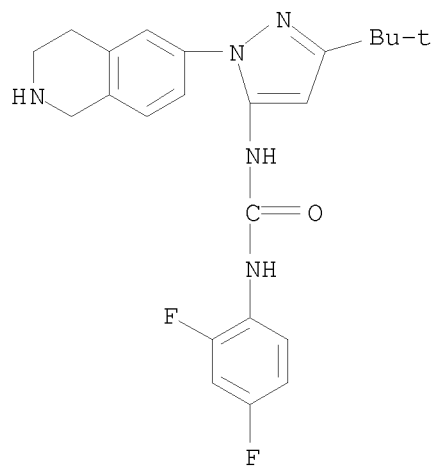
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-73-9 CAPLUS

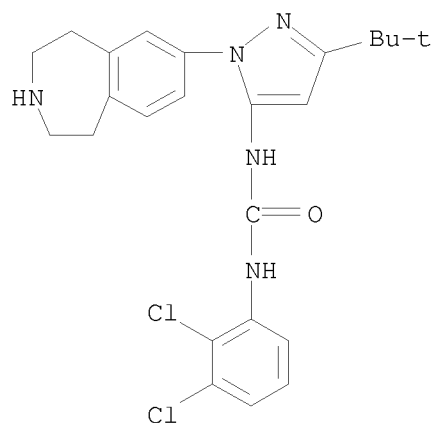
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-95-5 CAPLUS

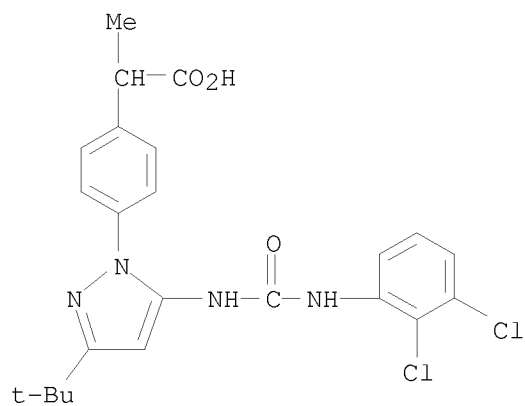
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10/562,112



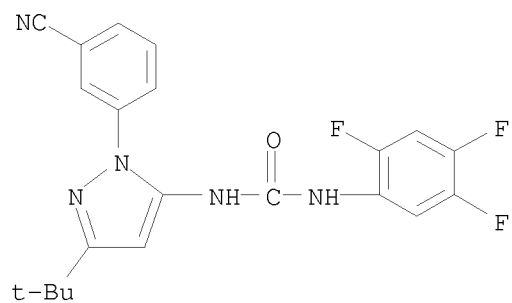
RN 897369-34-5 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



RN 897369-39-0 CAPLUS

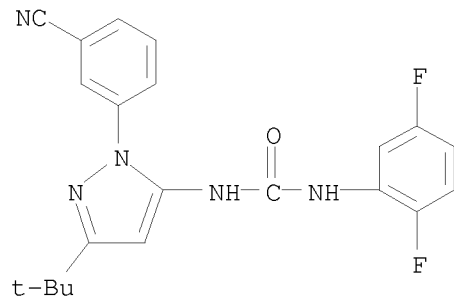
CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



RN 897369-47-0 CAPLUS

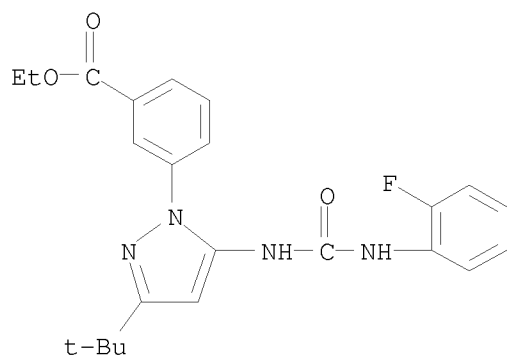
CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,5-difluorophenyl)- (CA INDEX NAME)

10/562,112



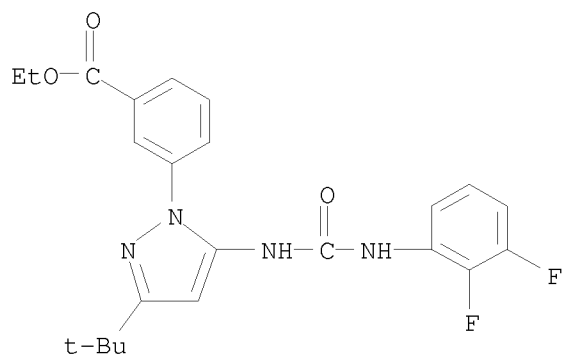
RN 897369-50-5 CAPLUS

CN Benzoic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897369-51-6 CAPLUS

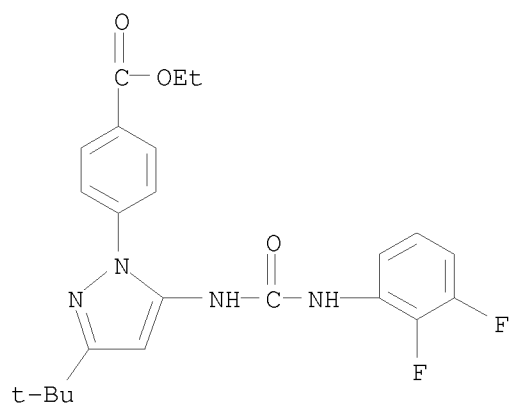
CN Benzoic acid, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



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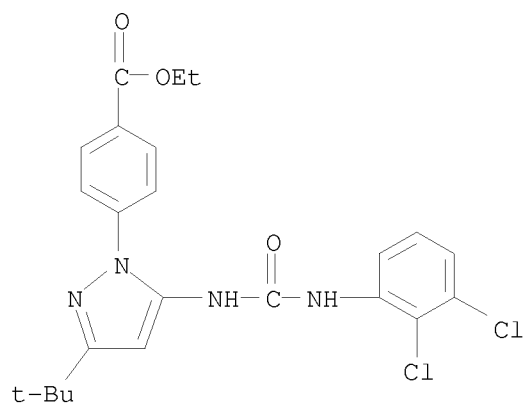
CN Benzoic acid, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

10/562,112



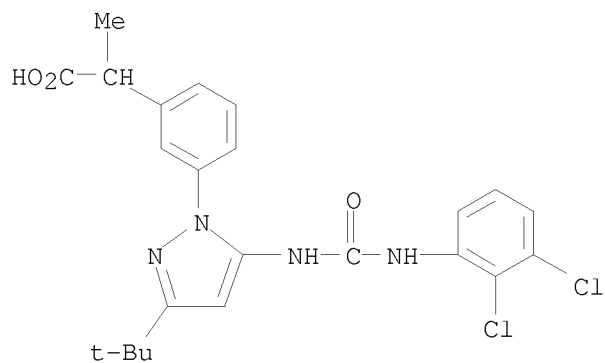
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CN Benzoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897369-81-2 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-alpha-methyl- (CA INDEX NAME)

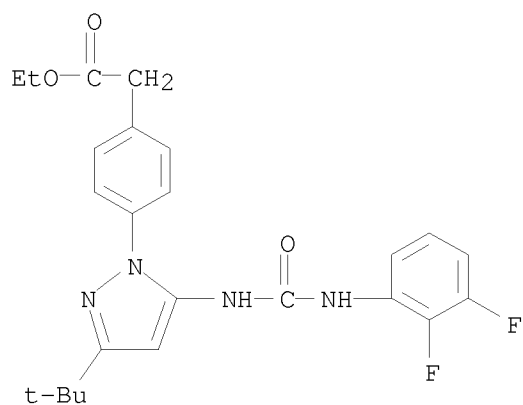


RN 897369-93-6 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

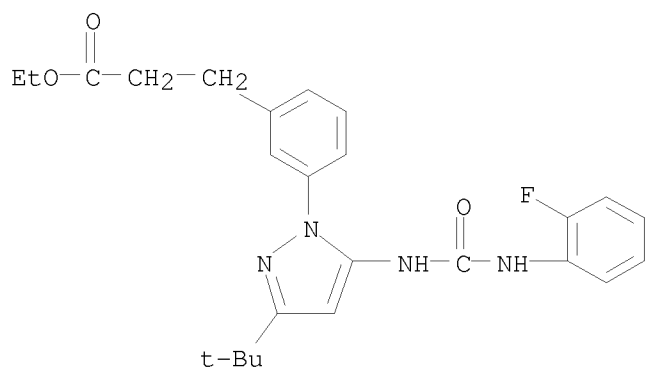
10/562,112

(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



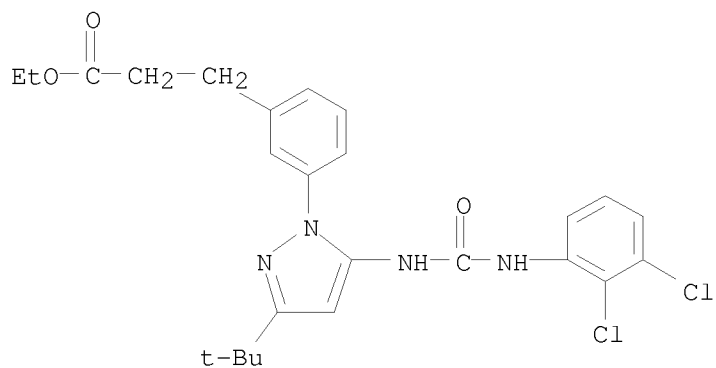
RN 897369-95-8 CAPLUS

CN Benzenepropanoic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897369-96-9 CAPLUS

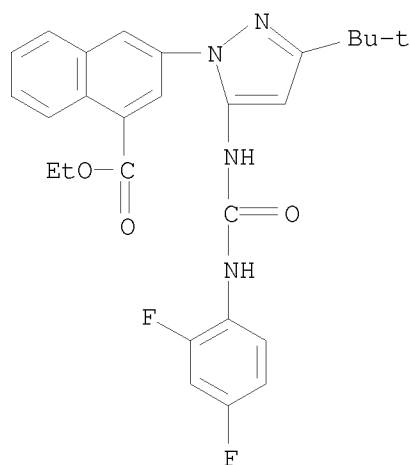
CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



10/562,112

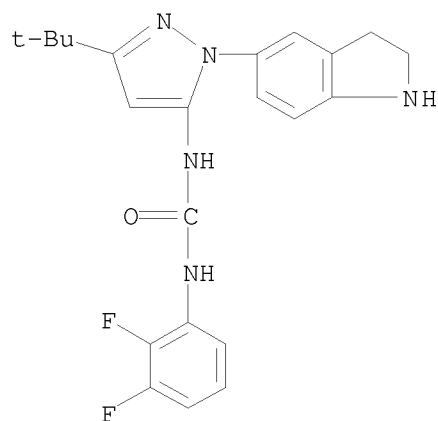
RN 897370-29-5 CAPLUS

CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897370-46-6 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[1-(2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

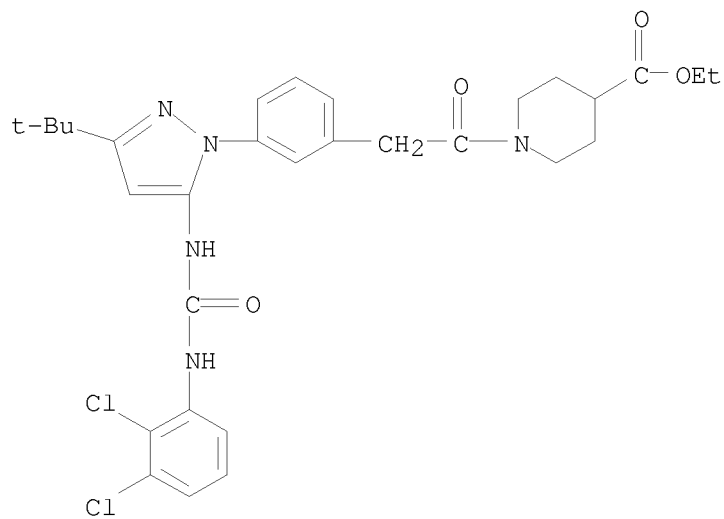


● HCl

RN 897370-83-1 CAPLUS

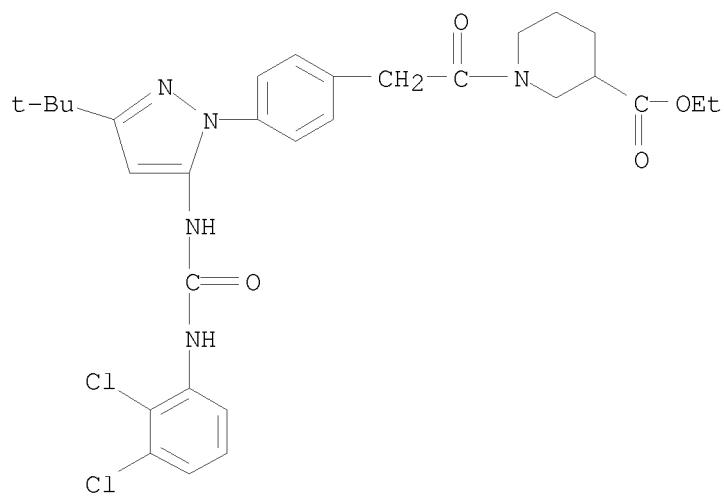
CN 4-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, ethyl ester (CA INDEX NAME)

10/562,112



RN 897370-85-3 CAPLUS

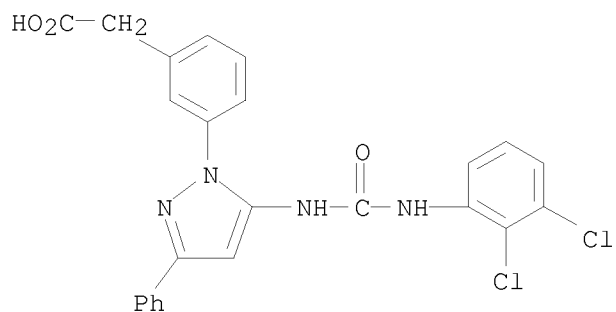
CN 3-Piperidinecarboxylic acid, 1-[2-[4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, ethyl ester (CA INDEX NAME)



RN 897370-90-0 CAPLUS

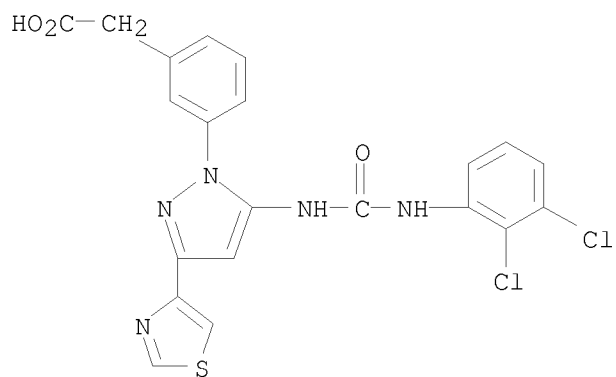
CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



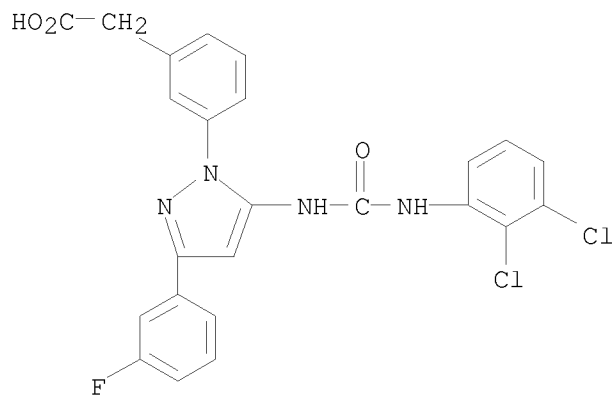
RN 897370-91-1 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897370-92-2 CAPLUS

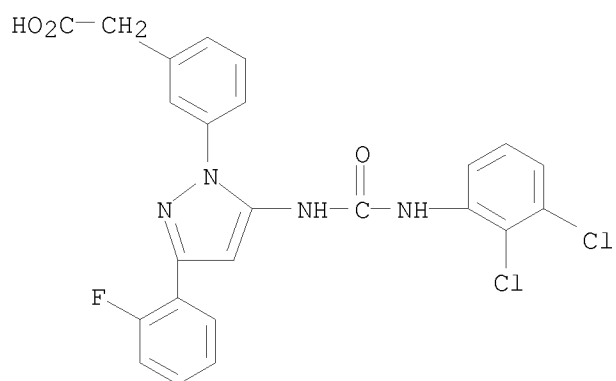
CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897370-93-3 CAPLUS

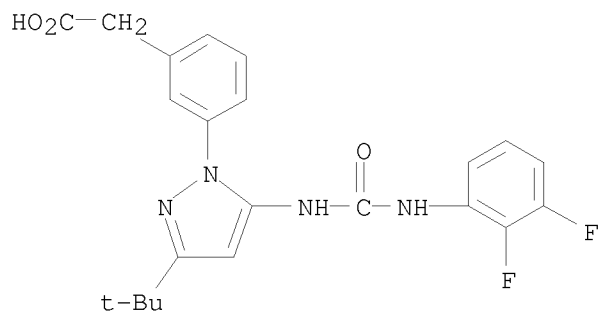
CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



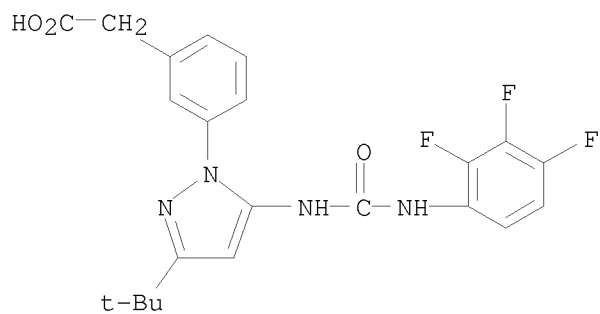
RN 897370-94-4 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897370-95-5 CAPLUS

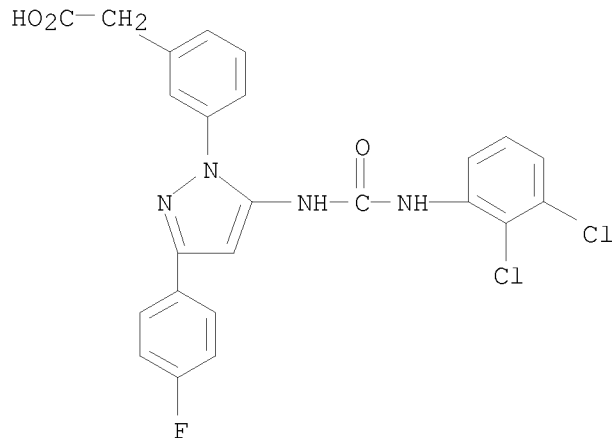
CN Benzeneacetic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897370-97-7 CAPLUS

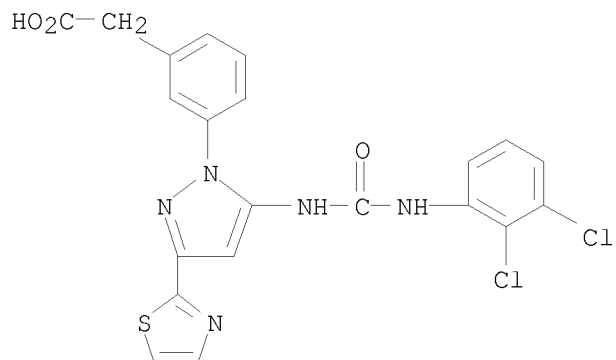
CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



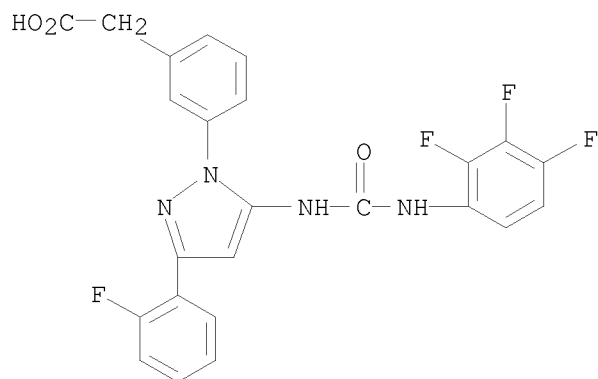
RN 897370-98-8 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-01-6 CAPLUS

CN Benzeneacetic acid, 3-[3-(2-fluorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

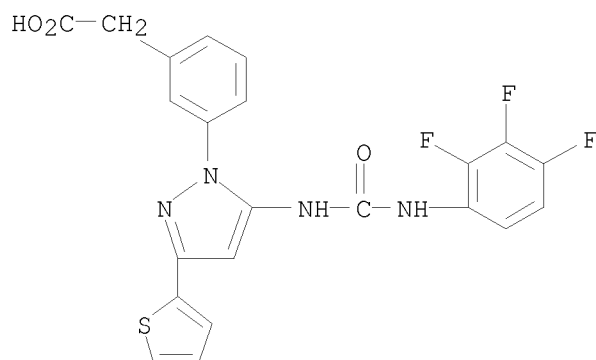


RN 897371-04-9 CAPLUS

CN Benzeneacetic acid, 3-[3-(2-thienyl)-5-[[[(2,3,4-

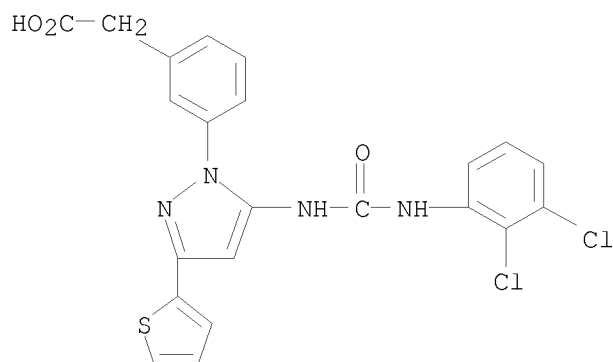
10/562,112

trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



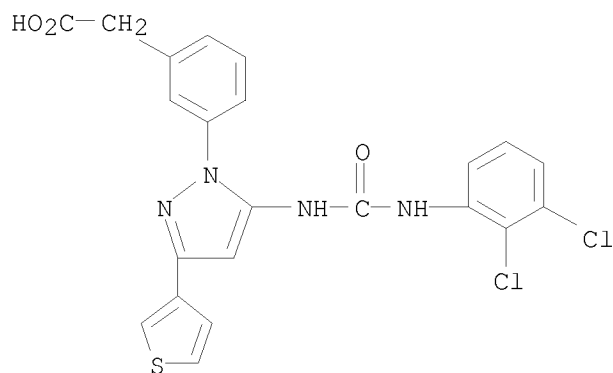
RN 897371-05-0 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-06-1 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

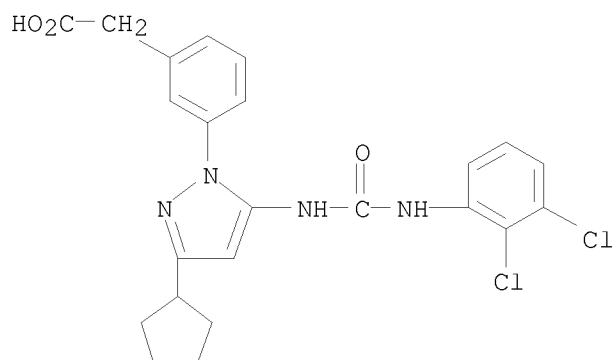


RN 897371-07-2 CAPLUS

CN Benzeneacetic acid, 3-[3-cyclopentyl-5-[[[(2,3-

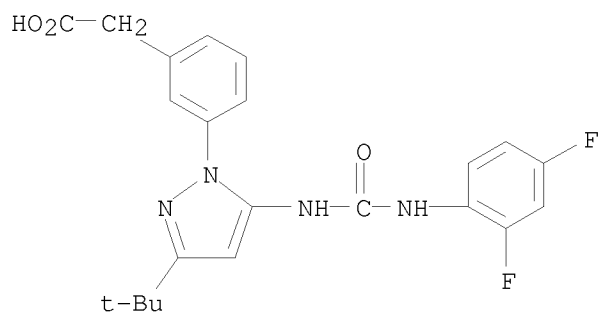
10/562,112

dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



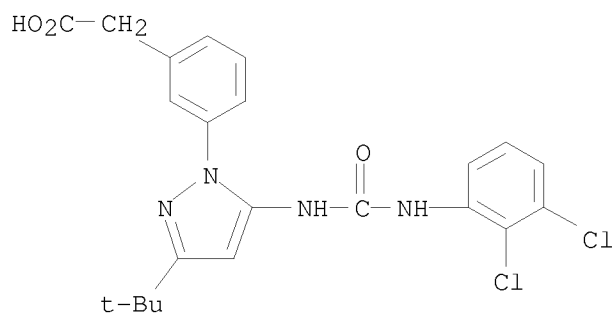
RN 897371-08-3 CAPLUS

CN Benzeneacetic acid, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-12-9 CAPLUS

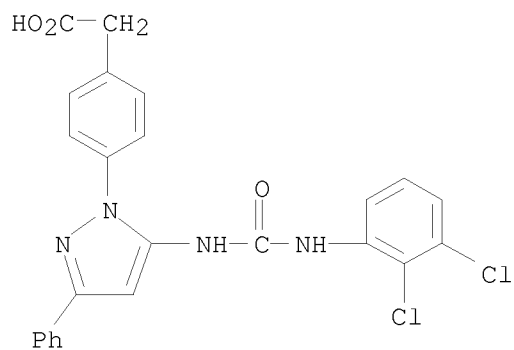
CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-14-1 CAPLUS

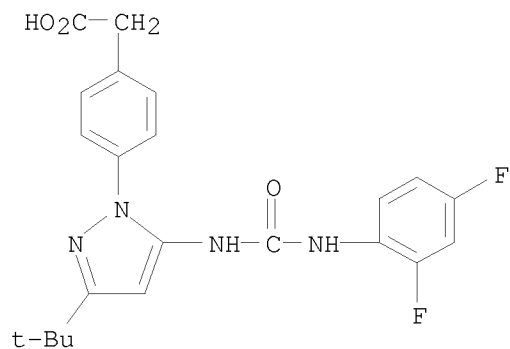
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



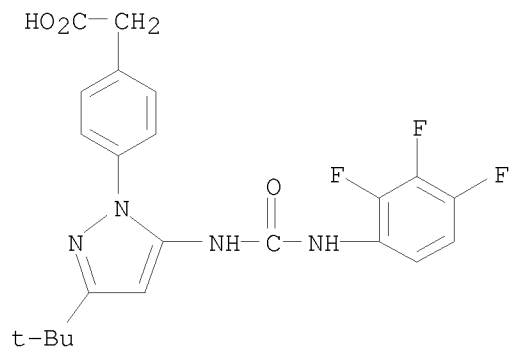
RN 897371-15-2 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-16-3 CAPLUS

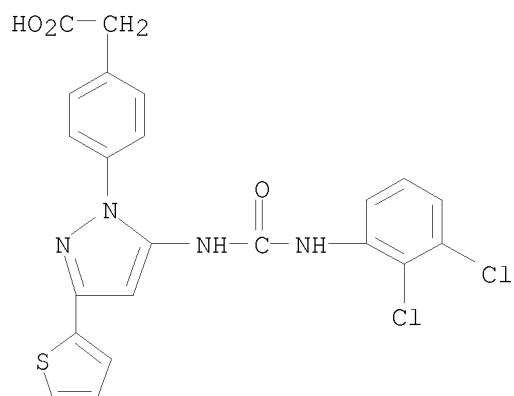
CN Benzeneacetic acid, 4-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-17-4 CAPLUS

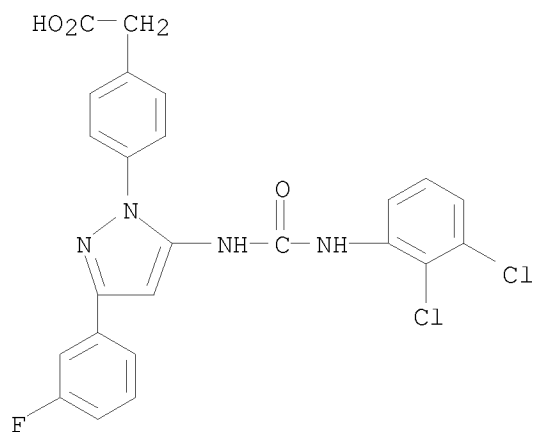
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



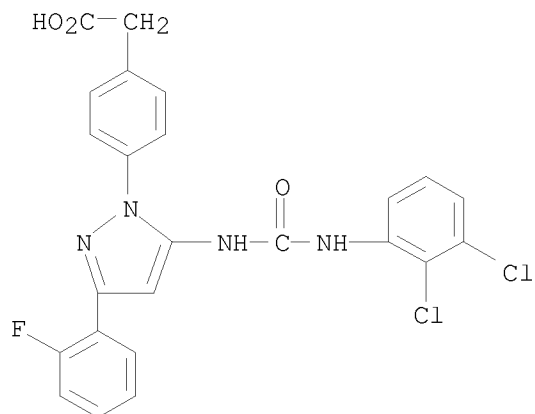
RN 897371-18-5 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-19-6 CAPLUS

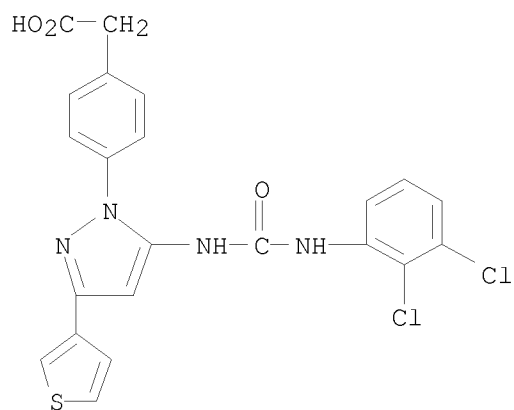
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/562,112

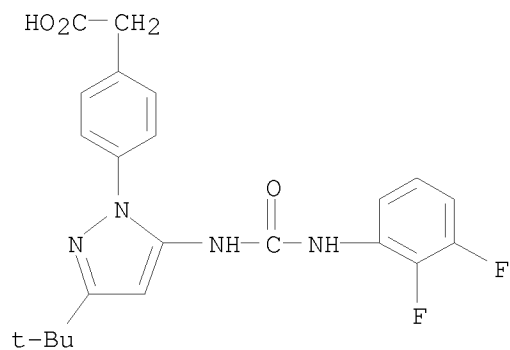
RN 897371-20-9 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



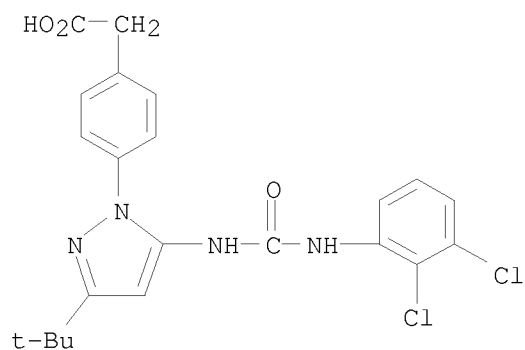
RN 897371-21-0 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-22-1 CAPLUS

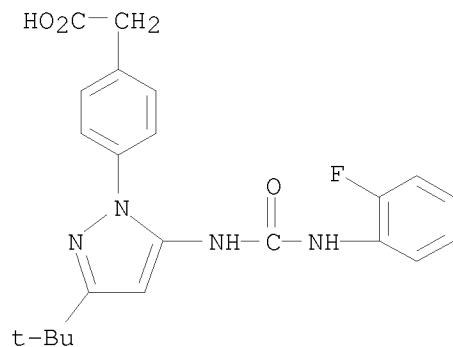
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/562,112

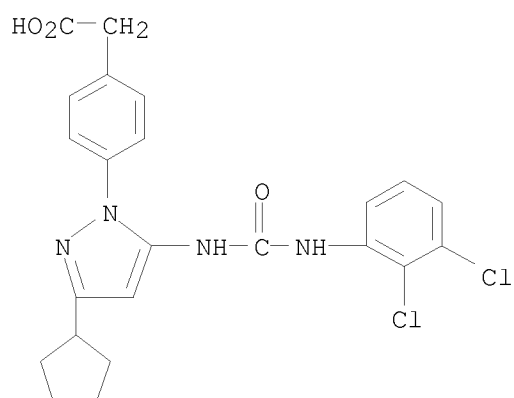
RN 897371-25-4 CAPLUS

CN Benzeneacetic acid, 4-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



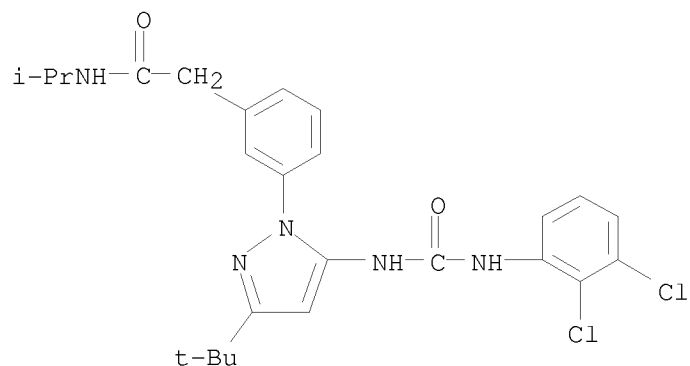
RN 897371-29-8 CAPLUS

CN Benzeneacetic acid, 4-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-83-4 CAPLUS

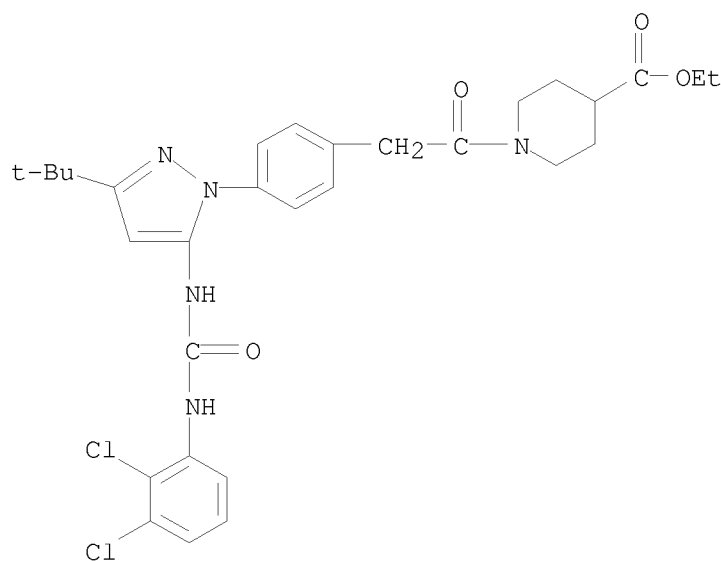
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(1-methylethyl)- (CA INDEX NAME)



10/562,112

RN 897372-39-3 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[2-[4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, ethyl ester (CA INDEX NAME)



IT 897367-26-9P 897367-28-1P 897367-37-2P
897367-42-9P 897367-46-3P 897367-47-4P
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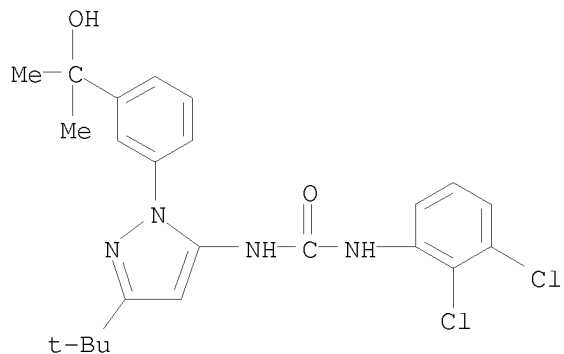
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer
 and hyperproliferative diseases)

RN 897367-26-9 CAPLUS

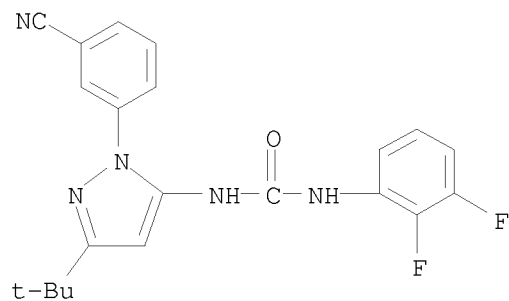
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(1-hydroxy-1-
 methylethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



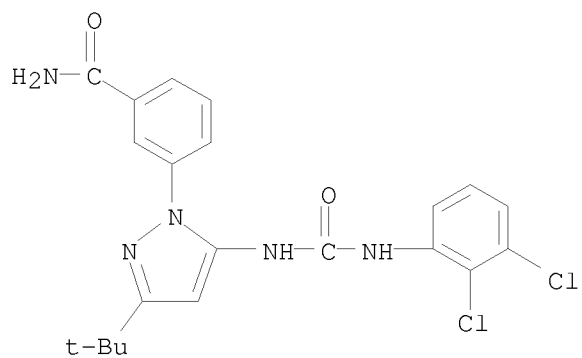
RN 897367-28-1 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 897367-37-2 CAPLUS

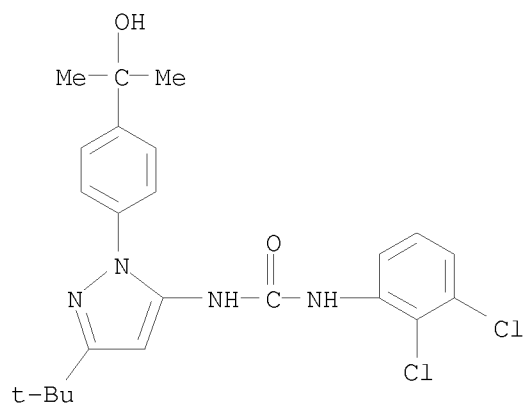
CN Benzamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897367-42-9 CAPLUS

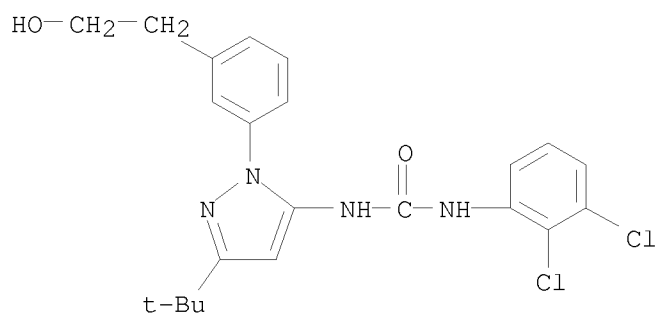
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(1-hydroxy-1-methylethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



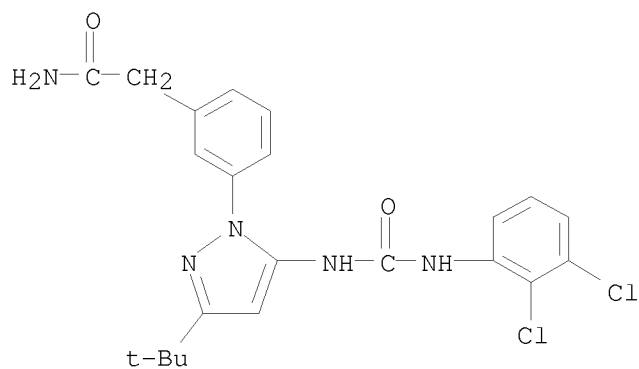
RN 897367-46-3 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(2-hydroxyethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897367-47-4 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

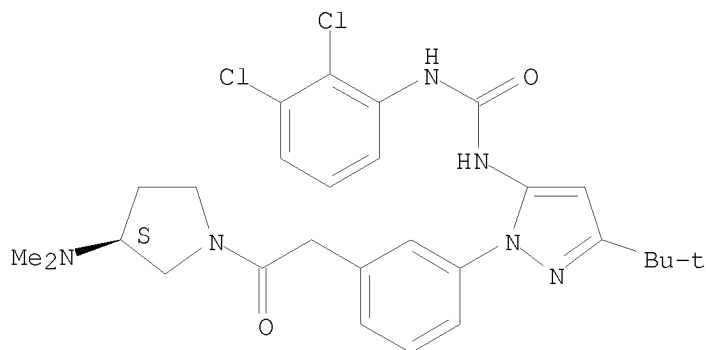


RN 897367-57-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112

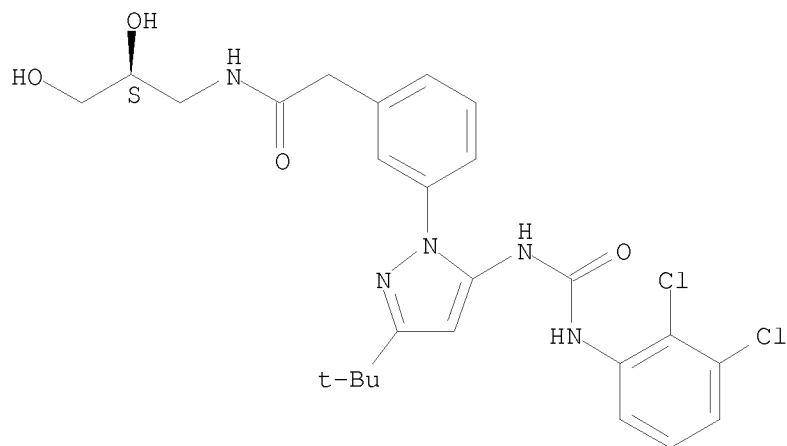
Absolute stereochemistry.



RN 897367-58-7 CAPLUS

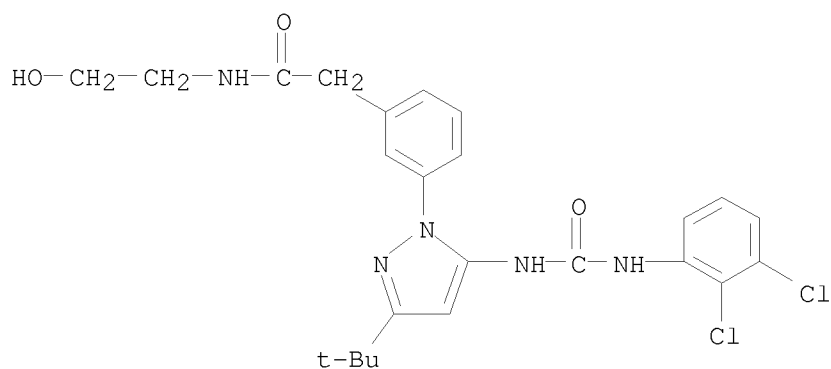
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-[(2S)-2,3-dihydroxypropyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 897367-59-8 CAPLUS

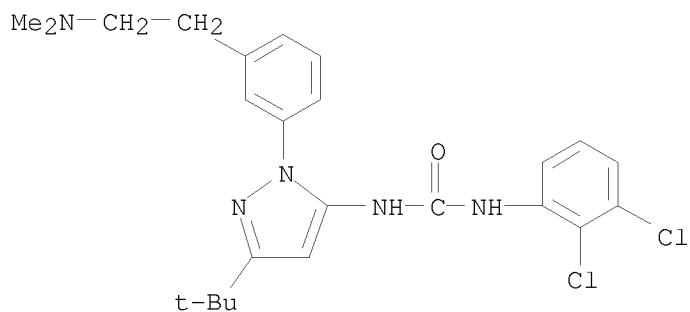
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



10/562,112

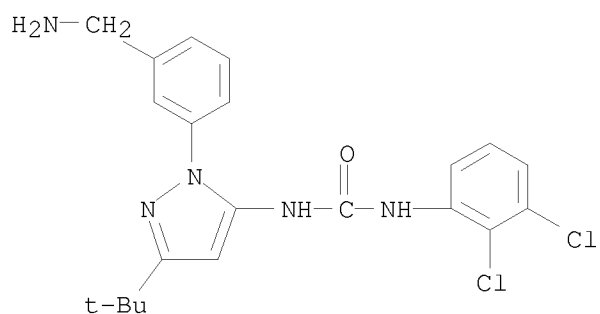
RN 897367-62-3 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-(dimethylethyl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



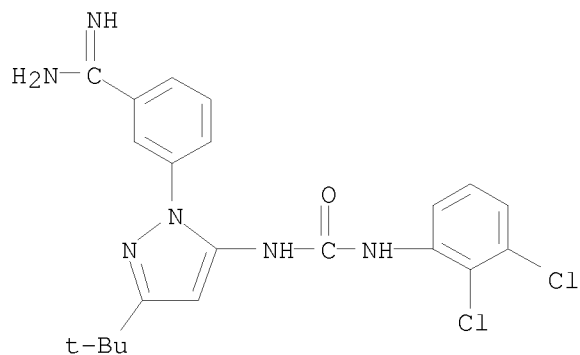
RN 897367-64-5 CAPLUS

CN Urea, N-[1-[3-(aminomethyl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897367-66-7 CAPLUS

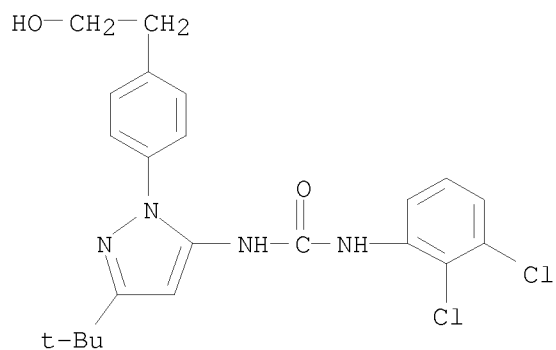
CN Benzenecarboximidamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897367-67-8 CAPLUS

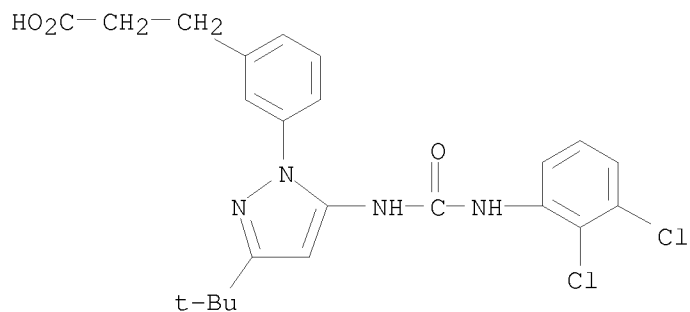
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(2-hydroxyethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



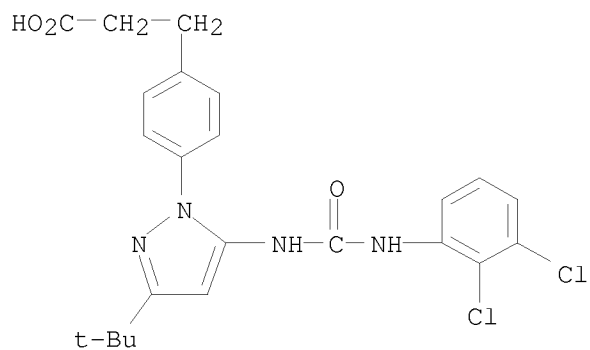
RN 897367-68-9 CAPLUS

CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897367-70-3 CAPLUS

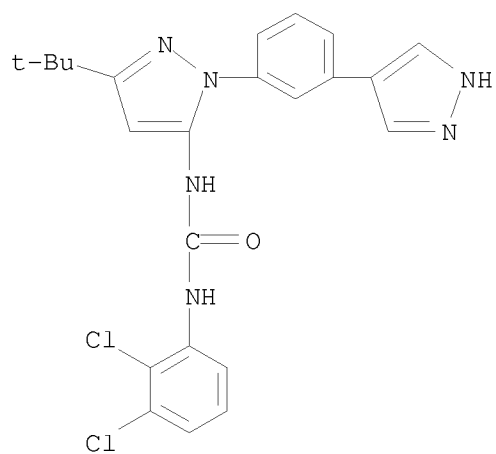
CN Benzenepropanoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897367-72-5 CAPLUS

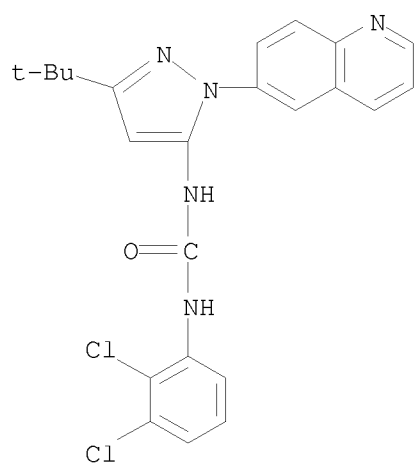
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(1H-pyrazol-4-yl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897367-74-7 CAPLUS

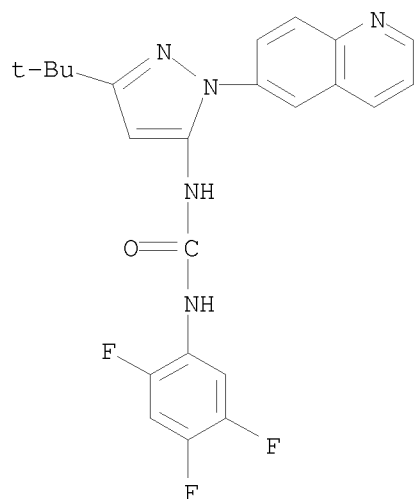
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897367-75-8 CAPLUS

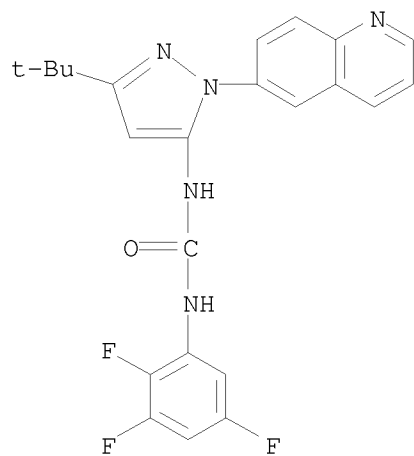
CN Urea, N-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897367-76-9 CAPLUS

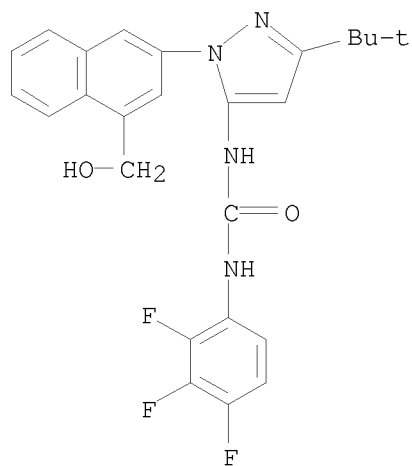
CN Urea, N-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



RN 897367-83-8 CAPLUS

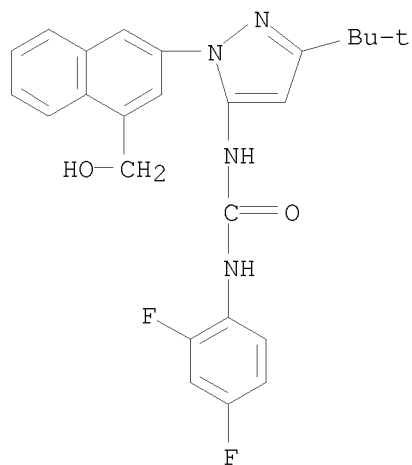
CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897367-84-9 CAPLUS

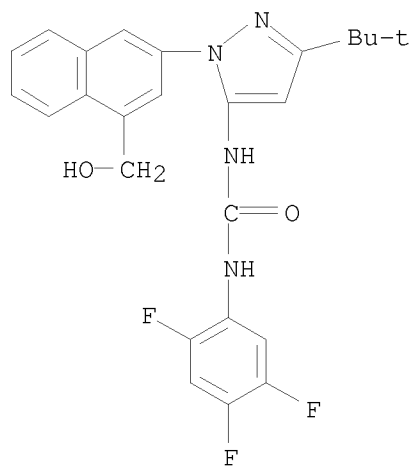
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897367-85-0 CAPLUS

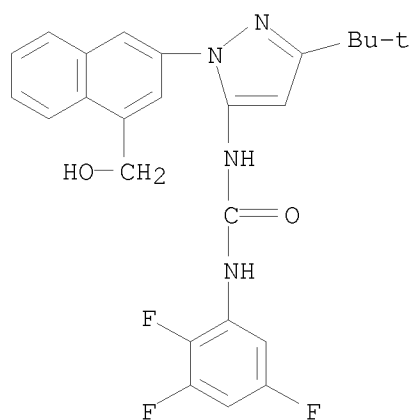
CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897367-86-1 CAPLUS

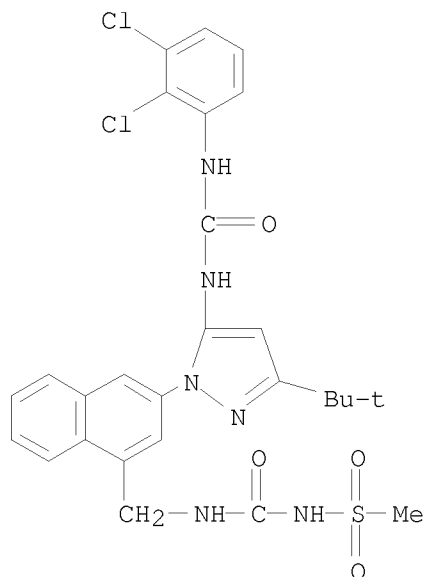
CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



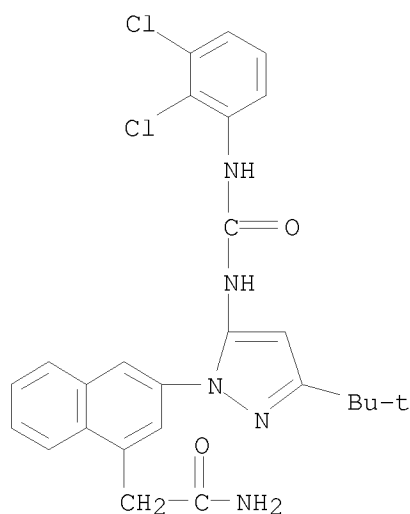
RN 897367-96-3 CAPLUS

CN Methanesulfonamide, N-[[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1-naphthalenyl]methyl]amino]carboxyl]- (CA INDEX NAME)

10/562,112

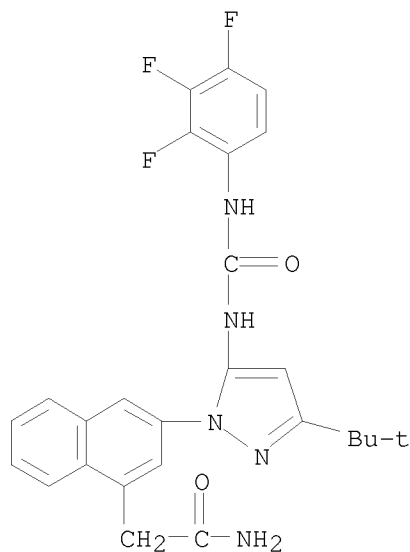


RN 897367-99-6 CAPLUS
 CN 1-Naphthaleneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



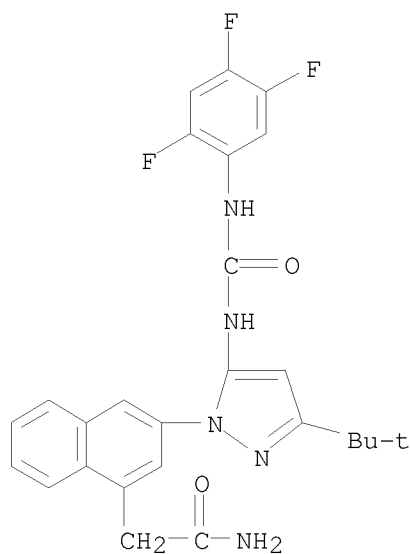
RN 897368-01-3 CAPLUS
 CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897368-02-4 CAPLUS

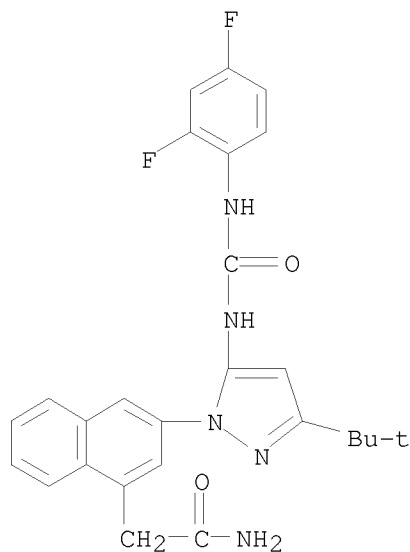
CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



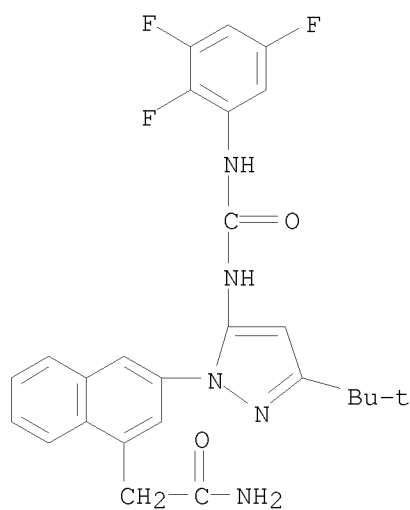
RN 897368-03-5 CAPLUS

CN 1-Naphthaleneacetamide, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112

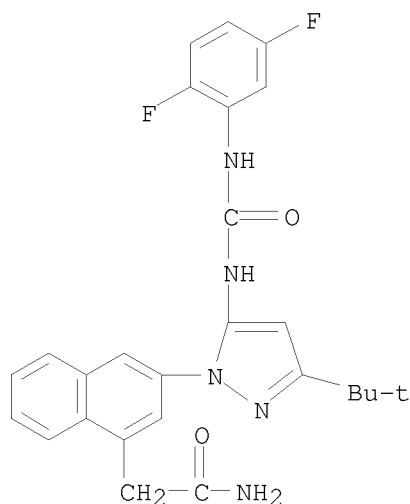


RN 897368-06-8 CAPLUS
CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[2,3,5-trifluorophenyl]amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



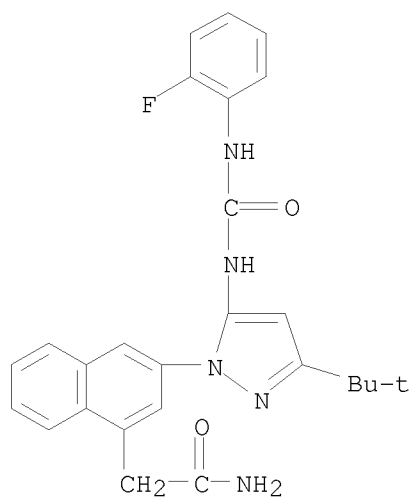
RN 897368-08-0 CAPLUS
CN 1-Naphthaleneacetamide, 3-[5-[[[2,5-difluorophenyl]amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897368-14-8 CAPLUS

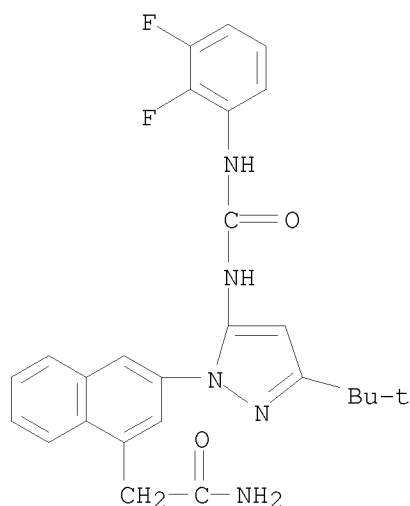
CN 1-Naphthaleneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897368-17-1 CAPLUS

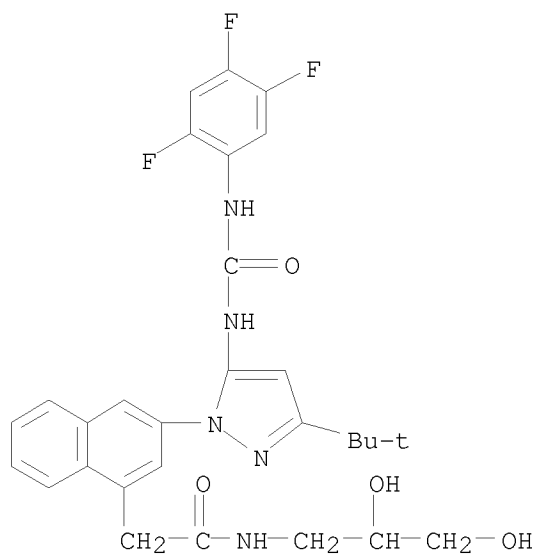
CN 1-Naphthaleneacetamide, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897368-22-8 CAPLUS

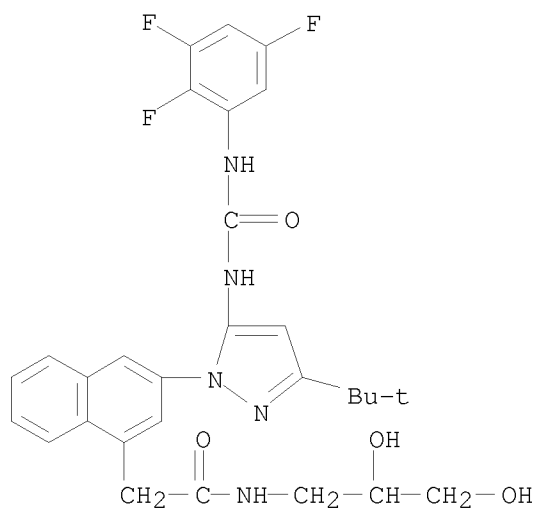
CN 1-Naphthaleneacetamide, N-(2,3-dihydroxypropyl)-3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897368-23-9 CAPLUS

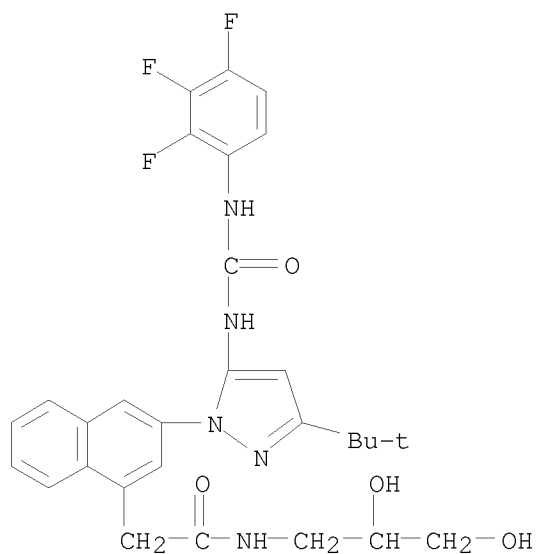
CN 1-Naphthaleneacetamide, N-(2,3-dihydroxypropyl)-3-[3-(1,1-dimethylethyl)-5-[[[(2,3,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897368-24-0 CAPLUS

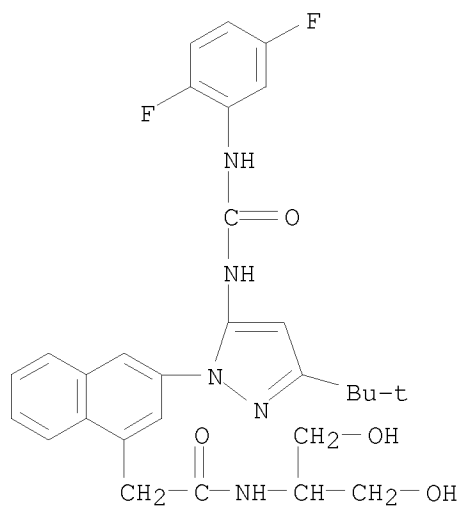
CN 1-Naphthaleneacetamide, N-(2,3-dihydroxypropyl)-3-[3-(1,1-dimethylethyl)-5-
[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA
INDEX NAME)



RN 897368-27-3 CAPLUS

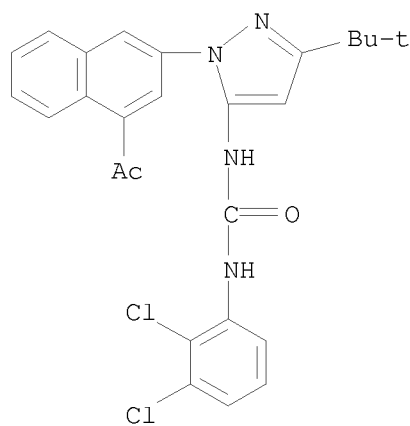
CN 1-Naphthaleneacetamide, 3-[5-[[[(2,5-difluorophenyl)amino]carbonyl]amino]-
3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-
(hydroxymethyl)ethyl]- (CA INDEX NAME)

10/562,112



RN 897368-28-4 CAPLUS

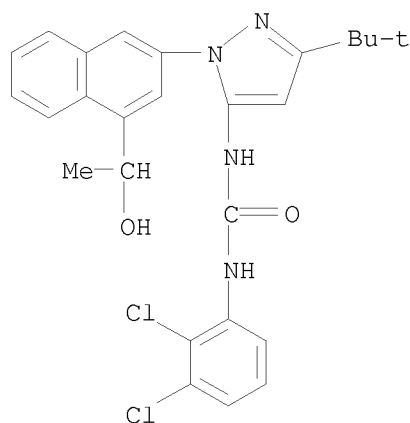
CN Urea, N-[1-(4-acetyl-2-naphthalenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897368-29-5 CAPLUS

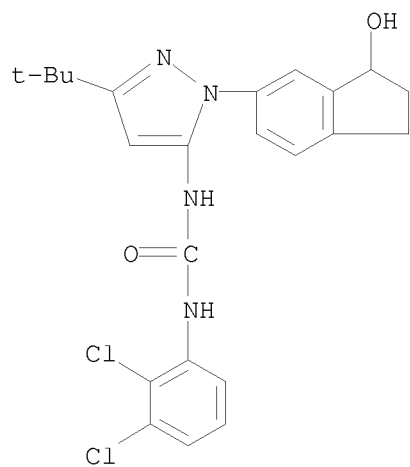
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(1-hydroxyethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-31-9 CAPLUS

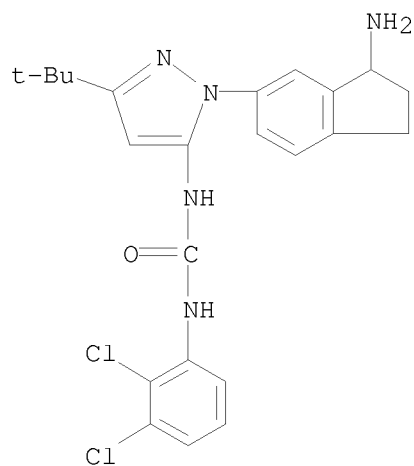
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-3-hydroxy-1H-inden-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-33-1 CAPLUS

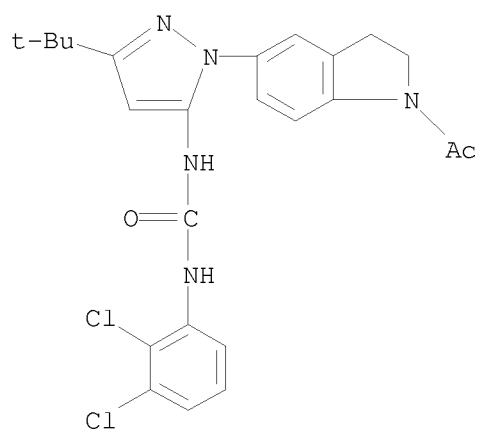
CN Urea, N-[1-(3-amino-2,3-dihydro-1H-inden-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897368-35-3 CAPLUS

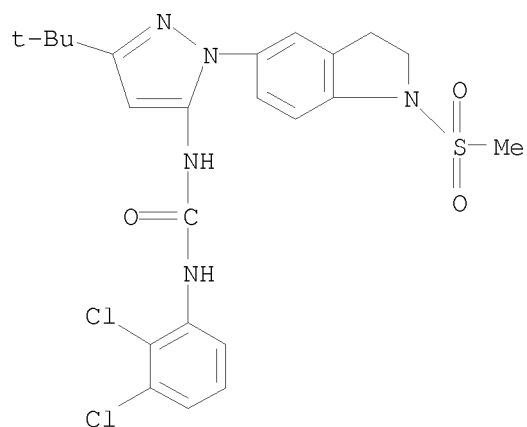
CN Urea, N-[1-(1-acetyl-2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897368-36-4 CAPLUS

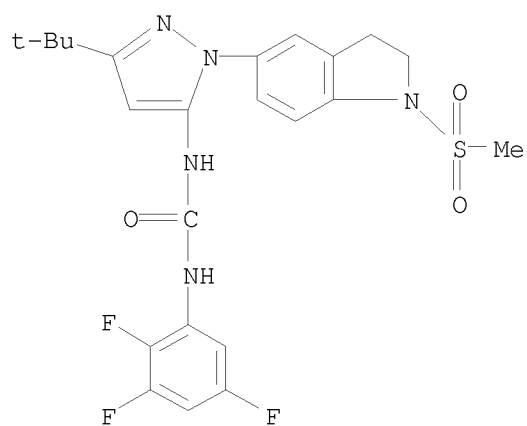
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-37-5 CAPLUS

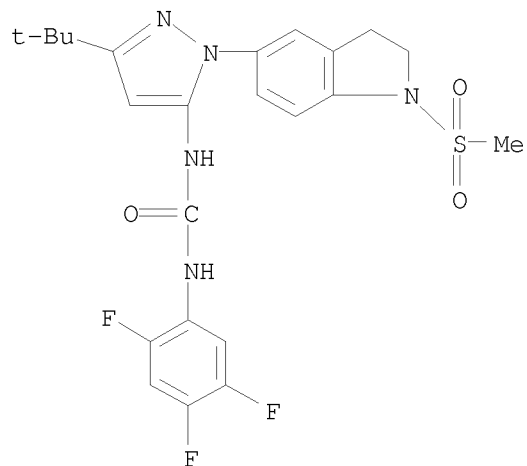
CN Urea, N-[1-[2,3-dihydro-1-(methanesulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



RN 897368-38-6 CAPLUS

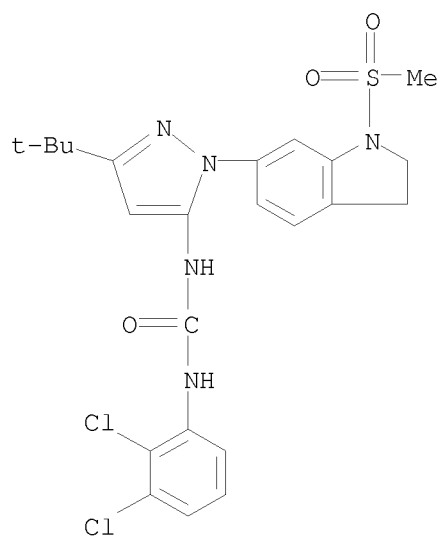
CN Urea, N-[1-[2,3-dihydro-1-(methanesulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897368-41-1 CAPLUS

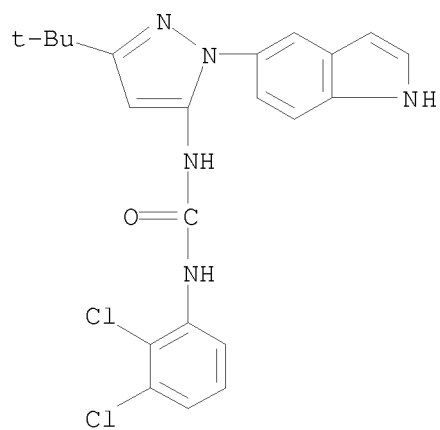
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-6-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-43-3 CAPLUS

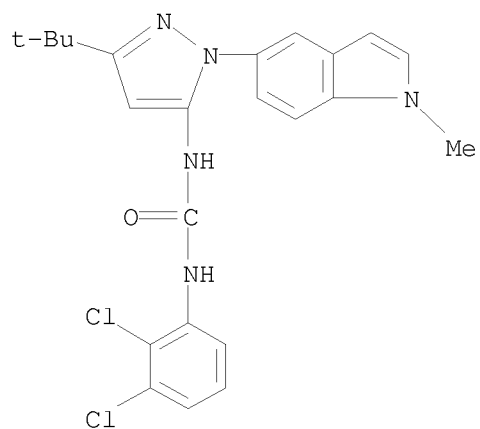
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indol-5-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-45-5 CAPLUS

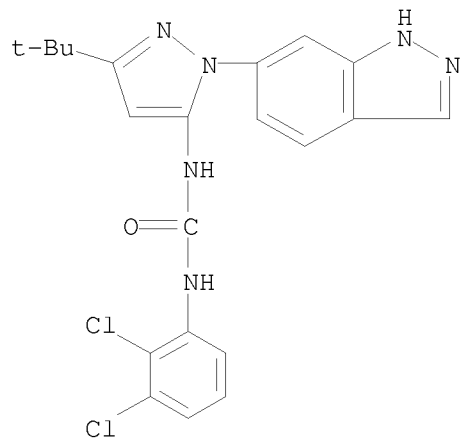
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1-methyl-1H-indol-5-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-48-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indazol-6-yl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

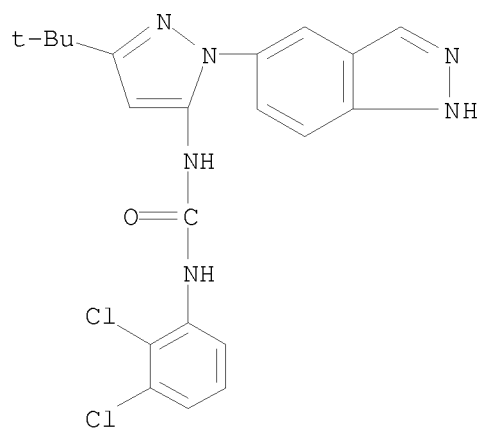
10/562,112



● HCl

RN 897368-49-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indazol-5-yl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

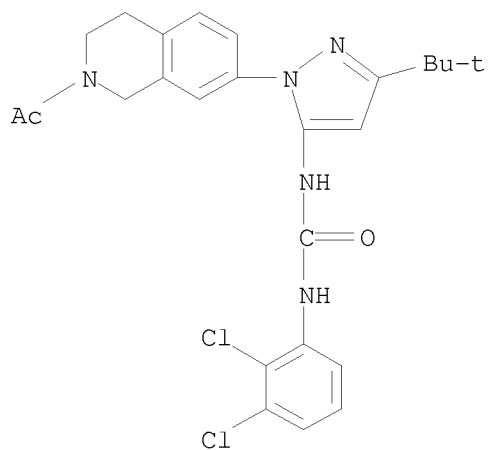


● HCl

RN 897368-54-6 CAPLUS

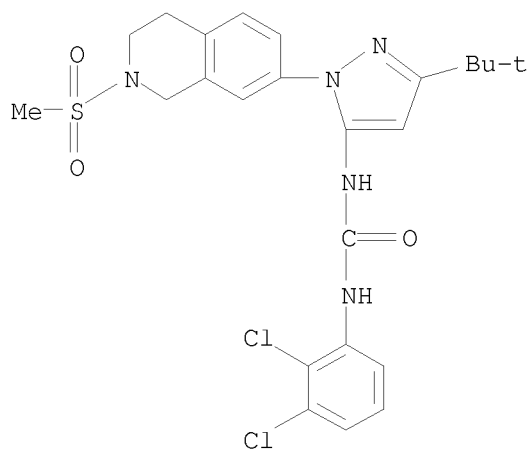
CN Urea, N-[1-(2-acetyl-1,2,3,4-tetrahydro-7-isoquinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897368-55-7 CAPLUS

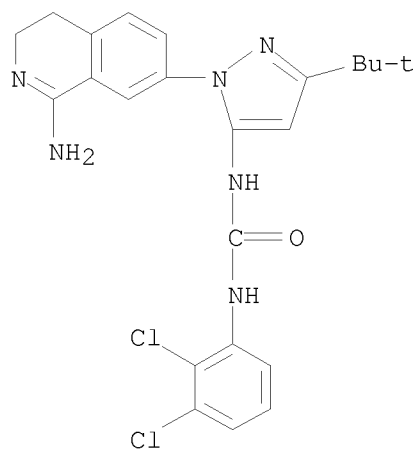
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[1,2,3,4-tetrahydro-2-(methylsulfonyl)-7-isoquinolinyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-57-9 CAPLUS

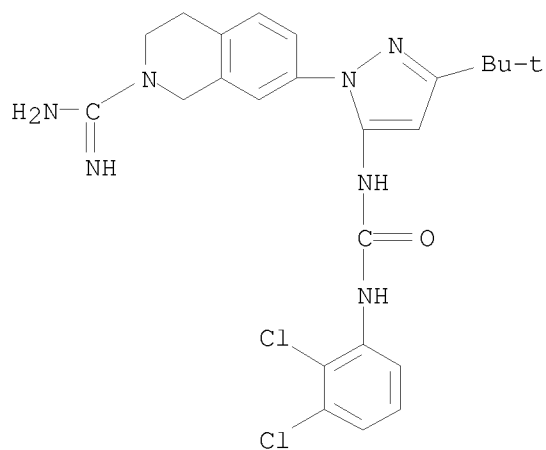
CN Urea, N-[1-(1-amino-3,4-dihydro-7-isoquinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897368-58-0 CAPLUS

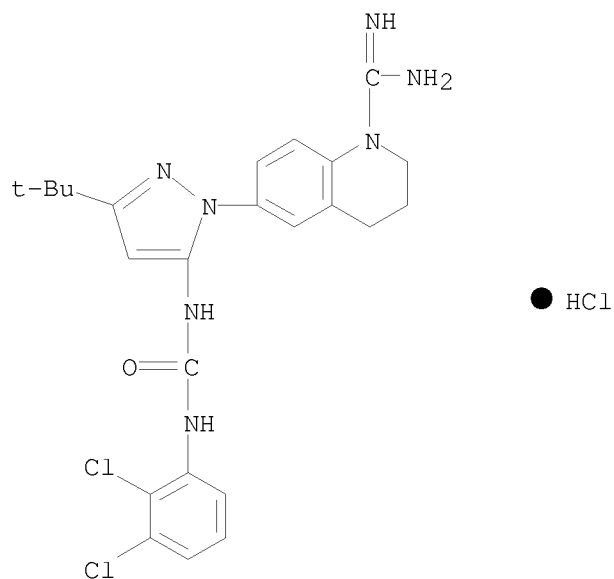
CN 2(1H)-Isoquinolinecarboximidamide, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro- (CA INDEX NAME)



RN 897368-64-8 CAPLUS

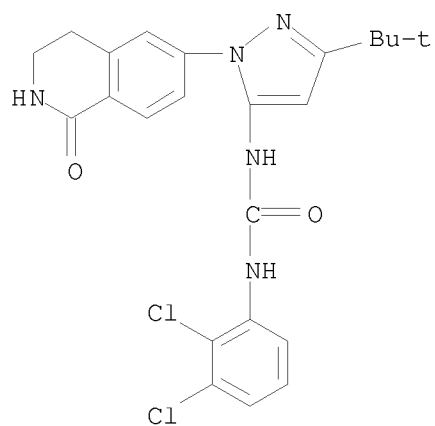
CN 1(2H)-Quinolinecarboximidamide, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

10/562,112



RN 897368-65-9 CAPLUS

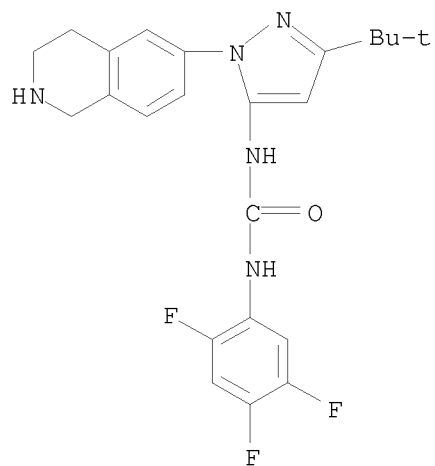
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-6-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-74-0 CAPLUS

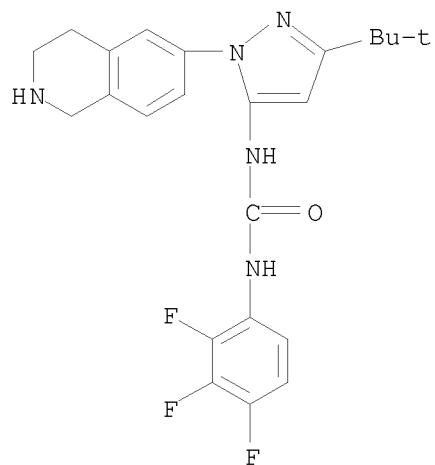
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinolinyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897368-75-1 CAPLUS

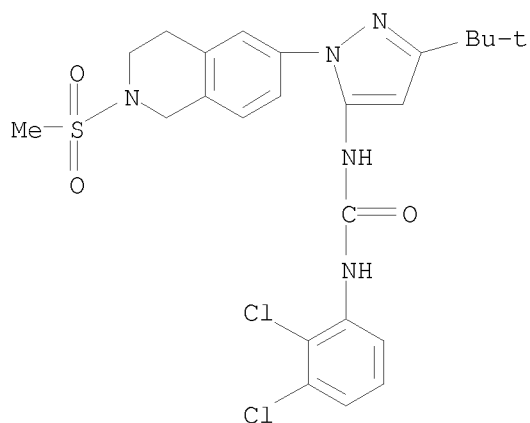
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-6-isoquinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



RN 897368-82-0 CAPLUS

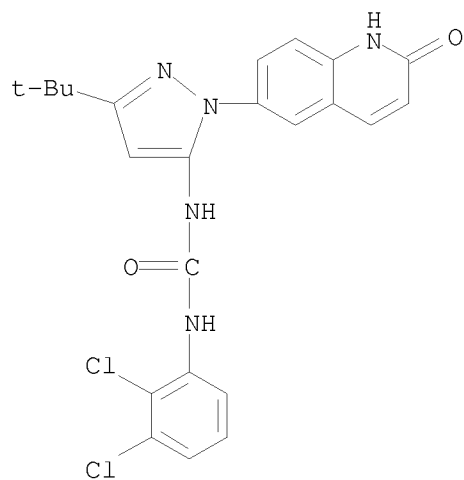
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[1,2,3,4-tetrahydro-2-(methylsulfonyl)-6-isoquinolinyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897368-83-1 CAPLUS

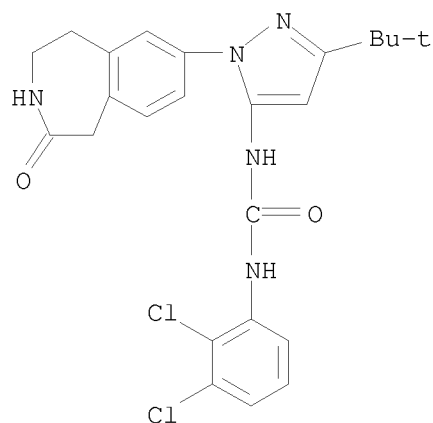
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897368-93-3 CAPLUS

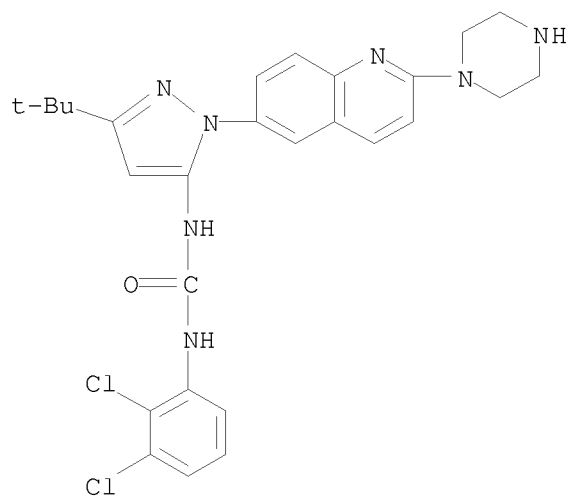
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(2,3,4,5-tetrahydro-2-oxo-1H-3-benzazepin-7-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

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RN 897368-99-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[2-(1-piperazinyl)-6-quinolinyl]-1H-pyrazol-5-yl]-, hydrochloride (1:?) (CA INDEX NAME)



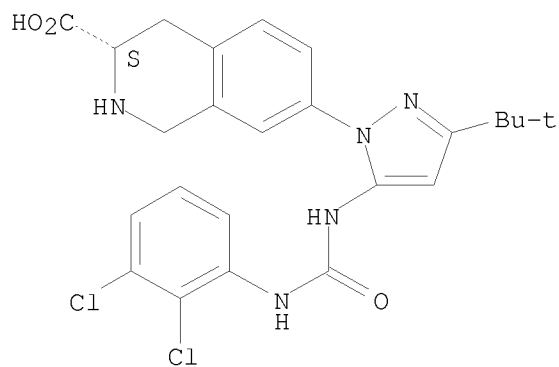
●x HCl

RN 897369-08-3 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

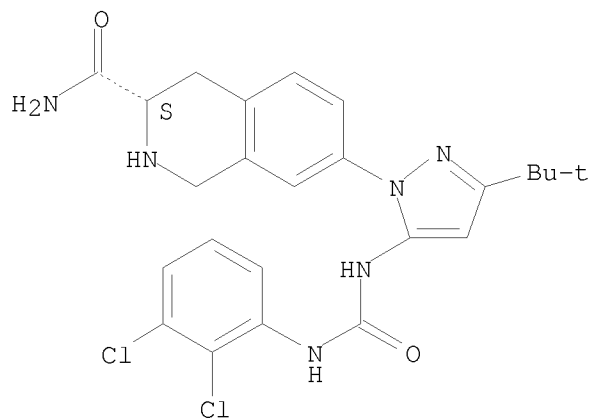
10/562,112



RN 897369-09-4 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

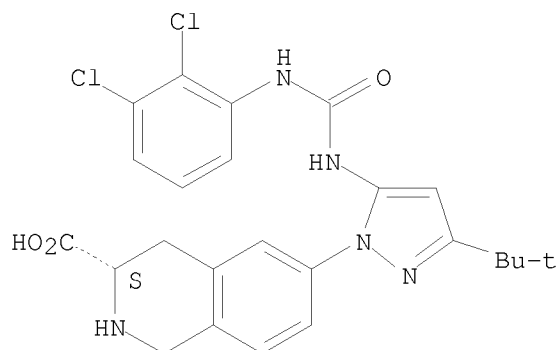
Absolute stereochemistry.



RN 897369-18-5 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

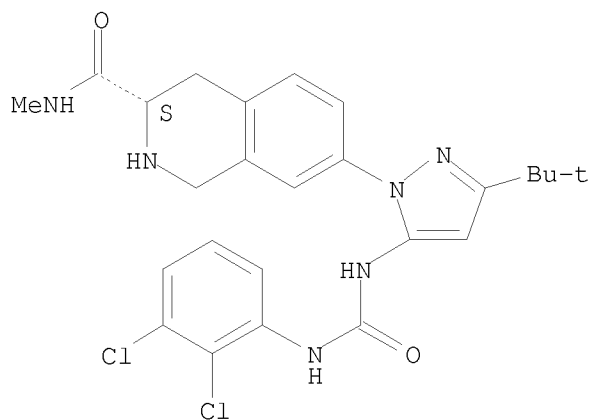


10/562,112

RN 897369-19-6 CAPLUS

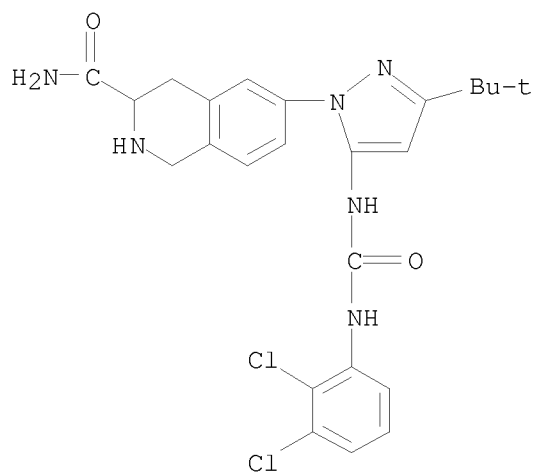
CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-N-methyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 897369-20-9 CAPLUS

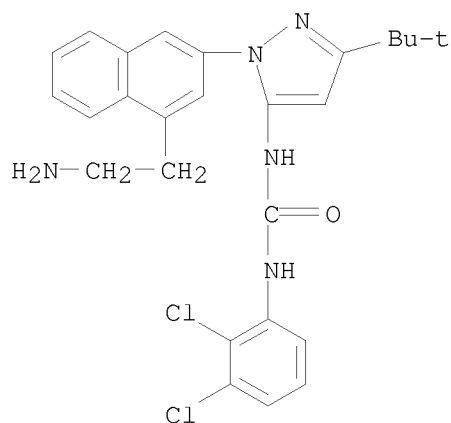
CN 3-Isoquinolinecarboxamide, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 897369-25-4 CAPLUS

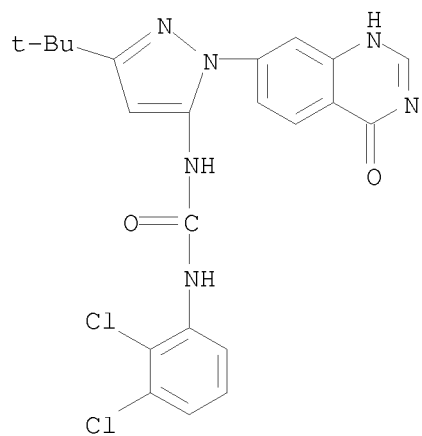
CN Urea, N-[1-[4-(2-aminoethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897369-26-5 CAPLUS

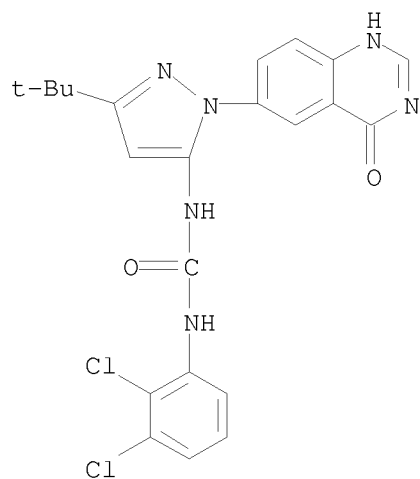
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(3,4-dihydro-4-oxo-7-quinazolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



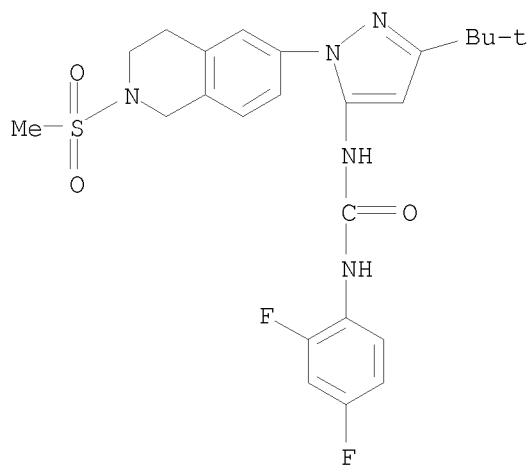
RN 897369-27-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(3,4-dihydro-4-oxo-6-quinazolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112

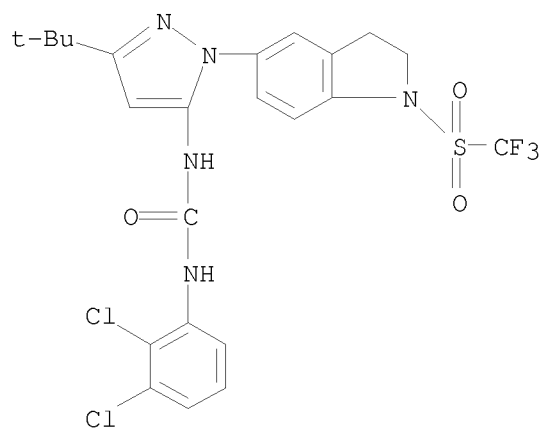


RN 897369-32-3 CAPLUS
 CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[1,2,3,4-tetrahydro-2-(methylsulfonyl)-6-isoquinolinyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



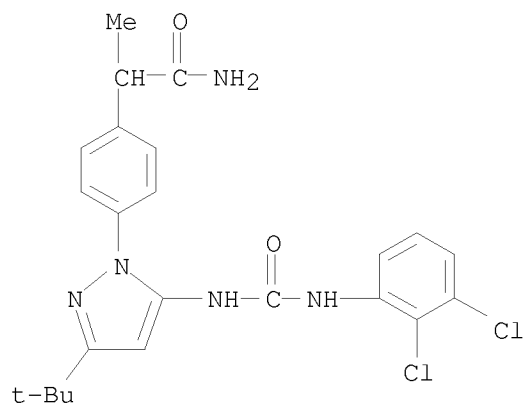
RN 897369-33-4 CAPLUS
 CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[2,3-dihydro-1-[(trifluoromethyl)sulfonyl]-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897369-35-6 CAPLUS

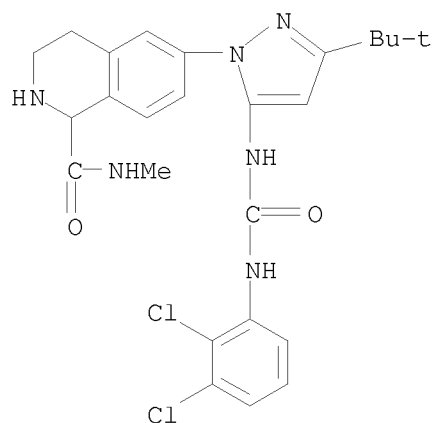
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- α -methyl- (CA INDEX NAME)



RN 897369-36-7 CAPLUS

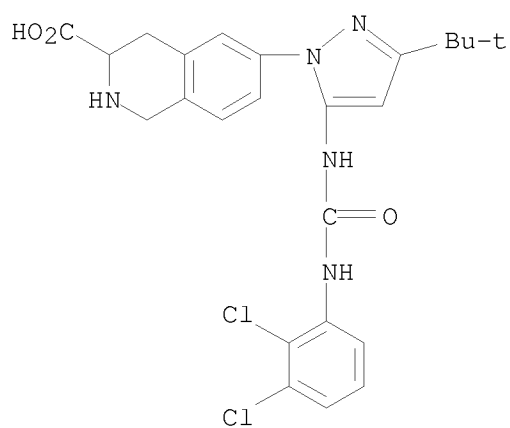
CN 1-Isoquinolinecarboxamide, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-N-methyl- (CA INDEX NAME)

10/562,112



RN 897369-37-8 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)

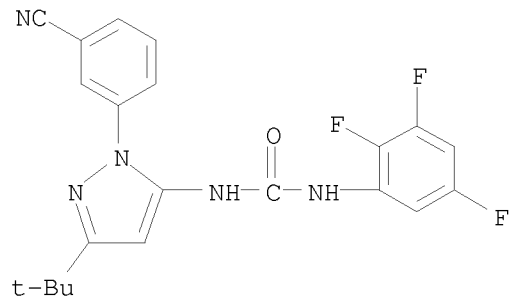


● HCl

RN 897369-41-4 CAPLUS

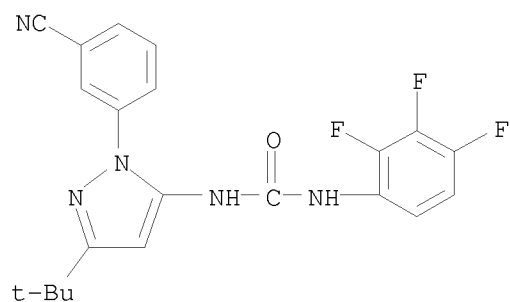
CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



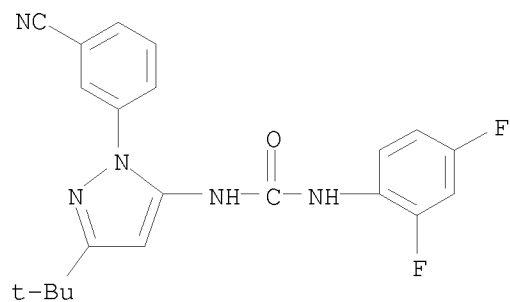
RN 897369-42-5 CAPLUS

CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



RN 897369-45-8 CAPLUS

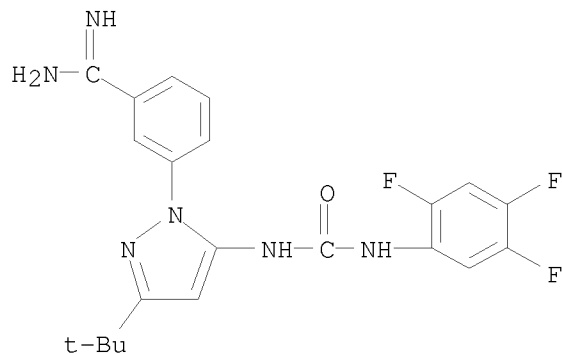
CN Urea, N-[1-(3-cyanophenyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4-difluorophenyl)- (CA INDEX NAME)



RN 897369-49-2 CAPLUS

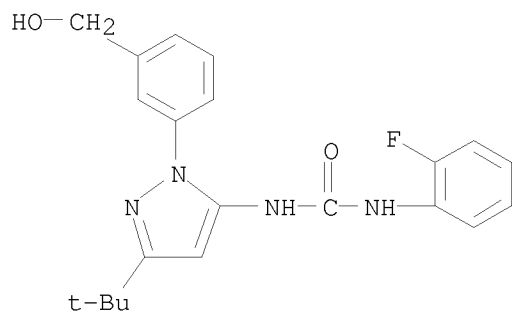
CN Benzenecarboximidamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



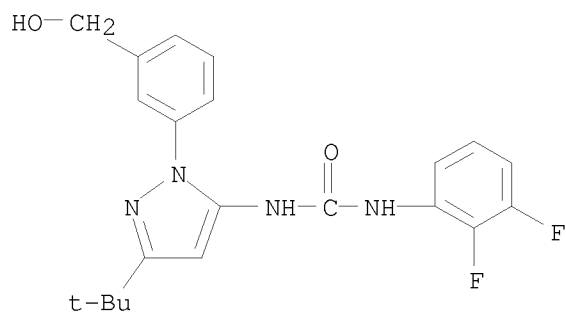
RN 897369-53-8 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



RN 897369-54-9 CAPLUS

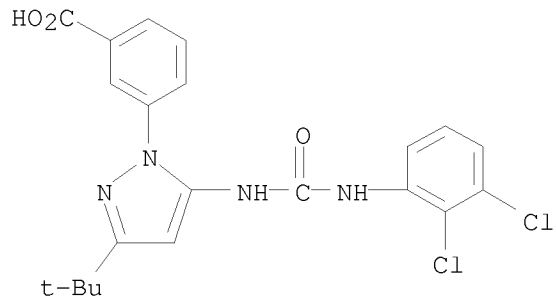
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-59-4 CAPLUS

CN Benzoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

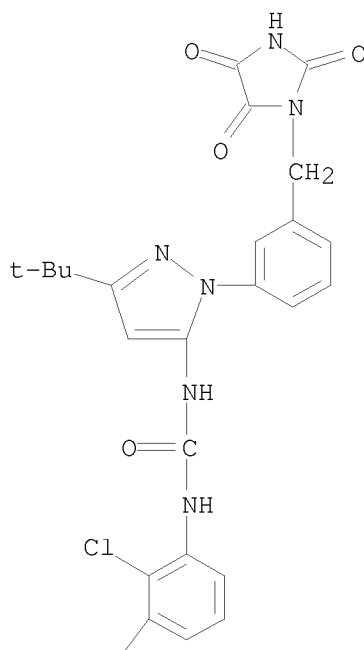
10/562,112



RN 897369-61-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(2,4,5-trioxo-1-imidazolidinyl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

PAGE 1-A

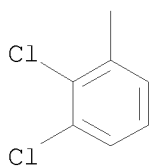
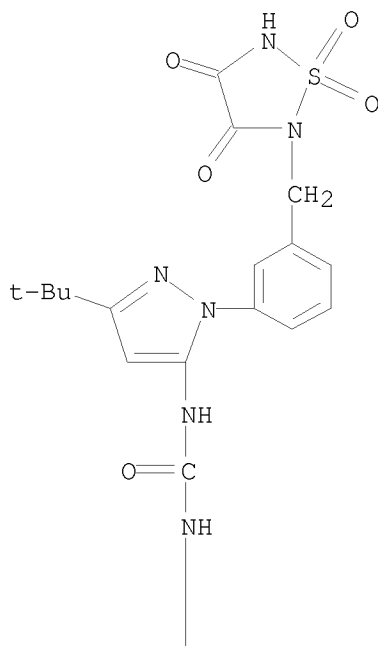


PAGE 2-A

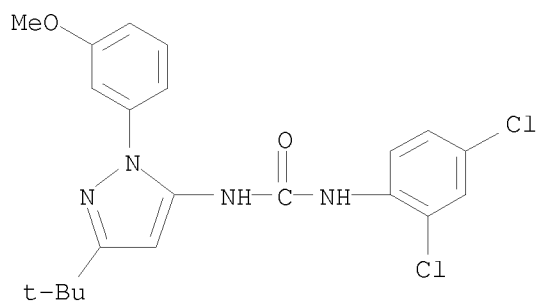


RN 897369-62-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(1,1-dioxido-3,4-dioxo-1,2,5-thiadiazolidin-2-yl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

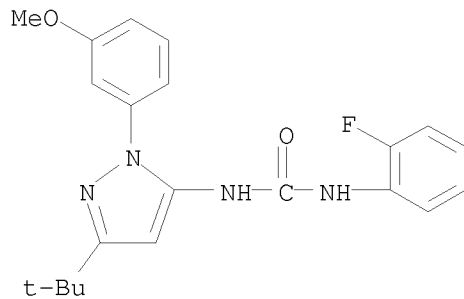


RN 897369-66-3 CAPLUS
 CN Urea, N-(2,4-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(3-methoxyphenyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



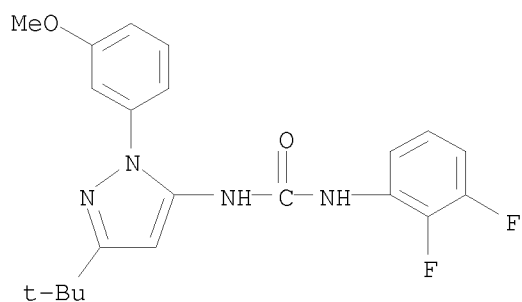
RN 897369-68-5 CAPLUS
 CN Urea, N-[3-(1,1-dimethylethyl)-1-(3-methoxyphenyl)-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)

10/562,112



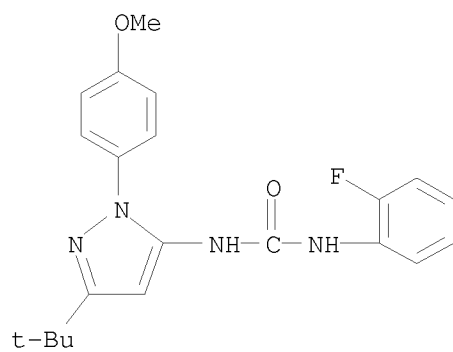
RN 897369-69-6 CAPLUS

CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(3-methoxyphenyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-70-9 CAPLUS

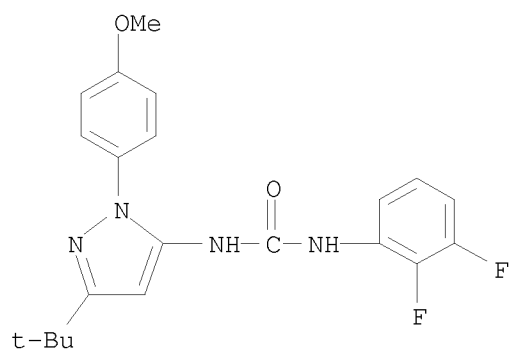
CN Urea, N-[3-(1,1-dimethylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



RN 897369-74-3 CAPLUS

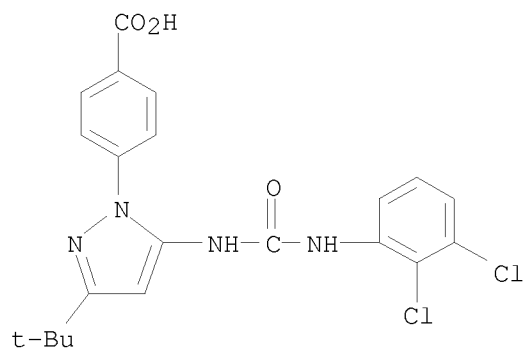
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(4-methoxyphenyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



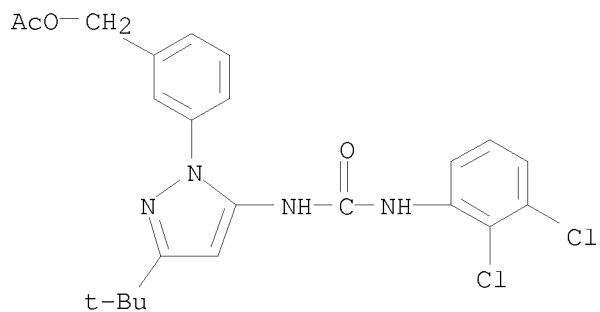
RN 897369-77-6 CAPLUS

CN Benzoic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897369-78-7 CAPLUS

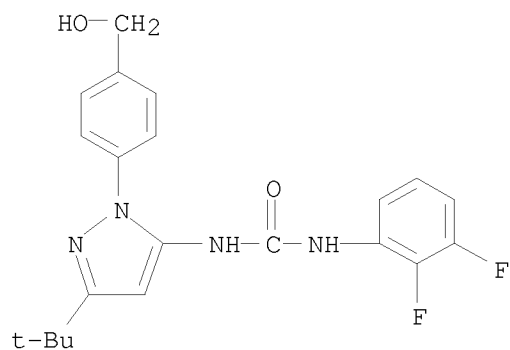
CN Urea, N-[1-[3-[(acetyloxy)methyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897369-79-8 CAPLUS

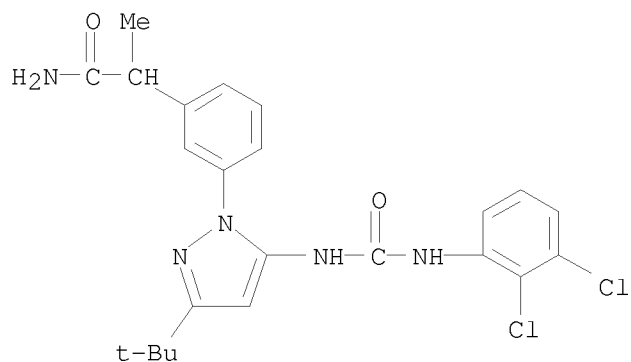
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



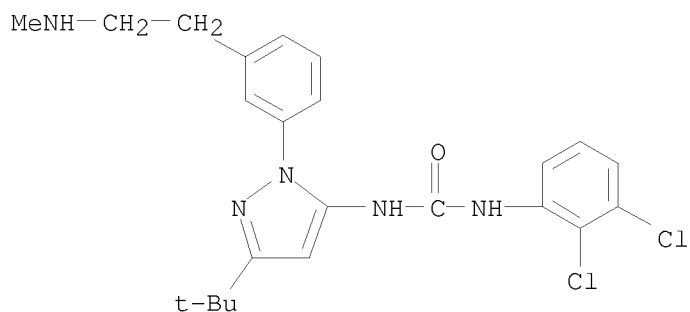
RN 897369-82-3 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- α -methyl- (CA INDEX NAME)



RN 897369-90-3 CAPLUS

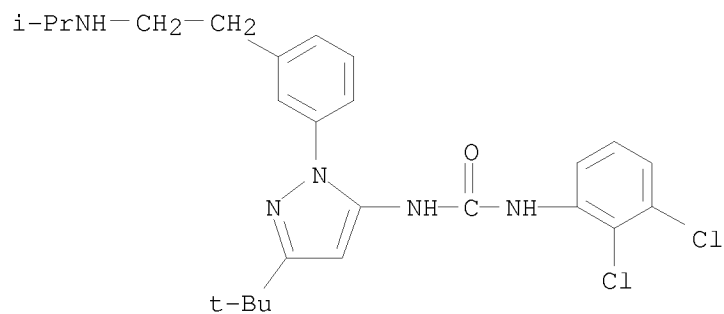
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-(methylamino)ethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-91-4 CAPLUS

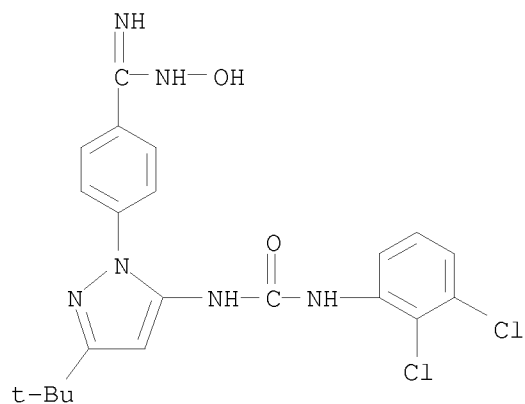
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(1-methylethyl)amino]ethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



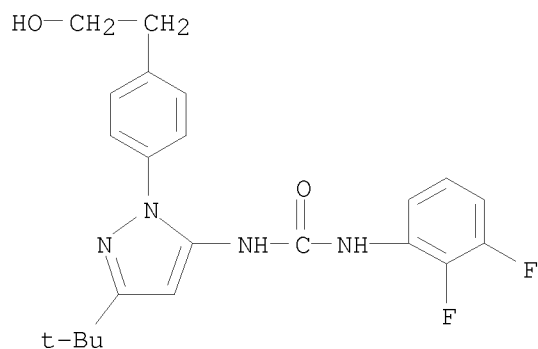
RN 897369-92-5 CAPLUS

CN Benzenecarboximidamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-hydroxy- (CA INDEX NAME)



RN 897369-94-7 CAPLUS

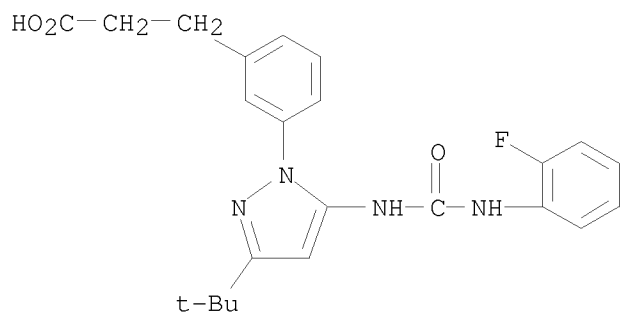
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(2-hydroxyethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897369-97-0 CAPLUS

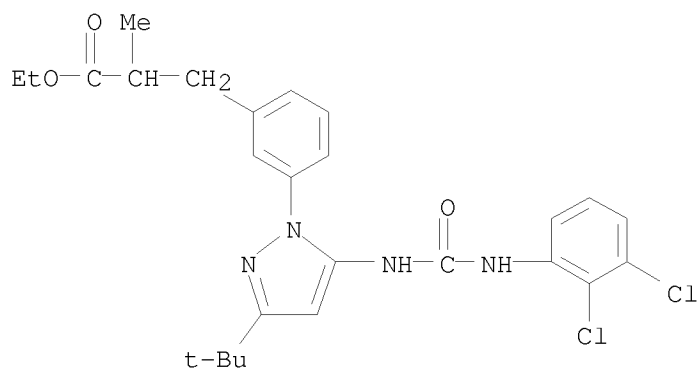
CN Benzenepropanoic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



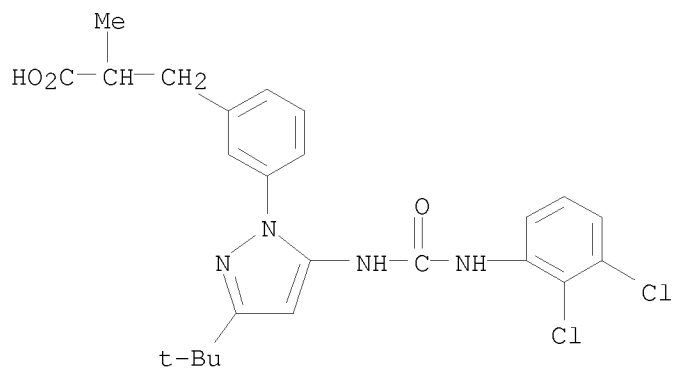
RN 897369-98-1 CAPLUS

CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl-, ethyl ester (CA INDEX NAME)



RN 897369-99-2 CAPLUS

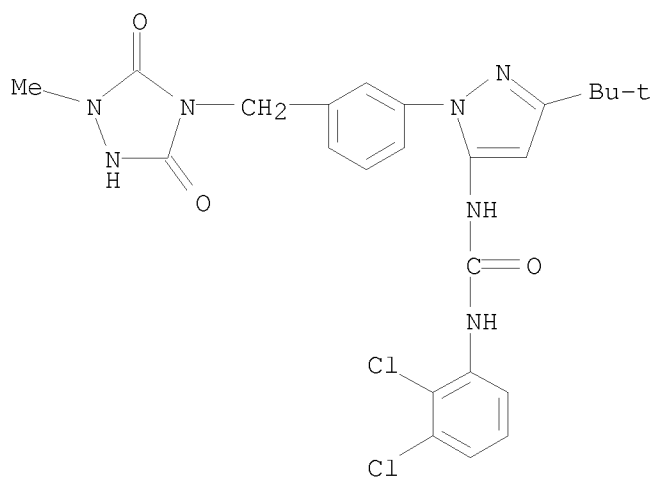
CN Benzenepropanoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



RN 897370-00-2 CAPLUS

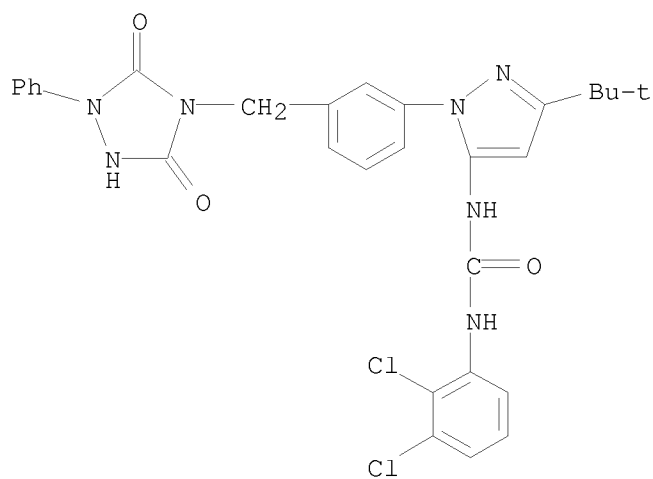
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(1-methyl-3,5-dioxo-1,2,4-triazolidin-4-yl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-05-7 CAPLUS

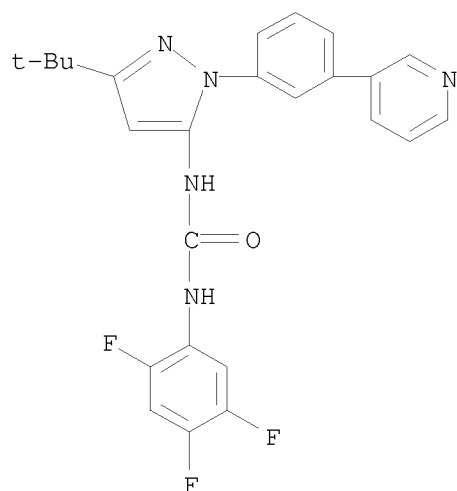
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[(3,5-dioxo-1-phenyl-1,2,4-triazolidin-4-yl)methyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-07-9 CAPLUS

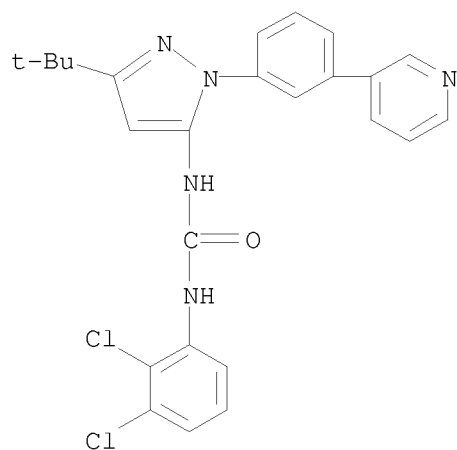
CN Urea, N-[3-(1,1-dimethylethyl)-1-[3-(3-pyridinyl)phenyl]-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897370-08-0 CAPLUS

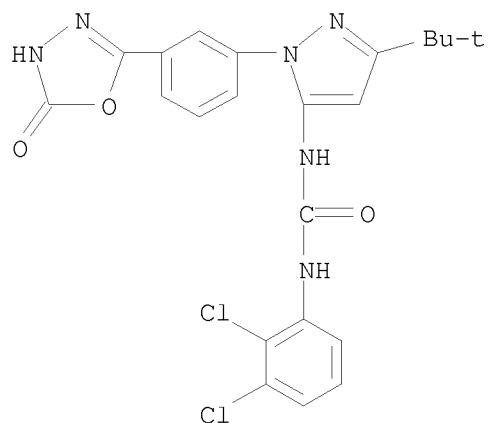
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-(3-pyridinyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-10-4 CAPLUS

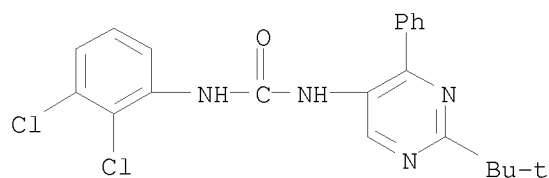
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-(4,5-dihydro-5-oxo-1,3,4-oxadiazol-2-yl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



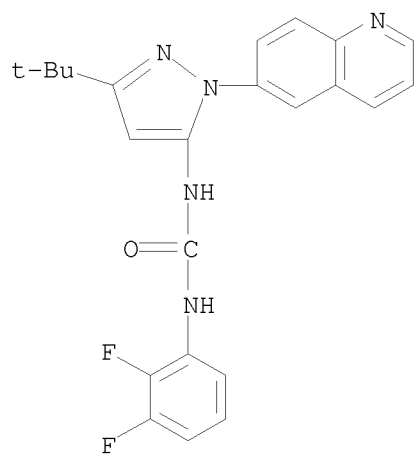
RN 897370-13-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[2-(1,1-dimethylethyl)-4-phenyl-5-pyrimidinyl]- (CA INDEX NAME)



RN 897370-23-9 CAPLUS

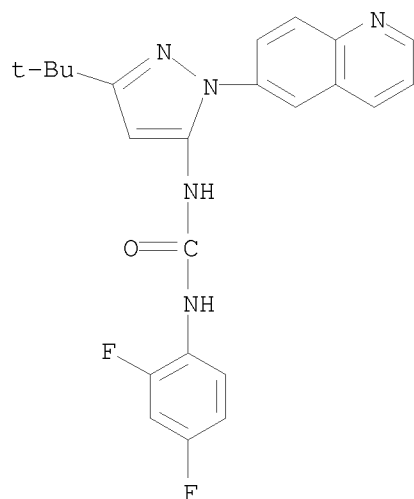
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-24-0 CAPLUS

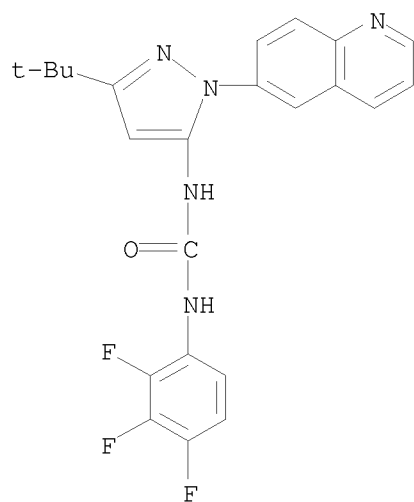
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-25-1 CAPLUS

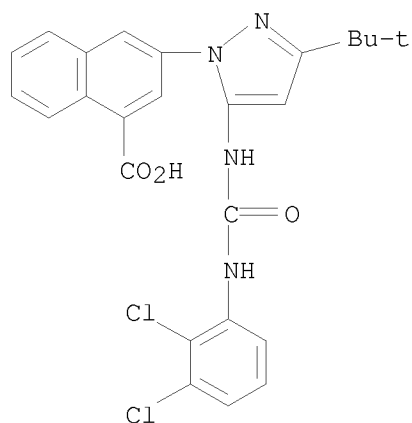
CN Urea, N-[3-(1,1-dimethylethyl)-1-(6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



RN 897370-27-3 CAPLUS

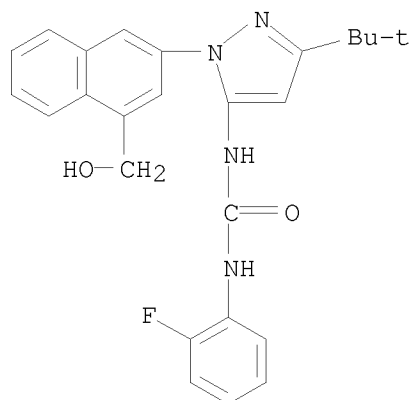
CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



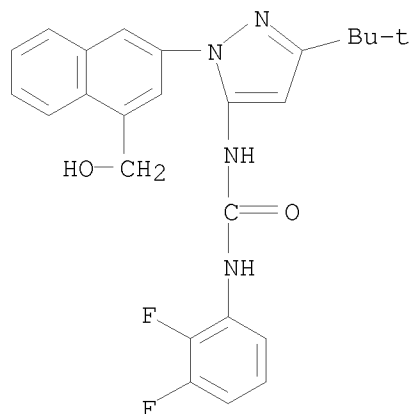
RN 897370-31-9 CAPLUS

CN Urea, N-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



RN 897370-34-2 CAPLUS

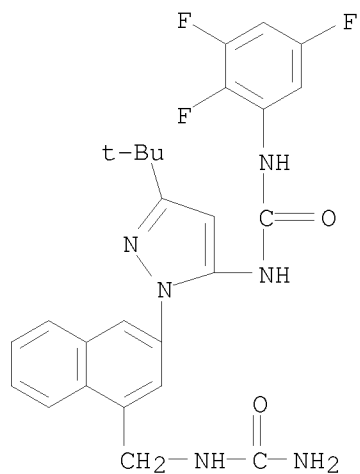
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(hydroxymethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



10/562,112

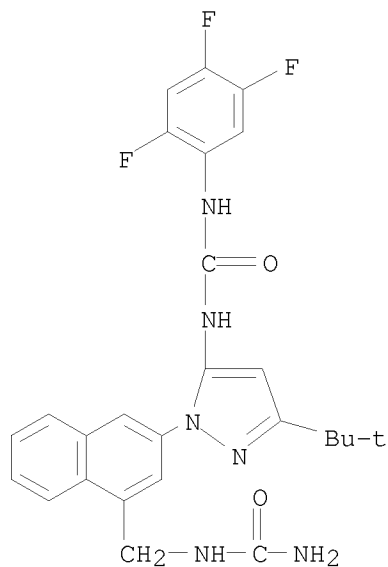
RN 897370-36-4 CAPLUS

CN Urea, N-[1-[4-[[(aminocarbonyl)amino]methyl]-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



RN 897370-37-5 CAPLUS

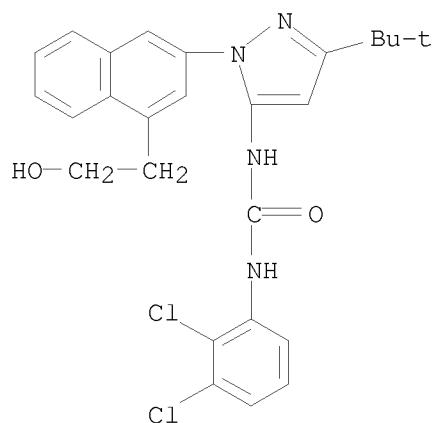
CN Urea, N-[1-[4-[[(aminocarbonyl)amino]methyl]-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



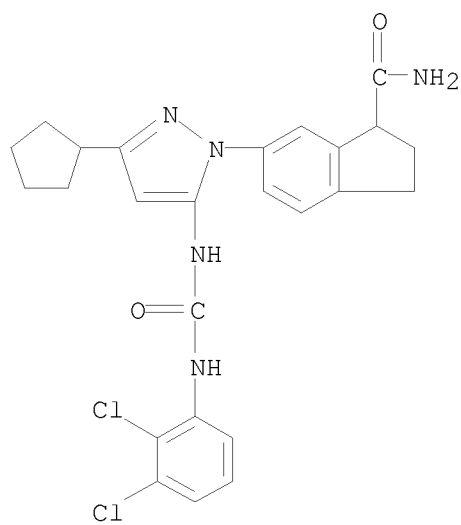
RN 897370-43-3 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-(2-hydroxyethyl)-2-naphthalenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112

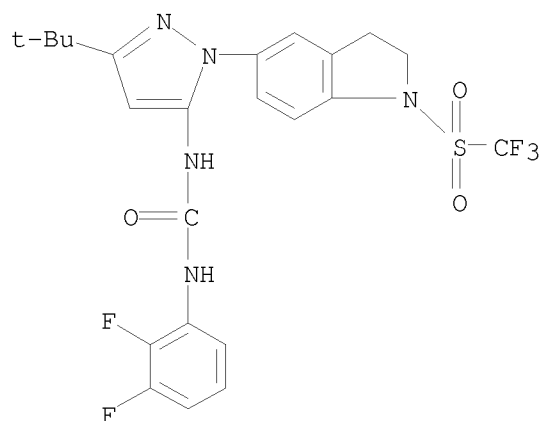


RN 897370-44-4 CAPLUS
 CN 1H-Indene-1-carboxamide, 6-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-2,3-dihydro- (CA INDEX NAME)



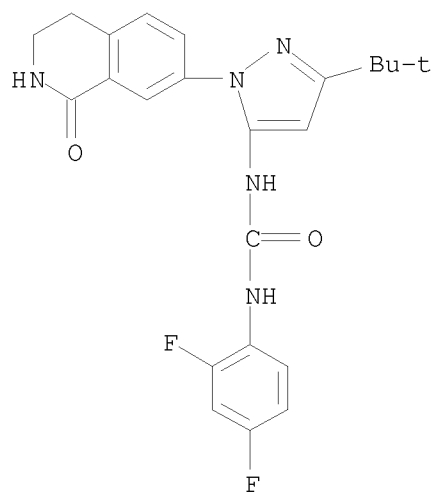
RN 897370-47-7 CAPLUS
 CN Urea, N-(2,3-difluorophenyl)-N'-[1-[2,3-dihydro-1-[(trifluoromethyl)sulfonyl]-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-50-2 CAPLUS

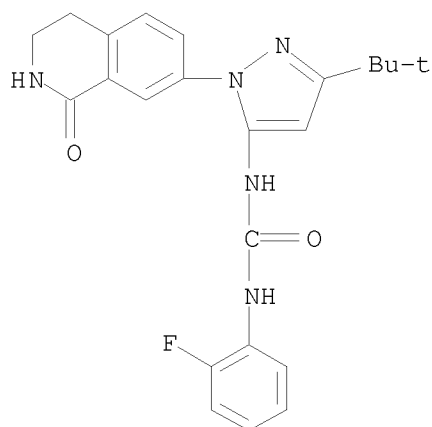
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-51-3 CAPLUS

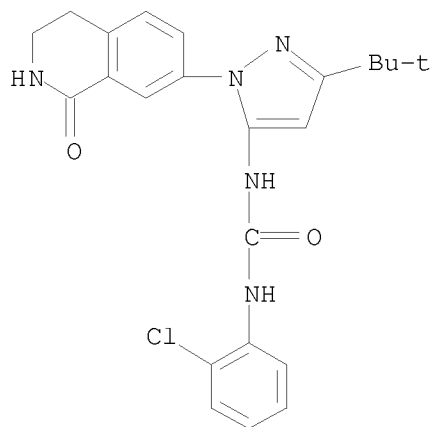
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]-N'-(2-fluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897370-52-4 CAPLUS

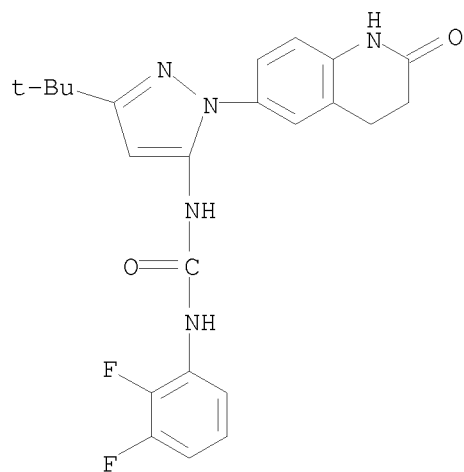
CN Urea, N-(2-chlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-oxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-59-1 CAPLUS

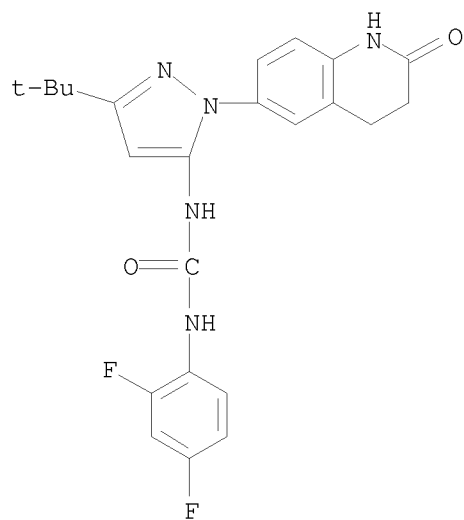
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-60-4 CAPLUS

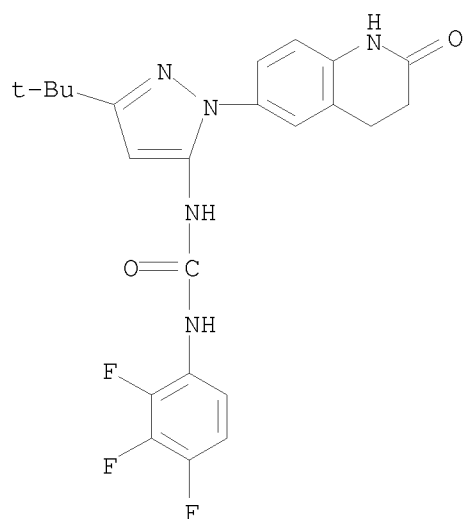
CN Urea, N-(2,4-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-61-5 CAPLUS

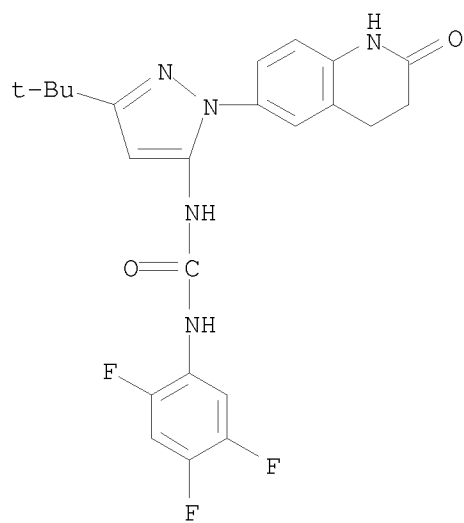
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897370-62-6 CAPLUS

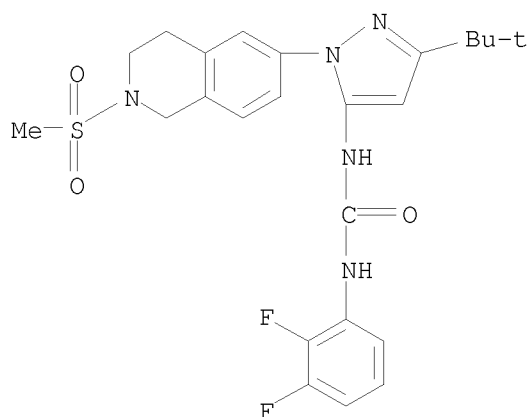
CN Urea, N-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)



RN 897370-67-1 CAPLUS

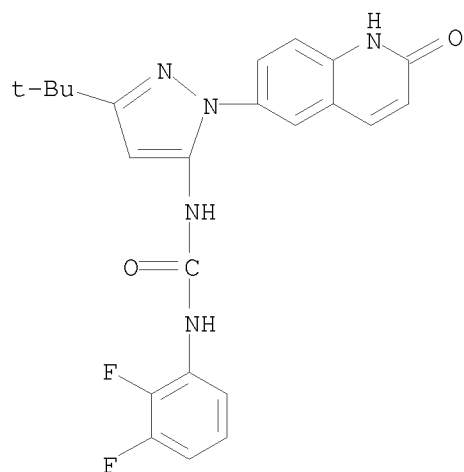
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[1,2,3,4-tetrahydro-2-(methylsulfonyl)-6-isoquinolinyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-68-2 CAPLUS

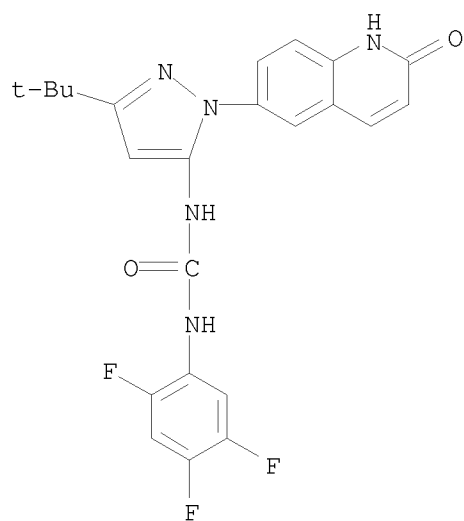
CN Urea, N-(2,3-difluorophenyl)-N'-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-69-3 CAPLUS

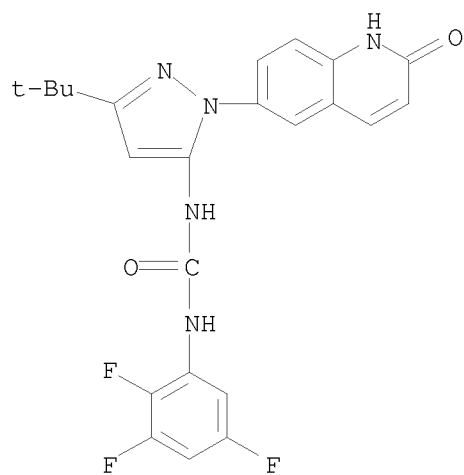
CN Urea, N-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,4,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897370-70-6 CAPLUS

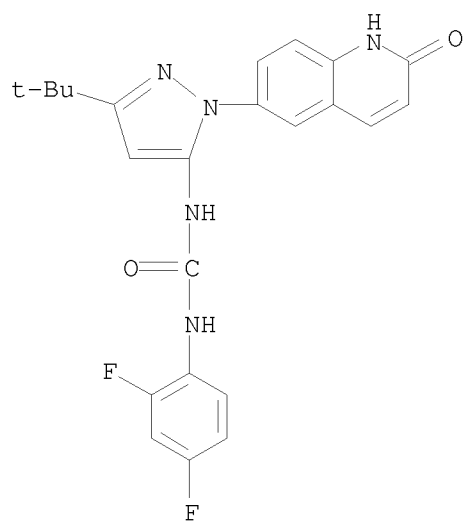
CN Urea, N-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



RN 897370-71-7 CAPLUS

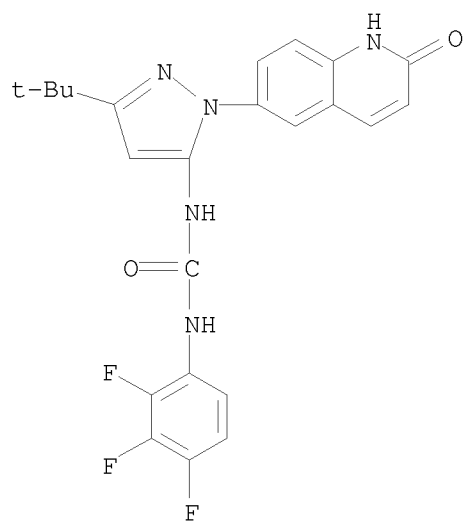
CN Urea, N-(2,4-difluorophenyl)-N'-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-72-8 CAPLUS

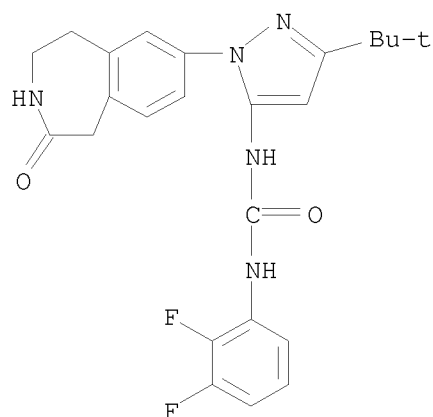
CN Urea, N-[1-(1,2-dihydro-2-oxo-6-quinolinyl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



RN 897370-73-9 CAPLUS

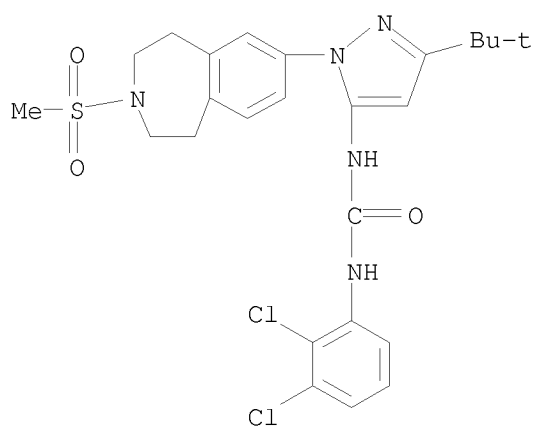
CN Urea, N-(2,3-difluorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(2,3,4,5-tetrahydro-2-oxo-1H-3-benzazepin-7-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897370-75-1 CAPLUS

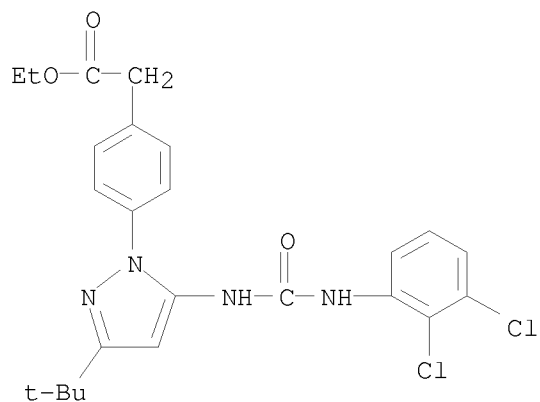
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[2,3,4,5-tetrahydro-3-(methylsulfonyl)-1H-3-benzazepin-7-yl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897370-79-5 CAPLUS

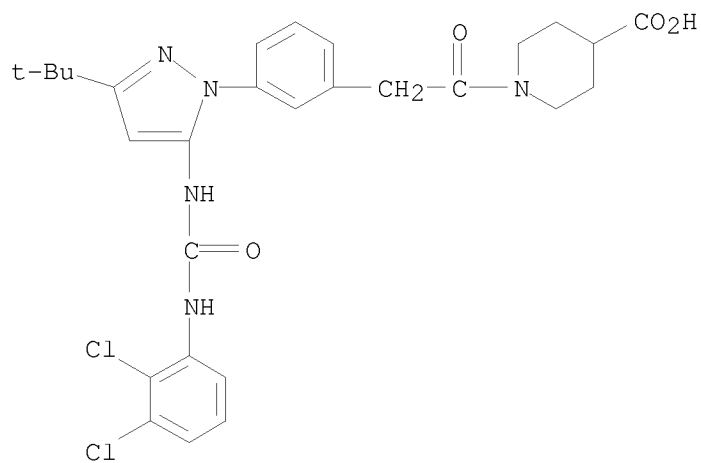
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

10/562,112



RN 897370-84-2 CAPLUS

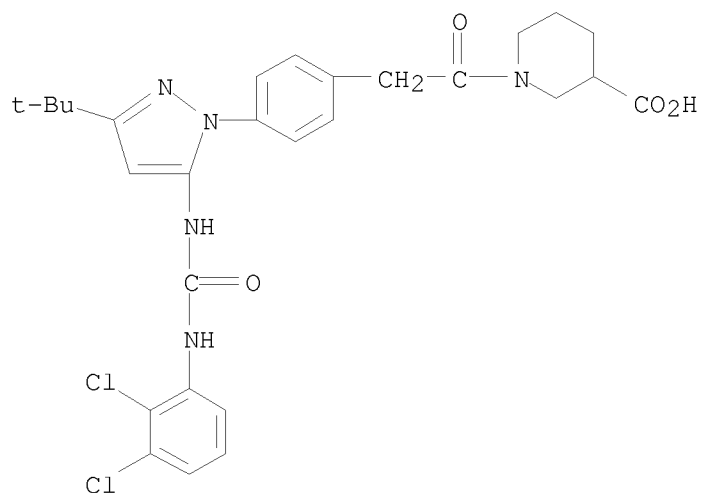
CN 4-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)



RN 897370-86-4 CAPLUS

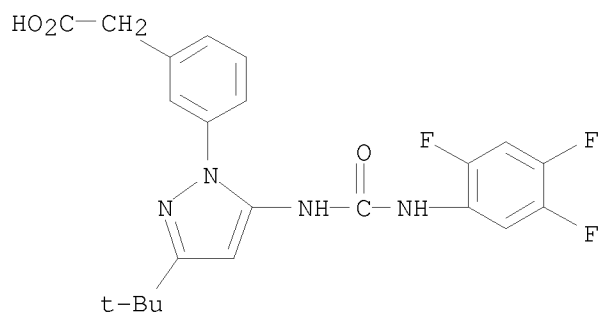
CN 3-Piperidinecarboxylic acid, 1-[2-[4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)

10/562,112



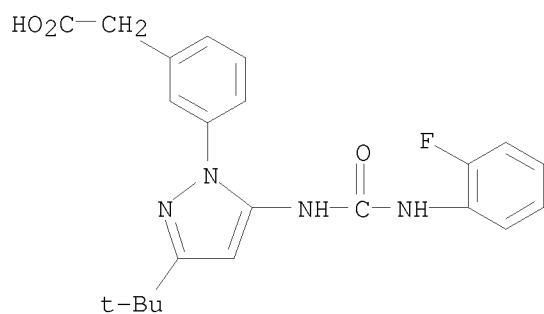
RN 897371-09-4 CAPLUS

CN Benzeneacetic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-10-7 CAPLUS

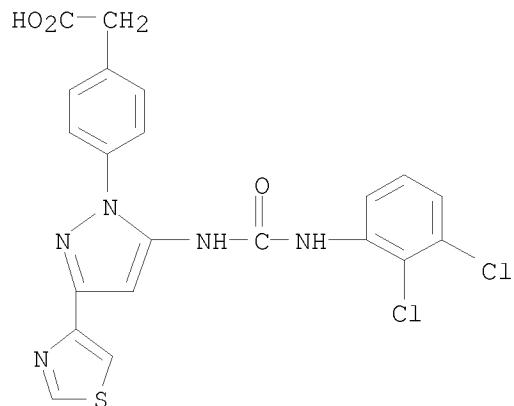
CN Benzeneacetic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-23-2 CAPLUS

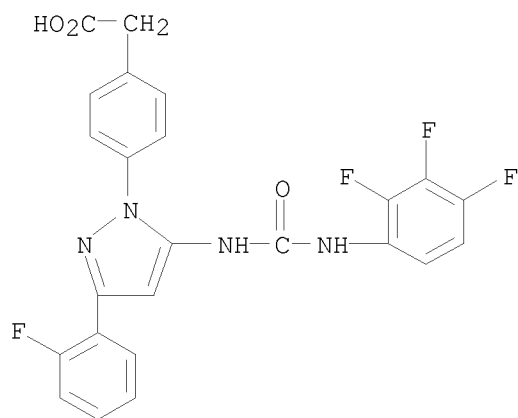
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



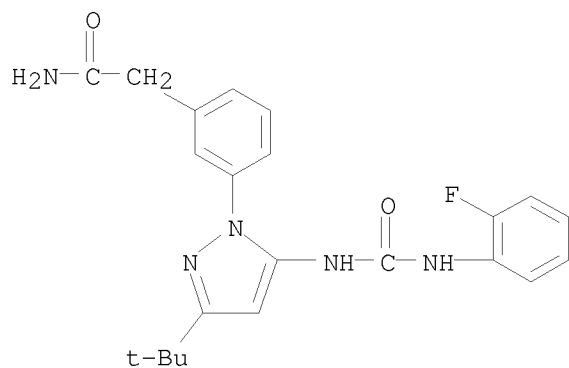
RN 897371-27-6 CAPLUS

CN Benzeneacetic acid, 4-[3-(2-fluorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-32-3 CAPLUS

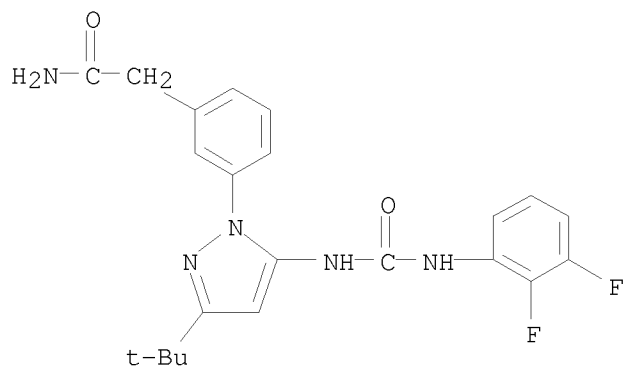
CN Benzeneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-33-4 CAPLUS

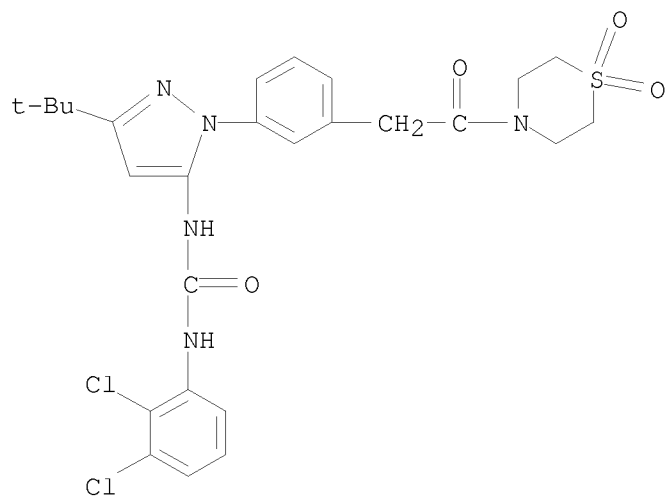
10/562,112

CN Benzeneacetamide, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-35-6 CAPLUS

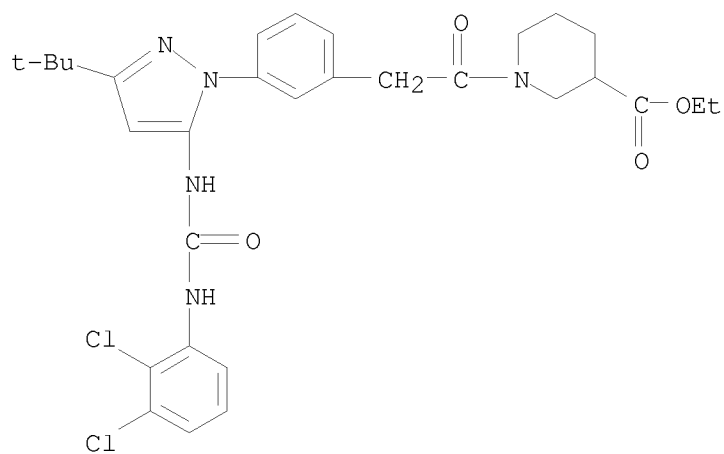
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897371-36-7 CAPLUS

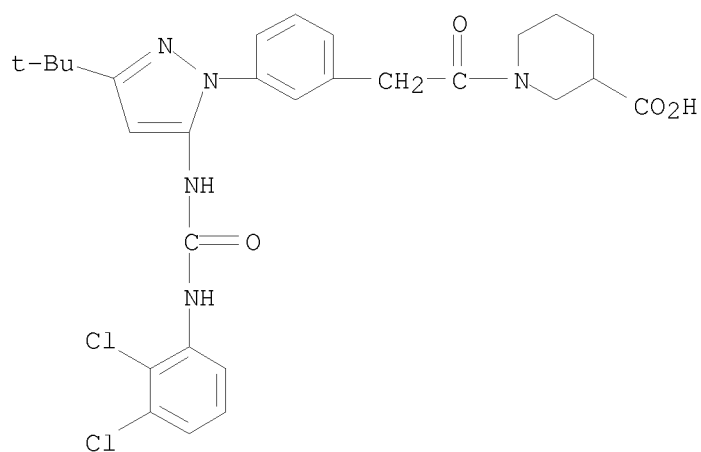
CN 3-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, ethyl ester (CA INDEX NAME)

10/562,112



RN 897371-37-8 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)

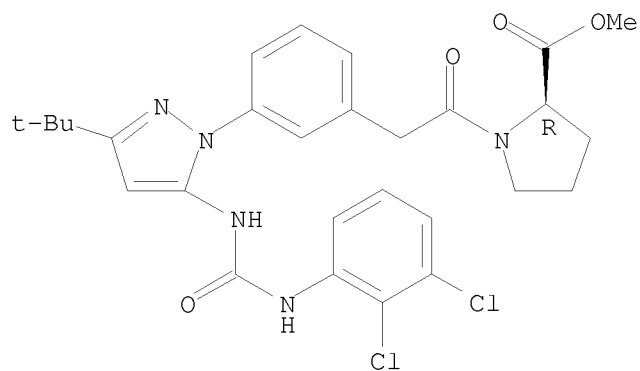


RN 897371-38-9 CAPLUS

CN D-Proline, 1-[[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

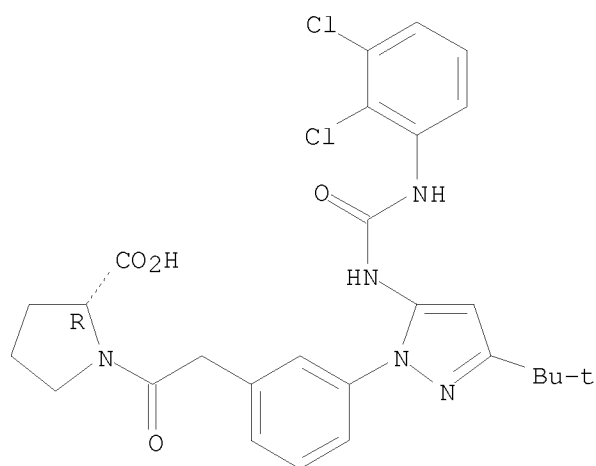
10/562,112



RN 897371-39-0 CAPLUS

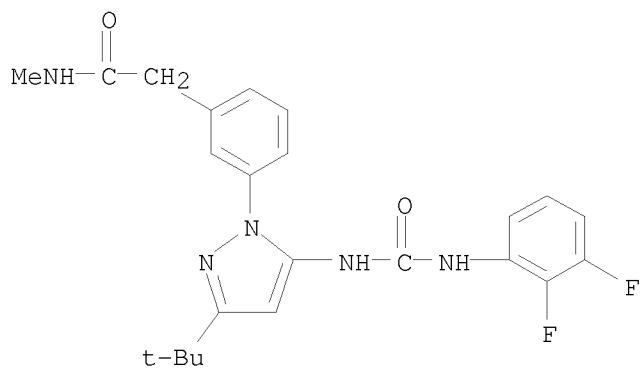
CN D-Proline, 1-[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 897371-40-3 CAPLUS

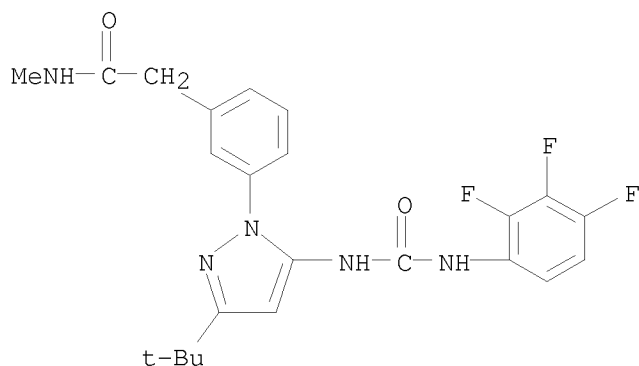
CN Benzeneacetamide, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-methyl- (CA INDEX NAME)



10/562,112

RN 897371-41-4 CAPLUS

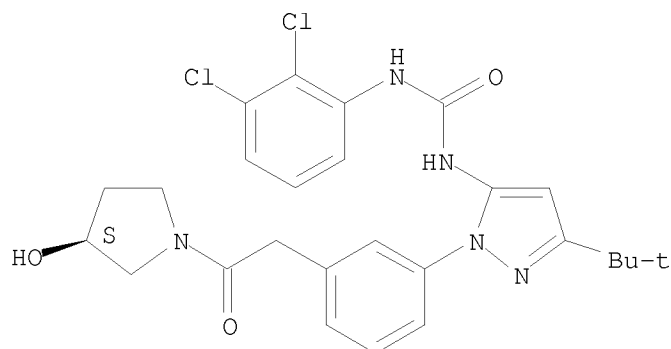
CN Benzeneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-N-methyl- (CA INDEX NAME)



RN 897371-43-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

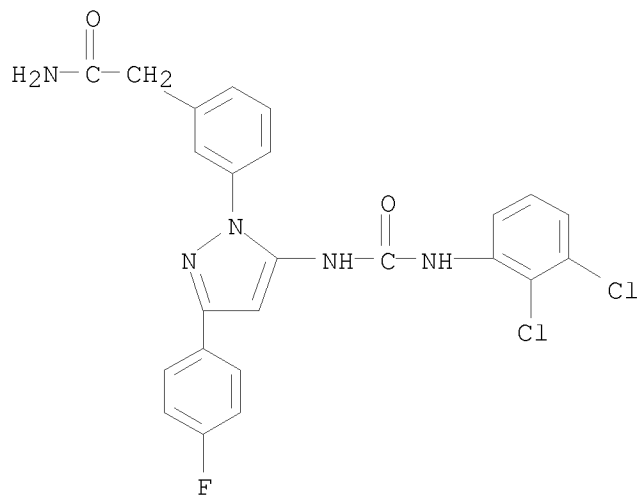
Absolute stereochemistry.



RN 897371-44-7 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

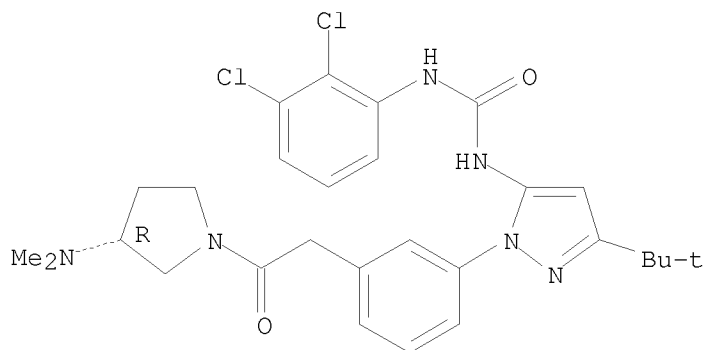
10/562,112



RN 897371-45-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3R)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

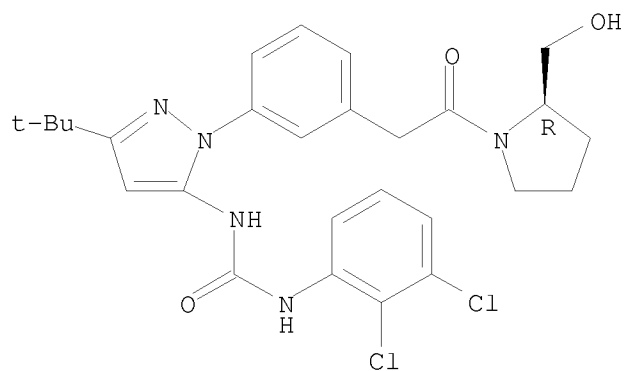


RN 897371-46-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

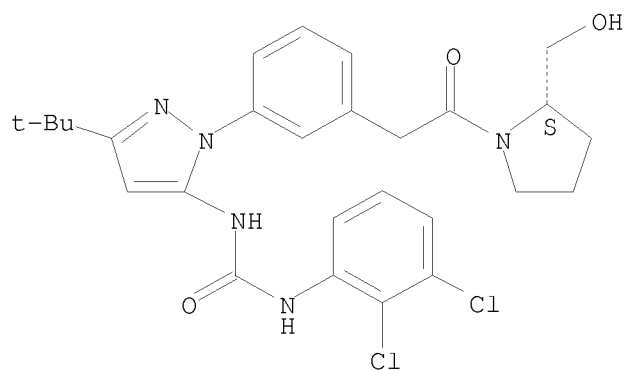
10/562,112



RN 897371-47-0 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

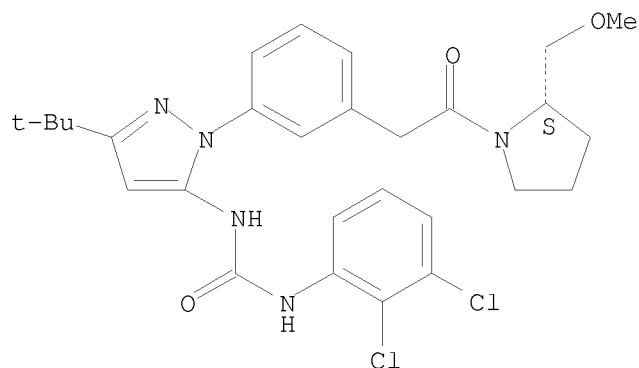
Absolute stereochemistry.



RN 897371-48-1 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

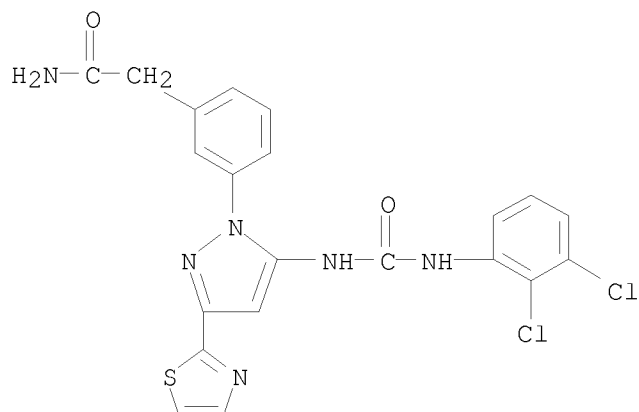
Absolute stereochemistry.



10/562,112

RN 897371-49-2 CAPLUS

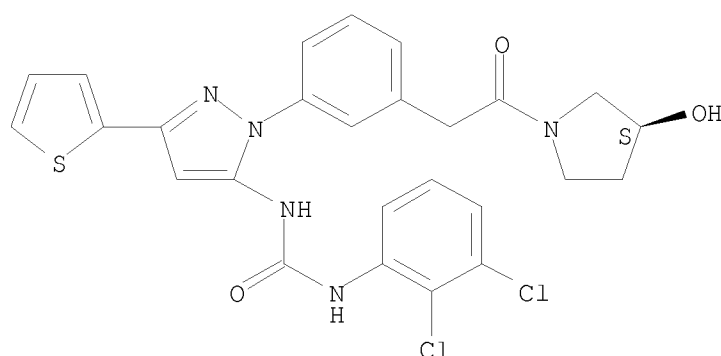
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-53-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(2-thienyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

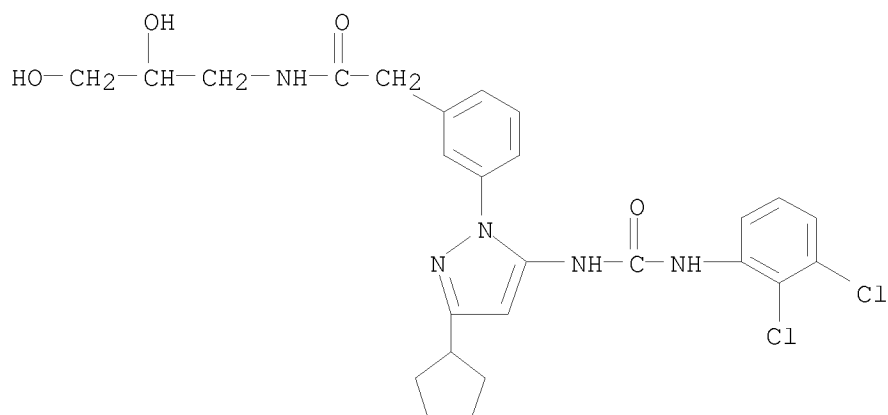
Absolute stereochemistry.



RN 897371-57-2 CAPLUS

CN Benzeneacetamide, 3-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

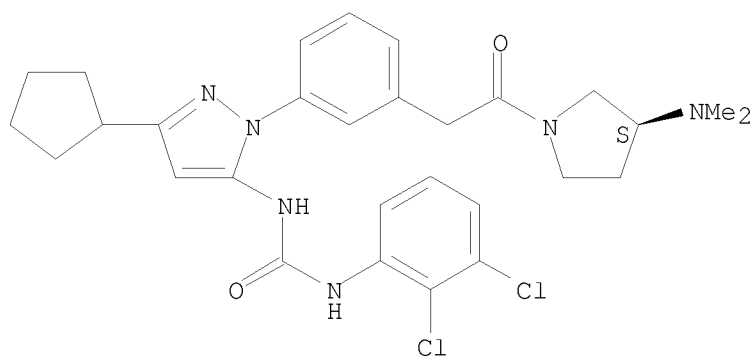
10/562,112



RN 897371-58-3 CAPLUS

CN Urea, N-[3-cyclopentyl-1-[3-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

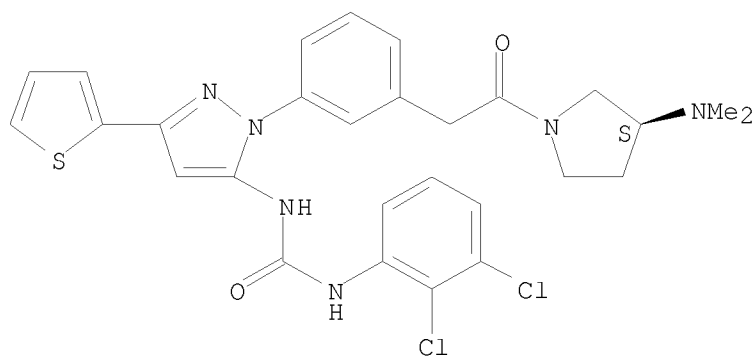
Absolute stereochemistry.



RN 897371-59-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[3-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(2-thienyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

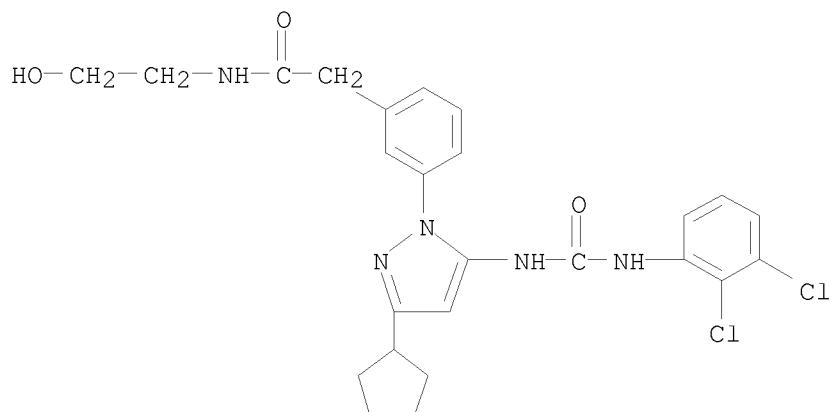
Absolute stereochemistry.



10/562,112

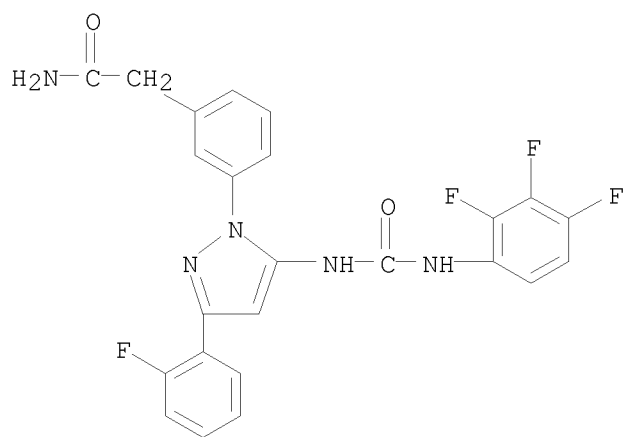
RN 897371-60-7 CAPLUS

CN Benzeneacetamide, 3-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897371-61-8 CAPLUS

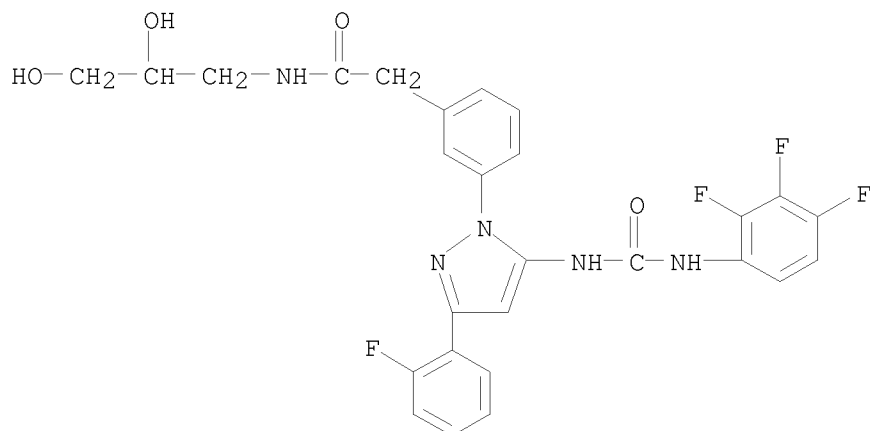
CN Benzeneacetamide, 3-[3-(2-fluorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



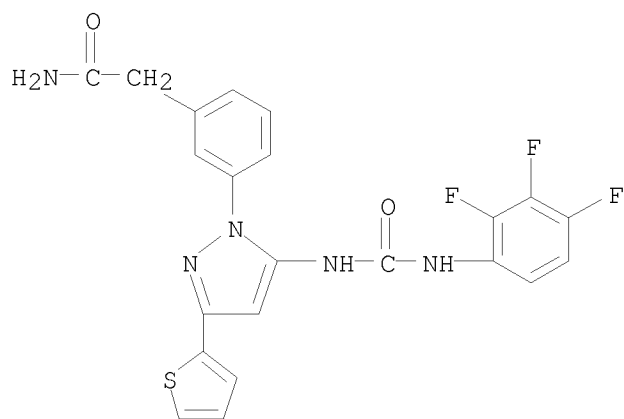
RN 897371-63-0 CAPLUS

CN Benzeneacetamide, N-(2,3-dihydroxypropyl)-3-[3-(2-fluorophenyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

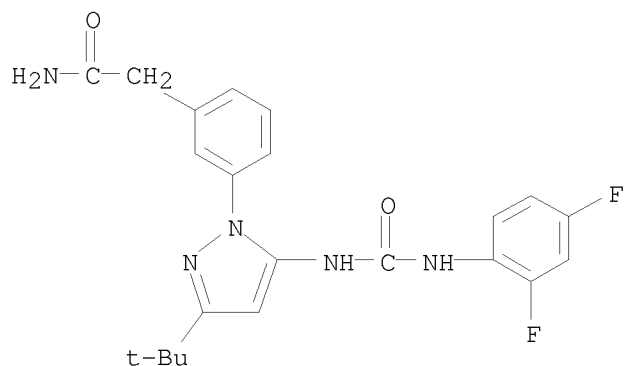
10/562,112



RN 897371-73-2 CAPLUS
 CN Benzeneacetamide, 3-[3-(2-thienyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



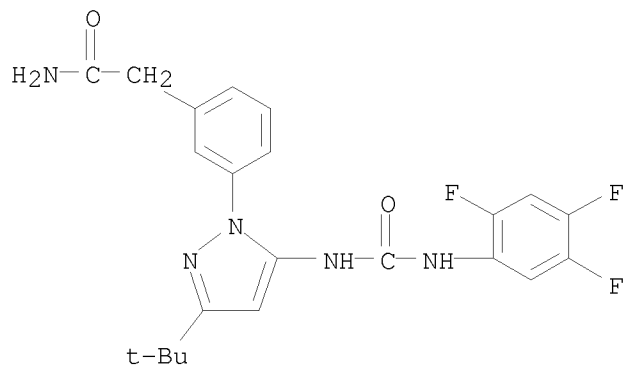
RN 897371-74-3 CAPLUS
 CN Benzeneacetamide, 3-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/562,112

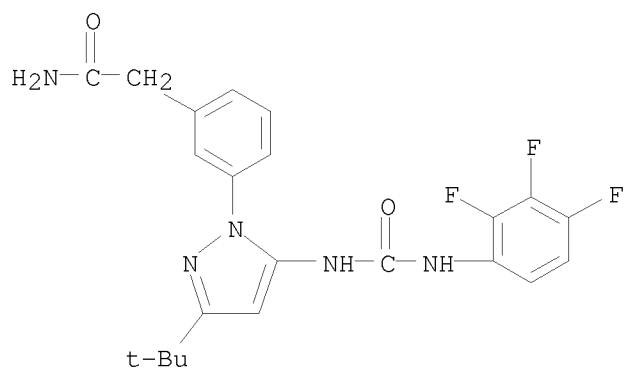
RN 897371-76-5 CAPLUS

CN Benzeneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



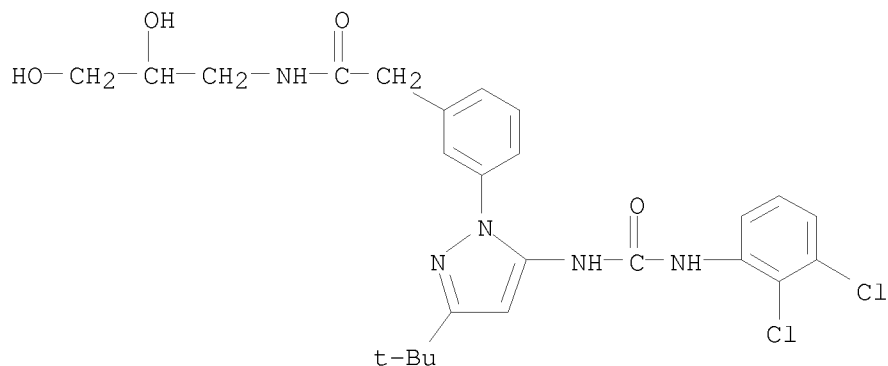
RN 897371-77-6 CAPLUS

CN Benzeneacetamide, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-82-3 CAPLUS

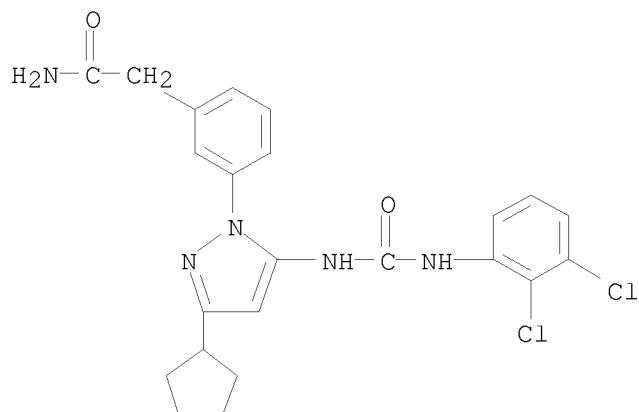
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



10/562,112

RN 897371-84-5 CAPLUS

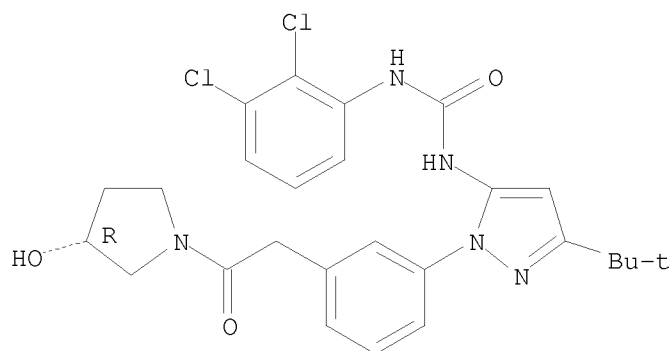
CN Benzeneacetamide, 3-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-85-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

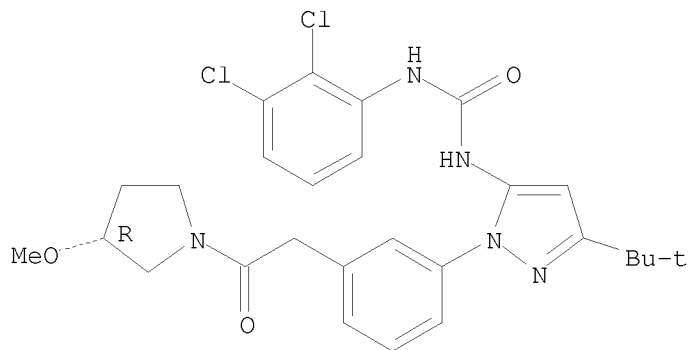


RN 897371-86-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-[(3R)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

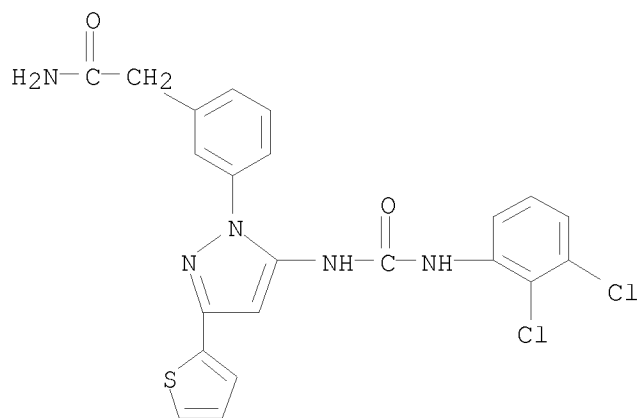
Absolute stereochemistry.

10/562,112



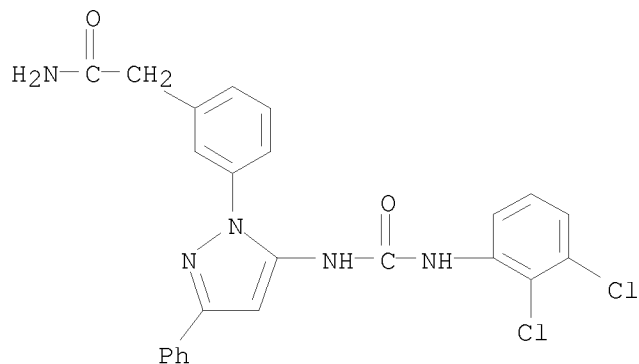
RN 897371-87-8 CAPLUS

CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-89-0 CAPLUS

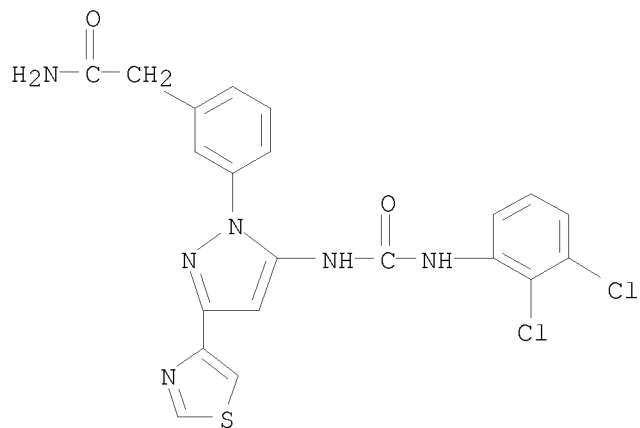
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-90-3 CAPLUS

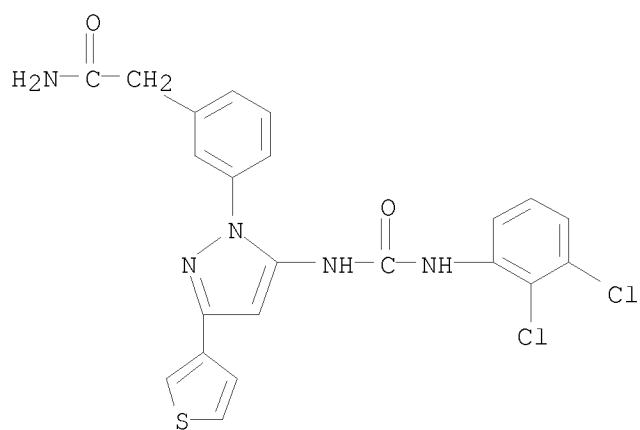
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897371-93-6 CAPLUS

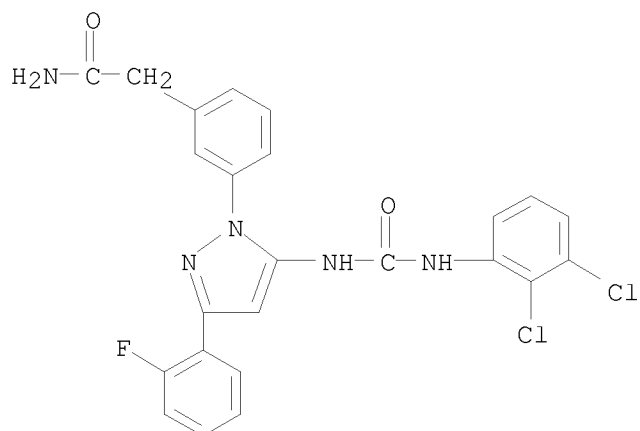
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897371-94-7 CAPLUS

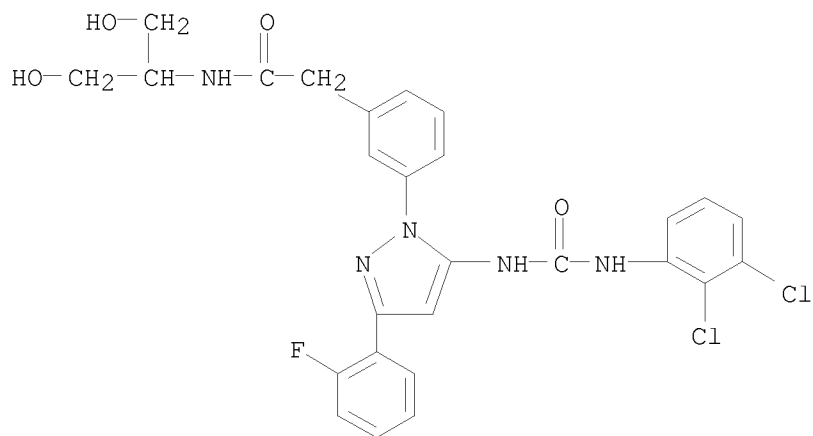
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897371-95-8 CAPLUS

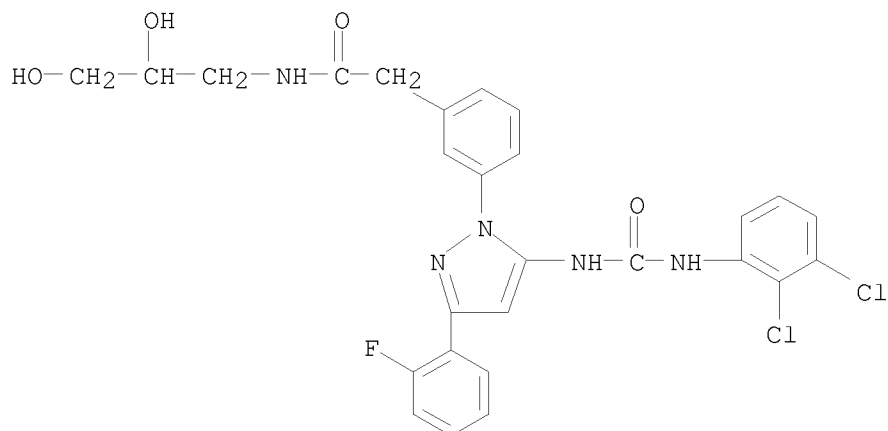
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)



RN 897371-96-9 CAPLUS

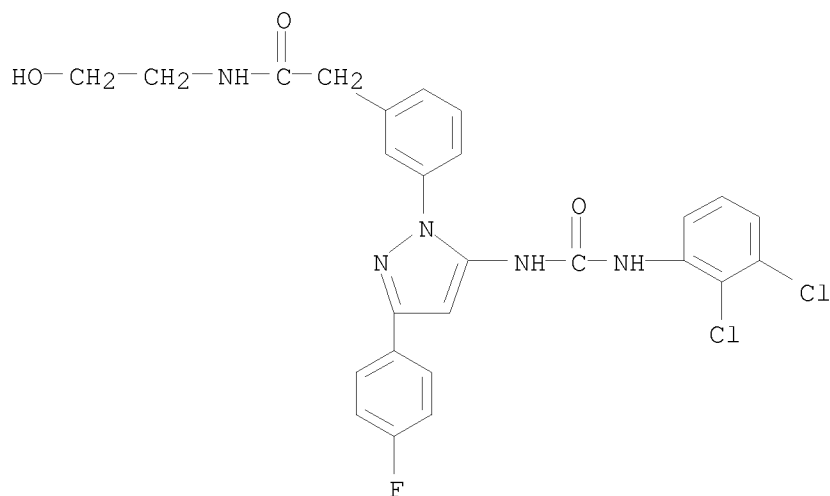
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

10/562,112



RN 897371-97-0 CAPLUS

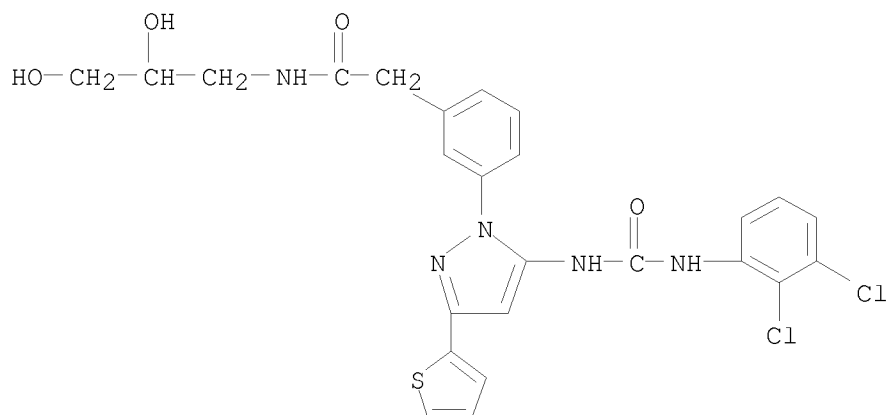
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897371-98-1 CAPLUS

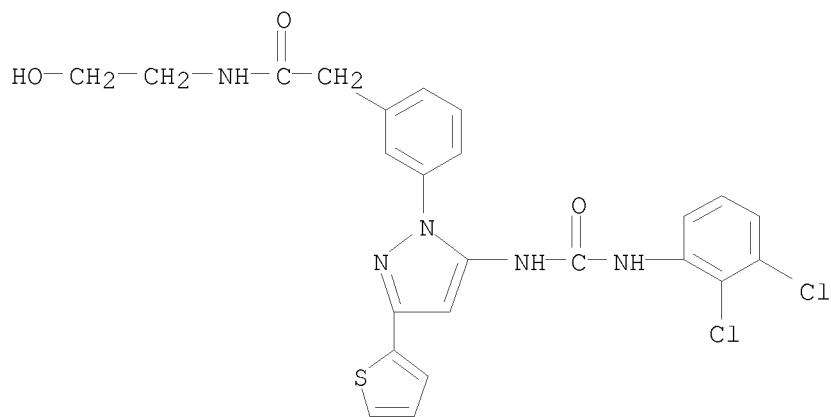
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

10/562,112



RN 897371-99-2 CAPLUS

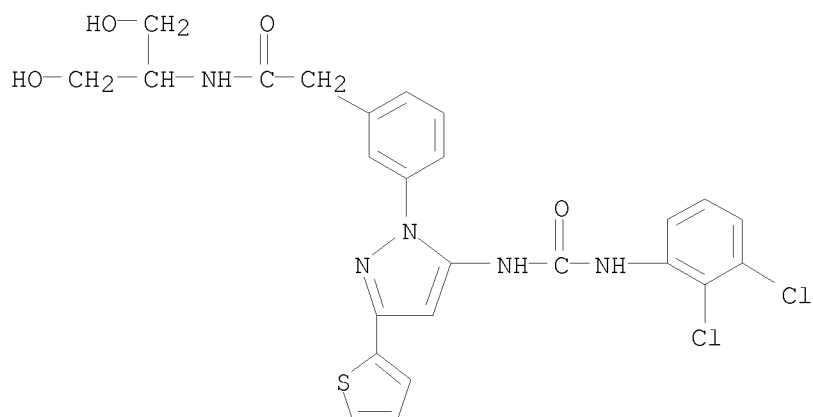
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-00-8 CAPLUS

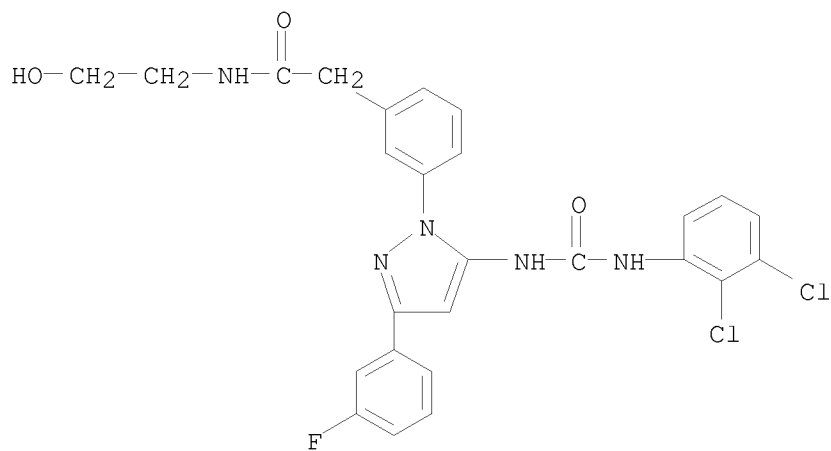
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)

10/562,112



RN 897372-01-9 CAPLUS

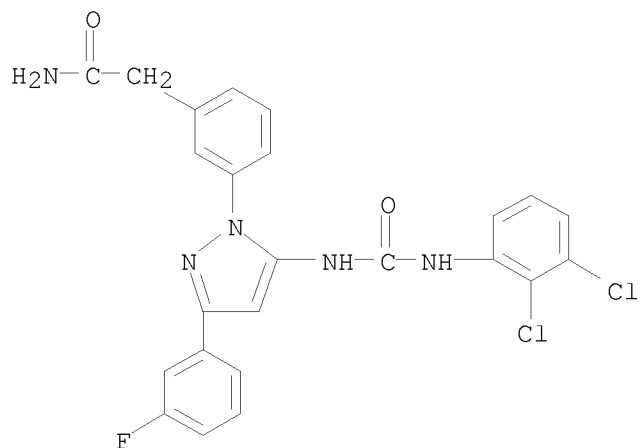
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-02-0 CAPLUS

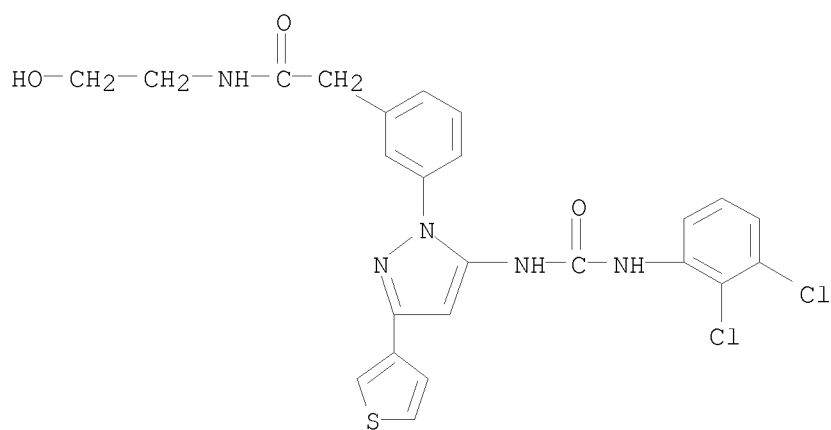
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897372-03-1 CAPLUS

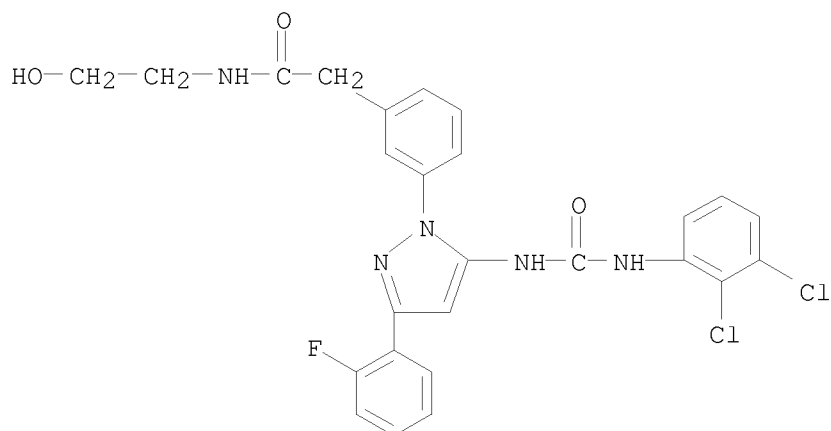
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-04-2 CAPLUS

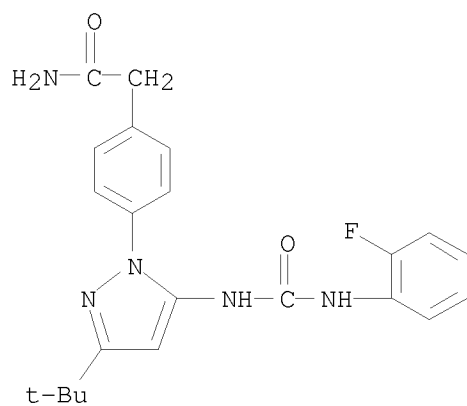
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)

10/562,112



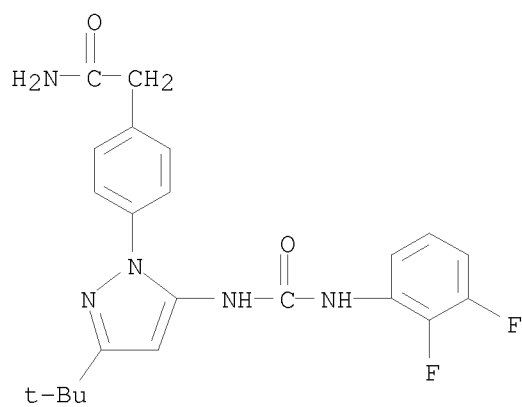
RN 897372-06-4 CAPLUS

CN Benzeneacetamide, 4-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-07-5 CAPLUS

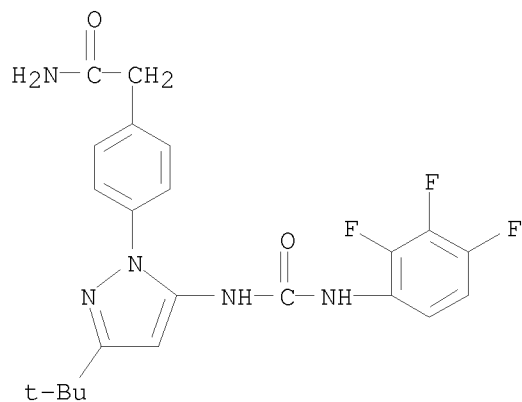
CN Benzeneacetamide, 4-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



10/562,112

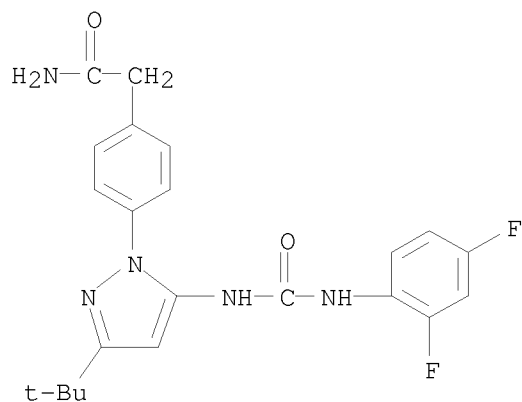
RN 897372-08-6 CAPLUS

CN Benzeneacetamide, 4-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-09-7 CAPLUS

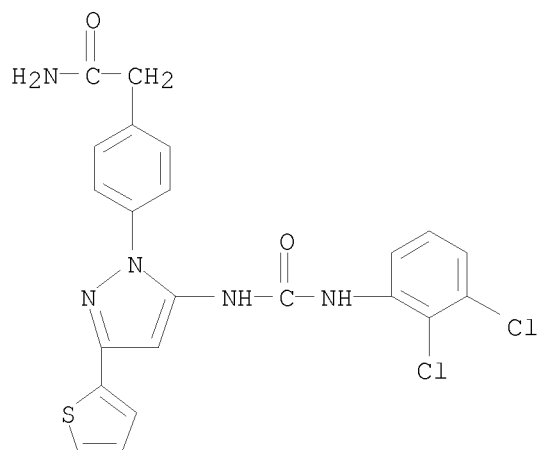
CN Benzeneacetamide, 4-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-10-0 CAPLUS

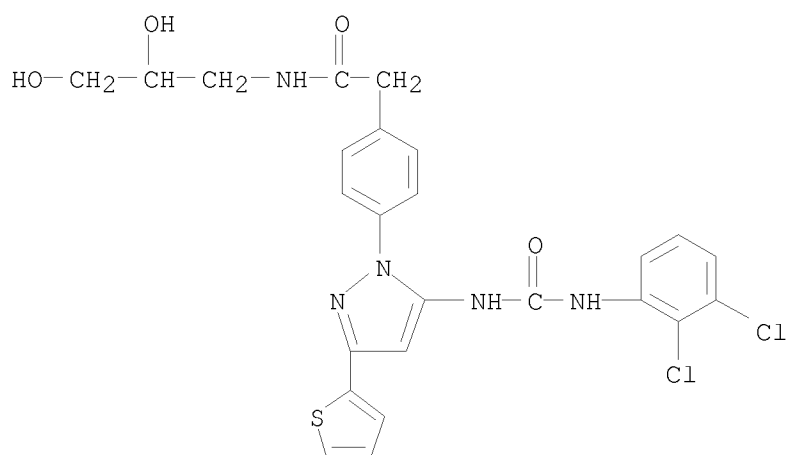
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897372-11-1 CAPLUS

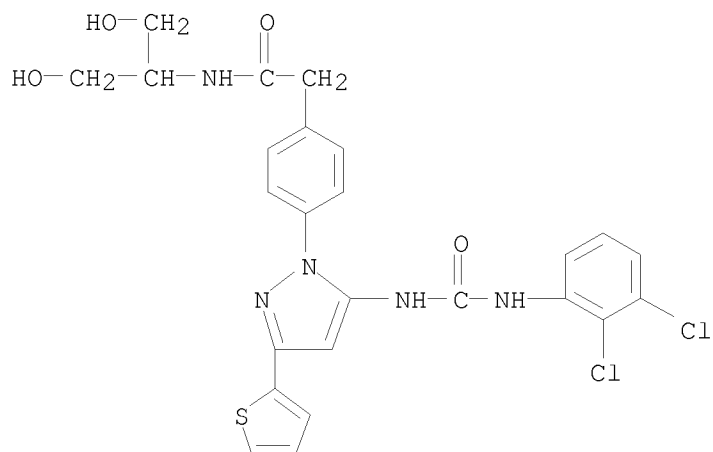
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



RN 897372-12-2 CAPLUS

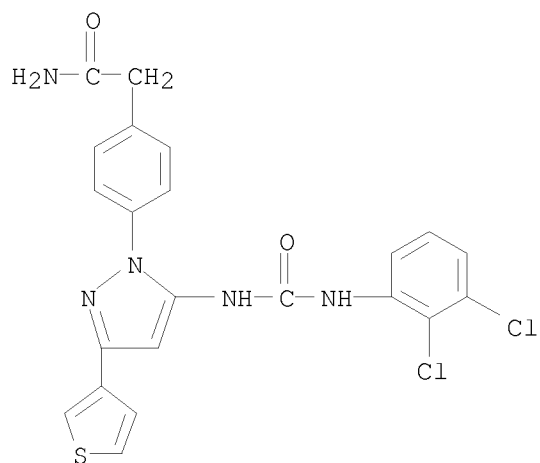
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)

10/562,112



RN 897372-13-3 CAPLUS

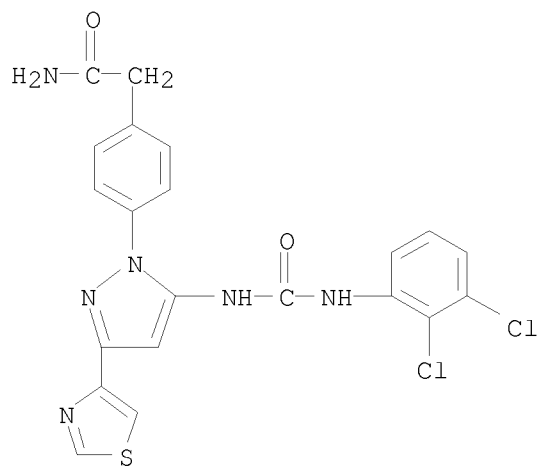
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-14-4 CAPLUS

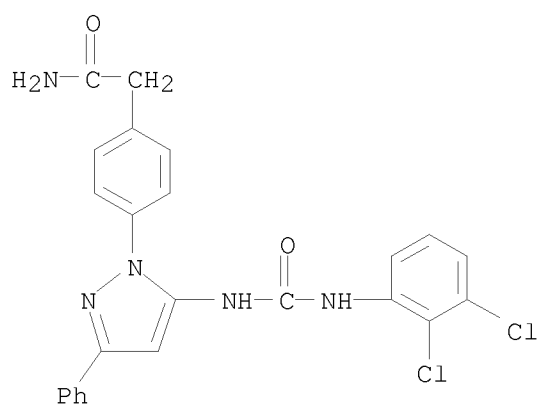
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897372-15-5 CAPLUS

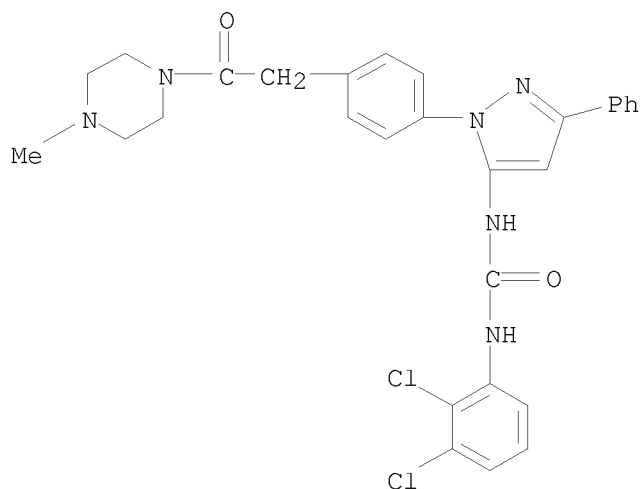
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-16-6 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-(4-methyl-1-piperazinyl)-2-oxoethyl]phenyl]-3-phenyl-1H-pyrazol-5-yl]- (CA INDEX NAME)

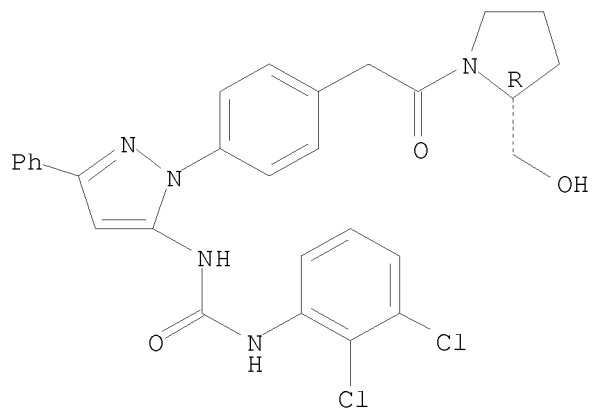
10/562,112



RN 897372-17-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-phenyl-1H-pyrazol-5-yl]- (CA INDEX NAME)

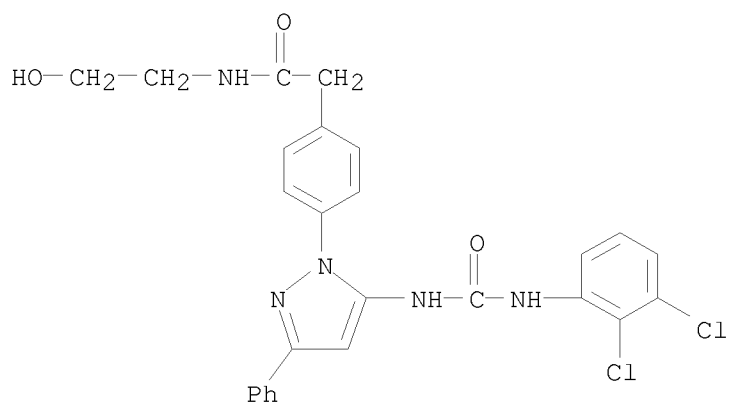
Absolute stereochemistry.



RN 897372-18-8 CAPLUS

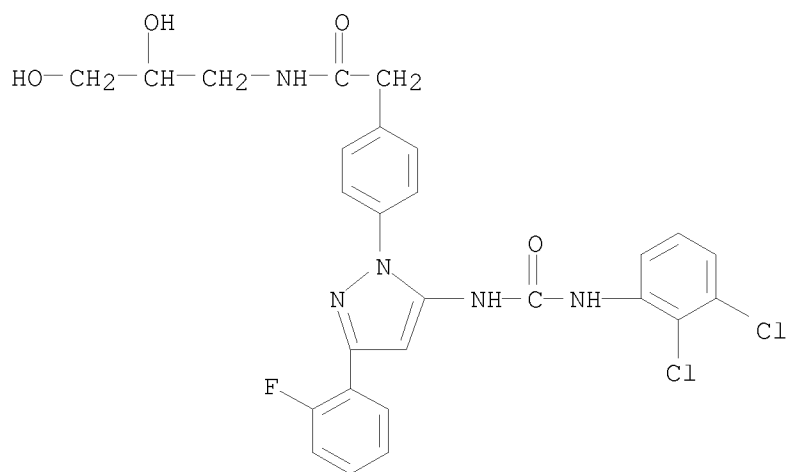
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)

10/562,112



RN 897372-19-9 CAPLUS

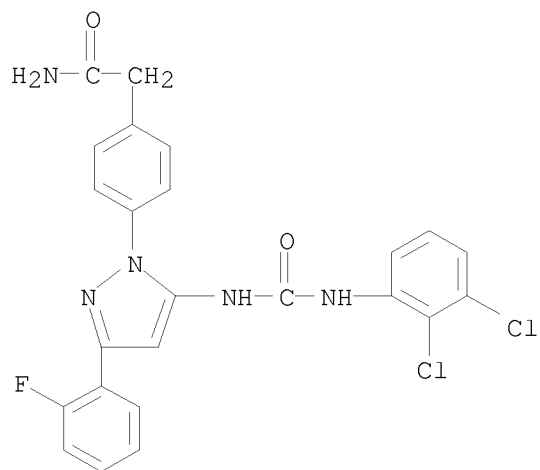
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



RN 897372-20-2 CAPLUS

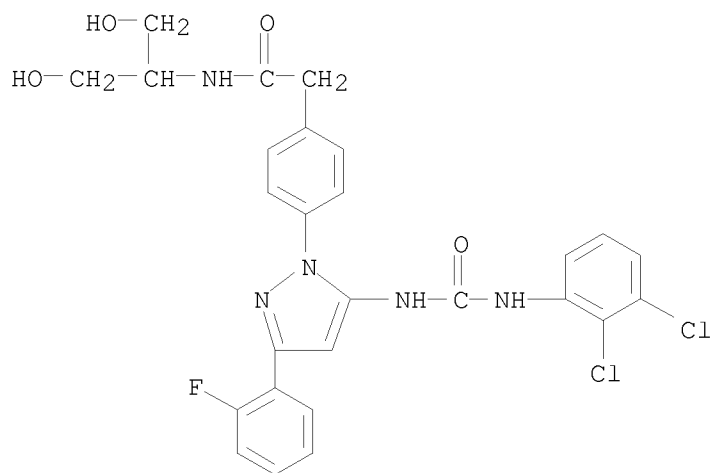
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-(2-hydroxy-3-phenylpropyl)- (CA INDEX NAME)

10/562,112



RN 897372-21-3 CAPLUS

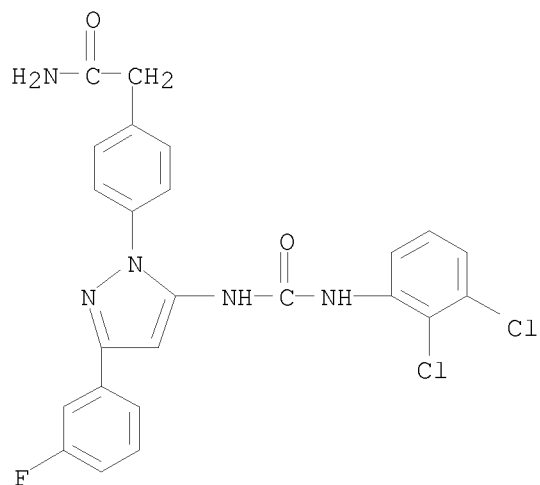
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-N-[2-hydroxy-1-(hydroxymethyl)ethyl]- (CA INDEX NAME)



RN 897372-22-4 CAPLUS

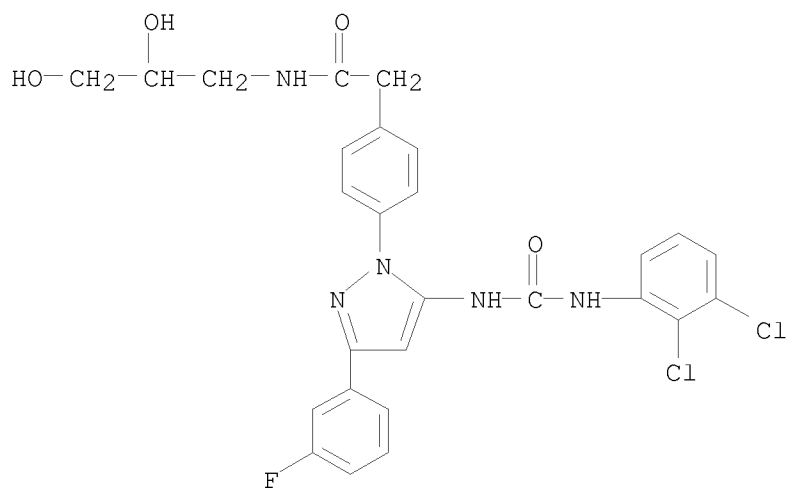
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



RN 897372-23-5 CAPLUS

CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-fluorophenyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)

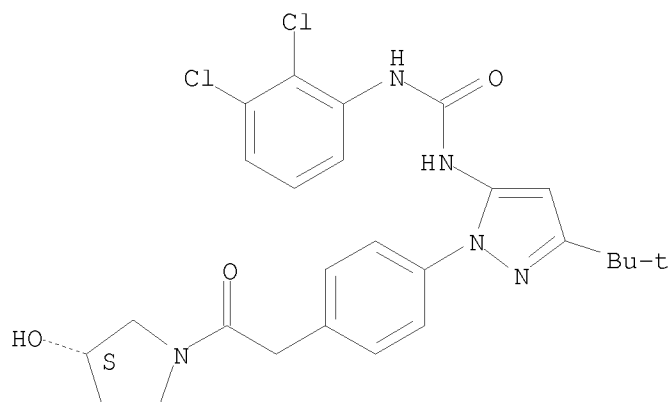


RN 897372-25-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

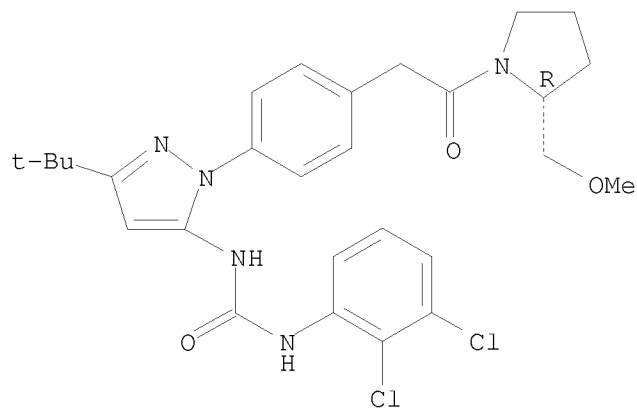
10/562,112



RN 897372-26-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(2R)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

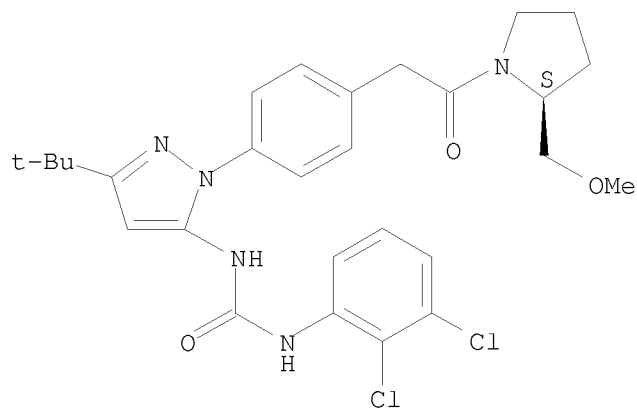


RN 897372-27-9 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

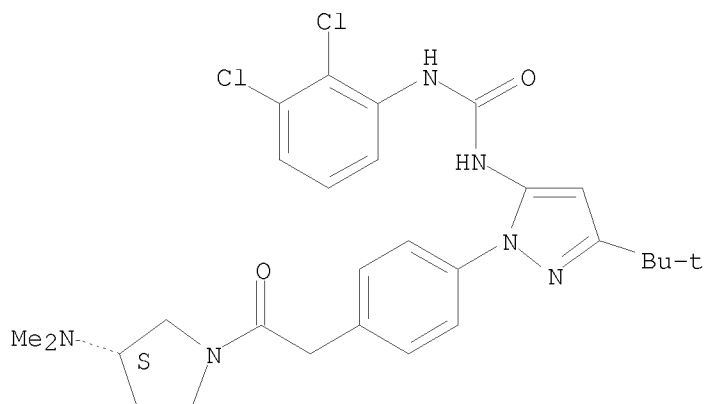
10/562,112



RN 897372-28-0 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-[(3S)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-
(CA INDEX NAME)

Absolute stereochemistry.

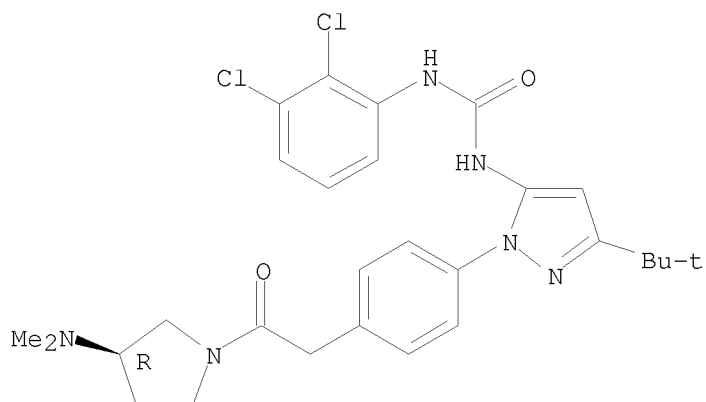


RN 897372-29-1 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[1-[4-[2-[(3R)-3-(dimethylamino)-1-pyrrolidinyl]-2-oxoethyl]phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-
(CA INDEX NAME)

Absolute stereochemistry.

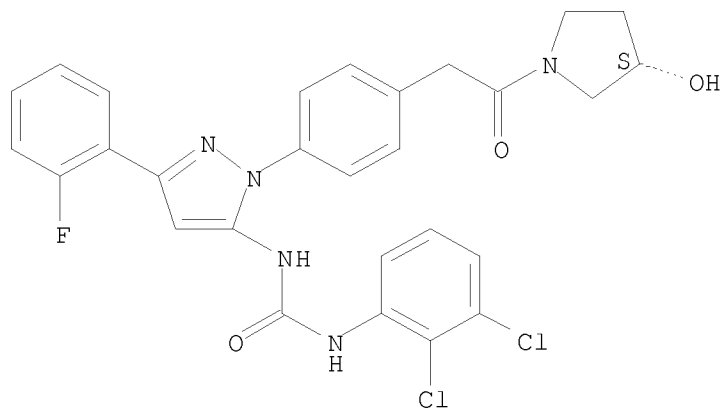
10/562,112



RN 897372-30-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(2-fluorophenyl)-1-[4-[2-[(3S)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

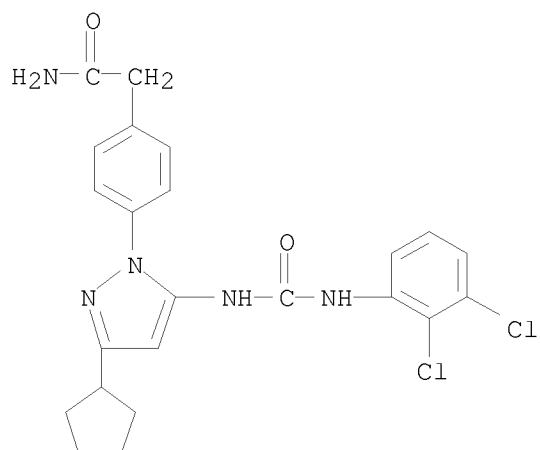
Absolute stereochemistry.



RN 897372-33-7 CAPLUS

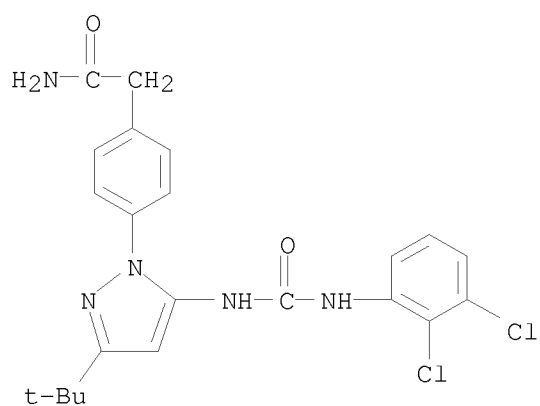
CN Benzeneacetamide, 4-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]- (CA INDEX NAME)

10/562,112



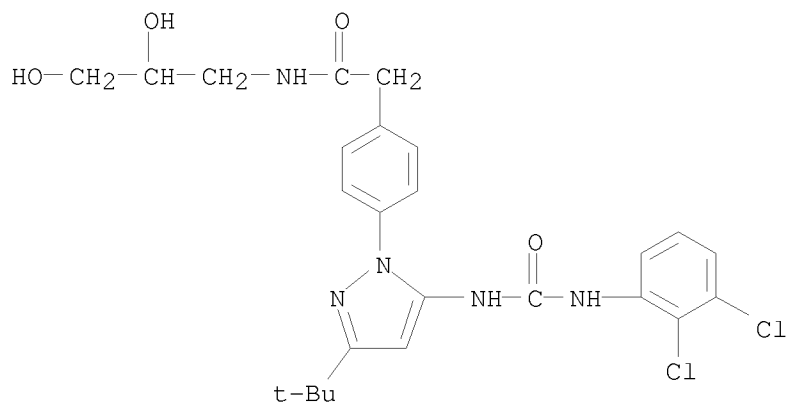
RN 897372-34-8 CAPLUS

CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)



RN 897372-35-9 CAPLUS

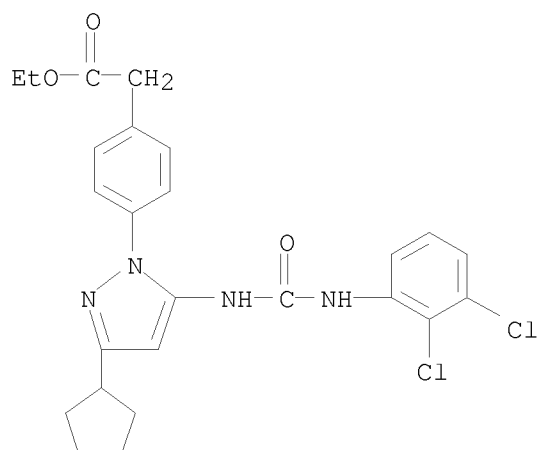
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N-(2,3-dihydroxypropyl)- (CA INDEX NAME)



10/562,112

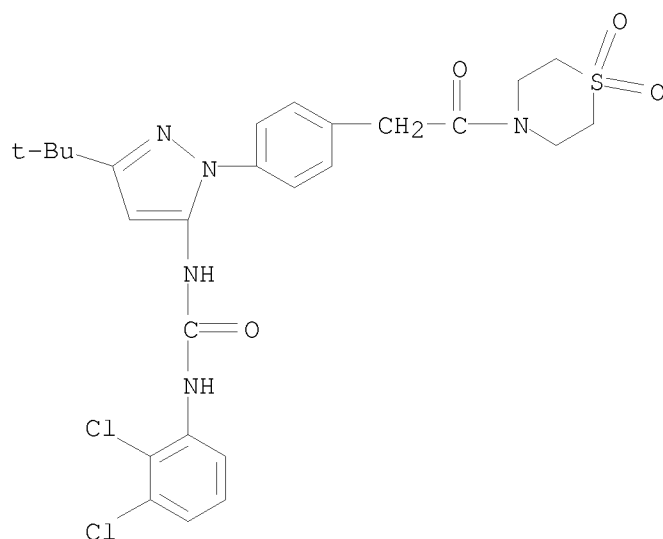
RN 897372-36-0 CAPLUS

CN Benzeneacetic acid, 4-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897372-37-1 CAPLUS

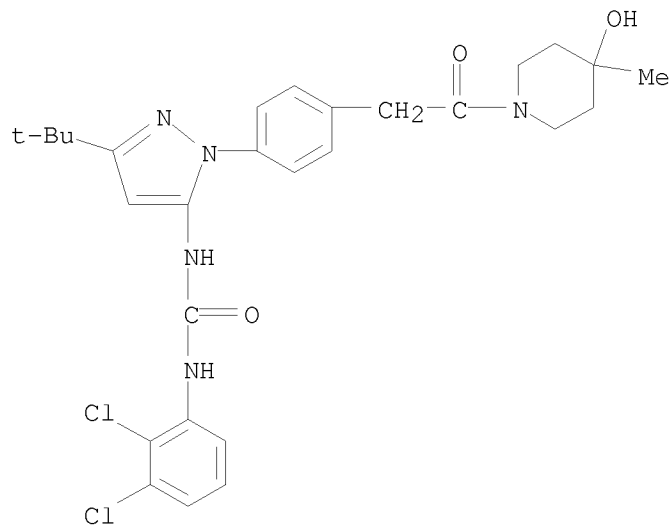
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-(1,1-dioxido-4-thiomorpholinyl)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897372-38-2 CAPLUS

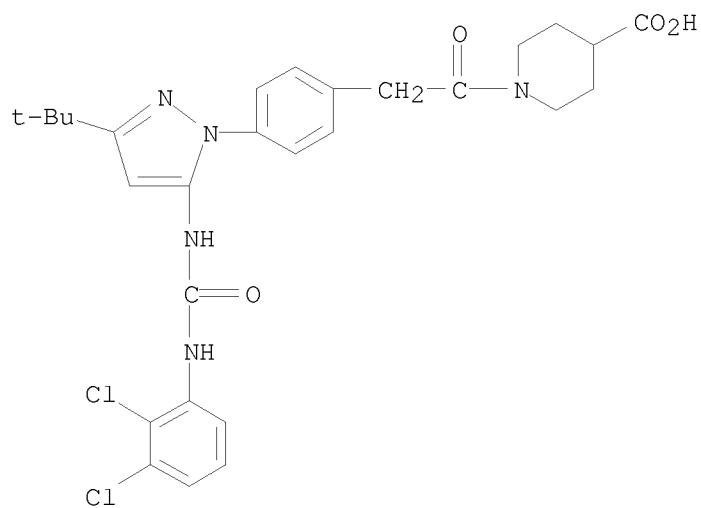
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-(4-hydroxy-4-methyl-1-piperidinyl)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897372-40-6 CAPLUS

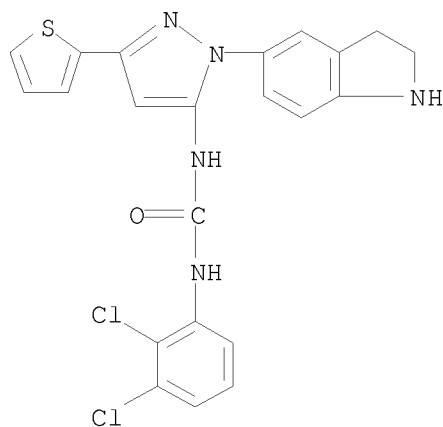
CN 4-Piperidinecarboxylic acid, 1-[2-[4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (CA INDEX NAME)



RN 897372-59-7 CAPLUS

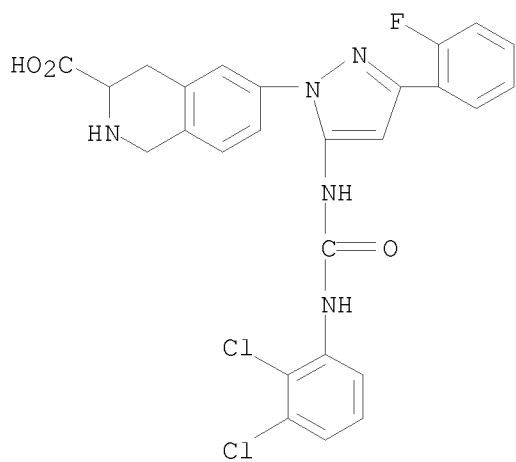
CN Urea, N-(2,3-dichlorophenyl)-N'-[1-(2,3-dihydro-1H-indol-5-yl)-3-(2-thienyl)-1H-pyrazol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

10/562,112



● HCl

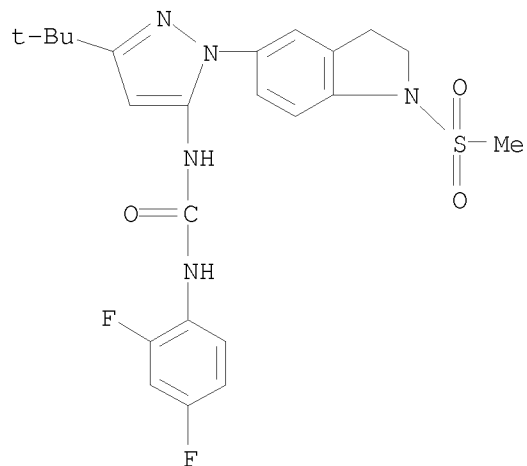
RN 897372-61-1 CAPLUS
CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

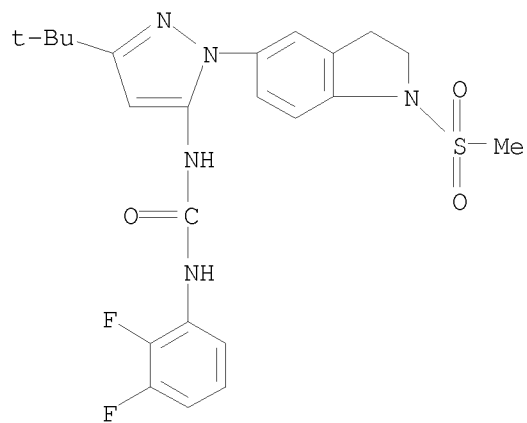
RN 897372-62-2 CAPLUS
CN Urea, N-(2,4-difluorophenyl)-N'-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897372-63-3 CAPLUS

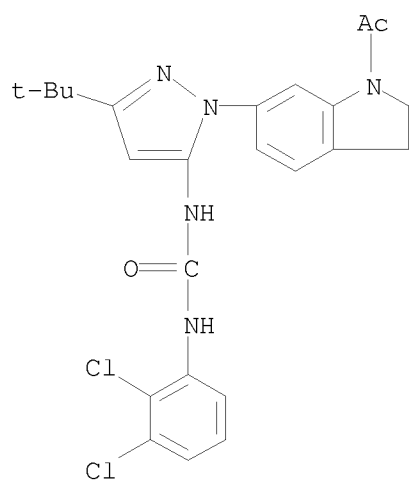
CN Urea, N-(2,3-difluorophenyl)-N'-[1-[2,3-dihydro-1-(methylsulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897372-65-5 CAPLUS

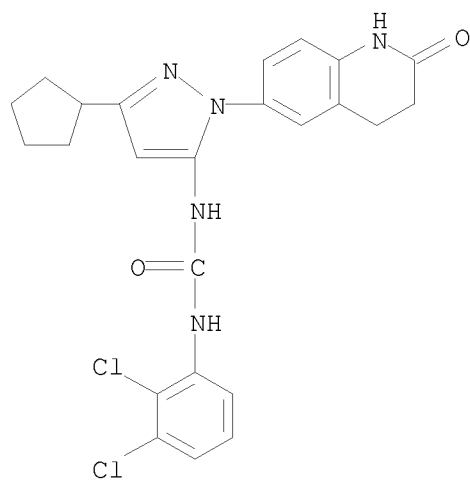
CN Urea, N-[1-(1-acetyl-2,3-dihydro-1H-indol-6-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897372-66-6 CAPLUS

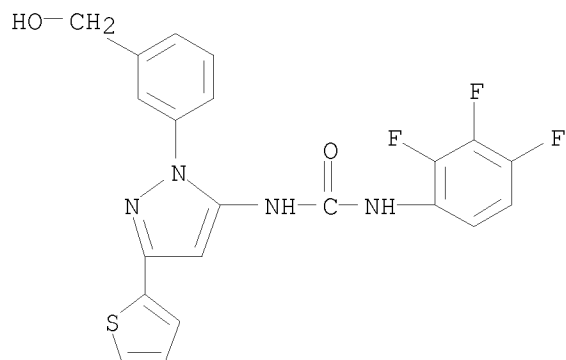
CN Urea, N-[3-cyclopentyl-1-(1,2,3,4-tetrahydro-2-oxo-6-quinolinyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897372-67-7 CAPLUS

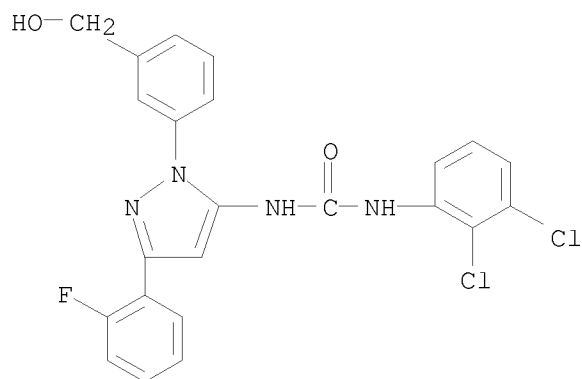
CN Urea, N-[1-[3-(hydroxymethyl)phenyl]-3-(2-thienyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

10/562,112



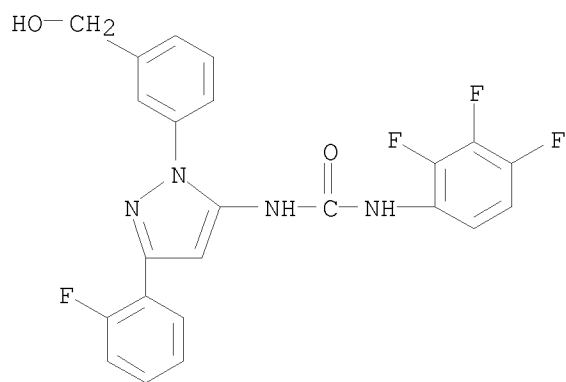
RN 897372-68-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(2-fluorophenyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897372-69-9 CAPLUS

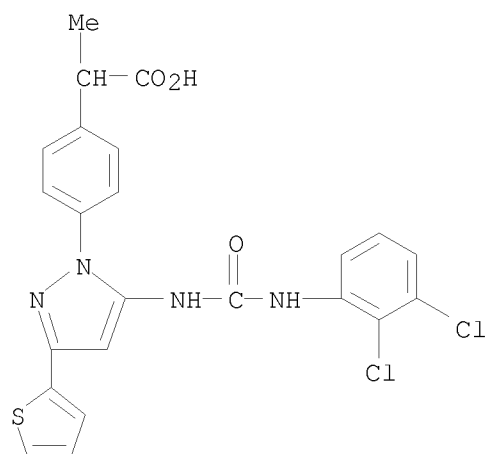
CN Urea, N-[3-(2-fluorophenyl)-1-[3-(hydroxymethyl)phenyl]-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)



RN 897372-76-8 CAPLUS

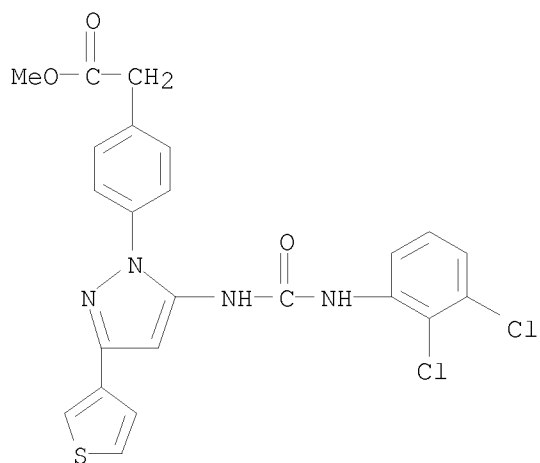
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-alpha-methyl- (CA INDEX NAME)

10/562,112



RN 897372-77-9 CAPLUS

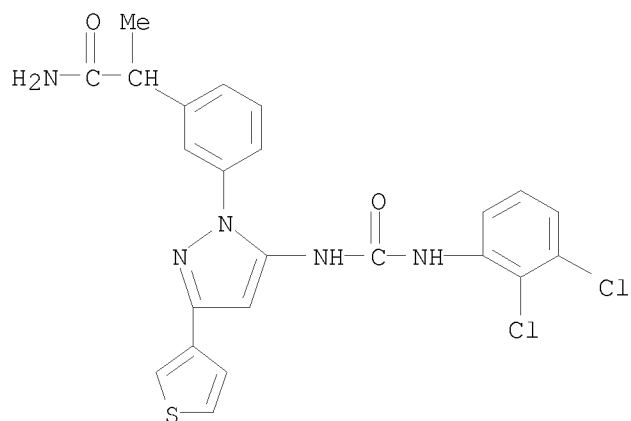
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]-, methyl ester (CA INDEX NAME)



RN 897372-78-0 CAPLUS

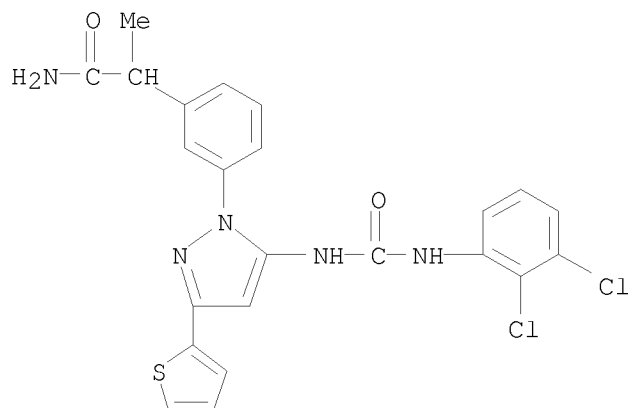
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(3-thienyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)

10/562,112



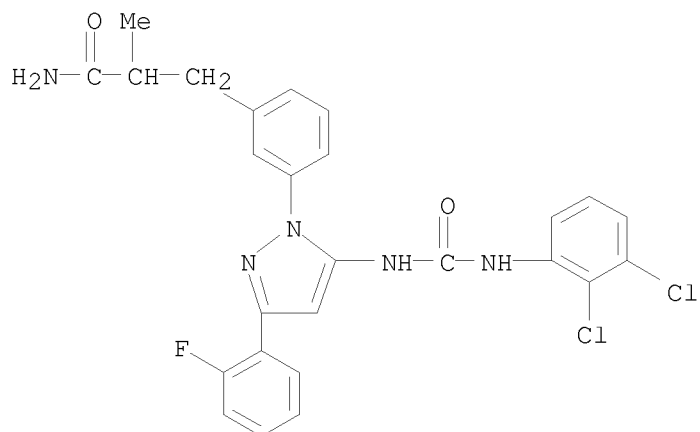
RN 897372-79-1 CAPLUS

CN Benzenacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-thienyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



RN 897372-80-4 CAPLUS

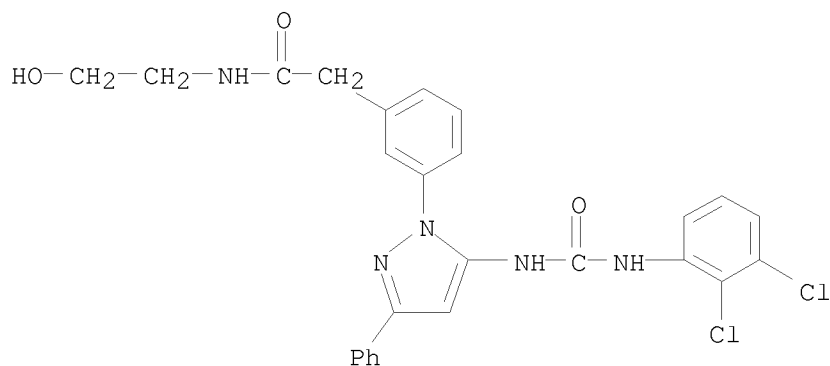
CN Benzenepropanamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-α-methyl- (CA INDEX NAME)



10/562,112

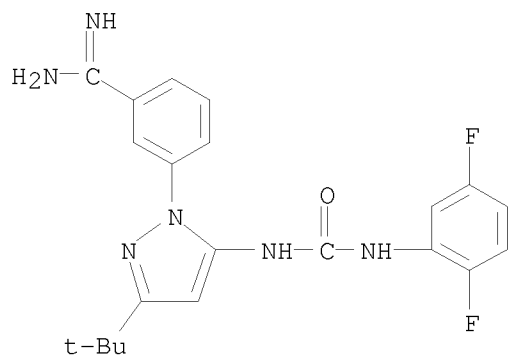
RN 897372-83-7 CAPLUS

CN Benzenacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-phenyl-1H-pyrazol-1-yl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



RN 897372-84-8 CAPLUS

CN Benzenecarboximidamide, 3-[5-[[[(2,5-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

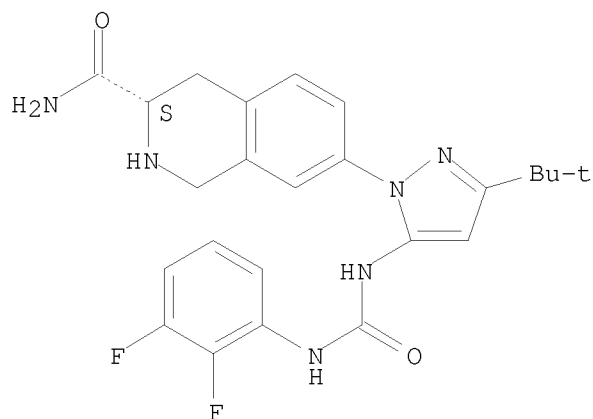


RN 897372-86-0 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

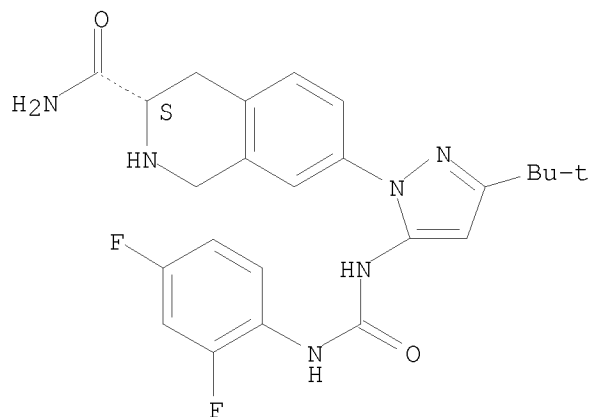
10/562,112



RN 897372-87-1 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,4-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

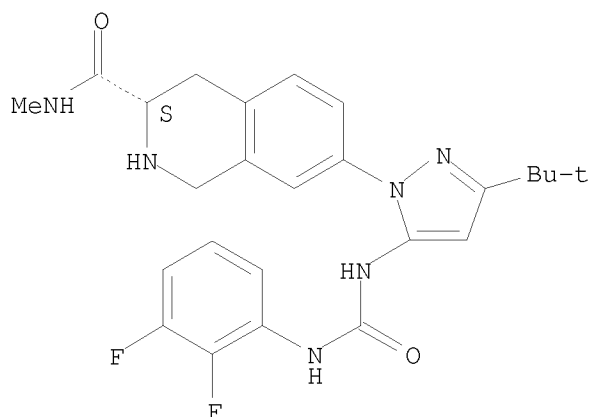


RN 897372-88-2 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-N-methyl-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

10/562,112



IT 897372-89-3P 897372-90-6P 897372-91-7P
 897372-99-5P 897373-02-3P 897373-04-5P
 897373-16-9P 897373-17-0P 897375-76-7P
 897375-77-8P 897375-78-9P 897375-99-4P
 897376-00-0P 897376-07-7P 897376-20-4P
 897376-21-5P

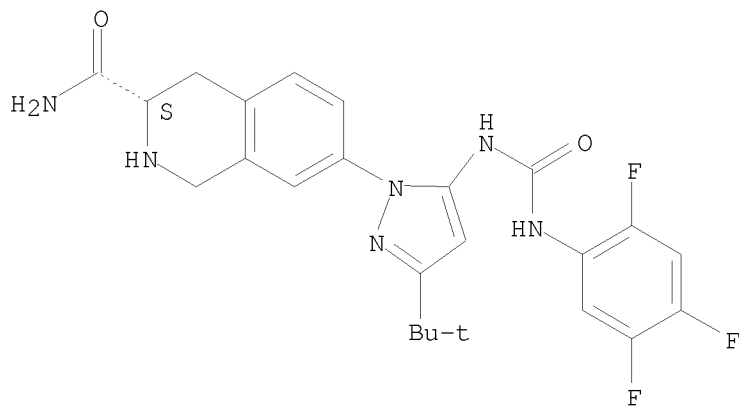
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer and hyperproliferative diseases)

RN 897372-89-3 CAPLUS

CN 3-Isoquinolinecarboxamide, 7-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-, (3S)- (CA INDEX NAME)

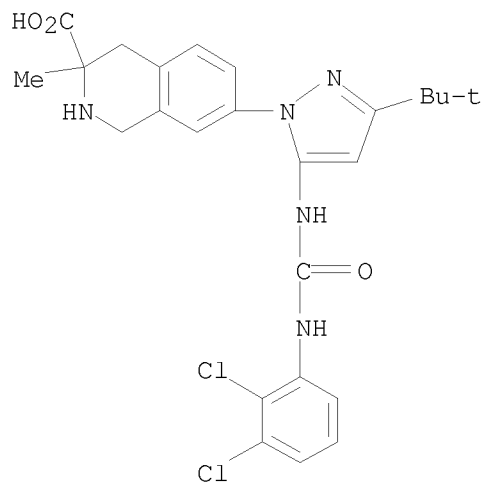
Absolute stereochemistry.



RN 897372-90-6 CAPLUS

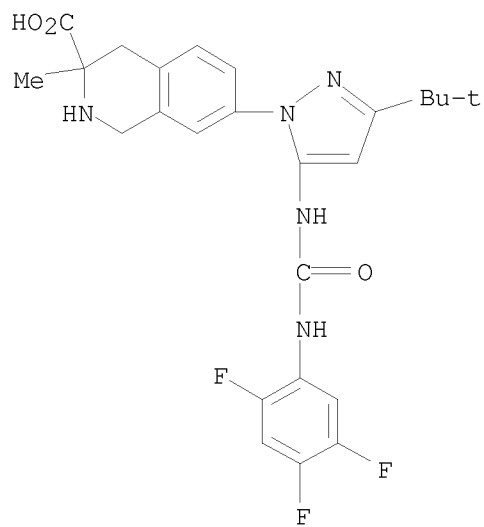
CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-3-methyl-, (CA INDEX NAME)

10/562,112



RN 897372-91-7 CAPLUS

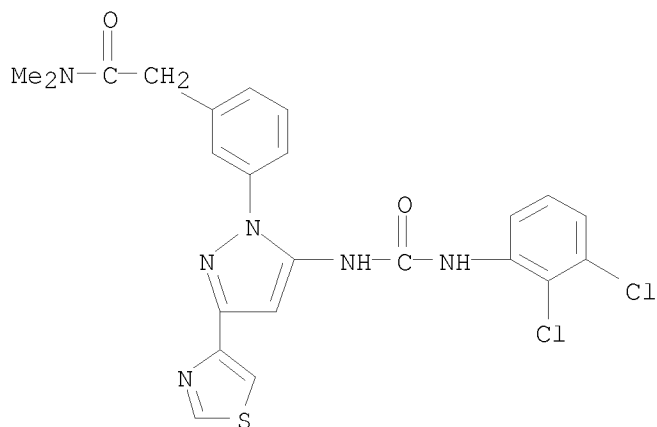
CN 3-Isoquinolinecarboxylic acid, 7-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-3-methyl- (CA INDEX NAME)



RN 897372-99-5 CAPLUS

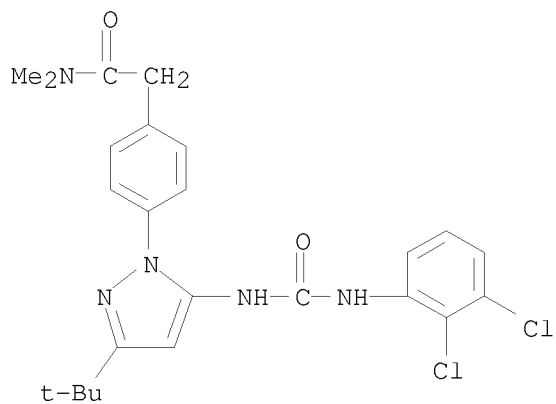
CN Benzeneacetamide, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-thiazolyl)-1H-pyrazol-1-yl]-N,N-dimethyl- (CA INDEX NAME)

10/562,112



RN 897373-02-3 CAPLUS

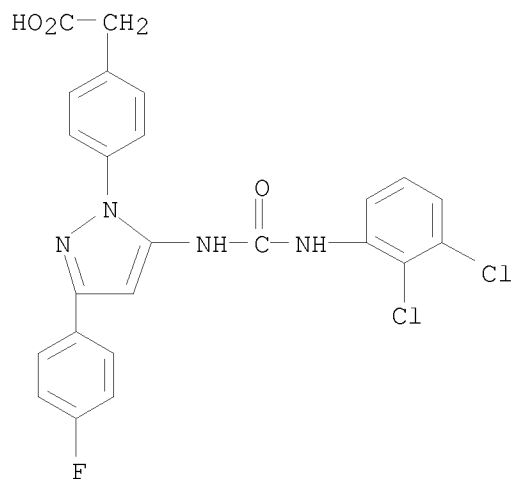
CN Benzeneacetamide, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-N,N-dimethyl- (CA INDEX NAME)



RN 897373-04-5 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-fluorophenyl)-1H-pyrazol-1-yl]- (CA INDEX NAME)

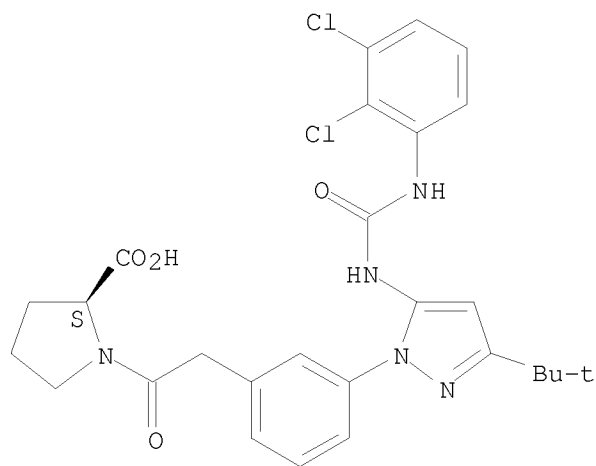
10/562,112



RN 897373-16-9 CAPLUS

CN L-Proline, 1-[[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]- (9CI) (CA INDEX NAME)

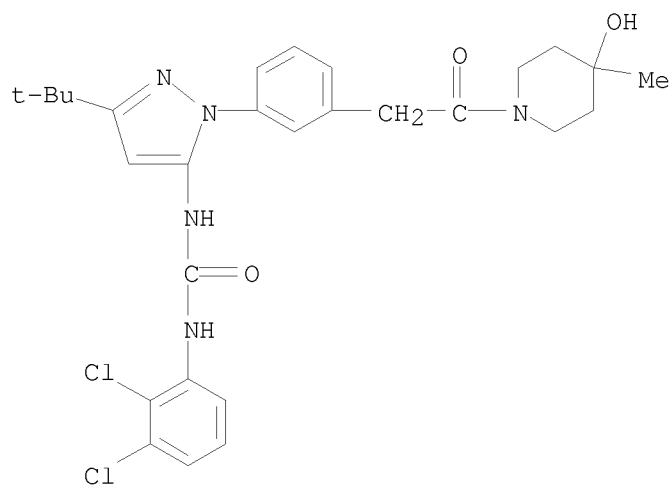
Absolute stereochemistry.



RN 897373-17-0 CAPLUS

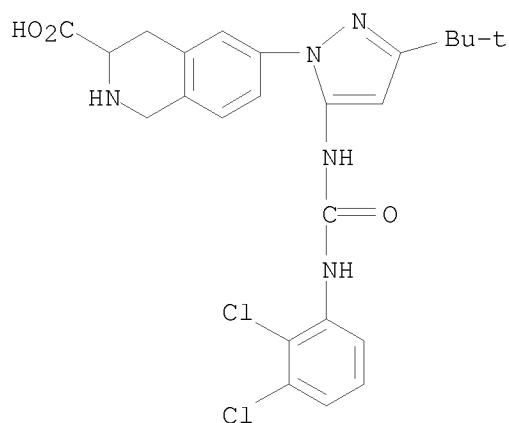
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[3-[2-(4-hydroxy-4-methyl-1-piperidinyll)-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112



RN 897375-76-7 CAPLUS

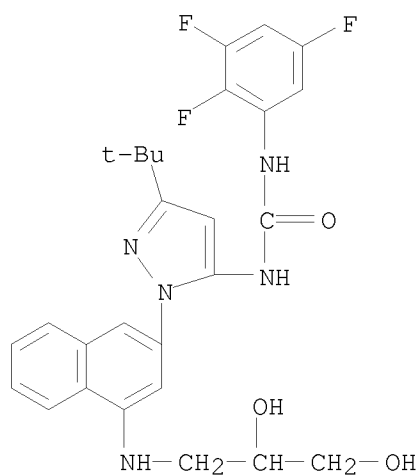
CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro- (CA INDEX NAME)



RN 897375-77-8 CAPLUS

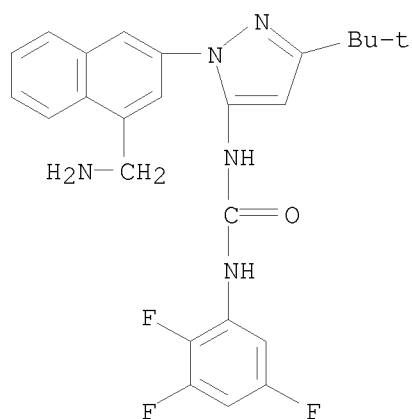
CN Urea, N-[1-[4-[(2,3-dihydroxypropyl)amino]-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



RN 897375-78-9 CAPLUS

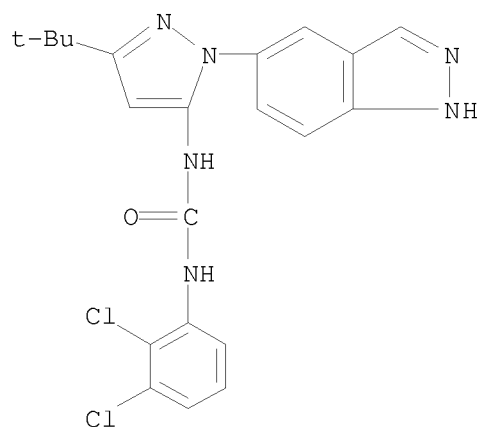
CN Urea, N-[1-[4-(aminomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)



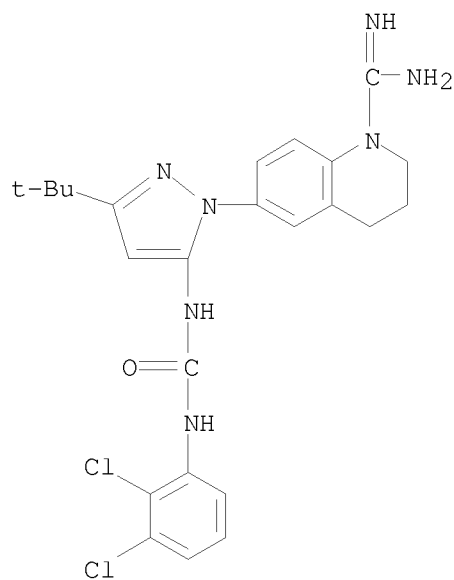
RN 897375-99-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1H-indazol-5-yl)-1H-pyrazol-5-yl]- (CA INDEX NAME)

10/562,112

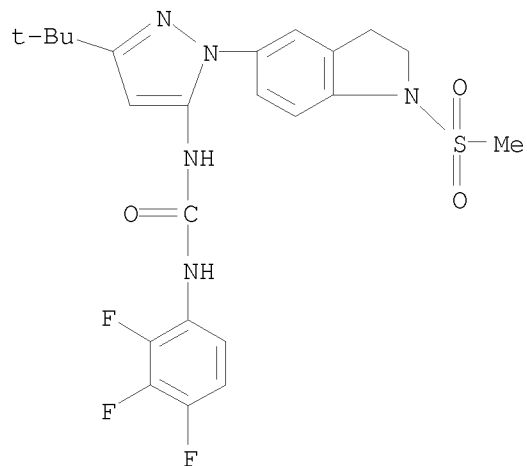


RN 897376-00-0 CAPLUS
 CN 1(2H)-Quinolinecarboximidamide, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro- (CA INDEX NAME)



RN 897376-07-7 CAPLUS
 CN Urea, N-[1-[2,3-dihydro-1-(methanesulfonyl)-1H-indol-5-yl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,4-trifluorophenyl)- (CA INDEX NAME)

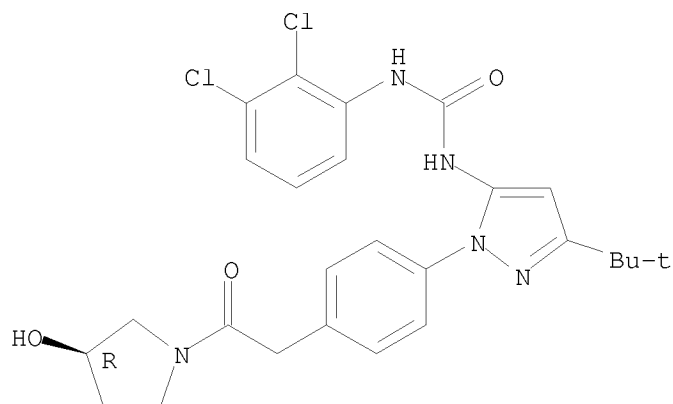
10/562,112



RN 897376-20-4 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(3R)-3-hydroxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

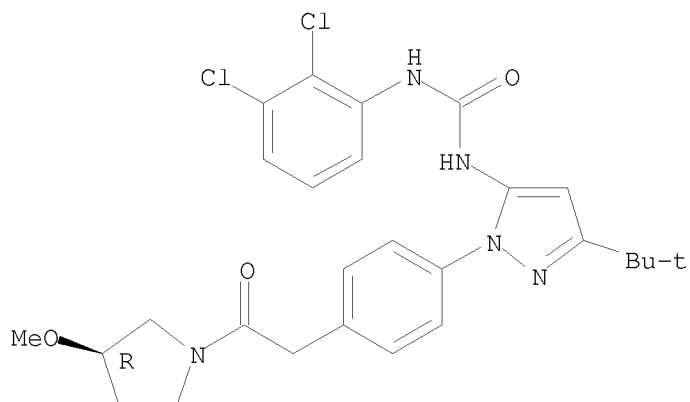


RN 897376-21-5 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-[4-[2-[(3R)-3-methoxy-1-pyrrolidinyl]-2-oxoethyl]phenyl]-1H-pyrazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

10/562,112



IT 897375-67-6 897375-71-2

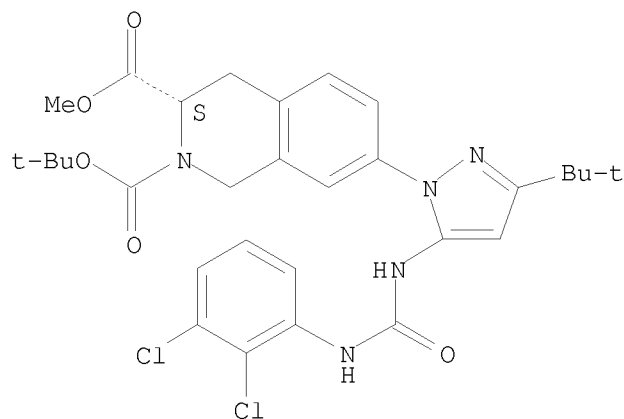
RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer and hyperproliferative diseases)

RN 897375-67-6 CAPLUS

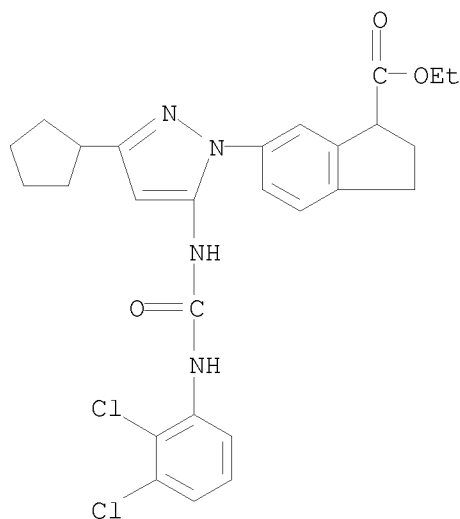
CN 2,3(1H)-Isoquinolinedicarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) 3-methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

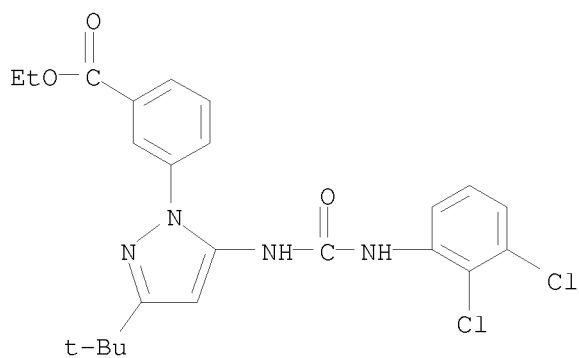


RN 897375-71-2 CAPLUS

CN 1H-Indene-1-carboxylic acid, 6-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-2,3-dihydro-, ethyl ester (CA INDEX NAME)



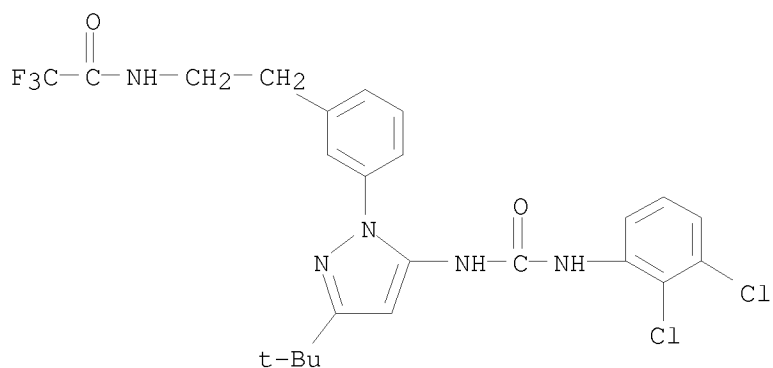
IT 897373-26-1P 897373-50-1P 897373-69-2P
 897373-70-5P 897373-71-6P 897373-80-7P
 897373-86-3P 897374-08-2P 897374-11-7P
 897374-21-9P 897374-24-2P 897374-30-0P
 897374-31-1P 897374-36-6P 897374-46-8P
 897374-47-9P 897374-78-6P 897374-81-1P
 897374-92-4P 897374-93-5P 897374-98-0P
 897375-03-0P 897375-07-4P 897375-08-5P
 897375-12-1P 897375-15-4P 897375-16-5P
 897375-27-8P 897375-29-0P 897375-31-4P
 897375-34-7P, N-(2,3-Dichlorophenyl)-N'-(3-(thiophen-2-yl)-1H-pyrazol-5-yl)urea 897375-35-8P 897375-36-9P
 897375-49-4P 897375-60-9P 897375-64-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of pyrazolyl Ph ureas as enzyme modulators for treating cancer and hyperproliferative diseases)
 RN 897373-26-1 CAPLUS
 CN Benzoic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897373-50-1 CAPLUS
 CN Acetamide, N-[2-[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]ethyl]-2,2,2-trifluoro- (CA INDEX

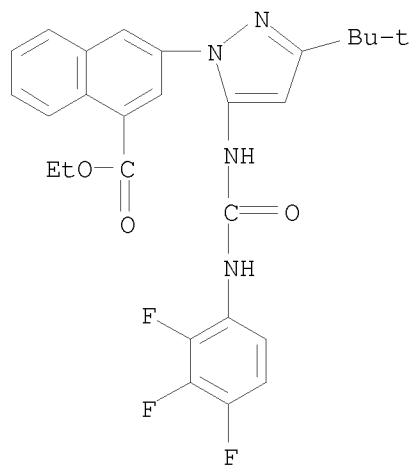
10/562,112

NAME)



RN 897373-69-2 CAPLUS

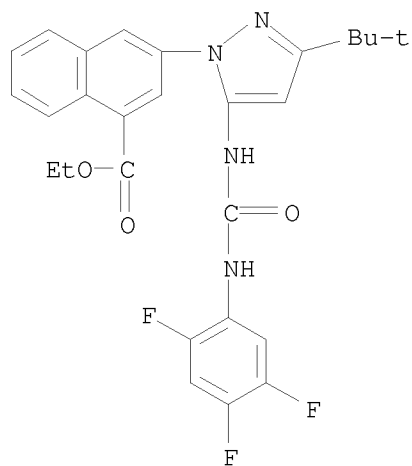
CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,4-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897373-70-5 CAPLUS

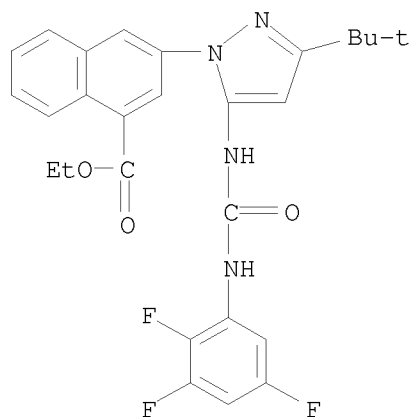
CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,4,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

10/562,112



RN 897373-71-6 CAPLUS

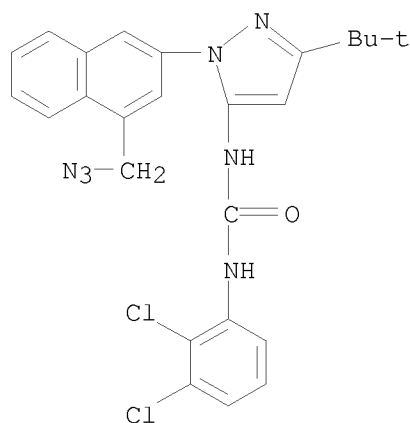
CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2,3,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897373-80-7 CAPLUS

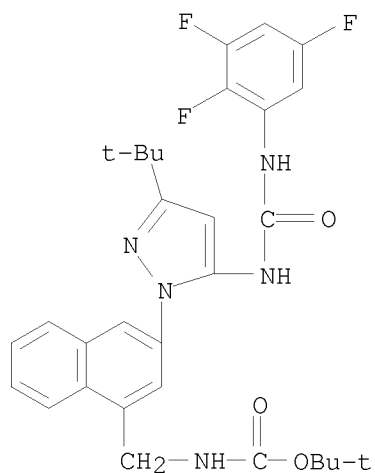
CN Urea, N-[1-[4-(azidomethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897373-86-3 CAPLUS

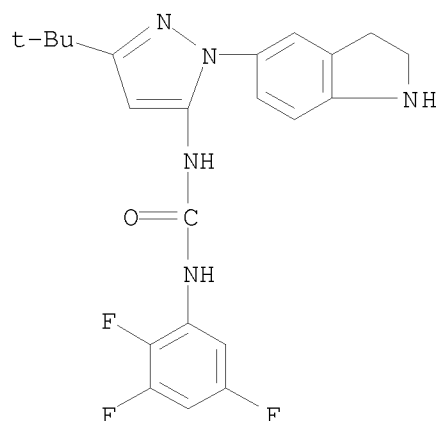
CN Carbamic acid, [[3-[3-(1,1-dimethylethyl)-5-[[[(2,3,5-trifluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-1-naphthalenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 897374-08-2 CAPLUS

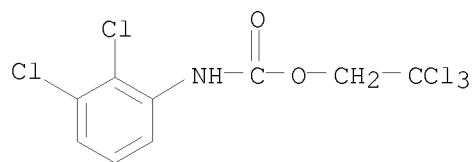
CN Urea, N-[1-(2,3-dihydro-1H-indol-5-yl)-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3,5-trifluorophenyl)- (CA INDEX NAME)

10/562,112



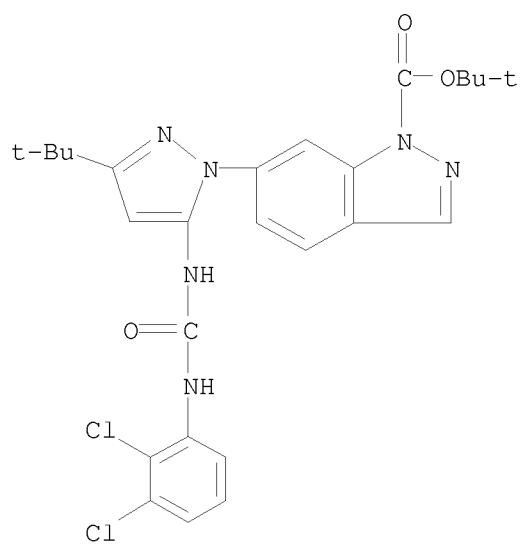
RN 897374-11-7 CAPLUS

CN Carbamic acid, (2,3-dichlorophenyl)-, 2,2,2-trichloroethyl ester (9CI)
(CA INDEX NAME)



RN 897374-21-9 CAPLUS

CN 1H-Indazole-1-carboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, 1,1-dimethylethyl ester
(CA INDEX NAME)

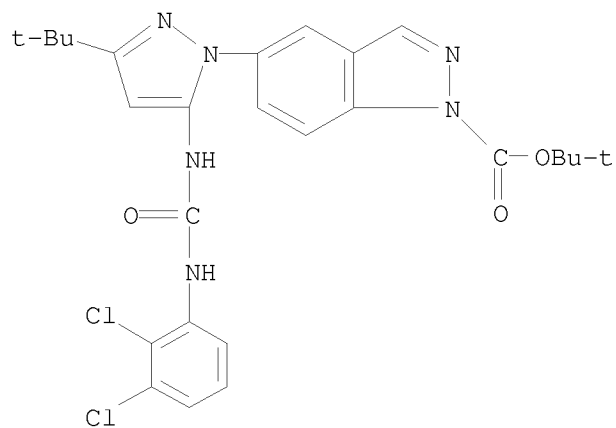


RN 897374-24-2 CAPLUS

CN 1H-Indazole-1-carboxylic acid, 5-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]

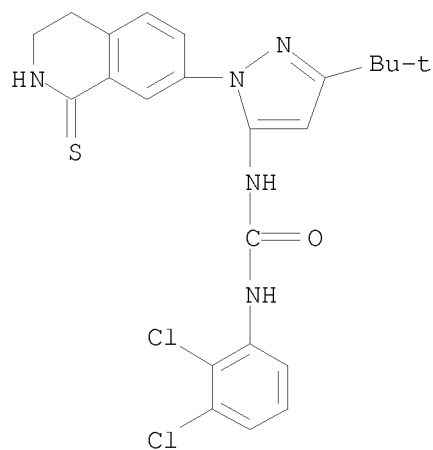
10/562,112

amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, 1,1-dimethylethyl ester
(CA INDEX NAME)



RN 897374-30-0 CAPLUS

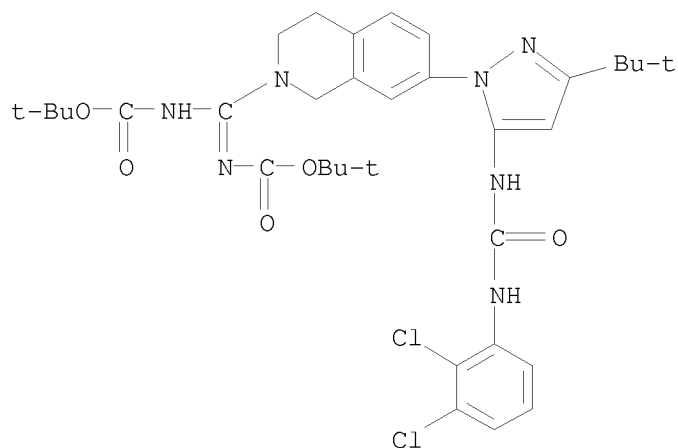
CN Urea, N-(2,3-dichlorophenyl)-N'-[3-(1,1-dimethylethyl)-1-(1,2,3,4-tetrahydro-1-thioxo-7-isoquinolinyl)-1H-pyrazol-5-yl]- (CA INDEX NAME)



RN 897374-31-1 CAPLUS

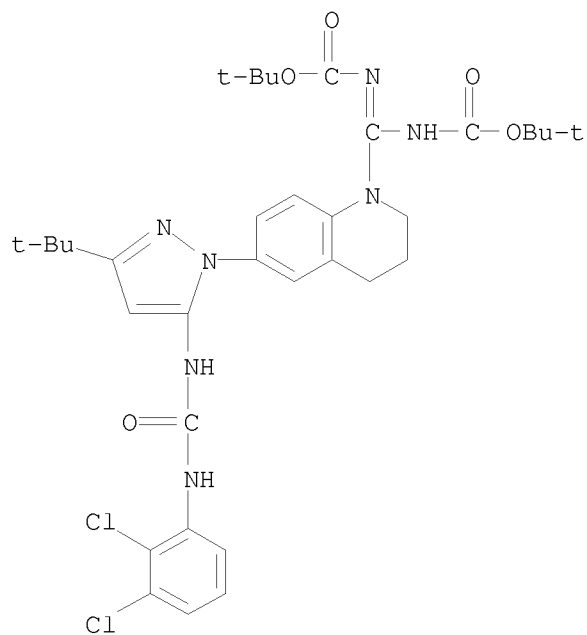
CN Carbamic acid, [[7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-2(1H)-isoquinolinyl][[(1,1-dimethylethoxy)carbonyl]amino]methylene]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

10/562,112



RN 897374-36-6 CAPLUS

CN Carbamic acid, [[6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-1(2H)-quinolinyl] [(1,1-dimethylethoxy)carbonyl]amino]methylene]-, 1,1-dimethylethyl ester (9CI)
(CA INDEX NAME)

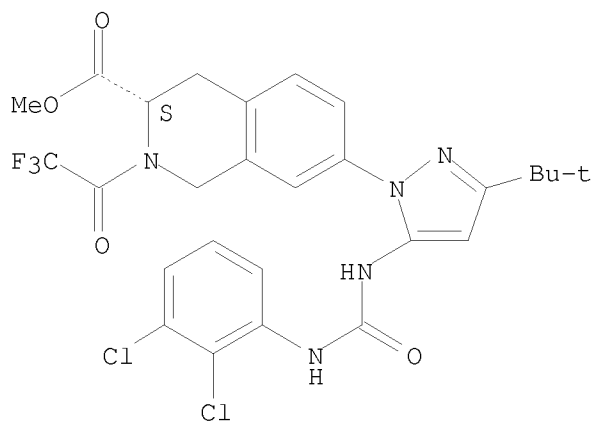


RN 897374-46-8 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-2-(2,2,2-trifluoroacetyl)-, methyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

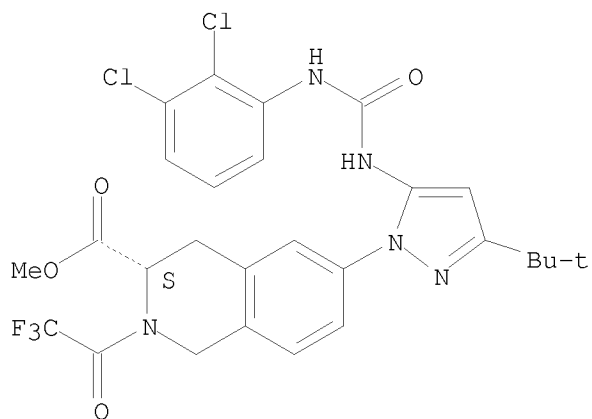
10/562,112



RN 897374-47-9 CAPLUS

CN 3-Isoquinolinecarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-2-(2,2,2-trifluoroacetyl)-, methyl ester, (3S)- (CA INDEX NAME)

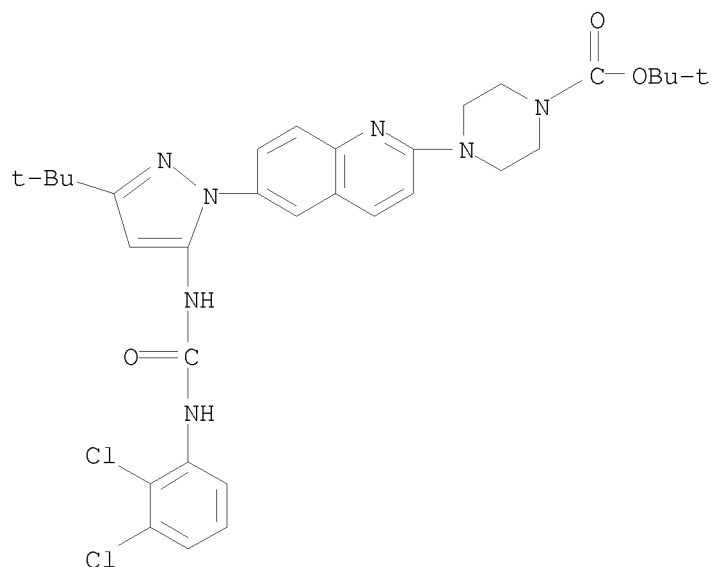
Absolute stereochemistry.



RN 897374-78-6 CAPLUS

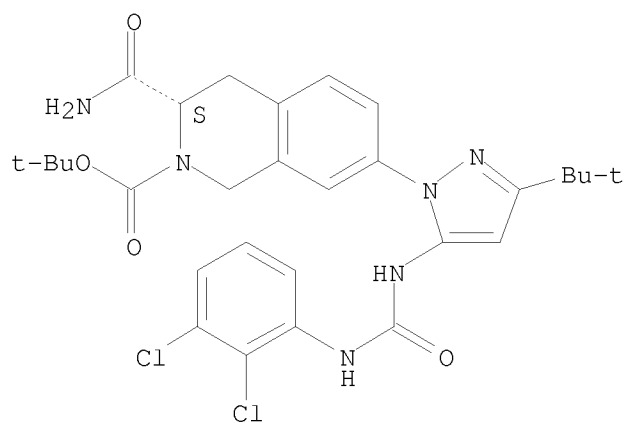
CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-2-quinolinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

10/562,112



RN 897374-81-1 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 3-(aminocarbonyl)-7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

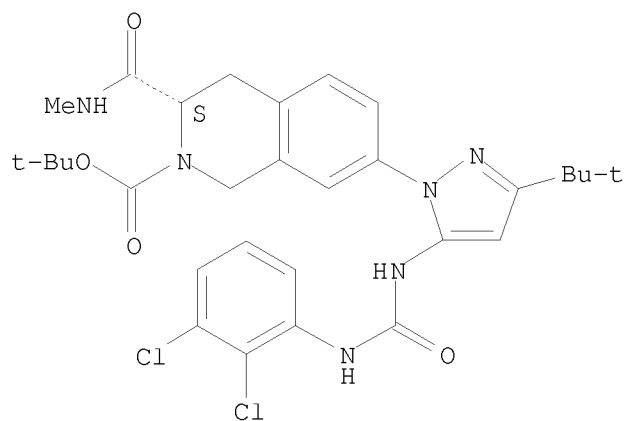
Absolute stereochemistry.



RN 897374-92-4 CAPLUS
CN 2(1H)-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-3-[(methylamino)carbonyl]-, 1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

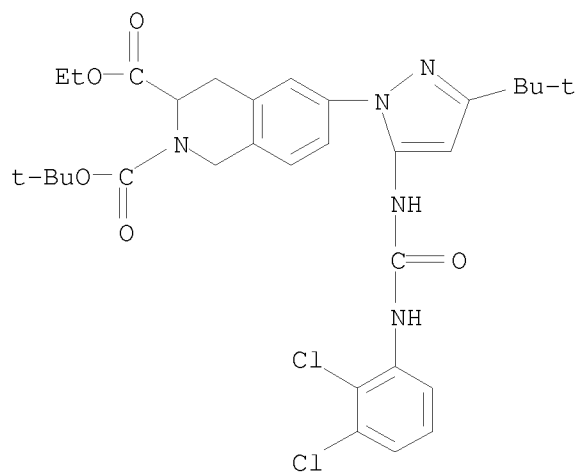
Absolute stereochemistry.

10/562,112



RN 897374-93-5 CAPLUS

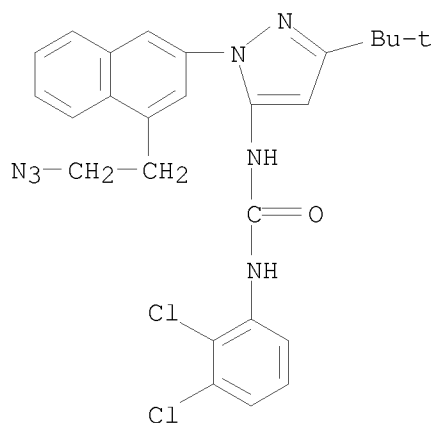
CN 2,3(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) 3-ethyl ester (CA INDEX NAME)



RN 897374-98-0 CAPLUS

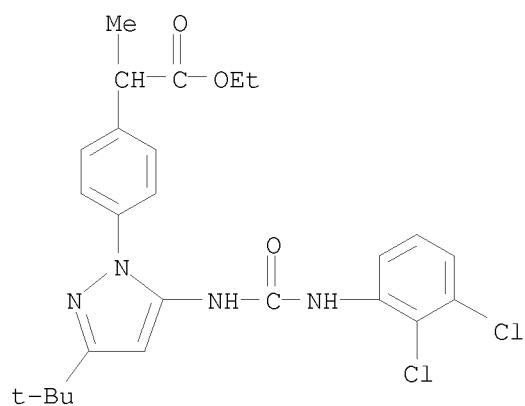
CN Urea, N-[1-[4-(2-azidoethyl)-2-naphthalenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)

10/562,112



RN 897375-03-0 CAPLUS

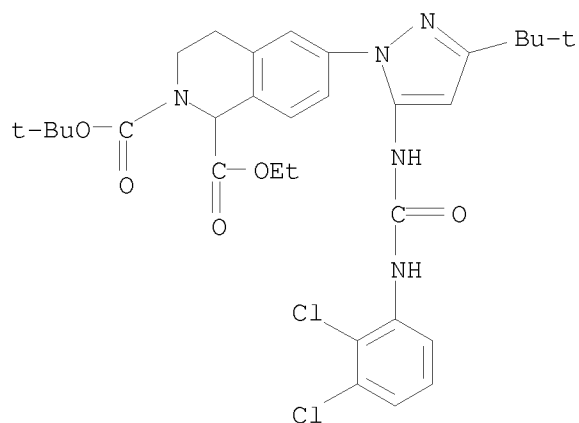
CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- α -methyl-, ethyl ester (CA INDEX NAME)



RN 897375-07-4 CAPLUS

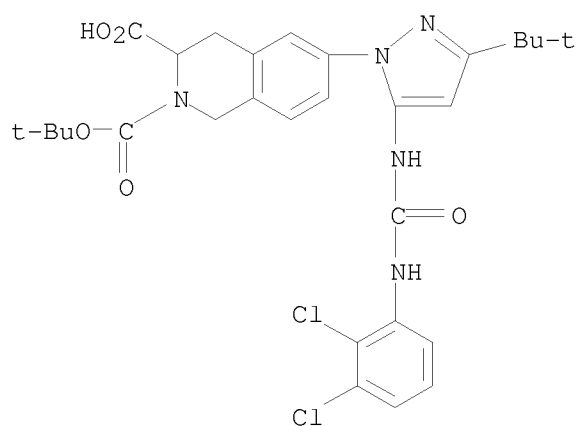
CN 1,2(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) 1-ethyl ester (CA INDEX NAME)

10/562,112



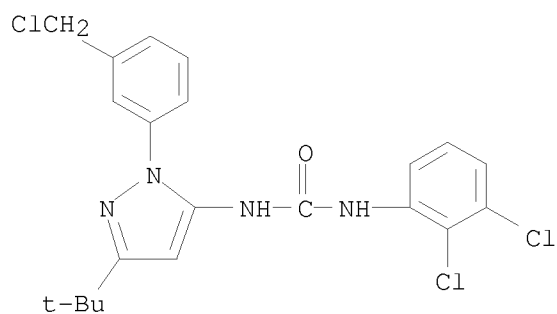
RN 897375-08-5 CAPLUS

CN 2,3(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-3,4-dihydro-, 2-(1,1-dimethylethyl) ester (CA INDEX NAME)



RN 897375-12-1 CAPLUS

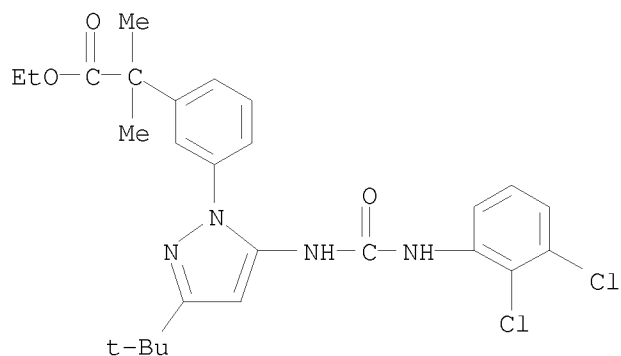
CN Urea, N-[1-[3-(chloromethyl)phenyl]-3-(1,1-dimethylethyl)-1H-pyrazol-5-yl]-N'-(2,3-dichlorophenyl)- (CA INDEX NAME)



RN 897375-15-4 CAPLUS

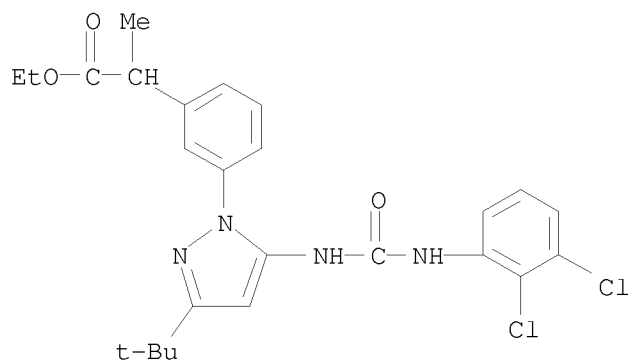
10/562,112

CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- α,α -dimethyl-, ethyl ester (CA INDEX NAME)



RN 897375-16-5 CAPLUS

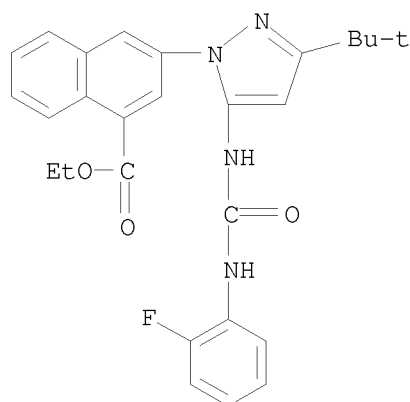
CN Benzeneacetic acid, 3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]- α -methyl-, ethyl ester (CA INDEX NAME)



RN 897375-27-8 CAPLUS

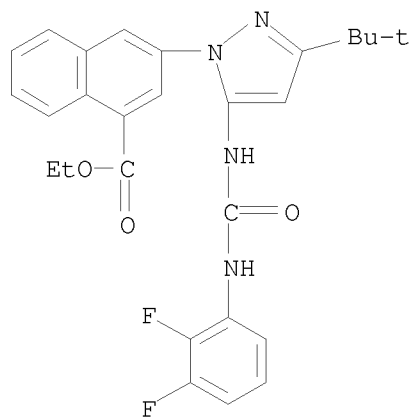
CN 1-Naphthalenecarboxylic acid, 3-[3-(1,1-dimethylethyl)-5-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

10/562,112



RN 897375-29-0 CAPLUS

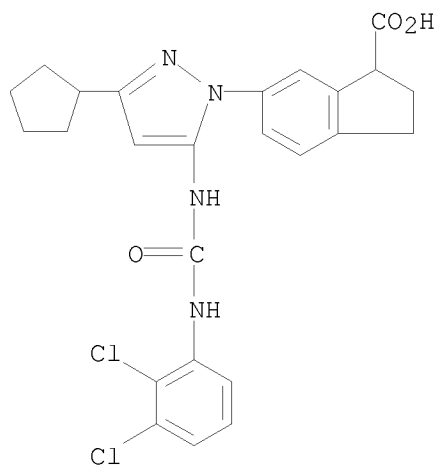
CN 1-Naphthalenecarboxylic acid, 3-[5-[[[(2,3-difluorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)



RN 897375-31-4 CAPLUS

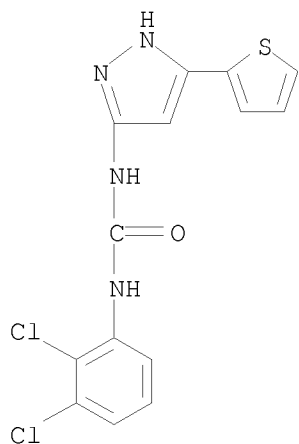
CN 1H-Indene-1-carboxylic acid, 6-[3-cyclopentyl-5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-1H-pyrazol-1-yl]-2,3-dihydro- (CA INDEX NAME)

10/562,112



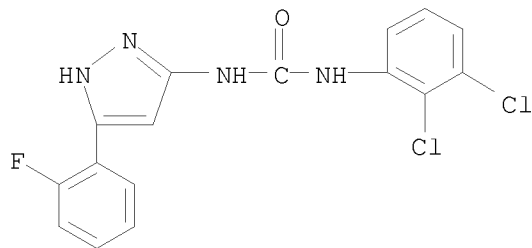
RN 897375-34-7 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(2-thienyl)-1H-pyrazol-3-yl]- (CA
INDEX NAME)



RN 897375-35-8 CAPLUS

CN Urea, N-(2,3-dichlorophenyl)-N'-[5-(2-fluorophenyl)-1H-pyrazol-3-yl]- (CA
INDEX NAME)

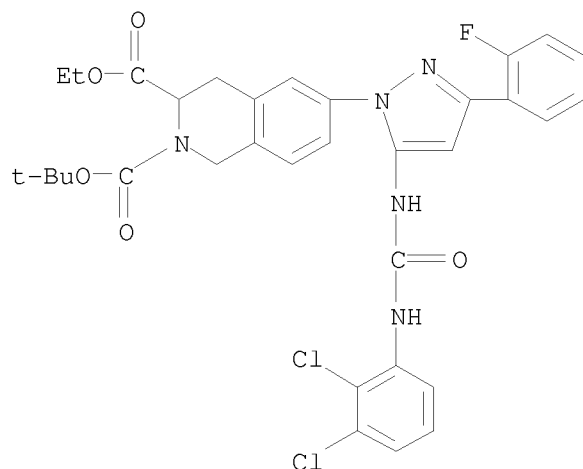


RN 897375-36-9 CAPLUS

CN 2,3(1H)-Isoquinolinedicarboxylic acid, 6-[5-[[[(2,3-

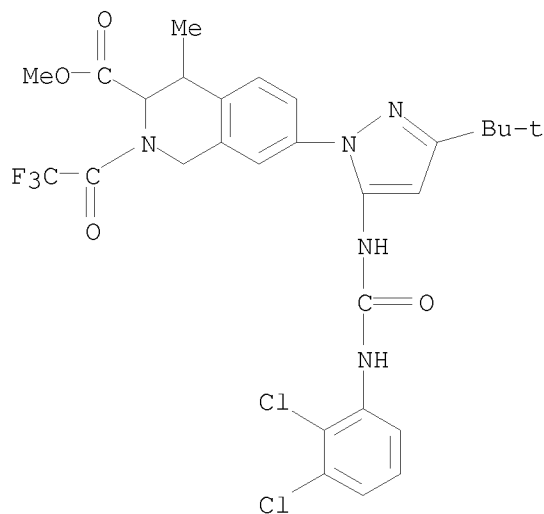
10/562,112

dichlorophenyl)amino]carbonyl]amino]-3-(2-fluorophenyl)-1H-pyrazol-1-yl]-
3,4-dihydro-, 2-(1,1-dimethylethyl) 3-ethyl ester (CA INDEX NAME)



RN 897375-49-4 CAPLUS

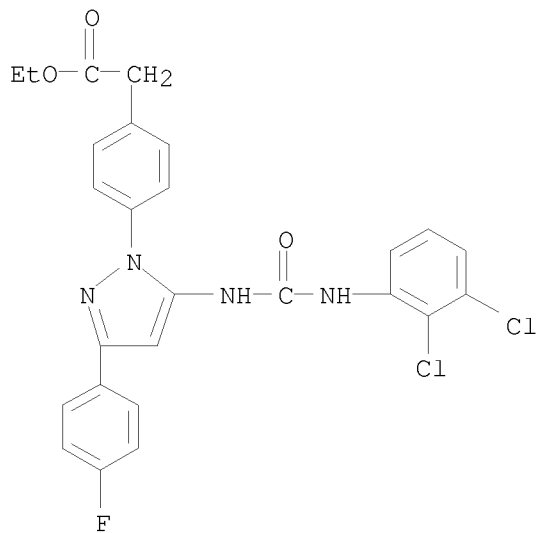
CN 3-Isoquinolinecarboxylic acid, 7-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]
amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]-1,2,3,4-tetrahydro-4-methyl-
2-(2,2,2-trifluoroacetyl)-, methyl ester (CA INDEX NAME)



RN 897375-60-9 CAPLUS

CN Benzeneacetic acid, 4-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(4-
fluorophenyl)-1H-pyrazol-1-yl]-, ethyl ester (CA INDEX NAME)

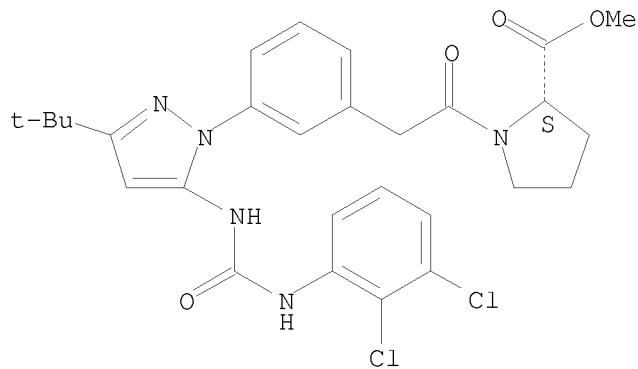
10/562, 112



RN 897375-64-3 CAPLUS

CN L-Proline, 1-[[[3-[5-[[[(2,3-dichlorophenyl)amino]carbonyl]amino]-3-(1,1-dimethylethyl)-1H-pyrazol-1-yl]phenyl]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 51 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:634691 CAPLUS

DOCUMENT NUMBER: 145:124588

TITLE: Preparation of pyrazolopyrimidines as inhibitors of kinase activity

INVENTOR(S): Coulter, Thomas Stephen; Taylor, Steven; Murfin,
Stephen; Thammalaksa, Valery; Aicher, Babette; Jaekel,
Stefan; Reuter, Tanja

PATENT ASSIGNEE(S): Develogen Aktiengesellschaft, Germany; Evotec A.-G.

SOURCE: PCT Int. Appl., 122 pp.

CODEN: PIXXD2

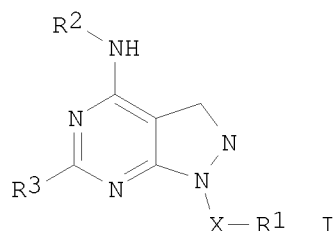
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| ----- | ---- | ----- | ----- | ----- |
| WO 2006066937 | A2 | 20060629 | WO 2005-EP13907 | 20051222 |
| WO 2006066937 | A3 | 20061019 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM EP 1746099 A1 20070124 EP 2004-30674 20041223 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU EP 1827444 A2 20070905 EP 2005-822979 20051222 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR PRIORITY APPLN. INFO.: EP 2004-30674 A 20041223 WO 2005-EP13907 W 20051222 OTHER SOURCE(S): CASREACT 145:124588; MARPAT 145:124588 GI | | | | |



AB The present invention relates to the use of pyrazolopyrimidine compds. [I; R1 = substituted C6-10 aryl or optionally substituted C5-10 heteroaryl, wherein the substituents are one or more of R4; R4 = halogen, cyano, CO2R5, OR5, C(O)N(R5R5a), S(O)2N(R5R5a), S(O)N(R5R5a), S(O)2R5, N(R5)S(O)2N(R5R5a), SR5, N(R5R5a), OC(O)R5, N(R5)C(O)R5a, N(R5)S(O)2R5a, etc.; R5, R5a = H, C3-10 cycloalkyl, C4-10 bicycloalkyl, C4-10 heterocyclyl, (un)substituted C1-6 alkyl, etc.; R2 = H, C1-4 alkyl, acetyl, urea; R3 = H, hydroxy, C1-4 alkyl, amino; X = a bond] or metabolites, prodrugs or pharmaceutically acceptable salts thereof, and optionally a pharmaceutically acceptable carrier for the preparation of pharmaceutical compns. for inhibiting the activity of the kinase activity of Mnk1 or Mnk2 (Mnk2a, Mnk2b) or variants thereof or for the prophylaxis and/or treatment of diseases which can be influenced by the inhibition of the kinase activity of Mnk1 and/or Mnk2 (Mnk2a or Mnk2b) and/or variants thereof. The above diseases include diseases of the carbohydrate and/or lipid metabolism and their consecutive complications and diseases, e.g. impaired glucose tolerance, diabetes mellitus type II, latent autoimmune diabetes in adults (LADA), diabetes mellitus type I, obesity, metabolic syndrome, eating disorders, cachexia, osteoarthritis, biliary stones, and

diabetic complications (carbohydrate metabolic diseases) and hypercholesterolemia, dislipidemia familial hypercholesterolemia, Fredrickson's hyperlipoproteinemia, and cardiovascular diseases (lipid metabolic diseases). Thus, [4-(pyrrol-1-yl)phenyl]hydrazine hydrochloride was treated with NaOEt in ethanol at room temperature and cyclocondensed with (ethoxymethylene)malononitrile under refluxing for 2 h to give 88% 5-amino-1-[4-(pyrrol-1-yl)phenyl]-1H-pyrazole-4-carbonitrile which was cyclocondensed with formamide at 180° for 3 h to give 11% [1-[4-(pyrrol-1-yl)phenyl]-1H-pyrazolo[3,4-d]pyrimidin-4-yl]amine (II).

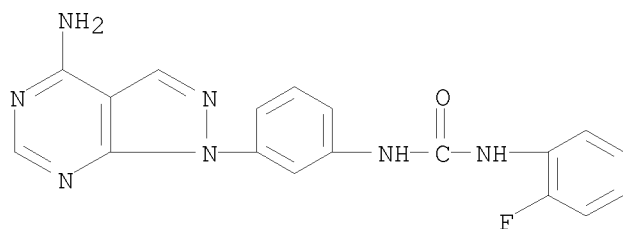
IT 896134-58-0P, N-[3-(4-Aminopyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)urea 896134-77-3P, N-[4-(4-Aminopyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)urea

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolopyrimidines as inhibitors of Mnk1 or Mnk2 (Mnk2a or Mnk2b) kinase activity)

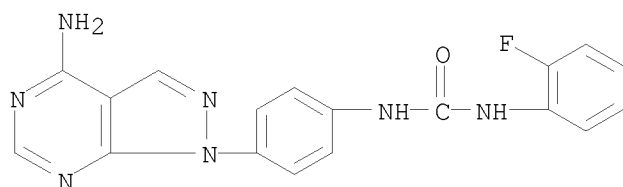
RN 896134-58-0 CAPLUS

CN Urea, N-[3-(4-amino-1H-pyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



RN 896134-77-3 CAPLUS

CN Urea, N-[4-(4-amino-1H-pyrazolo[3,4-d]pyrimidin-1-yl)phenyl]-N'-(2-fluorophenyl)- (CA INDEX NAME)



L3 ANSWER 52 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:627461 CAPLUS

DOCUMENT NUMBER: 145:103700

TITLE: Preparation of substituted quinazolinylaminopyrazolylacetamides as anticancer agents

INVENTOR(S): Foote, Kevin Michael

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 91 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|--|------------|
| WO 2006067391 | A1 | 20060629 | WO 2005-GB4872 | 20051216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| EP 1836191 | A1 | 20070926 | EP 2005-818392 | 20051216 |
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| JP 2008524315 | T | 20080710 | JP 2007-547616 | 20051216 |
| IN 2007DN04654 | A | 20070817 | IN 2007-DN4654 | 20070618 |
| CN 101115738 | A | 20080130 | CN 2005-80047834 | 20070807 |
| PRIORITY APPLN. INFO.: | | | GB 2004-27917 | A 20041221 |
| | | | WO 2005-GB4872 | W 20051216 |
| OTHER SOURCE(S): | | | CASREACT 145:103700; MARPAT 145:103700 | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

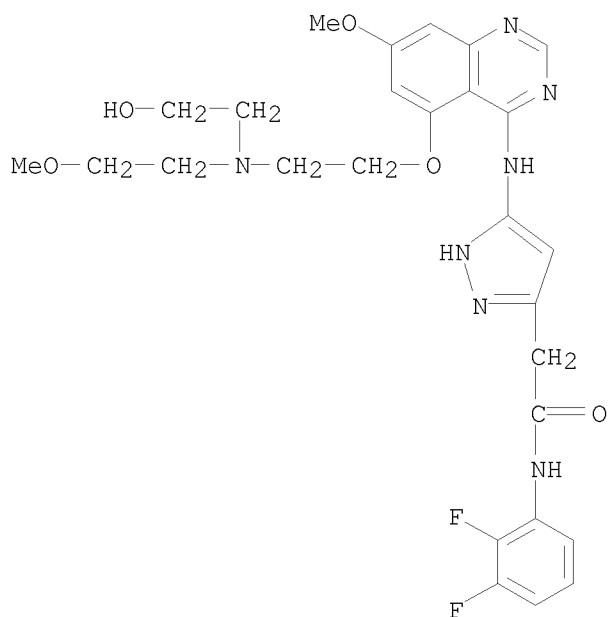
AB Title compds. I (R1 = H, optionally substituted alkoxy; R2 = Q1; R3 = H, alkyl optionally substituted with alkoxy; R2R3 = Q2, Q3; R4 = Ph optionally substituted by halo; R5 = H, alkyl optionally substituted by alkoxy; n = 0,1; X = CH2, NH, aminoalkyl, O, S), or a salt, ester, or prodrugs, were prepared for use in treatment of proliferative diseases, such as cancer. For example, title compound II was prepared from (methylamino)ethanol and 2-(3-{[5-(2-chloroethoxy)-7-methoxyquinazolin-4-yl]amino}-1H-pyrazol-5-yl)-N-(2,3-difluorophenyl)acetamide in 59% yield. In drug-resistant human breast tumor cell assays, the title compds. generally had EC50 = 0.5 nM to 1 μ M for inhibition of phosphohistone H3 levels, and in particular, II had EC50 = 0.4 μ M.

IT 895146-45-9P 895146-49-3P 895146-53-9P 895146-55-1P 895146-57-3P 895146-59-5P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of substituted (quinazolinylamino)pyrazolylacetamides as anticancer agents)

RN 895146-45-9 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2-hydroxyethyl)(2-methoxyethyl)amino]ethoxy]-7-methoxy-4-quinazolinyl]amino]-(CA INDEX NAME)

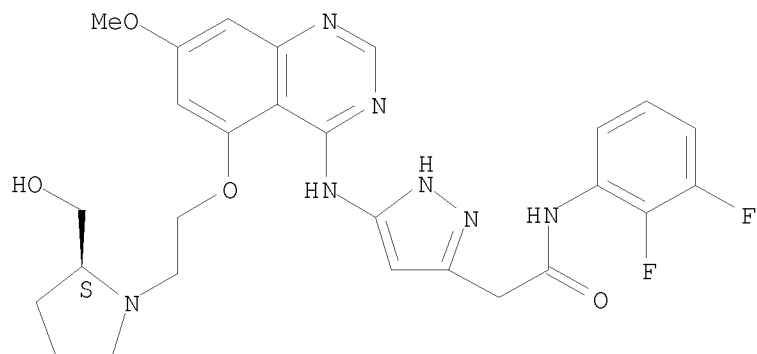
10/562,112



RN 895146-49-3 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)

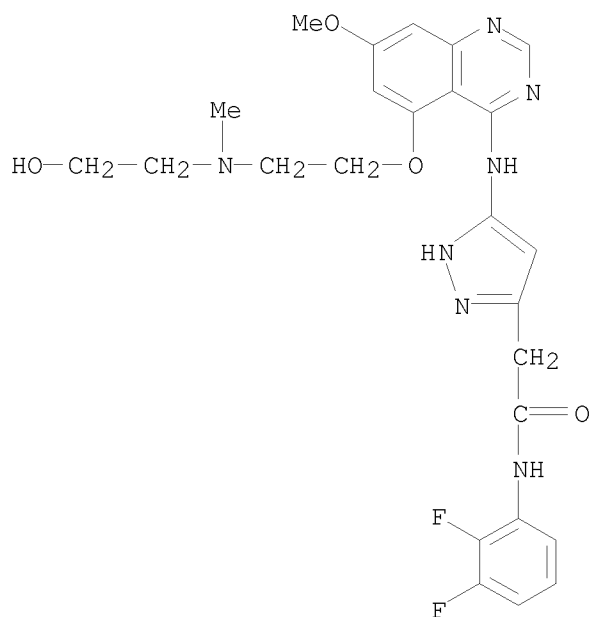
Absolute stereochemistry.



RN 895146-53-9 CAPLUS

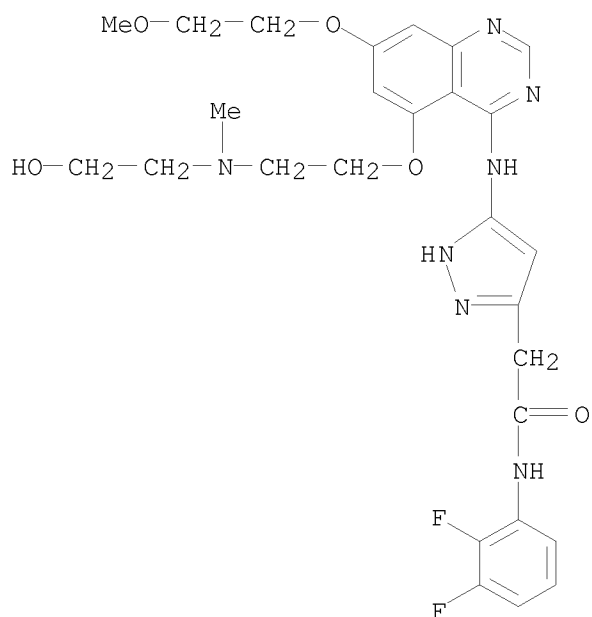
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxyethyl)methylamino]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)

10/562,112



RN 895146-55-1 CAPLUS

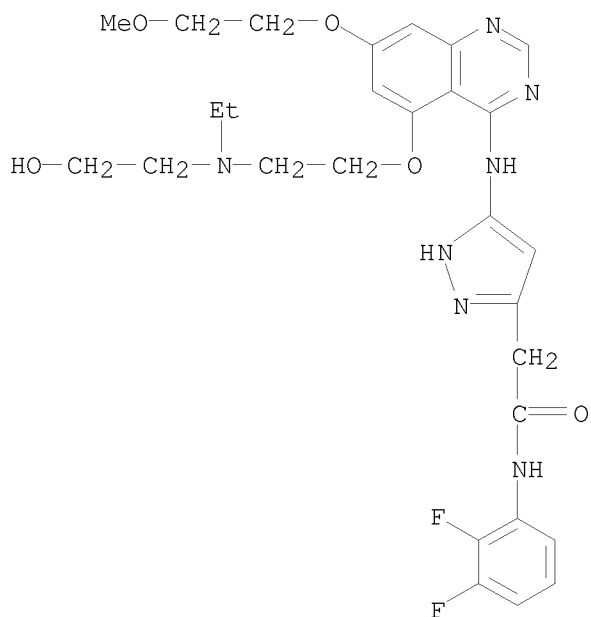
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2-hydroxyethyl)methylamino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-57-3 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[ethyl(2-hydroxyethyl)amino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)

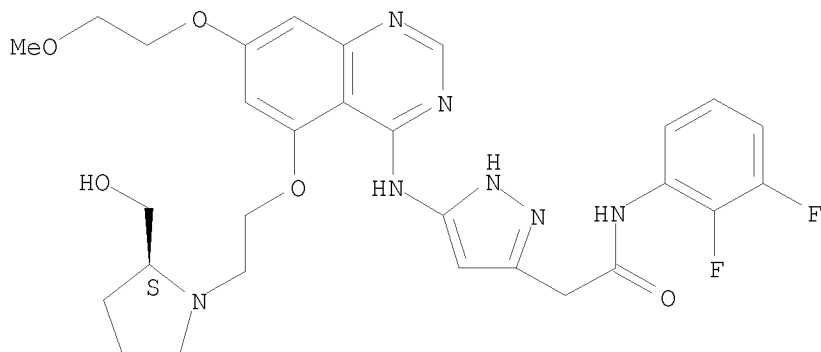
10/562,112



RN 895146-59-5 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



IT 895146-47-1P 895146-51-7P 895146-61-9P
 895146-63-1P 895146-65-3P 895146-67-5P
 895146-69-7P 895146-71-1P 895146-73-3P
 895146-75-5P 895146-76-6P 895146-82-4P
 895146-84-6P 895146-86-8P 895146-88-0P
 895146-92-6P 895146-97-1P 895146-99-3P
 895147-01-0P 895147-03-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

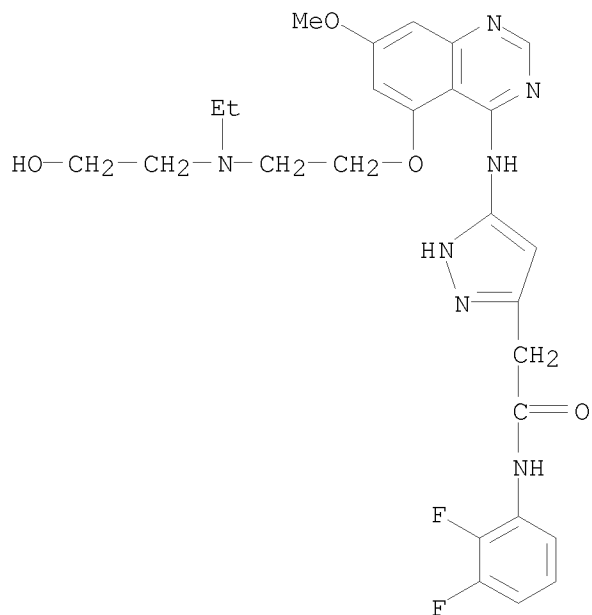
(preparation of substituted (quinazolinylamino)pyrazolylacetamides as anticancer agents)

RN 895146-47-1 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[ethyl(2-

10/562,112

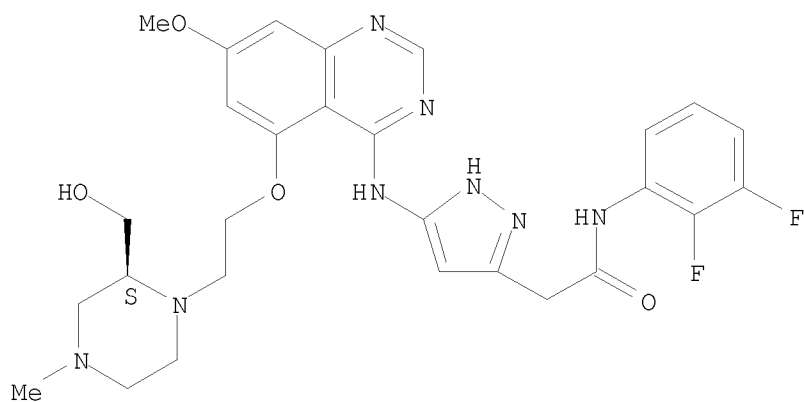
hydroxyethyl)amino]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-51-7 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2S)-2-(hydroxymethyl)-4-methyl-1-piperazinyl]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)

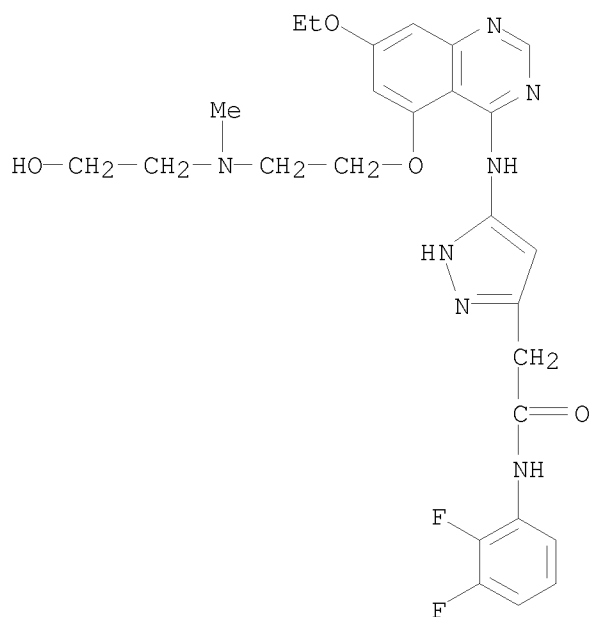
Absolute stereochemistry.



RN 895146-61-9 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(2S)-2-(hydroxyethyl)methylamino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

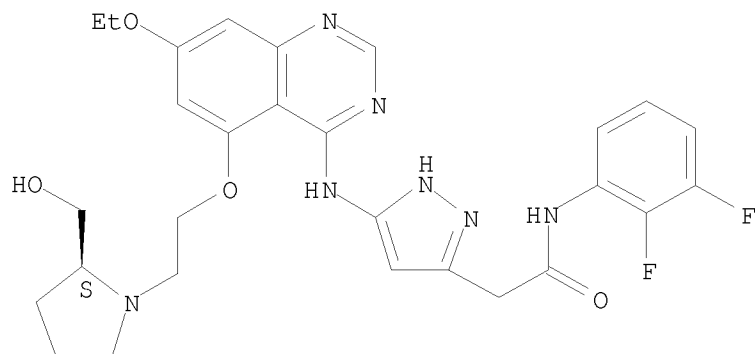
10/562,112



RN 895146-63-1 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

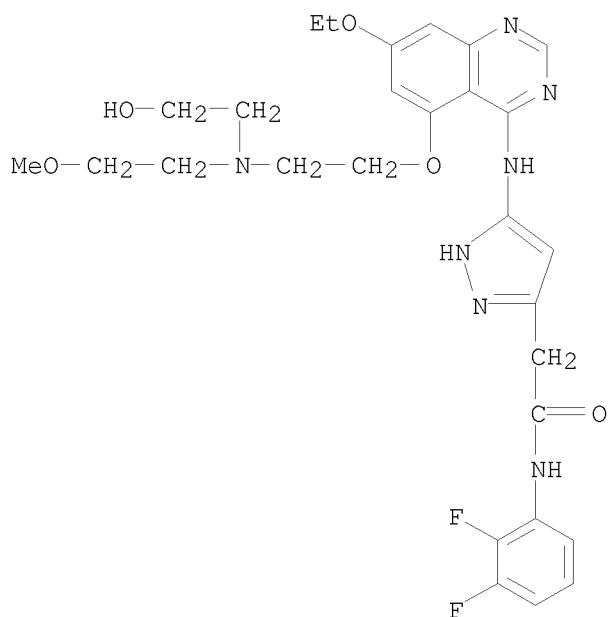
Absolute stereochemistry.



RN 895146-65-3 CAPLUS

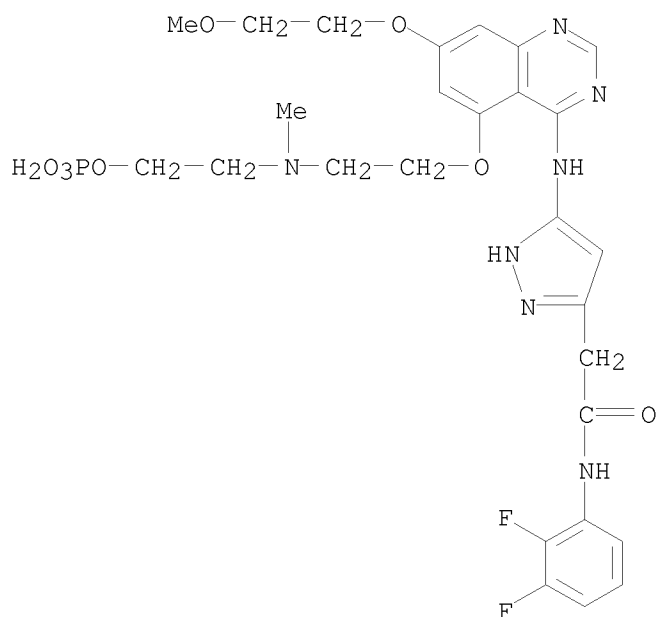
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(2-hydroxyethyl)(2-methoxyethyl)amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

10/562,112



RN 895146-67-5 CAPLUS

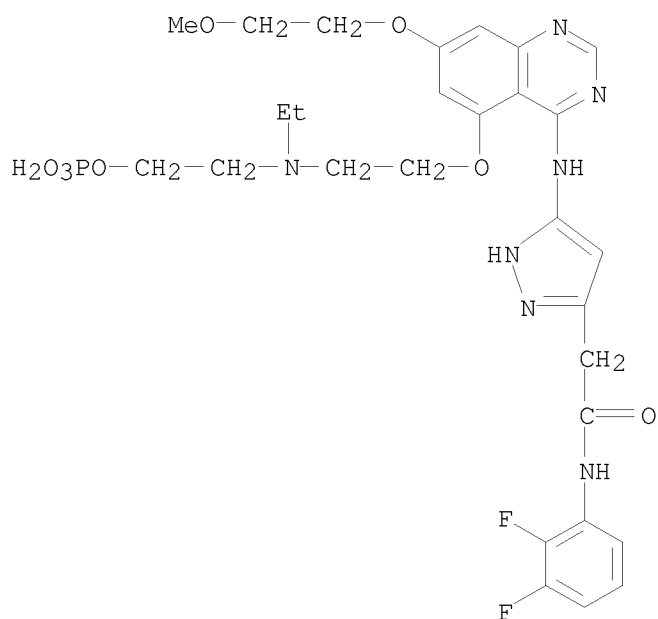
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-(2-methoxyethoxy)-5-[2-[methyl[2-(phosphonooxy)ethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895146-69-7 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[ethyl[2-(phosphonooxy)ethyl]amino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)

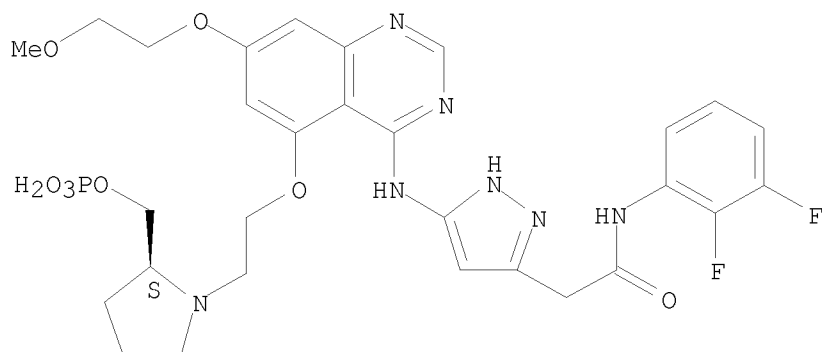
10/562,112



RN 895146-71-1 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-(2-methoxyethoxy)-5-[2-[(2S)-2-[(phosphonooxy)methyl]-1-pyrrolidinyl]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

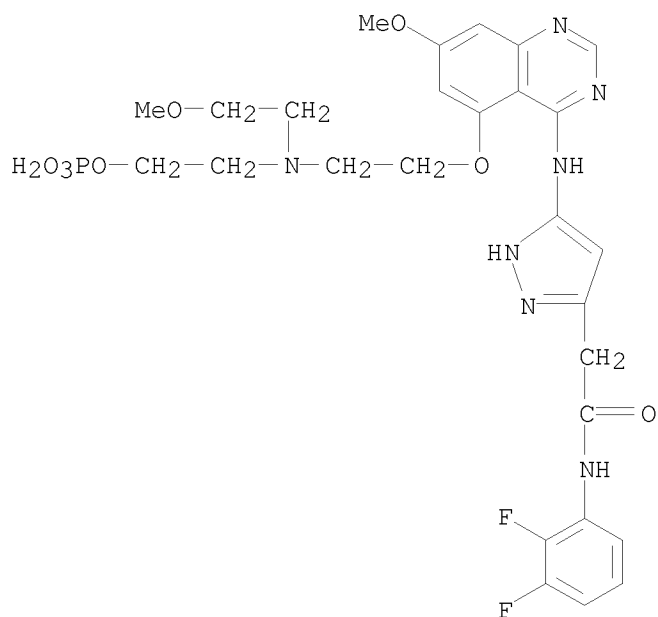
Absolute stereochemistry.



RN 895146-73-3 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-methoxy-5-[2-[(2-methoxyethyl)[2-(phosphonooxy)ethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

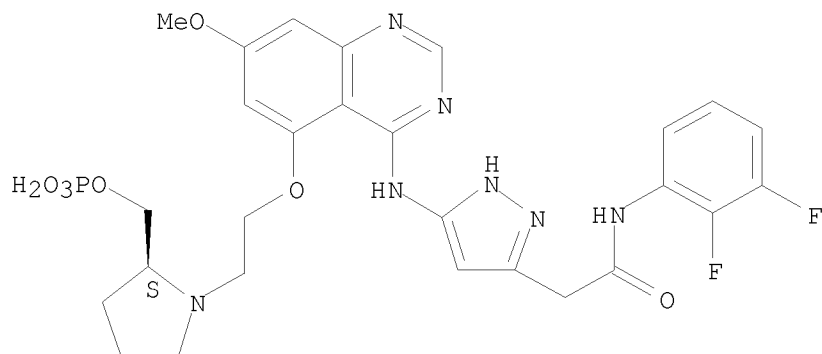
10/562,112



RN 895146-75-5 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-methoxy-5-[2-[(2S)-2-[(phosphonooxy)methyl]-1-pyrrolidinyl]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

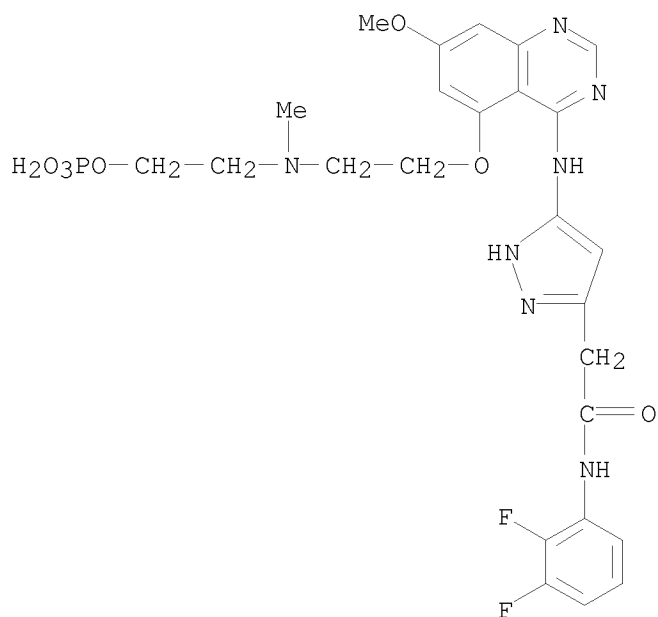
Absolute stereochemistry.



RN 895146-76-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-methoxy-5-[2-[methyl[2-(phosphonooxy)ethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

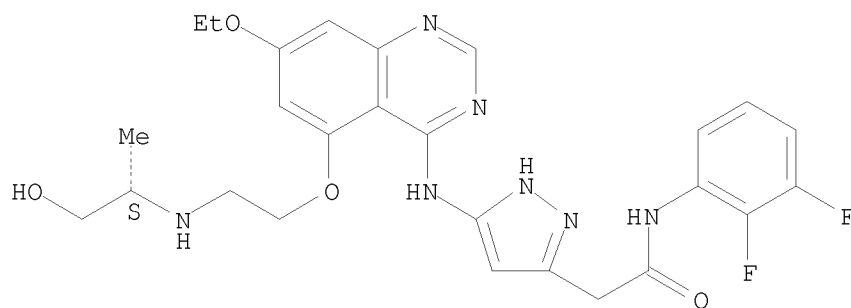
10/562,112



RN 895146-82-4 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[[(1S)-2-hydroxy-1-methylethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

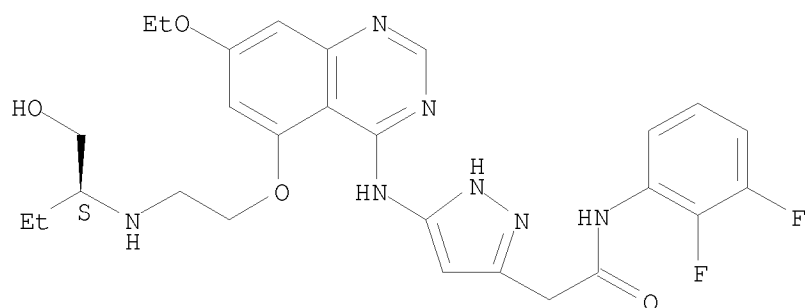


RN 895146-84-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[[(1S)-1-(hydroxymethyl)propyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

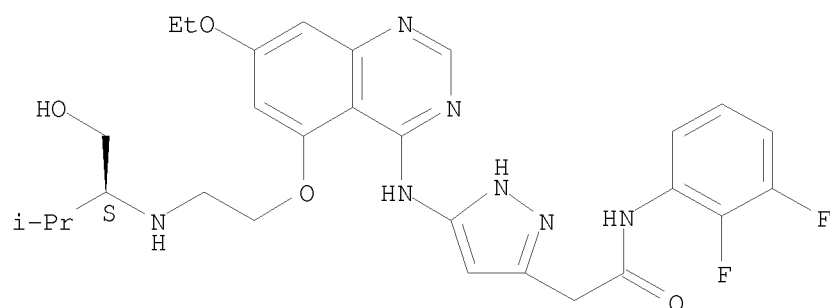
10/562,112



RN 895146-86-8 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(1S)-1-(hydroxymethyl)-2-methylpropyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

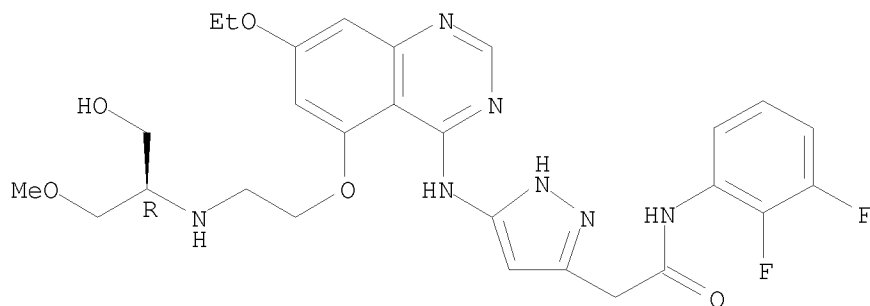
Absolute stereochemistry.



RN 895146-88-0 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[7-ethoxy-5-[2-[(1R)-2-hydroxy-1-(methoxymethyl)ethyl]amino]ethoxy]-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

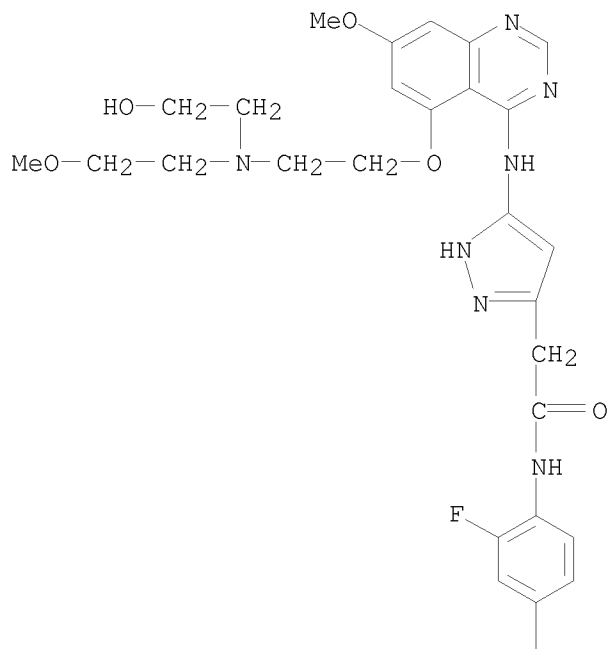


RN 895146-92-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,4-difluorophenyl)-5-[[5-[2-[(2-hydroxyethyl)(2-methoxyethyl)amino]ethoxy]-7-methoxy-4-quinazolinyl]amino]- (CA INDEX NAME)

10/562, 112

PAGE 1-A



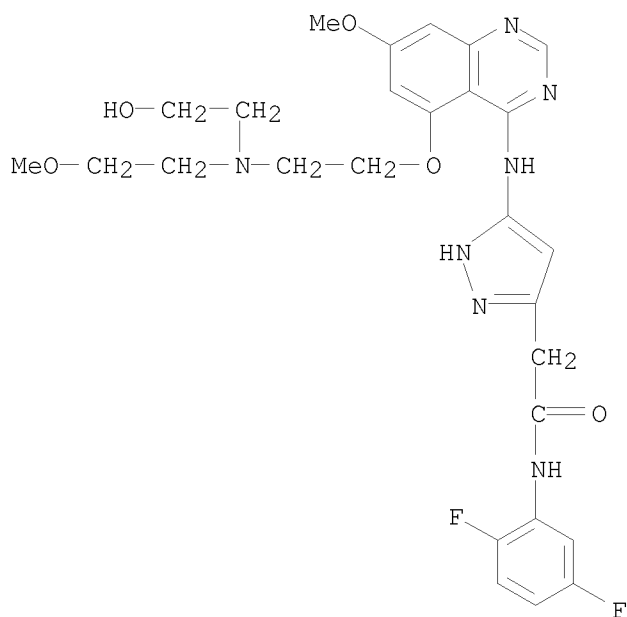
PAGE 2-A

$$F$$

RN 895146-97-1 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,5-difluorophenyl)-5-[[5-[2-[(2-hydroxyethyl) (2-methoxyethyl) amino]ethoxy]-7-methoxy-4-quinazolinyl]amino]-
(CA INDEX NAME)

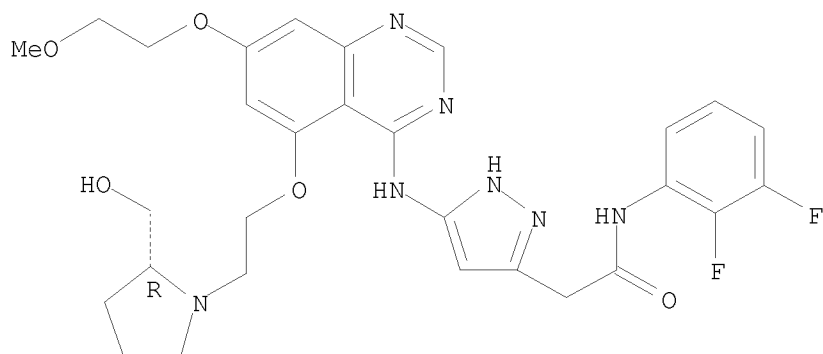
10/562,112



RN 895146-99-3 CAPLUS

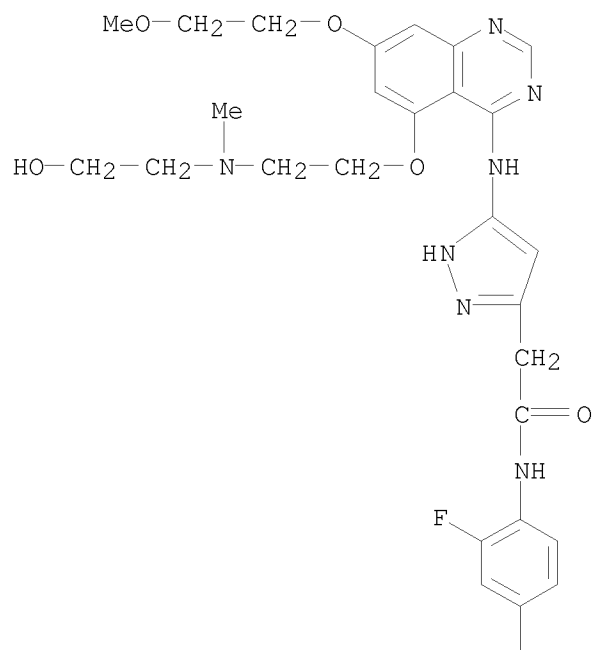
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[[5-[2-[(2R)-2-(hydroxymethyl)-1-pyrrolidinyl]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 895147-01-0 CAPLUS

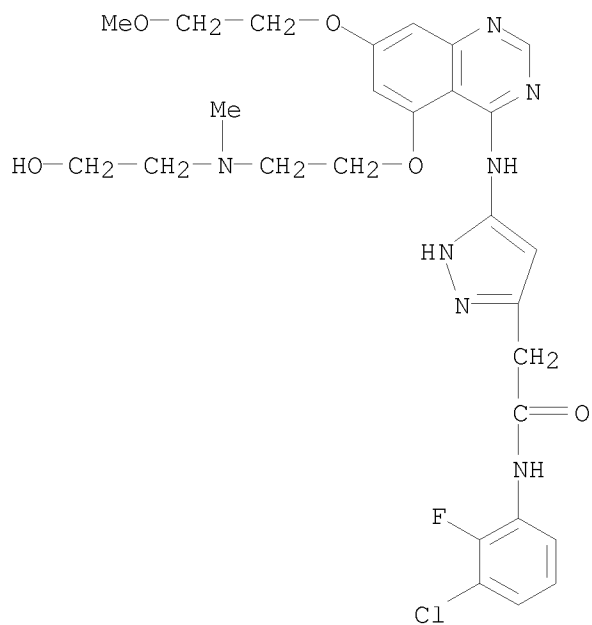
CN 1H-Pyrazole-3-acetamide, N-(4-chloro-2-fluorophenyl)-5-[[5-[2-[(2R)-2-(hydroxyethyl)methylamino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]- (CA INDEX NAME)



RN 895147-03-2 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(3-chloro-2-fluorophenyl)-5-[[5-[2-[(2-hydroxyethyl)methylamino]ethoxy]-7-(2-methoxyethoxy)-4-quinazolinyl]amino]-
(CA INDEX NAME)

10/562,112



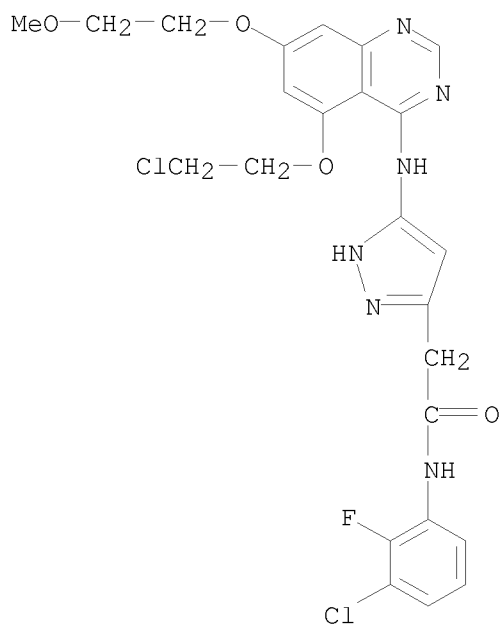
IT 895147-81-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of substituted (quinazolinylamino)pyrazolylacetamides
as anticancer agents)

RN 895147-81-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-(2-methoxyethoxy)-4-
quinazolinyl]amino]-N-(3-chloro-2-fluorophenyl)- (CA INDEX NAME)



IT 895147-23-6P 895147-26-9P 895147-28-1P
895147-30-5P 895147-32-7P 895147-36-1P

10/562,112

895147-47-4P 895147-55-4P 895147-57-6P

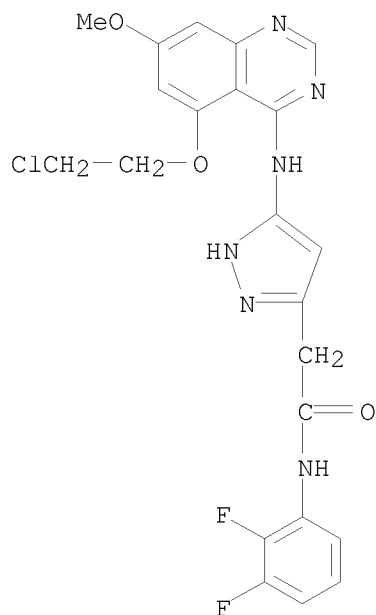
895147-75-8P 895147-76-9P 895147-79-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted (quinazolinylamino)pyrazolylacetamides as anticancer agents)

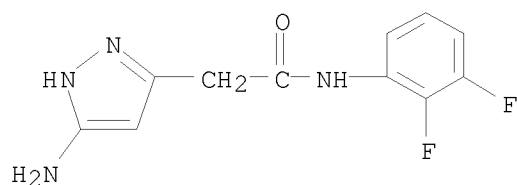
RN 895147-23-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-methoxy-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 895147-26-9 CAPLUS

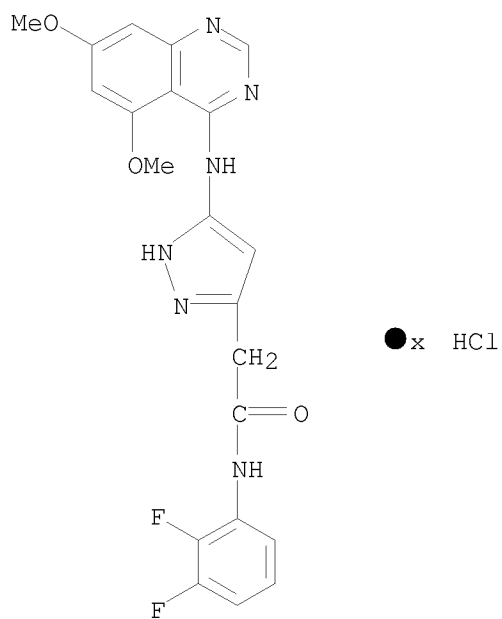
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RN 895147-28-1 CAPLUS

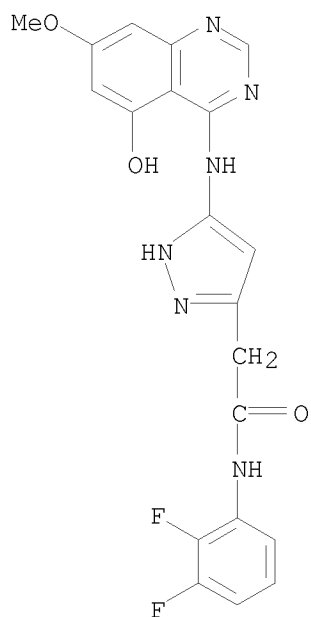
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(5,7-dimethoxy-4-quinazolinyl)amino]-, hydrochloride (1:?) (CA INDEX NAME)

10/562,112



RN 895147-30-5 CAPLUS

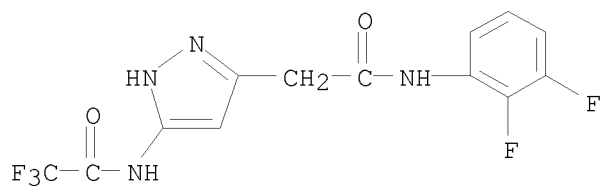
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(5-hydroxy-7-methoxy-4-quinazolinyl)amino]- (CA INDEX NAME)



RN 895147-32-7 CAPLUS

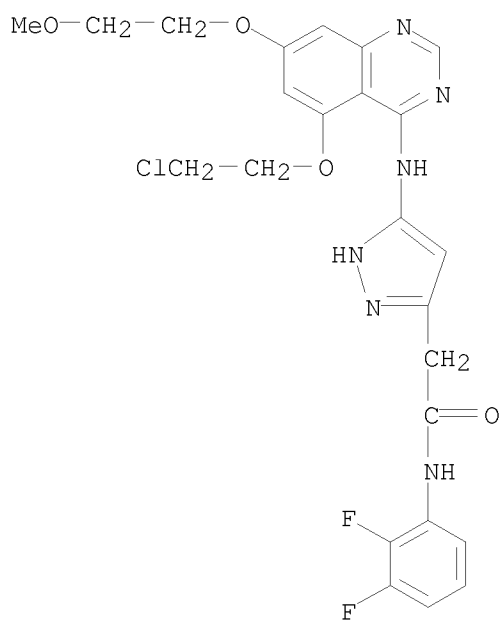
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(2,2,2-trifluoroacetyl)amino]- (CA INDEX NAME)

10/562,112



RN 895147-36-1 CAPLUS

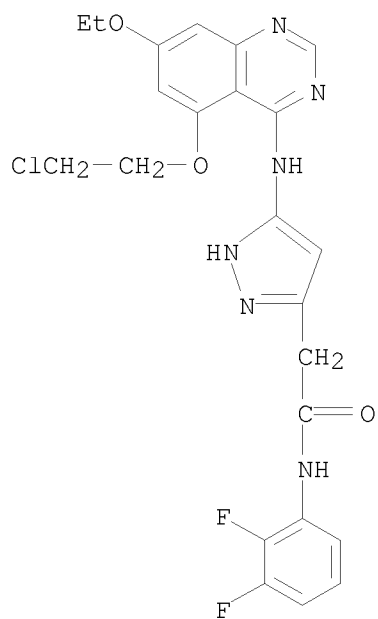
CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-(2-methoxyethoxy)-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)



RN 895147-47-4 CAPLUS

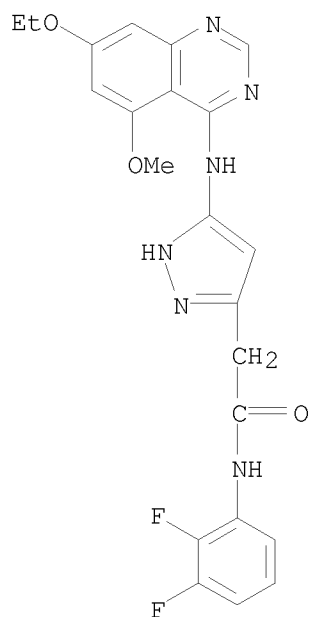
CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-ethoxy-4-quinazolinyl]amino]-N-(2,3-difluorophenyl)- (CA INDEX NAME)

10/562,112



RN 895147-55-4 CAPLUS

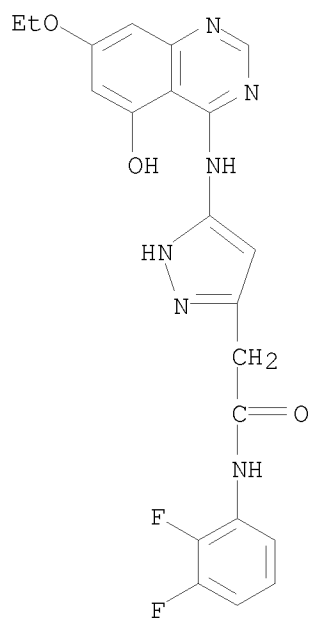
CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(7-ethoxy-5-methoxy-4-quinazolinyl)amino]- (CA INDEX NAME)



RN 895147-57-6 CAPLUS

CN 1H-Pyrazole-3-acetamide, N-(2,3-difluorophenyl)-5-[(7-ethoxy-5-hydroxy-4-quinazolinyl)amino]- (CA INDEX NAME)

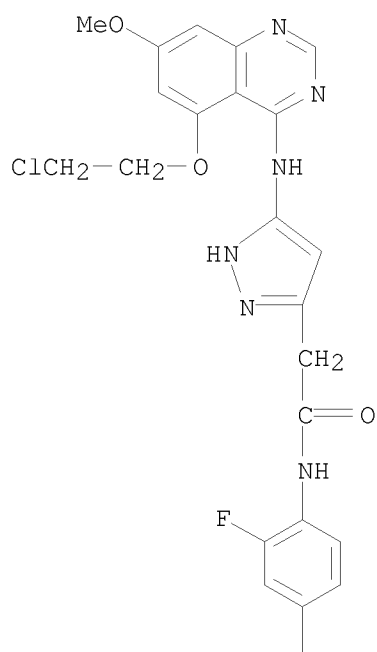
10/562,112

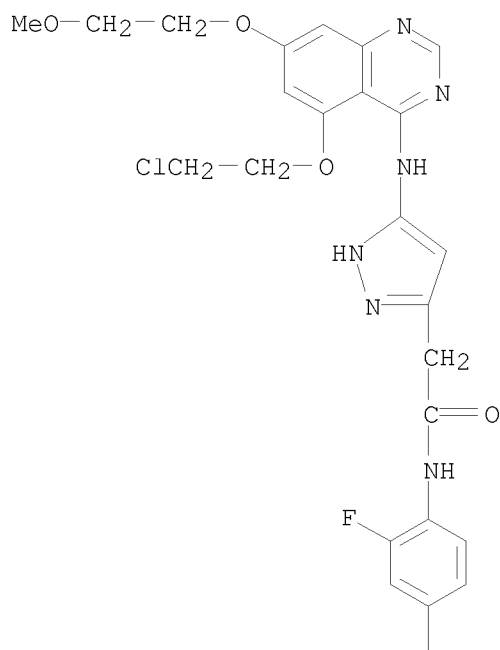


RN 895147-75-8 CAPLUS

CN 1H-Pyrazole-3-acetamide, 5-[[5-(2-chloroethoxy)-7-methoxy-4-quinazolinyl]amino]-N-(2,4-difluorophenyl)- (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 53 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:409732 CAPLUS
 DOCUMENT NUMBER: 144:450702
 TITLE: Constrained indazoloazepinones and related compounds as CGRP-receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of migraine
 INVENTOR(S): Chaturvedula, Prasad V.; Mercer, Stephen E.; Fang, Haiquan
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 112 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|----------|
| US 20060094707 | A1 | 20060504 | US 2005-247697 | 20051011 |
| US 7384930 | B2 | 20080610 | | |
| AU 2005305245 | A1 | 20060518 | AU 2005-305245 | 20051012 |

| | | | | |
|------------------------|--|----------|------------------|------------|
| CA 2586370 | A1 | 20060518 | CA 2005-2586370 | 20051012 |
| WO 2006052378 | A1 | 20060518 | WO 2005-US36859 | 20051012 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| EP 1809633 | A1 | 20070725 | EP 2005-808743 | 20051012 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR, MK, YU | | | |
| CN 101094854 | A | 20071226 | CN 2005-80045264 | 20051012 |
| JP 2008519074 | T | 20080605 | JP 2007-540320 | 20051012 |
| US 20060229447 | A1 | 20061012 | US 2006-417326 | 20060503 |
| US 7384931 | B2 | 20080610 | | |
| IN 2007DN03133 | A | 20070831 | IN 2007-DN3133 | 20070426 |
| MX 200705119 | A | 20070704 | MX 2007-5119 | 20070427 |
| NO 2007002188 | A | 20070719 | NO 2007-2188 | 20070427 |
| KR 2007085647 | A | 20070827 | KR 2007-712438 | 20070601 |
| PRIORITY APPLN. INFO.: | | | US 2004-624655P | P 20041103 |
| | | | US 2005-678099P | P 20050505 |
| | | | US 2005-247697 | A 20051011 |
| | | | WO 2005-US36859 | W 20051012 |
| OTHER SOURCE(S): | MARPAT 144:450702 | | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention encompasses constrained bicyclic and tricyclic CGRP-receptor antagonists of formula I, methods for identifying them, pharmaceutical compns. comprising them, and methods for their use in therapy for treatment of migraine and other headaches, neurogenic vasodilation, neurogenic inflammation, thermal injury, circulatory shock, flushing associated with menopause, airway inflammatory diseases, such as asthma and chronic obstructive pulmonary disease (COPD), and other conditions the treatment of which can be effected by the antagonism of CGRP-receptors. Compds. of formula I, wherein R1 is C1-6 (halo)alkyl, C2-6 alkenyl, C3-7 cycloalkyl, C5-7 cyclalkenyl, C1-6(C3-7 cycloalkenyl)alkyl, C1-6(C1-6alkoxyl)alkyl, C1-6(hetero)arylalkyl, C1-6(NH2)alkyl and derivs., NH-pyrrolidinyl and derivs., or NH-piperidinyl and derivs.; R2 is H, halo, OH, C1-6 alkyl, C2-6 alkenyl, BnO, or NH2 and derivs.; R3 is H, OH, halo, C1-6 alkyl, or C2-6 alkenyl; or R2R3 together are CHNNR5; R4 is H, halo, C1-6 alkyl, or C2-6 alkenyl; R5 is H or C1-6 alkyl; R6 is H, C1-6 alkyl, or spiro[imidazolidinedione-cycloalkaphenyl]; or NR5R6 taken together is (un)substituted 6-membered aza-cycle, or spiro-substituted piperidine; X-Y is aminocarbonyl, oxycarbonyl, methylenecarbonyl, ethylene, or amino(cyano)iminomethyl; n is 0 or 1; and their pharmaceutically acceptable salts or solvates thereof are claimed. Example compound II was prepared by substitution of (9-benzyl-4-chloro-8-oxo-3,6,7,8,9,10-hexahydro-2,3,9-triaza-(R)-cyclohepta[e]inden-7-yl)carbamic acid benzyl ester with

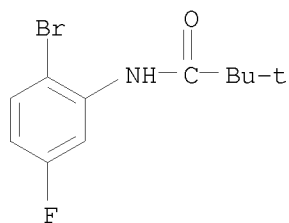
4-(2-oxo-1,4-dihydro-2H-quinazolin-3-yl)piperidine. All the invention compds. were evaluated for their CGRP receptor binding activity. From the assay, it was determined that most of the invention compds. exhibited CGRP receptor activity. Example compound II were found to have an IC50 value between 0.1-10 nM against CGRP receptors and for cAMP functions. These compds. are claimed to be useful for treatment migraine.

IT 885609-84-7P 885609-96-1P 885609-97-2P
885609-98-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of constrained indazoloazepinones and related compds. as CGRP-receptor antagonists and useful for treatment of migraine)

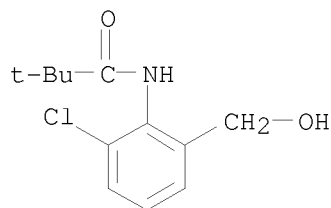
RN 885609-84-7 CAPLUS

CN Propanamide, N-(2-bromo-5-fluorophenyl)-2,2-dimethyl- (CA INDEX NAME)



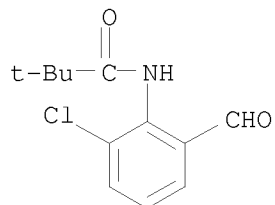
RN 885609-96-1 CAPLUS

CN Propanamide, N-[2-chloro-6-(hydroxymethyl)phenyl]-2,2-dimethyl- (CA INDEX NAME)



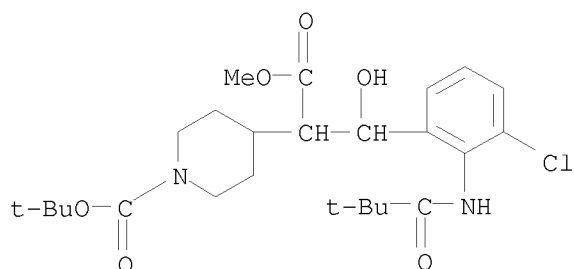
RN 885609-97-2 CAPLUS

CN Propanamide, N-(2-chloro-6-formylphenyl)-2,2-dimethyl- (CA INDEX NAME)



RN 885609-98-3 CAPLUS

CN 4-Piperidineacetic acid, α -[[3-chloro-2-[(2,2-dimethyl-1-oxopropyl)amino]phenyl]hydroxymethyl]-1-[(1,1-dimethylethoxy)carbonyl]-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 110 THERE ARE 110 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L3 ANSWER 54 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:366862 CAPLUS

DOCUMENT NUMBER: 144:412531

TITLE: Preparation of quinazoline derivatives for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability

INVENTOR(S): Ple, Patrick; Jung, Frederic Henri

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 212 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

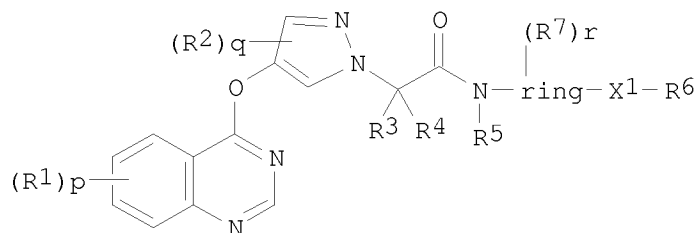
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2006040526 | A1 | 20060420 | WO 2005-GB3881 | 20051007 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| EP 1802608 | A1 | 20070704 | EP 2005-790971 | 20051007 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | |
| JP 2008515961 | T | 20080515 | JP 2007-536246 | 20051007 |
| PRIORITY APPLN. INFO.: | | | EP 2004-292418 | A 20041012 |
| | | | WO 2005-GB3881 | W 20051007 |

OTHER SOURCE(S): MARPAT 144:412531

GI



AB Quinazoline derivs. I, wherein p is 0-3; R1 is halogen, CF₃, Cn, OH, SH, NH₂, alkyl, alkenyl, alkynyl, alkoxy, alkenyl-oxy, alkynyl-oxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, Q1X₂; X₂ is O, S, SO, SO₂, substituted amine, CO, amide, amino-carbonyl; Q1 is aryl, arylalkyl, cycloalkyl, cyclo-alkenyl, cyclo-alkenyl-alkyl, heteroaryl, heterocycle, heterocyclyl-alkyl; q = 0-2; R2 is halogen CF₃, CN, OH, amino, alkyl, alkenyl, alkynyl, alkoxy, alkylamino; R3 is H, alkyl, alkenyl, alkynyl; R3 and R4 together with the carbon atom to which they are attached form a cycloalkyl group; R5 is H, alkyl, alkenyl, alkynyl; ring is 6-membered mono-cyclic, 10-membered bicyclic aryl ring, heterocycle; X1 is O, S, SO, SO₂, substituted nitrogen, Co, amide, amino-carbonyl, sulfonyl-amine, amino-sulfonyl, ; R6 and R7 are independently halogen, CF₃, CN, OH, SH, amino, carboxy, carbamoyl, sulfamoyl, ureido, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, alkoxy-carbonyl, alkanoyl, alkanoyl-oxy, alkyl-carbamoyl; r is 0-3, were prepared for use in the treatment of cell proliferative disorders or in the treatment of disease states associated with angiogenesis and/or vascular permeability. Thus, N-(2,3-methylenedioxy-phenyl)-2-[4-[6-[2-(4-hydroxy-piperidin-1-yl)ethoxy]-7-methoxy-quinazolin-4-yl-oxy]pyrazol-1-yl]acetamide was prepared for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability. The compds. of the present invention were tested as inhibitors of PDGFR α , PDGFR β and KDR tyrosine kinase enzymes, as inhibitors in vitro of the phosphorylation of PDGFR expressed on MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of human umbilical vein endothelial cells (HUVECs), and as inhibitors in vivo of the growth in nude mice of xenografts of human tumor tissue such as CaLu-6 and Colo205.

IT 884341-03-1P

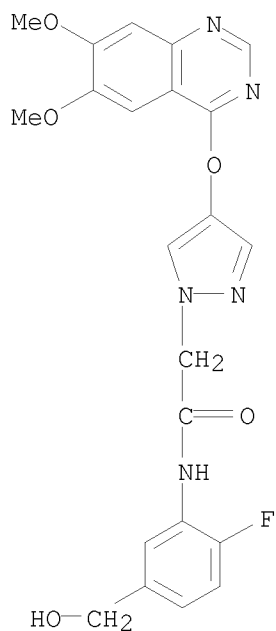
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease assocd with angiogenesis and or vascular permeability)

RN 884341-03-1 CAPLUS

CN 1H-Pyrazole-1-acetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-(hydroxymethyl)phenyl]- (CA INDEX NAME)

10/562,112



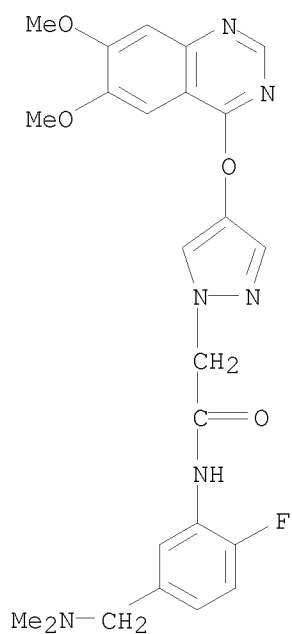
IT 884341-83-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease assocd with angiogenesis and or vascular permeability)

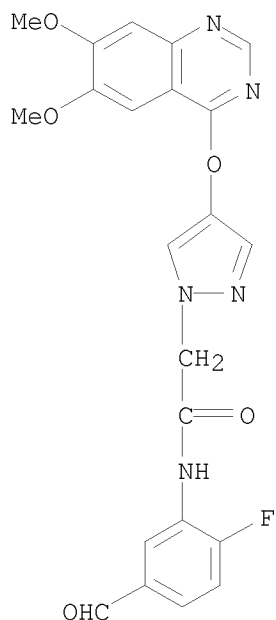
RN 884341-83-7 CAPLUS

CN 1H-Pyrazole-1-acetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[5-[(dimethylamino)methyl]-2-fluorophenyl]- (CA INDEX NAME)



10/562,112

IT 884341-92-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of quinazoline derivs. for use in treatment of cell
proliferative disorders or disease assocd with angiogenesis and or
vascular permeability)
RN 884341-92-8 CAPLUS
CN 1H-Pyrazole-1-acetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-(2-fluoro-
5-formylphenyl)- (CA INDEX NAME)

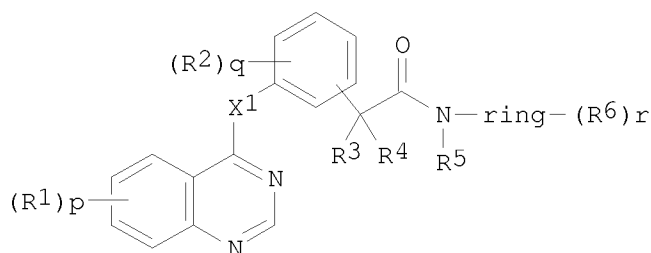


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 55 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:365444 CAPLUS
DOCUMENT NUMBER: 144:412530
TITLE: Preparation of quinazoline derivatives for
use in treatment of cell proliferative disorders or
disease associated with angiogenesis and/or vascular
permeability
INVENTOR(S): Ple, Patrick; Jung, Frederic Henri
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca Uk Limited
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2006040520 | A1 | 20060420 | WO 2005-GB3846 | 20051007 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, | | | | |

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,
 LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,
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 AU 2005293336 A1 20060420 AU 2005-293336 20051007
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 EP 1802591 A1 20070704 EP 2005-789135 20051007
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 CN 101072758 A 20071114 CN 2005-80042048 20051007
 JP 2008515959 T 20080515 JP 2007-536244 20051007
 BR 2005016093 A 20080819 BR 2005-16093 20051007
 NO 2007001703 A 20070704 NO 2007-1703 20070330
 MX 200704403 A 20070427 MX 2007-4403 20070412
 IN 2007DN02983 A 20070817 IN 2007-DN2983 20070420
 KR 2007084172 A 20070824 KR 2007-710679 20070510
 PRIORITY APPLN. INFO.: EP 2004-292417 A 20041012
 WO 2005-GB3846 W 20051007
 OTHER SOURCE(S): MARPAT 144:412530
 GI



I

AB Quinazoline derivs. I, wherein X1 is O, substituted amine; p is 0-3; R1 is halogen, CF3, Cn, OH, SH, NH2, alkyl, alkenyl, alkynyl, alkoxy, alkenyl-oxy, alkynyl-oxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, Q1X2; X2 is O, S, SO, SO2, substituted amine, CO, amide, amino-carbonyl; Q1 is aryl, arylalkyl, cycloalkyl, cyclo-alkenyl, cyclo-alkenyl-alkyl, heteroaryl, heterocycle, heterocyclyl-alkyl; q = 0-2; R2 is halogen CF3, CN, OH, amino, alkyl, alkenyl, alkynyl, alkoxy, alkylamino; R3 is H, alkyl, alkenyl, alkynyl; R3 and R4 together with the carbon atom to which they are attached form a cycloalkyl group; R5 is H, alkyl, alkenyl, alkynyl; ring is 6-membered mono-cyclic, 10-membered bicyclic aryl ring, heterocycle; r is 0-3; R6 is halogen, CF3, CN, OH, SH, amino, carboxy, carbamoyl, sulfamoyl, ureido, alkyl, alkenyl, alkynyl, alkoxy, alkylthio, alkyl-sulfinyl, alkyl-sulfonyl, alkylamino, alkoxy-carbonyl, alkanoyl, alkanoyl-oxy, alkyl-carbamoyl, were prepared for use in the treatment of cell proliferative disorders or in the treatment of disease states associated with angiogenesis and/or vascular permeability. Thus, (2S)-2-amino-2-[4-(6,7-dimethoxy-quinazolin-4-yl-oxy)phenyl]-N-(4,5-dimethyl-thiazol-2-yl)acetamide was prepared and tested in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability. The compds. of the

present invention were tested as inhibitors of PDGFR α , PDGFR β and KDR tyrosine kinase enzymes, as inhibitors in vitro of the phosphorylation of PDGFR expressed on MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of MG63 osteosarcoma cells, as inhibitors in vitro of the proliferation of human umbilical vein endothelial cells (HUVECs), and as inhibitors in vivo of the growth in nude mice of xenografts of human tumor tissue such as CaLu-6 and Colo205.

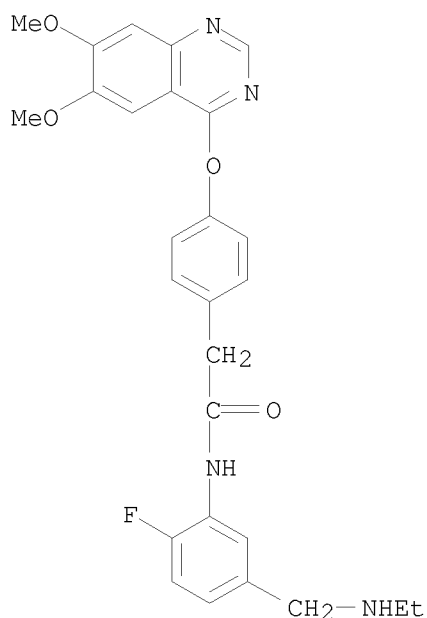
IT 883985-41-9P 883985-42-0P 883985-43-1P
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883985-50-0P 883985-51-1P 883985-52-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability)

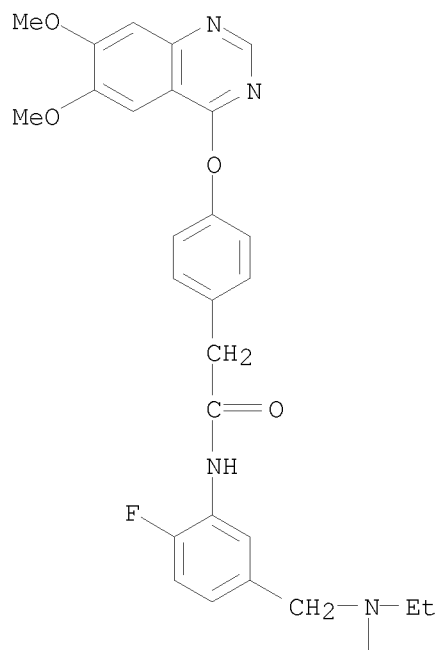
RN 883985-41-9 CAPLUS

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RN 883985-42-0 CAPLUS

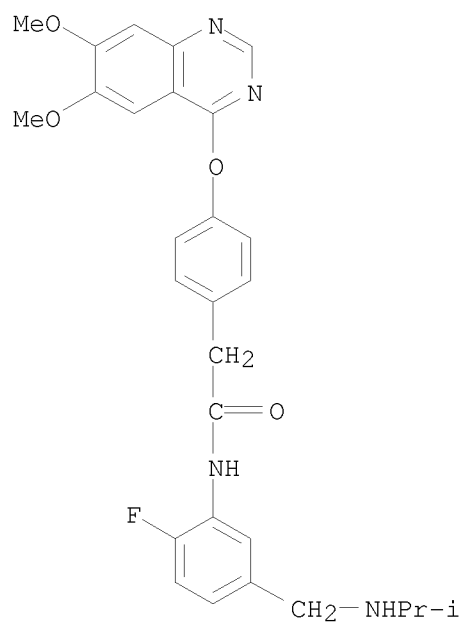
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Me

RN 883985-43-1 CAPLUS
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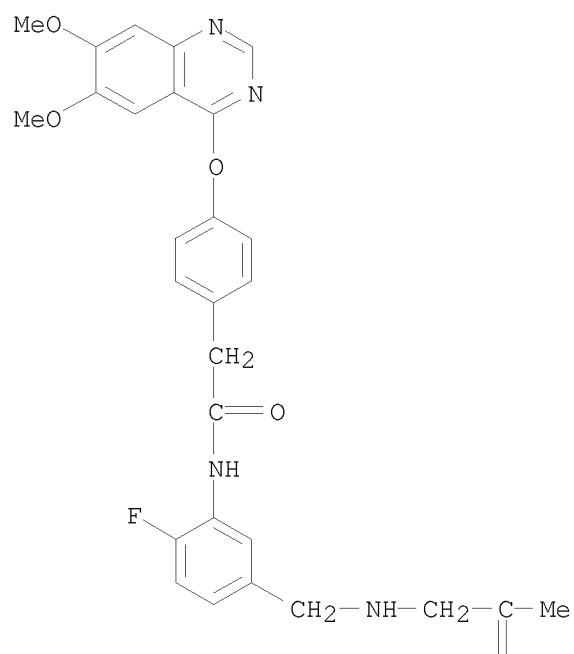
10/562,112



RN 883985-44-2 CAPLUS

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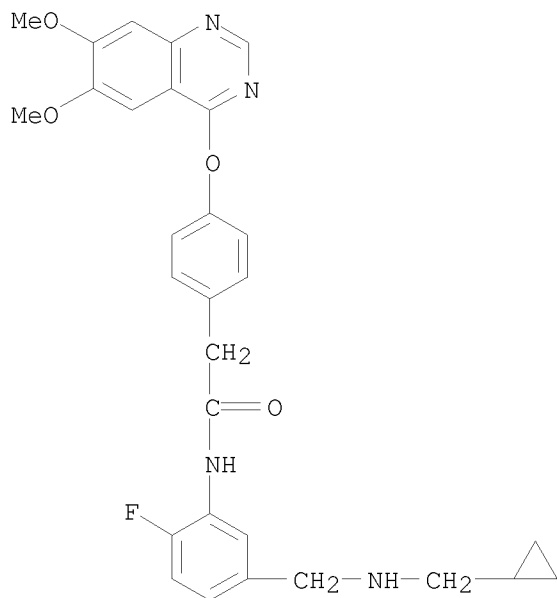
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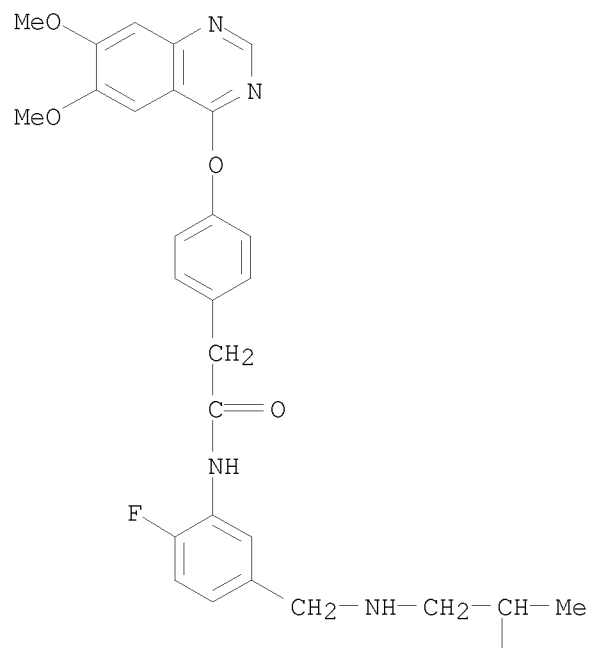
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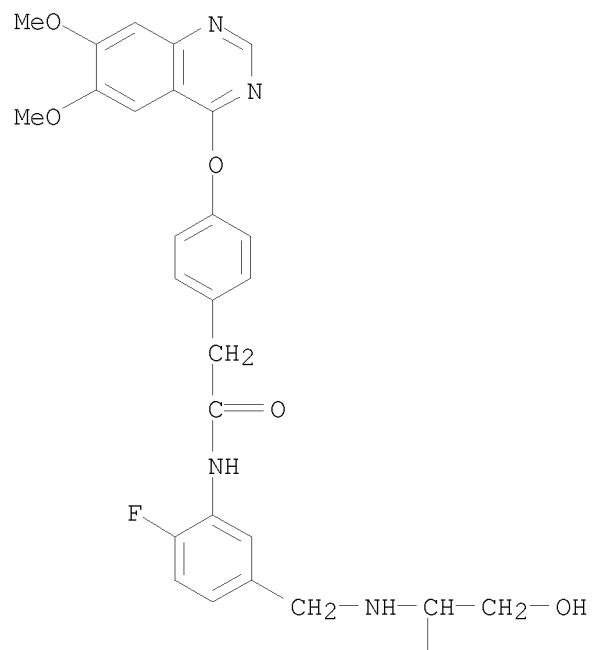


RN 883985-46-4 CAPLUS

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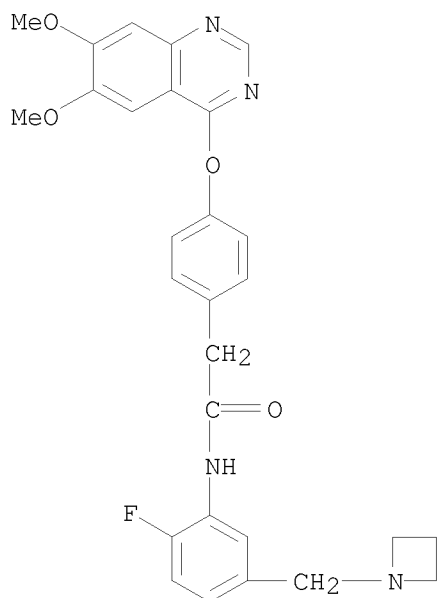
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Me

RN 883985-48-6 CAPLUS
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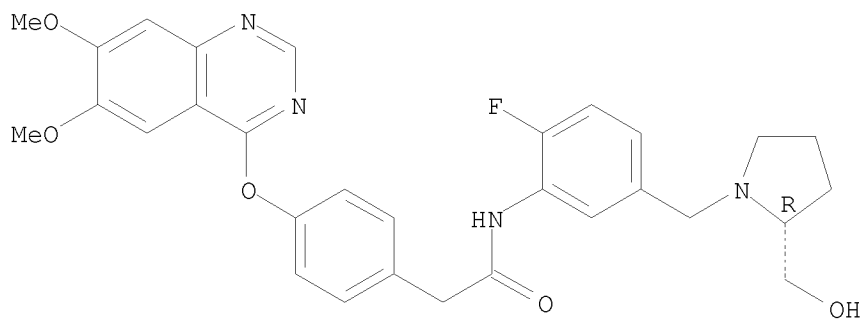
10/562,112



RN 883985-49-7 CAPLUS

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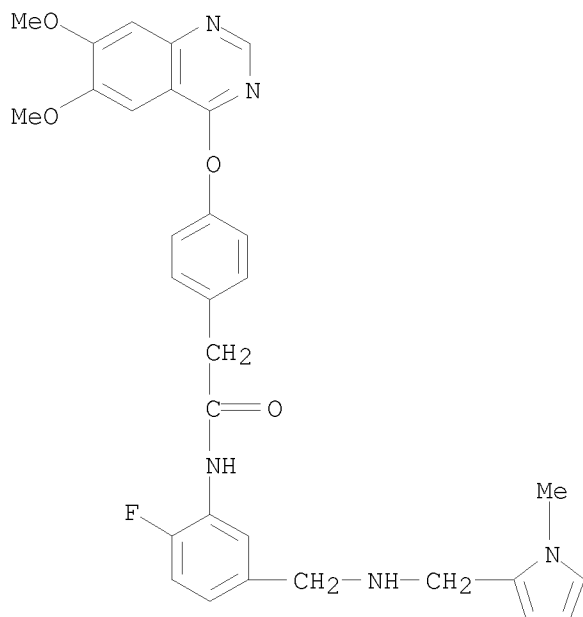
Absolute stereochemistry.



RN 883985-50-0 CAPLUS

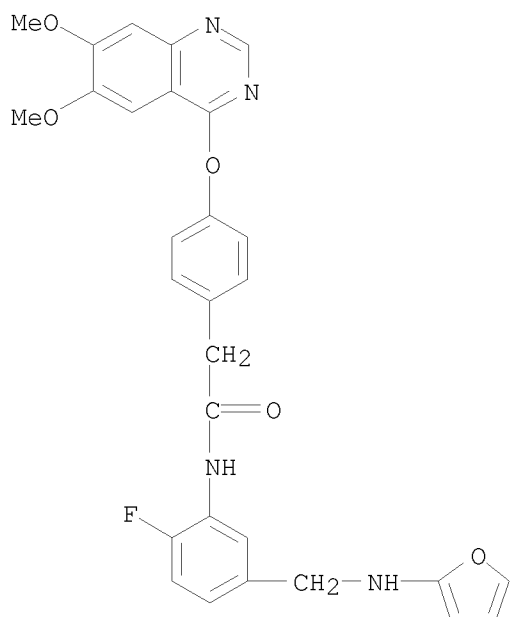
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10/562,112



RN 883985-51-1 CAPLUS

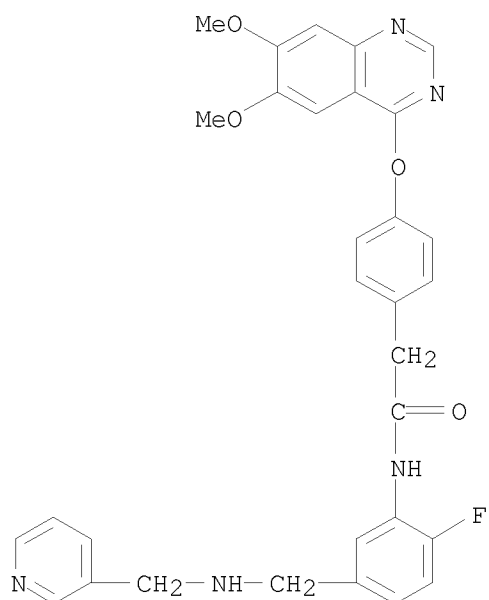
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RN 883985-52-2 CAPLUS

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10/562,112



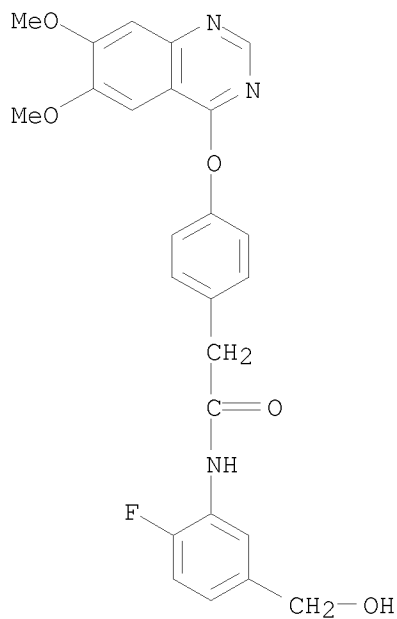
IT 883985-21-5P 883985-68-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of quinazoline derivs. for use in treatment of cell proliferative disorders or disease associated with angiogenesis and/or vascular permeability)

RN 883985-21-5 CAPLUS

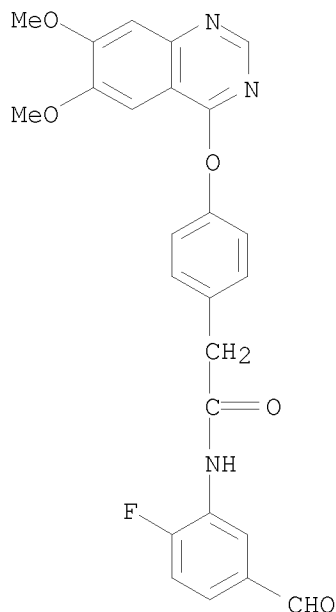
CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-[2-fluoro-5-(hydroxymethyl)phenyl]- (CA INDEX NAME)



RN 883985-68-0 CAPLUS

10/562,112

CN Benzeneacetamide, 4-[(6,7-dimethoxy-4-quinazolinyl)oxy]-N-(2-fluoro-5-formylphenyl)- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 56 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:343955 CAPLUS

DOCUMENT NUMBER: 144:390936

TITLE: Aryl nitrogen-containing bicyclic compounds and their preparation, pharmaceutical compositions, and protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases

INVENTOR(S): Patel, Vinod F.; Kim, Joseph L.; Geuns-Meyer, Stephanie D.; Chaffee, Stuart C.; Cee, Victor J.; Hodous, Brian L.; Bellon, Steven; Harmange, Jean-Christophe; Olivieri, Philip R.; Thaman, Maya C.; Dimauro, Erin F.; Buchanan, John L.; McGowan, David C.; Albrecht, Brian K.; Deak, Holly L.; Bemis, Jean E.; White, Ryan; Martin, Matthew W.; Habgood, Gregory J.; Tempest, Paul A.; Masse, Craig E.; Buckner, William H.; Herberich, Bradley J.; Graceffa, Russell; Zhang, Dawei; Xu, Shimin; Sham, Kelvin; Rzasa, Robert M.; Falsey, James Richard; Chakrabarti, Partha P.; Cao, Guo-Qiang; Tomlinson, Susan Ann; Pettus, Liping H.; Smith, Adrian Leonard; Paras, Nick A.; Liu, Gang; Demorin, Frenel F.; Tasker, Andrew; Reed, Anthony

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 876 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

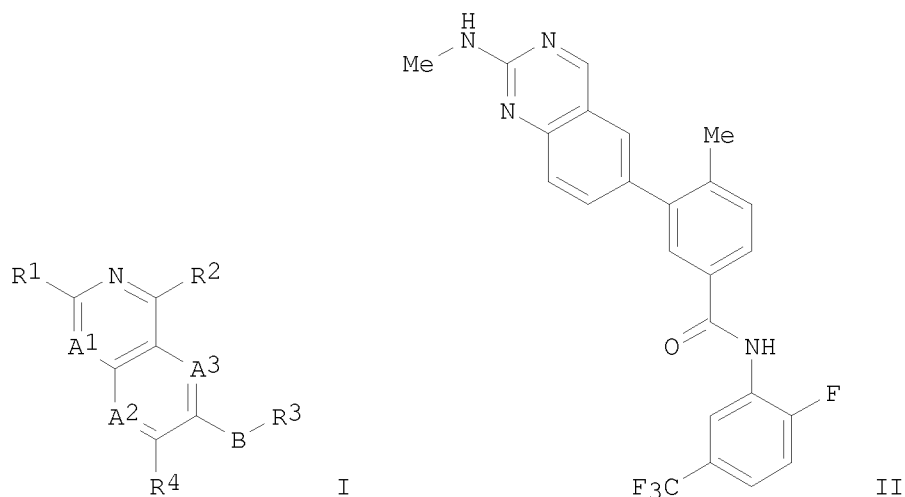
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|------|-----------------|------|
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|------------------------|--|--|-----------------|------------|
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| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| US 20070054916 | A1 | 20070308 | US 2005-240590 | 20050930 |
| AU 2005292152 | A1 | 20060413 | AU 2005-292152 | 20051003 |
| CA 2582029 | A1 | 20060413 | CA 2005-2582029 | 20051003 |
| EP 1836174 | A2 | 20070926 | EP 2005-818381 | 20051003 |
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| JP 2008515812 | T | 20080515 | JP 2007-534914 | 20051003 |
| MX 200703784 | A | 20070424 | MX 2007-3784 | 20070329 |
| PRIORITY APPLN. INFO.: | | | US 2004-615535P | P 20041001 |
| | | | US 2005-240590 | A 20050930 |
| | | | WO 2005-US35873 | W 20051003 |
| OTHER SOURCE(S): | | CASREACT 144:390936; MARPAT 144:390936 | | |
| GI | | | | |



AB The invention comprises a class of compds. of formula I useful for the prophylaxis and treatment of protein kinase mediated diseases, including inflammation, cancer and related conditions. Compds. of formula I wherein A1 and one of A2 and A3 are independently CR⁵ or N; B is a bond, CR⁵R⁶, CO, NR⁶, O, S, SO, or SO₂; R¹ is halo, haloalkyl, NO₂, CN, H, NH₂ and derivs., OH and derivs., SH and derivs., CHO and derivs., OC(O)R and derivs., CO₂H and derivs., CONH₂ and derivs., CSNH₂ and derivs., NHCHO and derivs., NHC(S)H and derivs., NHCONH₂ and derivs., NHCSNH₂ and derivs., SO₂H and derivs., SO₂NH₂ and derivs., etc.; R², R⁴, and R⁵ are

independently H, halo, haloalkyl, NO₂, CN, OH and derivs., SH and derivs., NH₂ and derivs., CHO and derivs., CO₂H and derivs., CONH₂ and derivs., NHCONH₂ and derivs., SO₂H and derivs., SO₂NH₂ and derivs., NHSO₂H and derivs., (un)substituted C1-10 (hetero)alkyl, (un)substituted C2-10 alkenyl, (un)substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10-membered (hetero)cycloalkyl, (un)substituted 4- to 10-membered (hetero)cycloalkenyl, etc.; R₃ is (un)substituted (un)saturated 5- to 8-membered (hetero)monocyclic, (un)substituted (un)saturated 6- to 12-membered (hetero)bicyclic, or (un)substituted (un)saturated 7- to 14-membered (hetero)tricyclic rings; R₆ is H, (un)substituted C1-10 (hetero)alkyl, (un)substituted C2-10 (hetero)alkenyl, (un)substituted C2-10 (hetero)alkynyl, (un)substituted 3- to 10-membered (hetero)cycloalkyl, (un)substituted 4- to 10-membered (hetero)cycloalkenyl; and their stereoisomers, tautomers, solvates, pharmaceutically acceptable salts, derivs., and prodrugs thereof are claimed. Accordingly, the invention also comprises pharmaceutical compns. comprising the compds. of the invention, methods for the prophylaxis and treatment of kinase mediated diseases using the compds. and compns. of the invention, and intermediates and processes useful for the preparation of compds. of the invention. Example compound II was prepared by boration of 3-iodo-4-methylbenzoic acid with bis(pinacolato)diboron; the resulting 4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoic acid was converted to the corresponding acid chloride, in situ, and reacted with 2-fluoro-5-trifluoromethylbenzeneamine to give N-(2-fluoro-5-fluoromethylphenyl)-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzamide, which underwent cross-coupling with 6-bromo-N-methylquinazolin-2-amine to give compound II. About 2000 invention compds. of formula I were prepared by similar procedures. All the invention compds. were tested for their protein kinase inhibitory activity. Example compound I along with many other invention compound showed good inhibitory activity. From the HTRF assay, the IC₅₀ values for inhibition of Tie-2 was determined to be less than or equal to 1 μ M for some of the invention compds. For the inhibition of Lck kinase enzyme, the some of the exemplary compds. exhibited an average IC₅₀ value of 25 μ M or less and some invention compound exhibited an IC₅₀ value of 1 μ M or less, in the human HTRF assay. The invention compds. were also found to be active inhibitors of the VEGF kinase receptor. Furthermore, some of the invention compds. exhibited activities in the monocyte assay with IC₅₀ values of 25 μ M or less. Various compds. of the invention have selective inhibitory activity for specific kinase receptor enzymes, including Tie-2, Lck, p38 and VEGFR/KDR. Accordingly, the compds. of the invention would be useful in therapy as antineoplasia agents, antiinflammatory agents, or to minimize deleterious effects of Tie-2, Lck, VEGF and/or p38.

IT 882668-13-5P

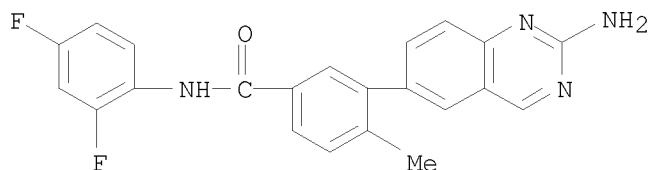
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of aryl nitrogen-containing bicyclic compds. and their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

RN 882668-13-5 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-(2,4-difluorophenyl)-4-methyl- (CA INDEX NAME)

10/562,112



IT 882663-69-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

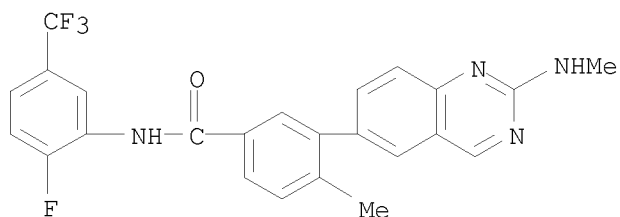
(drug candidate; preparation of aryl nitrogen-containing bicyclic compds.

and

their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

RN 882663-69-6 CAPLUS

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IT 882663-87-8P 882663-90-3P 882663-91-4P
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl nitrogen-containing bicyclic compds.

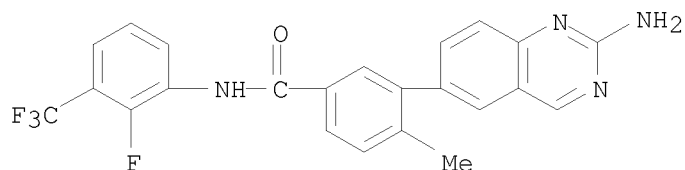
and

their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

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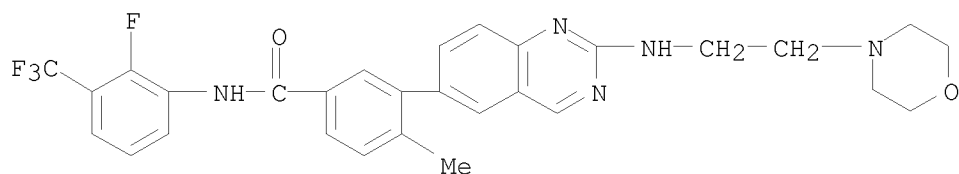
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10/562,112



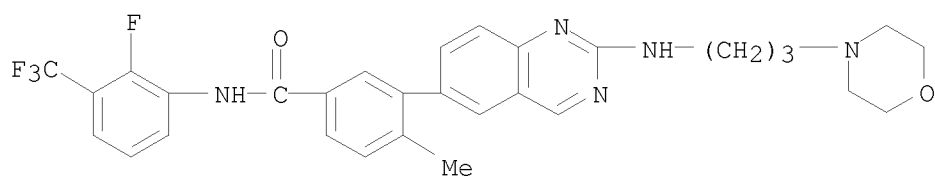
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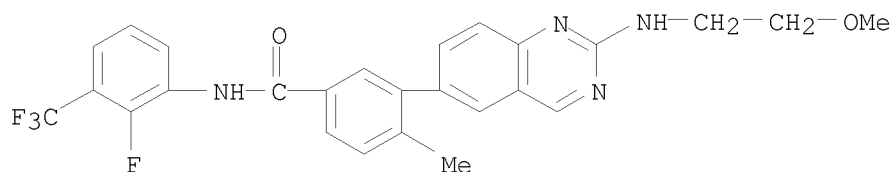
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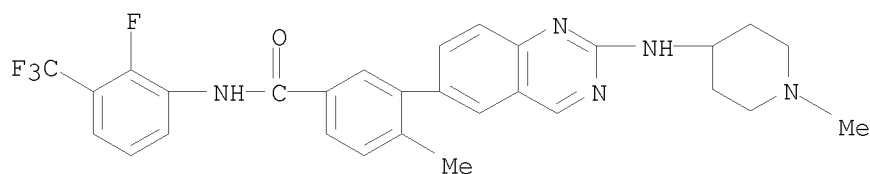
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RN 882663-93-6 CAPLUS

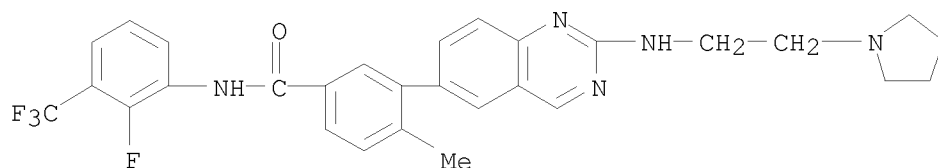
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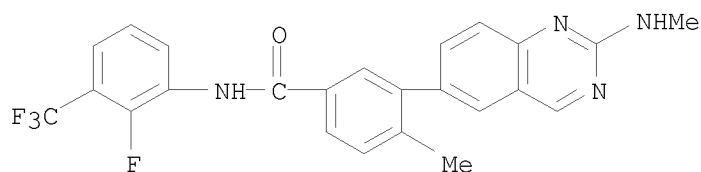
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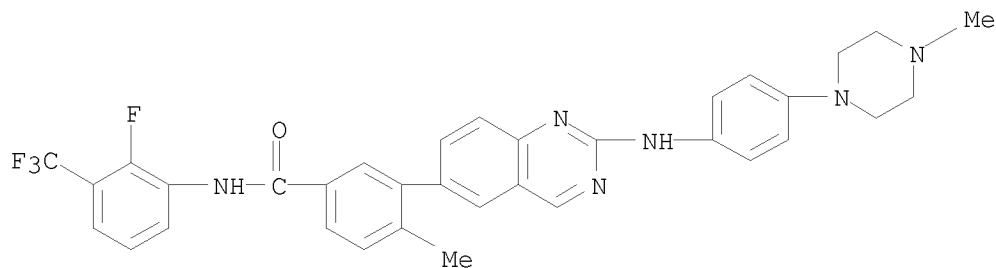
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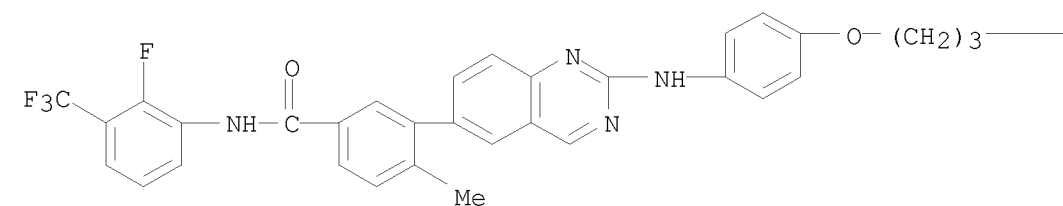
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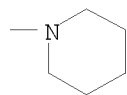


RN 882663-99-2 CAPLUS

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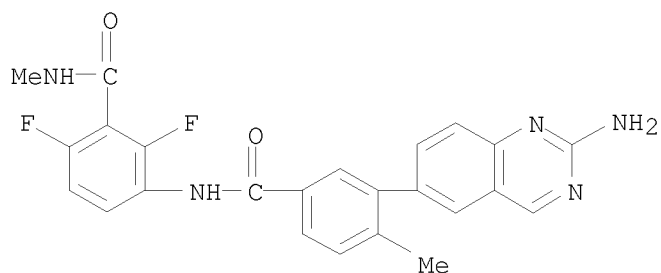


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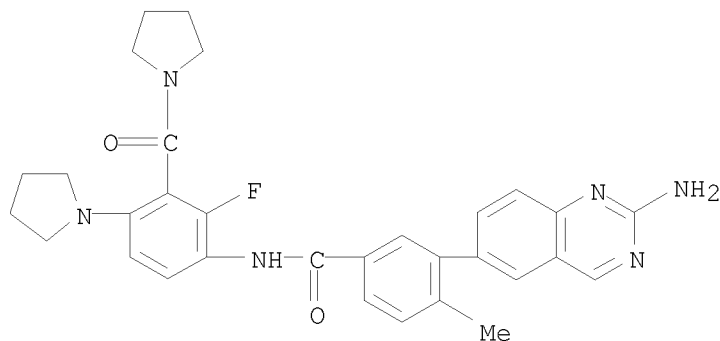
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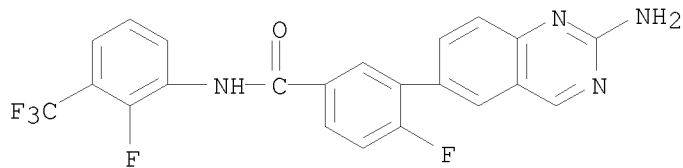
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RN 882665-11-4 CAPLUS

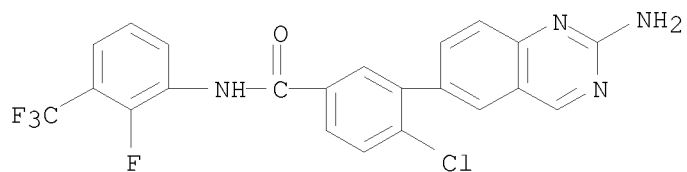
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RN 882665-15-8 CAPLUS

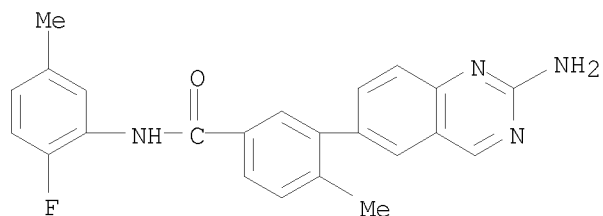
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10/562,112



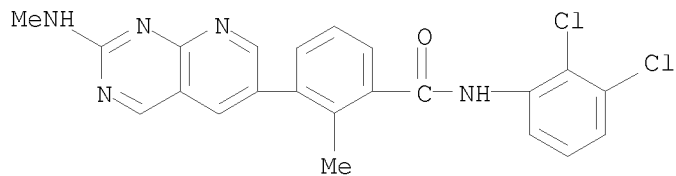
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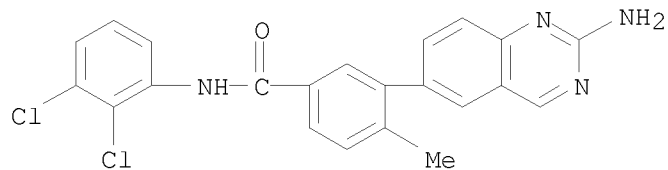
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RN 882666-07-1 CAPLUS

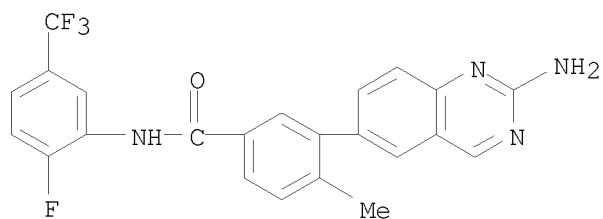
CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-(2,3-dichlorophenyl)-4-methyl-
(CA INDEX NAME)



RN 882666-55-9 CAPLUS

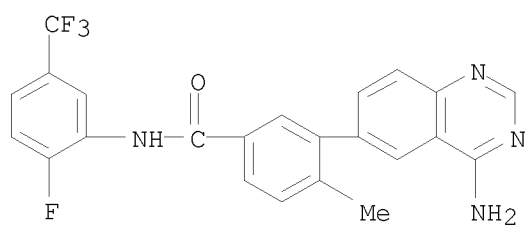
CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-
(CA INDEX NAME)

10/562,112



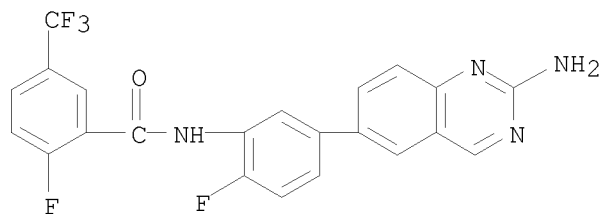
RN 882667-66-5 CAPLUS

CN Benzamide, 3-(4-amino-6-quinazolinyl)-N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



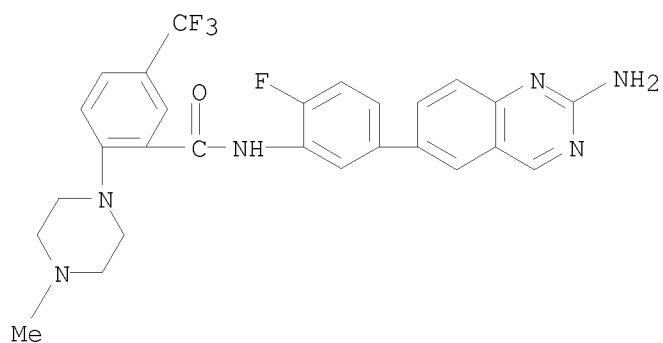
RN 882668-22-6 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)



RN 882668-24-8 CAPLUS

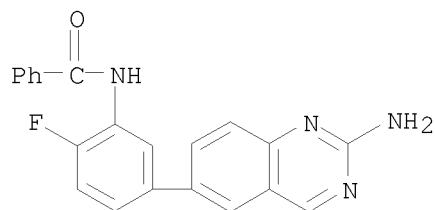
CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-(4-methyl-1-piperazinyl)-5-(trifluoromethyl)- (CA INDEX NAME)



10/562,112

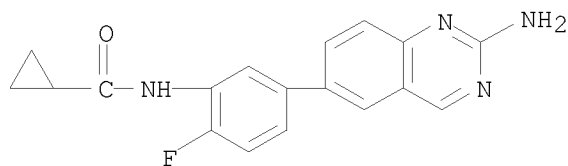
RN 882669-29-6 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]- (CA INDEX NAME)



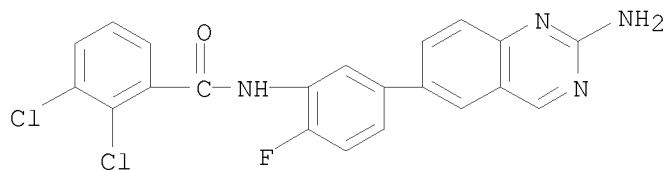
RN 882669-31-0 CAPLUS

CN Cyclopropanecarboxamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]- (CA INDEX NAME)



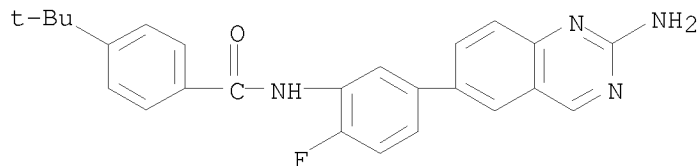
RN 882669-33-2 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2,3-dichloro- (CA INDEX NAME)



RN 882669-35-4 CAPLUS

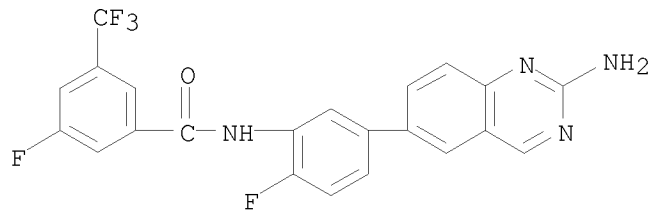
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RN 882669-37-6 CAPLUS

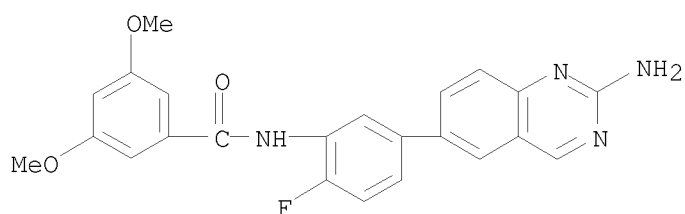
CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-3-fluoro-5-(trifluoromethyl)- (CA INDEX NAME)

10/562,112



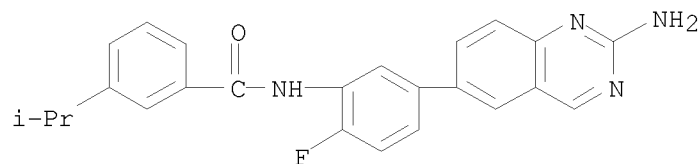
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CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-3,5-dimethoxy-
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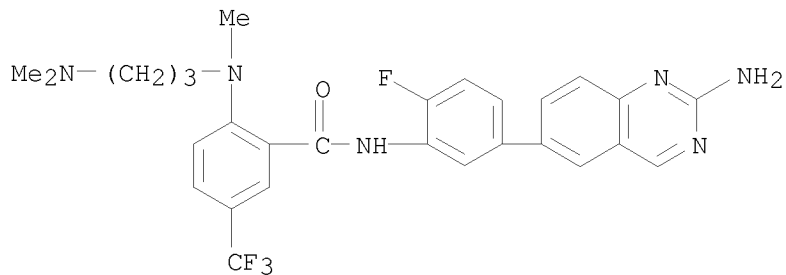
RN 882669-41-2 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-3-(1-methylethyl)-
(CA INDEX NAME)



RN 882669-45-6 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-[[3-(
(dimethylamino)propyl)methylamino]-5-(trifluoromethyl)- (CA INDEX NAME)

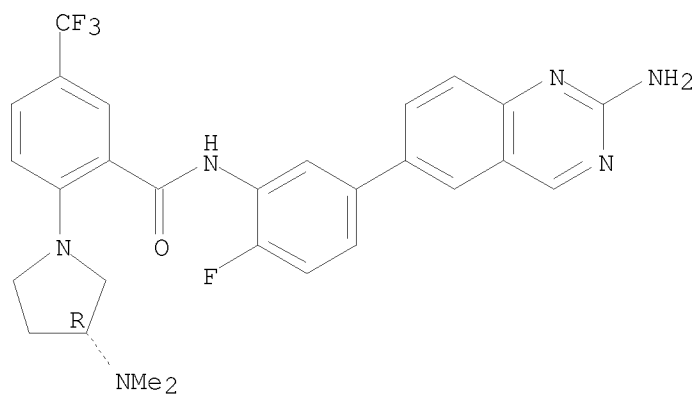


RN 882669-47-8 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-[(3R)-3-(
(dimethylamino)-1-pyrrolidinyl)-5-(trifluoromethyl)- (CA INDEX NAME)

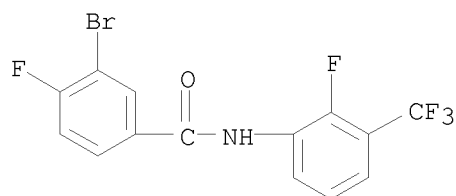
Absolute stereochemistry.

10/562,112



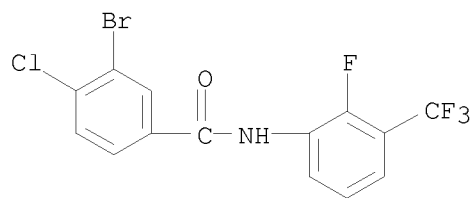
RN 882670-70-4 CAPLUS

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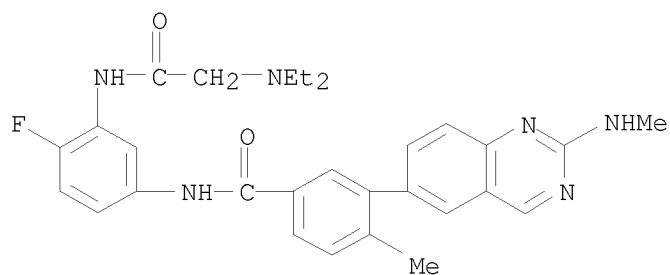
RN 882670-74-8 CAPLUS

CN Benzamide, 3-bromo-4-chloro-N-[2-fluoro-3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 882672-79-9 CAPLUS

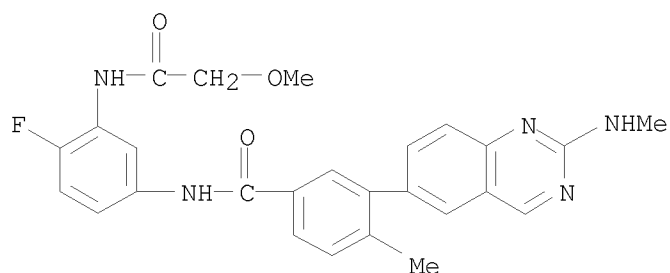
CN Benzamide, N-[3-[[2-(diethylamino)acetyl]amino]-4-fluorophenyl]-4-methyl-3-[2-(methylamino)-6-quinazolinyl]- (CA INDEX NAME)



10/562,112

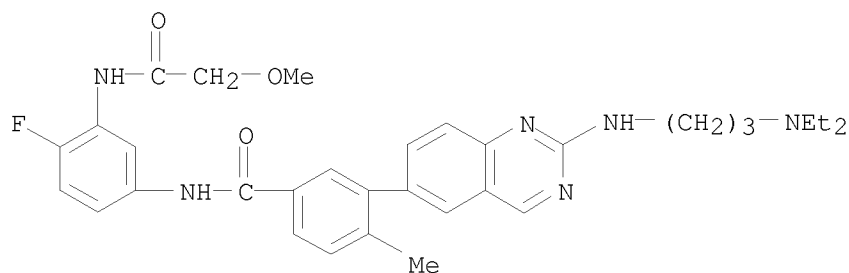
RN 882672-85-7 CAPLUS

CN Benzamide, N-[4-fluoro-3-[(2-methoxyacetyl)amino]phenyl]-4-methyl-3-[2-(methylamino)-6-quinazoliny]- (CA INDEX NAME)



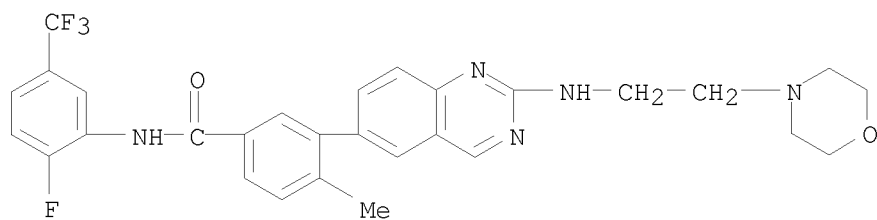
RN 882672-86-8 CAPLUS

CN Benzamide, 3-[2-[[3-(diethylamino)propyl]amino]-6-quinazoliny]-N-[4-fluoro-3-[(2-methoxyacetyl)amino]phenyl]-4-methyl- (CA INDEX NAME)



RN 882673-32-7 CAPLUS

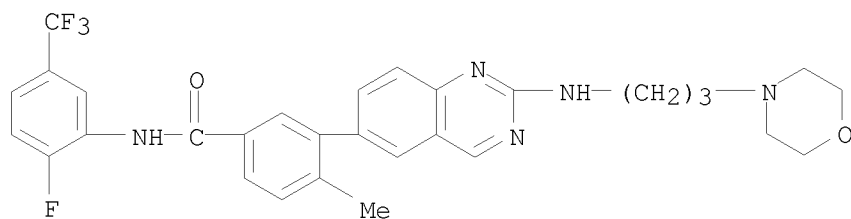
CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[2-(4-morpholinyl)ethyl]amino]-6-quinazoliny]- (CA INDEX NAME)



RN 882673-33-8 CAPLUS

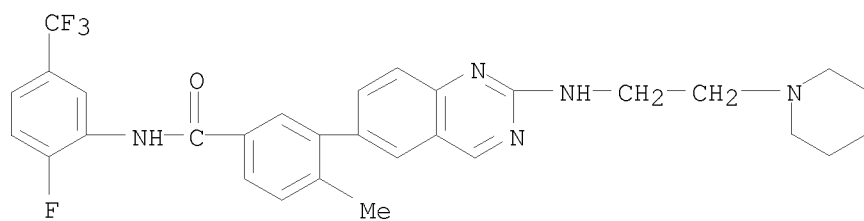
CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[3-(4-morpholinyl)propyl]amino]-6-quinazoliny]- (CA INDEX NAME)

10/562,112



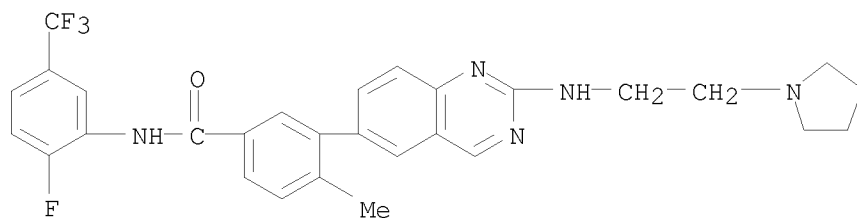
RN 882673-34-9 CAPLUS

CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[2-(1-piperidinyl)ethyl]amino]-6-quinazolinyl]- (CA INDEX NAME)



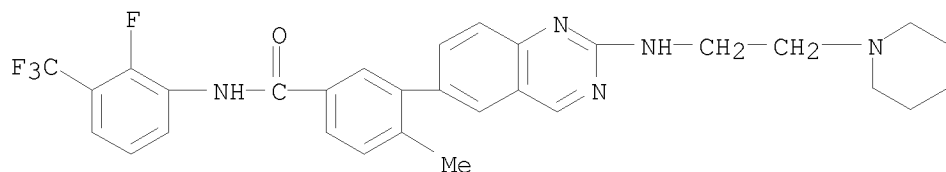
RN 882673-35-0 CAPLUS

CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[2-(1-pyrrolidinyl)ethyl]amino]-6-quinazolinyl]- (CA INDEX NAME)



RN 882673-61-2 CAPLUS

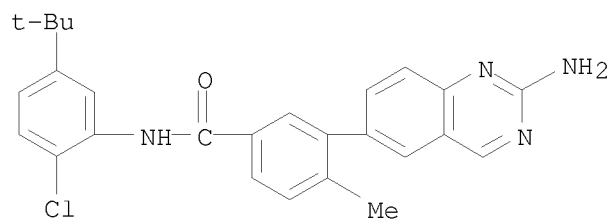
CN Benzamide, N-[2-fluoro-3-(trifluoromethyl)phenyl]-4-methyl-3-[2-[[2-(1-piperidinyl)ethyl]amino]-6-quinazolinyl]- (CA INDEX NAME)



RN 882674-61-5 CAPLUS

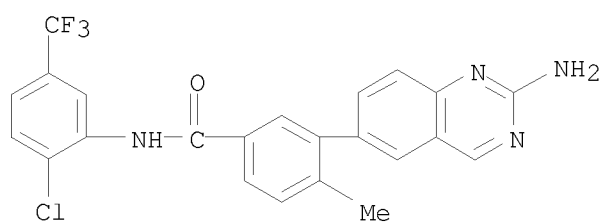
CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-chloro-5-(1,1-dimethylethyl)phenyl]-4-methyl- (CA INDEX NAME)

10/562,112



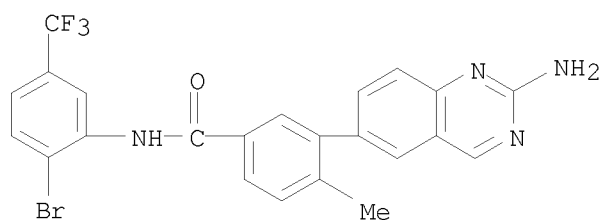
RN 882674-62-6 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-chloro-5-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



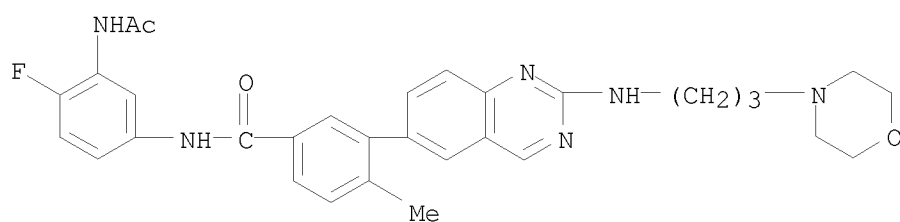
RN 882674-63-7 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-bromo-5-(trifluoromethyl)phenyl]-4-methyl- (CA INDEX NAME)



RN 882674-90-0 CAPLUS

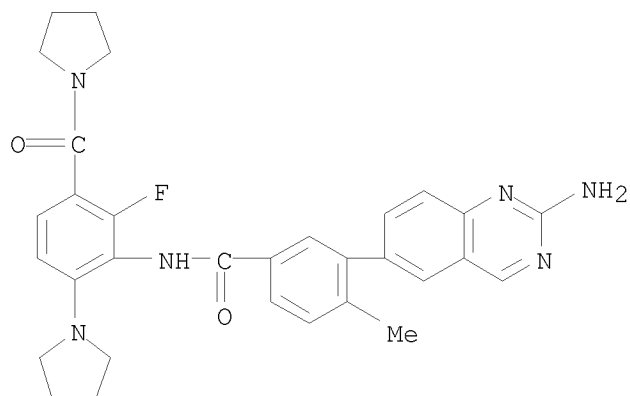
CN Benzamide, N-[3-(acetylamino)-4-fluorophenyl]-4-methyl-3-[2-[[3-(4-morpholinyl)propyl]amino]-6-quinazolinyl]- (CA INDEX NAME)



RN 882677-08-9 CAPLUS

CN Benzamide, 3-(2-amino-6-quinazolinyl)-N-[2-fluoro-6-(1-pyrrolidinyl)-3-(1-pyrrolidinylcarbonyl)phenyl]-4-methyl- (CA INDEX NAME)

10/562,112



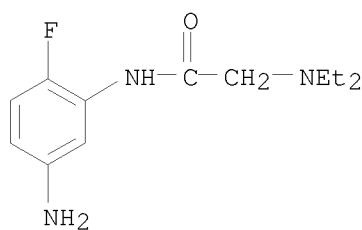
IT 882671-89-8P 882678-69-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of aryl nitrogen-containing bicyclic compds. and their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

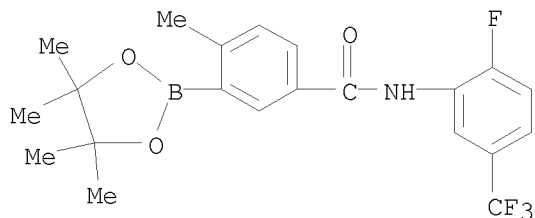
RN 882671-89-8 CAPLUS

CN Acetamide, N-(5-amino-2-fluorophenyl)-2-(diethylamino)- (CA INDEX NAME)



RN 882678-69-5 CAPLUS

CN Benzamide, N-[2-fluoro-5-(trifluoromethyl)phenyl]-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)- (CA INDEX NAME)



IT 882679-54-1 882679-65-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(starting material; preparation of aryl nitrogen-containing bicyclic compds. and

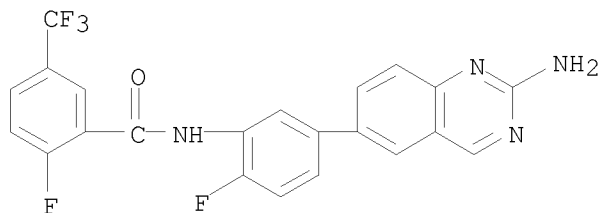
their protein kinase inhibitory activity and use in prophylaxis and treatment of kinase-mediated diseases)

RN 882679-54-1 CAPLUS

CN Benzamide, N-[5-(2-amino-6-quinazolinyl)-2-fluorophenyl]-2-fluoro-5-

10/562,112

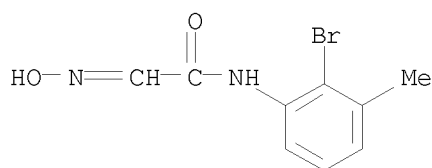
(trifluoromethyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 882679-65-4 CAPLUS

CN Acetamide, N-(2-bromo-3-methylphenyl)-2-(hydroxyimino)- (CA INDEX NAME)



L3 ANSWER 57 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:341638 CAPLUS

DOCUMENT NUMBER: 144:370129

TITLE: Preparation of imidazo[1,5-a][1,2,4]triazolo[1,5-d][1,4]benzodiazepine derivatives selective for GABAA α 5 receptor binding sites and useful in treating cognitive disorders

INVENTOR(S): Knust, Henner; Stadler, Heinz; Thomas, Andrew William
PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 26 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|------|----------|-----------------|----------|
| US 20060079507 | A1 | 20060413 | US 2005-245736 | 20051007 |
| AU 2005293820 | A1 | 20060420 | AU 2005-293820 | 20051004 |
| CA 2581918 | A1 | 20060420 | CA 2005-2581918 | 20051004 |
| WO 2006040038 | A1 | 20060420 | WO 2005-EP10655 | 20051004 |

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,

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| EP 1809297 | A1 | 20070725 | EP 2005-797208 | 20051004 |
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| CN 101039678 | A | 20070919 | CN 2005-80034851 | 20051004 |
| JP 2008515941 | T | 20080515 | JP 2007-536039 | 20051004 |
| AT 402707 | T | 20080815 | AT 2005-797208 | 20051004 |
| MX 200704250 | A | 20070612 | MX 2007-4250 | 20070410 |
| KR 2007053324 | A | 20070523 | KR 2007-708246 | 20070411 |
| IN 2007CN01483 | A | 20070831 | IN 2007-CN1483 | 20070412 |
| PRIORITY APPLN. INFO.: | | | EP 2004-105000 | A 20041012 |
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| OTHER SOURCE(S): | | | CASREACT 144:370129; MARPAT 144:370129 | |
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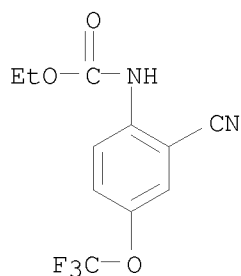
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention is concerned with a method of treating a disease selected from the group consisting of cognitive disorders, anxiety, Alzheimer's disease, and schizophrenia comprising administering a therapeutically effective amount of a substituted imidazo[1,5-a][1,2,4]triazolo[1,5-d][1,4]benzodiazepine derivs. of general formula I (wherein R1 = halogen, lower alkyl, lower alkynyl, cycloalkyl, lower alkoxy, OCF3, substituted amino; R2 = H, Me or (un)substituted aryl; R3 = H, lower alkyl, lower alkenyl, cycloalkyl, lower alkoxy, etc.; and n = 0-3) or their pharmaceutically acceptable salts. The invention also provides pharmaceutical compns. containing them as well as a process for preparing them. I selectively bind to the GABAA α 5 receptor binding site indicating their potential utility in treating cognitive disorders, particularly Alzheimer's disease. For example, II was prepared by reacting III with 3-phenylpropylmagnesium bromide to provide the 4-phenylbutanol which in turn was converted to the 4-phenylbutanone II. All I tested possessed a Ki value for displacement of (3H)flumazenil from α 5 subunits of the rat GABAA receptor of ≤ 100 nM.

IT 882517-84-2P, (2-Cyano-4-(trifluoromethoxyphenyl)carbamic acid ethyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of imidazo[1,5-a][1,2,4]triazolo[1,5-d][1,4]benzodiazepine derivs. selective for GABAA α 5 receptor binding sites and useful in treating cognitive disorders)

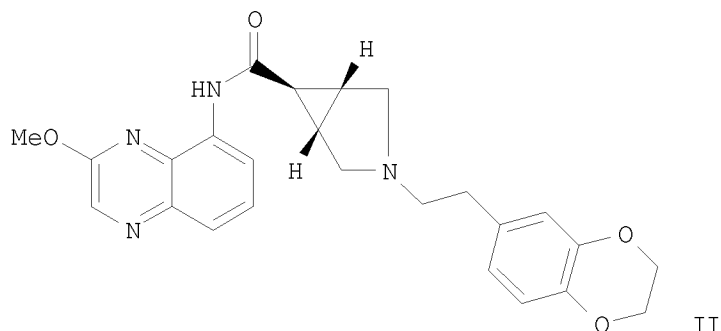
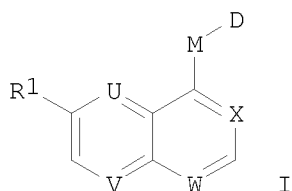
RN 882517-84-2 CAPLUS

CN Carbamic acid, [2-cyano-4-(trifluoromethoxy)phenyl]-, ethyl ester (9CI)
 (CA INDEX NAME)



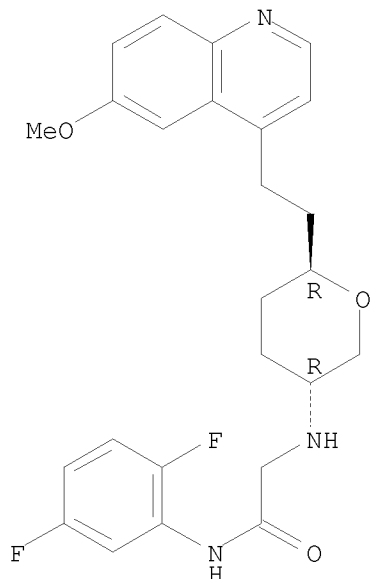
L3 ANSWER 58 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:333468 CAPLUS
 DOCUMENT NUMBER: 144:350718
 TITLE: Preparation of bicyclic antibiotics, particularly quinoline, naphthyridine, quinazoline and quinoxaline antibacterials
 INVENTOR(S): Hubschwerlen, Christian; Surivet, Jean-Philippe; Zumbrunn Acklin, Cornelia
 PATENT ASSIGNEE(S): Actelion Percurex AG, Switz.
 SOURCE: PCT Int. Appl., 281 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2006032466 | A2 | 20060330 | WO 2005-EP10154 | 20050920 |
| WO 2006032466 | A3 | 20061214 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
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| EP 1799676 | A2 | 20070627 | EP 2005-784860 | 20050920 |
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| CN 101035784 | A | 20070912 | CN 2005-80032153 | 20050920 |
| JP 2008514563 | T | 20080508 | JP 2007-532823 | 20050920 |
| PRIORITY APPLN. INFO.: | | | WO 2004-EP10762 | A 20040924 |
| | | | WO 2005-EP7731 | A 20050715 |
| | | | WO 2005-EP10154 | W 20050920 |
| OTHER SOURCE(S): | MARPAT 144:350718 | | | |
| GI | | | | |



- AB Title compds. I [R1 = alkyl, halo/alkoxy, halo, CN; 1-2 of U, V, W, and X = N, the remaining = CH, or in case of U, V, and/or W may also represent CRa, and, in the case of X, may also represent CRb; Ra = halo; Rb = halo, alkoxy; D = alkyl, hetero/aryl; M = -A11-3-azabicyclo[3.1.0]hex-3-yl-A21-, (un)substituted -A3-tetrahydropyran-3-ylamino-A4-; -A1-1,3-dioxolo[4,5-c]pyran-7-yl-A2-, etc.; A11 = NHCO, OCH2, CH(OH)CH2, CH2CH2; A21 = CH2, CO, CH(OH), CH(OCONH2); A3 = NHCO, CH2CH2, CH:CH, etc.; A4 = CH2, CO, COCH:CH, etc.; A1 = NHCO, OCH2, CH2CH2, CH:CH, CH(OH)CH2; A2 = NHCH2, NHCO, COCH2, NHCH2CONH, etc.; and their prodrugs, tautomers, racemates, and their stereoisomers, and their meso and morphol. forms, salts and solvent complexes] were prepared for use in the treatment of bacterial infections. Thus, (1 α ,5 α ,6 α)-II was prepared from (1 α ,5 α ,6 α)-3-azabicyclo[3.1.0]hexane-3,6-dicarboxylic acid 3-benzyl ester and trifluoromethanesulfonic acid 3-methoxyquinoxalin-5-yl ester. Selected I are active against a wide range of bacteria, including Gram-neg. and Gram-pos. bacteria and displayed min. inhibitory concentration values ≤ 0.031 mg/L.
- IT 881656-08-2P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (bactericide; preparation of bicyclic antibacterials)
- RN 881656-08-2 CAPLUS
- CN Acetamide, N-(2,5-difluorophenyl)-2-[[(3R,6R)-tetrahydro-6-[2-(6-methoxy-4-quinolinyl)ethyl]-2H-pyran-3-yl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 59 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2006:268466 CAPLUS
 DOCUMENT NUMBER: 144:324798
 TITLE: Simultaneous use of sulfonamide-containing compound
 and angiogenesis inhibitor
 INVENTOR(S): Owa, Takashi; Ozawa, Yoichi; Semba, Taro
 PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
 SOURCE: PCT Int. Appl., 270 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2006030941 | A1 | 20060323 | WO 2005-JP17228 | 20050913 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| WO 2006030947 | A1 | 20060323 | WO 2005-JP17238 | 20050913 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, | | | |

10/562,112

ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
US 20060135486 A1 20060622 US 2005-226655 20050913
EP 1797877 A1 20070620 EP 2005-785820 20050913
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
BA, HR, MK, YU

PRIORITY APPLN. INFO.: US 2004-609452P P 20040913
JP 2005-54150 A 20050228
JP 2005-54475 A 20050228
WO 2005-JP17238 W 20050913

OTHER SOURCE(S): MARPAT 144:324798

AB A pharmaceutical composition comprising a sulfonamide-containing compound combined

with an angiogenesis inhibitor.

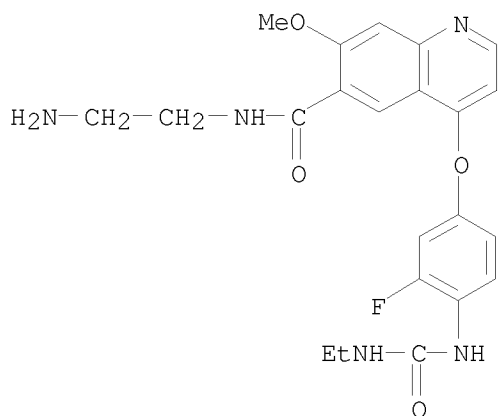
IT 880252-32-4, 4-(4-(3-Ethylureido)-3-fluoro-phenoxy)-7-methoxyquinolin-6-carboxylic acid (2-aminoethyl)amide

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sulfonamide-containing compds. and angiogenesis inhibitors for combination chemotherapy of cancer)

RN 880252-32-4 CAPLUS

CN 6-Quinolinecarboxamide, N-(2-aminoethyl)-4-[4-
[[(ethylamino) carbonyl] amino]-3-fluorophenoxy]-7-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 60 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:256981 CAPLUS

DOCUMENT NUMBER: 145:505417

TITLE: Synthesis of dibenzo[b,g][1,5]diazoninedione and isoindolo[2,1-a]quinazoline derivatives

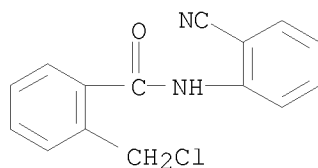
AUTHOR(S): Bakavoli, Mehdi; Davoodnia, Abolghasem; Rahimizadeh, Mohammad; Heravi, Majid M.

CORPORATE SOURCE: Department of Chemistry, School of Sciences, Ferdowsi University, Mashhad, 91779, Iran

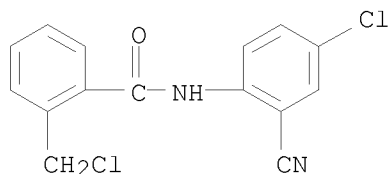
SOURCE: Mendelev Communications (2006), (1), 29-30

10/562,112

CODEN: MENCEX; ISSN: 0959-9436
PUBLISHER: Russian Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:505417
AB Starting from 2-aminobenzonitrile and 2-(chloromethyl)benzoyl chloride (I), a new synthetic pathway to 11H-isoindolo[2,1-a]quinazolin-5-one (II) is described. Reaction of 2-aminobenzamide with I leads to 5H-dibenzo[b,g][1,5]diazonine-4,6-dione which was easily converted to II in the presence of KOH in refluxing H₂O-EtOH.
IT 914942-76-0P 914942-77-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of dibenzodiazoninedione and isoindoloquinazoline by cyclization of aminobenzamide and -benzonitrile with (chloromethyl)benzoyl chloride)
RN 914942-76-0 CAPLUS
CN Benzamide, 2-(chloromethyl)-N-(2-cyanophenyl)- (CA INDEX NAME)



RN 914942-77-1 CAPLUS
CN Benzamide, N-(4-chloro-2-cyanophenyl)-2-(chloromethyl)- (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 61 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:234769 CAPLUS
DOCUMENT NUMBER: 144:312101
TITLE: Quinazolines useful as modulators of ion channels, and their preparation, pharmaceutical compositions, and use as inhibitors of voltage-gated sodium channels, which is useful in treatment of various diseases
INVENTOR(S): Wilson, Dean, M.; Termin, Andreas, P.; Gonzalez, Jesus, E., III; Fanning, Lev, T., D.; Neubert, Timothy, D.; Krenitsky, Paul; Joshi, Pramod; Hurley, Dennis, J.; Sheth, Urvi; Boger, Joshua, S.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 480 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|------------------|------------|
| WO 2006028904 | A1 | 20060316 | WO 2005-US31146 | 20050831 |
| WO 2006028904 | A9 | 20060622 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| AU 2005282761 | A1 | 20060316 | AU 2005-282761 | 20050831 |
| CA 2578739 | A1 | 20060316 | CA 2005-2578739 | 20050831 |
| US 20060154935 | A1 | 20060713 | US 2005-216899 | 20050831 |
| US 20060173018 | A1 | 20060803 | US 2005-216376 | 20050831 |
| EP 1784393 | A1 | 20070516 | EP 2005-807734 | 20050831 |
| R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | | |
| CN 101068794 | A | 20071107 | CN 2005-80037496 | 20050831 |
| BR 2005014893 | A | 20071127 | BR 2005-14893 | 20050831 |
| JP 2008511670 | T | 20080417 | JP 2007-530356 | 20050831 |
| MX 200702582 | A | 20080114 | MX 2007-2582 | 20070302 |
| IN 2007KN01123 | A | 20070713 | IN 2007-KN1123 | 20070330 |
| KR 2007057914 | A | 20070607 | KR 2007-707601 | 20070402 |
| PRIORITY APPLN. INFO.: | | | US 2004-607033P | P 20040902 |
| | | | US 2004-607036P | P 20040902 |
| | | | US 2004-607037P | P 20040902 |
| | | | US 2004-607150P | P 20040902 |
| | | | US 2004-607245P | P 20040902 |
| | | | WO 2005-US31146 | W 20050831 |
| OTHER SOURCE(S): | | MARPAT 144:312101 | | |
| GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to compds. of formula I useful as inhibitors of voltage-gated sodium channels. Compounds of formula I wherein R1 and R2 are taken together with the nitrogen atom to form a substituted 4- to 10-membered diazacycloalkyl or substituted 4- to 10-membered azacycloalkyl; W is OH and derivs.; R3 and R5 are independently QRx; R3 is on the 6- or 7-position on the quinazoline ring; Q is a bond or C1-6 alkylidene chain wherein up to two non-adjacent methylene units is optionally and independently replaced by NH and derivs., S, O, CS, CO2, OC(O), CO, COCO, CONH and derivs., NHCO and derivs., NHCO2 and derivs., SO2NH and derivs., NHSO2 and derivs., CONHNH and derivs., NHCONH and derivs., OCONH and derivs., NHHN and derivs., NHSO2NH and derivs., SO, SO2, PO, PO2, OP(O)(OH) and derivs., or P(OH) and derivs.; Rx is halo, =O, =NH and derivs., NO2, CN, OH and derivs., SH and derivs., NH2 and derivative,

NHC(O)H and derivs., NHCONH₂ and derivs., NHCO₂H and derivs., COH and derivs., CO₂H and derivs., OCOH and derivs., CONH₂ and derivs., OCONH₂ and derivs., SOH and derivs., SO₂NH₂ and derivs., NHSO₂H and derivs., NHSO₂NH₂ and derivs., COCOH and derivs., COCH₂COH and derivs., OP(O)(OH)₂ and derivs., OP(O)2OH and derivs., P(O)2OH and derivs., POH₂ and derivs., OPOH₂ and derivs.; m and n are independently 0-4; and pharmaceutically acceptable salts or derivs. thereof are claimed. The invention also provides pharmaceutically acceptable compns. comprising the compds. of the invention and methods of using the compns. in the treatment of various disorders. Example compound II was prepared by amidation of o-anisoyl chloride with 2-amino-4-methylbenzonitrile; the resulting N-(2-cyano-5-methylphenyl)-2-methoxybenzamide was cyclized to give 2-(2-methoxyphenyl)-7-methyl-3H-quinazolin-4-one, which was chlorinated to give 4-chloro-2-(2-methoxyphenyl)-7-methylquinazoline, which was demethylated; the resulting 4-chloro-2-(2-hydroxyphenyl)-7-methylquinazoline underwent substitution with piperazine to give the corresponding quinazolin-4-ylpiperazine derivative, which underwent acylation with (R)-2-hydroxy-4,4-dimethylpentanoic acid to give compound II. All the invention compds. were evaluated for their sodium channel inhibition activity. From the voltage-gated Na ion channel inhibition assay, it was determined that example compound II had an IC₅₀ value of < 2 μM.

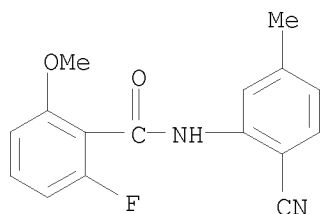
IT 879274-77-8P 879275-06-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of quinazolines useful as modulators or inhibitors of voltage-gated sodium channels, which is useful in treatment of various diseases)

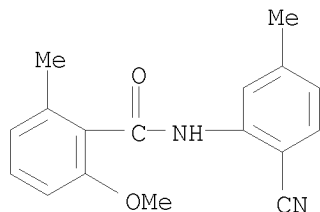
RN 879274-77-8 CAPLUS

CN Benzamide, N-(2-cyano-5-methylphenyl)-2-fluoro-6-methoxy- (CA INDEX NAME)



RN 879275-06-6 CAPLUS

CN Benzamide, N-(2-cyano-5-methylphenyl)-2-methoxy-6-methyl- (CA INDEX NAME)



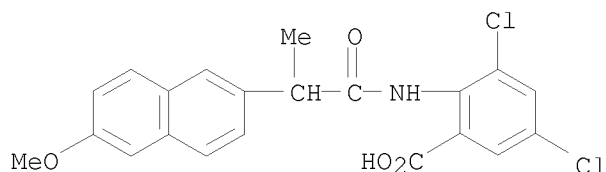
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 62 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:202382 CAPLUS

DOCUMENT NUMBER: 145:489192
 TITLE: Propionic acids in organic synthesis: novel synthesis of benzimidazole, 3,1-benzoxazine, 3-aminoquinazoline and 3-aminothieno[2,3-d]pyrimidine derivatives containing 2-naphthyl propionyl moiety
 AUTHOR(S): Al-Sehemi, Abdullah G. M.; El-Sharief, A. M. Sh; Ammar, Y. A.
 CORPORATE SOURCE: Chemistry Department, Teacher's College, Abha, Saudi Arabia
 SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2006), 45B(2), 450-455
 CODEN: IJSBDB; ISSN: 0376-4699
 PUBLISHER: National Institute of Science Communication and Information Resources
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 145:489192

AB Naproxenoyl chloride (I) is reacted with NH_4SCN and NaN_3 to produce the acid isothiocyanate and acid azide, resp. Interaction of the isothiocyanate with 1,2-phenylenediamine and anthranilic acid produced the corresponding benzimidazole 5 and 3,1-benzoxazine, resp. Treatment of the acid azide with 4-toluidine afforded the corresponding urea derivative. A novel quinazolinone is synthesized by acylation of Me anthranilate with I followed by treatment with $\text{N}_2\text{H}_4 \cdot \text{H}_2\text{O}$.
 IT 914398-03-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of naproxen-derived benzimidazole, benzoxazine, quinazolinamine, and thienopyrimidine)
 RN 914398-03-1 CAPLUS
 CN Benzoic acid, 3,5-dichloro-2-[[2-(6-methoxy-2-naphthalenyl)-1-oxopropyl]amino]- (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

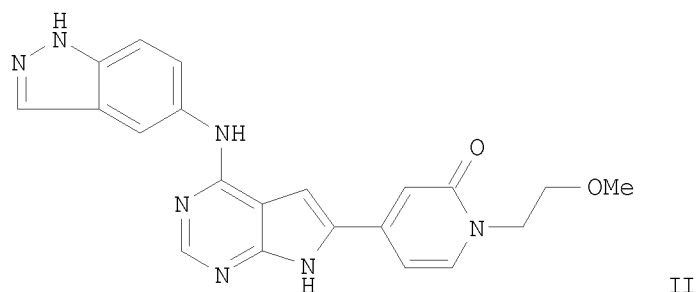
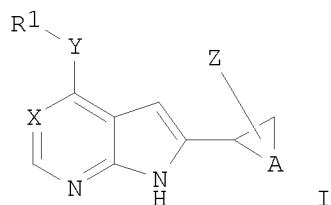
L3 ANSWER 63 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:152715 CAPLUS
 DOCUMENT NUMBER: 144:233089
 TITLE: Preparation of aryl-amino substituted pyrrolopyrimidine multi-kinase inhibiting compounds as antiproliferative, particularly antitumor agents
 INVENTOR(S): Ahmed, Saleh; Barba, Oscar; Bloxham, Jason; Dawson, Graham; Gattrell, William; Kitchin, John; Pegg, Neil Anthony; Saba, Imaad; Shadiq, Shazia; Smith, Colin Peter Sambrook; Smyth, Don; Steinig, Arno G.; Wilkes, Robin; Foreman, Kenneth; Weng, Qinghua Felix; Stolz, Kathryn; Tavares, Paula; Panicker, Bijoy; Li, An-Hu; Dong, Hanqing; Ma, Lifu; Cox, Matthew
 PATENT ASSIGNEE(S): Osi Pharmaceuticals, Inc., USA

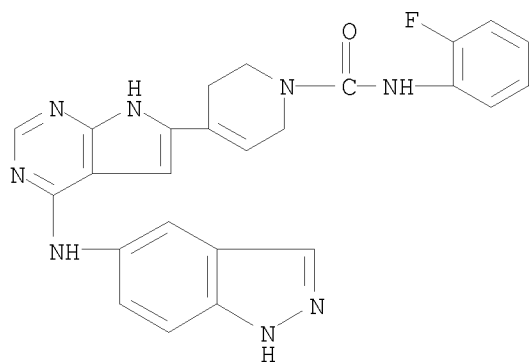
10/562,112

SOURCE: PCT Int. Appl., 253 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

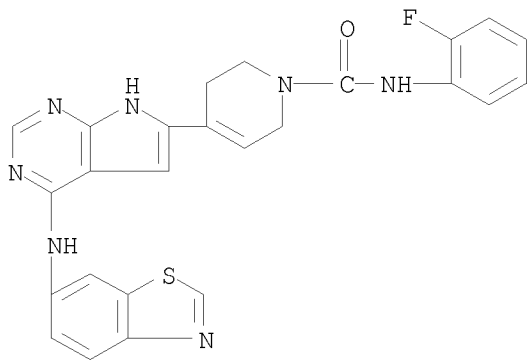
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|------------------|------------|
| WO 2006017443 | A2 | 20060216 | WO 2005-US27274 | 20050801 |
| WO 2006017443 | A3 | 20070118 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| CA 2575808 | A1 | 20060216 | CA 2005-2575808 | 20050801 |
| US 20060211678 | A1 | 20060921 | US 2005-194158 | 20050801 |
| EP 1797054 | A2 | 20070620 | EP 2005-778352 | 20050801 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU | | | |
| CN 101052629 | A | 20071010 | CN 2005-80033538 | 20050801 |
| JP 2008508358 | T | 20080321 | JP 2007-524889 | 20050801 |
| BR 2005014094 | A | 20080527 | BR 2005-14094 | 20050801 |
| MX 200701399 | A | 20070418 | MX 2007-1399 | 20070201 |
| IN 2007CN00519 | A | 20070824 | IN 2007-CN519 | 20070206 |
| PRIORITY APPLN. INFO.: | | | US 2004-598173P | P 20040802 |
| | | | US 2005-698516P | P 20050712 |
| | | | WO 2005-US27274 | W 20050801 |
| OTHER SOURCE(S): | MARPAT 144:233089 | | | |
| GI | | | | |



- AB Title compds. I [X = N, C-CN; A = 1,4-piperidinylene, 1,4-pyrazinylene, 1,2,3,6-tetrahydro-1,4-pyridinylene, etc.; Z = (un)substituted hetaryl, alkyloxyalkyl, alkylsulfonyl, dialkylamino, hetarylsulfonyl, etc.; Y = O, S, -N(alkyl)-, etc.; R1 = (un)substituted het-aryl, heterocyclyl; and their stereoisomers, and their pharmaceutically acceptable salts] were prepared as inhibitors of least two of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3 β , EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, IGF-1R, Ron, Ret, and KDR kinases in animals, including humans, for the treatment and/or prevention of various diseases and conditions such as cancer. For example, Pd-coupling of (1H-indazol-5-yl)(6-iodo-7H-pyrrolo[2,3-d]pyrimidin-4-yl)amine with [1-(2-methoxyethyl)-2-oxo-1,2-dihydropyridin-4-yl]boronic acid gave pyrrolopyrimidine II. In kinase inhibition studies, selected I inhibited at least 2 of the Abl, Aurora-A, Blk, c-Raf, cSRC, Src, PRK2, FGFR3, Flt3, Lck, Mek1, PDK-1, GSK3 β , EGFR, p70S6K, BMX, SGK, CaMKII, Tie-2, Ret and KDR kinases at an IC50 of greater than 50% inhibition at 10 to 14 nM.
- IT 876339-64-9P, 4-[4-[(1H-Indazol-5-yl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-3,6-dihydro-2H-pyridine-1-carboxylic acid
N-(2-fluorophenyl)amide 876339-78-5P, 4-[4-[(1,3-Benzothiazol-6-yl)amino]-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-N-(2-fluorophenyl)-3,6-dihydropyridine-1(2H)-carboxamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrrolopyrimidines multi-kinase inhibiting compds. as antitumor agents)
- RN 876339-64-9 CAPLUS
- CN 1(2H)-Pyridinecarboxamide, N-(2-fluorophenyl)-3,6-dihydro-4-[4-(1H-indazol-5-ylamino)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]- (CA INDEX NAME)



- RN 876339-78-5 CAPLUS
- CN 1(2H)-Pyridinecarboxamide, 4-[4-(6-benzothiazolylamino)-7H-pyrrolo[2,3-d]pyrimidin-6-yl]-N-(2-fluorophenyl)-3,6-dihydro- (CA INDEX NAME)



L3 ANSWER 64 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:39815 CAPLUS

DOCUMENT NUMBER: 144:274228

TITLE: Acetonitrile-mediated synthesis of
2,4-dichloroquinoline from 2-ethynylaniline and
2,4-dichloroquinazoline from anthranilonitrile

AUTHOR(S): Lee, Jae Hak; Lee, Byoung Se; Shin, Hyunik; Nam, Do
Hyun; Chi, Dae Yoon

CORPORATE SOURCE: Department of Chemistry, Inha University, Incheon,
402-751, S. Korea

SOURCE: Synlett (2006), (1), 65-68
CODEN: SYNLES; ISSN: 0936-5214

PUBLISHER: Georg Thieme Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:274228

AB 2,4-Dichloroquinolines and 2,4-dichloroquinazolines were synthesized from
2-ethynylanilines and anthranilonitriles, resp., using diphosgene in
acetonitrile and heating at 130 °C or 150 °C for 12 h. This
reaction was applied to the synthesis of 4,6-dichloropyrazolo[3,4-
d]pyrimidine (dichloro-9H-isopurine). The postulated mechanism is also
described.

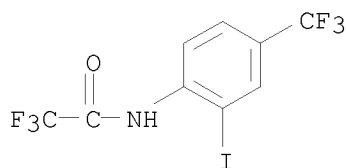
IT 878133-04-1 878133-05-2 878133-06-3

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 2-ethynylanilines and anthranilonitriles from
2-iodo-N-trifluoroacetylanilines via Sonogashira reaction with
trimethylsilylacetylene or nitrilation with cuprous cyanide)

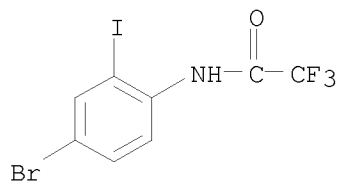
RN 878133-04-1 CAPLUS

CN Acetamide, 2,2,2-trifluoro-N-[2-iodo-4-(trifluoromethyl)phenyl]- (CA
INDEX NAME)

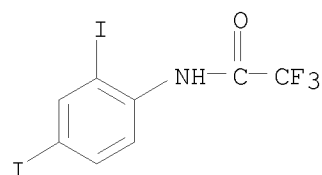


RN 878133-05-2 CAPLUS

CN Acetamide, N-(4-bromo-2-iodophenyl)-2,2,2-trifluoro- (CA INDEX NAME)



RN 878133-06-3 CAPLUS
 CN Acetamide, N-(2,4-diiodophenyl)-2,2,2-trifluoro- (CA INDEX NAME)



REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 65 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:20543 CAPLUS

DOCUMENT NUMBER: 144:292702

TITLE: Discovery of Novel and Potent Thiazoloquinazolines as Selective Aurora A and B Kinase Inhibitors

AUTHOR(S): Jung, Frederic H.; Pasquet, Georges; Van der Brempt, Christine Lambert; Lohmann, Jean-Jacques M.; Warin, Nicolas; Renaud, Fabrice; Germain, Herve; De Savi, Chris; Roberts, Nicola; Johnson, Trevor; Dousson, Cyril; Hill, George B.; Mortlock, Andrew A.; Heron, Nicola; Wilkinson, Robert W.; Wedge, Stephen R.; Heaton, Simon P.; Odedra, Rajesh; Keen, Nicholas J.; Green, Stephen; Brown, Elaine; Thompson, Katherine; Brightwell, Stephen

CORPORATE SOURCE: Centre de Recherches, AstraZeneca, Reims, 51689, Fr.
 SOURCE: Journal of Medicinal Chemistry (2006), 49(3), 955-970
 CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:292702

AB The synthesis of a novel series of quinazolines substituted at C4 by five-membered ring aminoheterocycles is reported. Their in vitro structure-activity relationships vs. Aurora A and B serine-threonine kinases is discussed. Our results demonstrate that quinazolines with a substituted aminothiazole at C4 possess potent Aurora A and B inhibitory activity and excellent selectivity against a panel of various serine-threonine and tyrosine kinases, as exemplified by N-(3-fluorophenyl)-2-[2-[[7-[3-[4-(hydroxymethyl)piperidin-1-yl]propoxy]-6-methoxy-quinazolinyl]amino]-1,3-thiazol-5-yl]acetamide (I). It was found also that the position and nature of the substituent on the thiazole play key roles in cellular potency. Compds. with an acetanilide substituent at C5' have the greatest cellular activity. The importance of the C5' position for substitution has been rationalized by ab initio MO calcs. Results show that the planar conformation with the sulfur of the thiazole next to the quinazoline N-3 is strongly favored over

the other possible planar conformation. I is a potent suppressor of the expression of phospho-histone H3 in tumor cells in vitro as well as in vivo, where I, administered as its phosphate prodrug suppresses the expression of phospho-histone H3 in s.c. implanted tumors in nude mice.

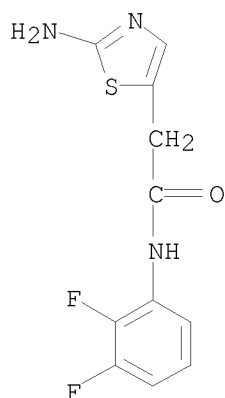
IT 878376-01-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(heterocyclization of aminothiazolylacetanilide derivative in preparation of (aminoalkoxy)[(heterocyclic)amino]quinazolines as inhibitors of aurora A and B kinase)

RN 878376-01-3 CAPLUS

CN 5-Thiazoleacetamide, 2-amino-N-(2,3-difluorophenyl)- (CA INDEX NAME)



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 66 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1123776 CAPLUS

DOCUMENT NUMBER: 143:405917

TITLE: Preparation of quinazoline derivatives as protein kinase inhibitors

INVENTOR(S): Liang, Congxin

PATENT ASSIGNEE(S): The Scripps Research Institute, USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2005097137 | A2 | 20051020 | WO 2005-US10974 | 20050331 |
| WO 2005097137 | A3 | 20060216 | | |
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| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, | | | |

10/562,112

RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

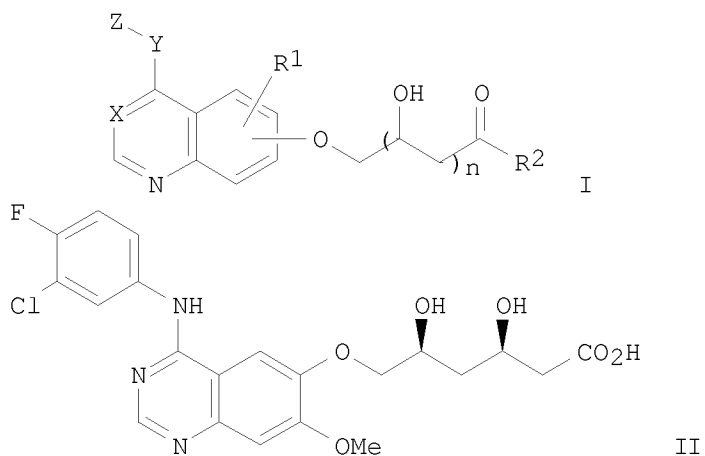
US 2004-558025P

P 20040331

OTHER SOURCE(S):

MARPAT 143:405917

GI



AB The title quinazoline derivs. I [wherein X = N or (un)substituted CH; Y = O or (un)substituted NH; Z = (un)substituted Ph, pyridinyl, indolyl, etc.; R1 = H, alkyl, alkoxy, cycloalkoxy, or heterocycloalkoxy; R2 = OH, alkoxy, cycloalkoxy, or (un)substituted NH2; n = 1 or 2] or pharmaceutically acceptable salts thereof were prepared as inhibitors of protein kinases. For example, the compound II•Na was prepared in a multi-step synthesis in good yield. I are useful in treating disorders related to abnormal protein kinase activities such as cancer (no data).

IT 1042446-97-8 1042447-00-6 1042447-03-9
1042447-05-1 1042448-49-6 1042448-63-4
1042448-72-5 1042448-73-6 1042448-74-7
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1042448-79-2 1042448-81-6 1042448-82-7

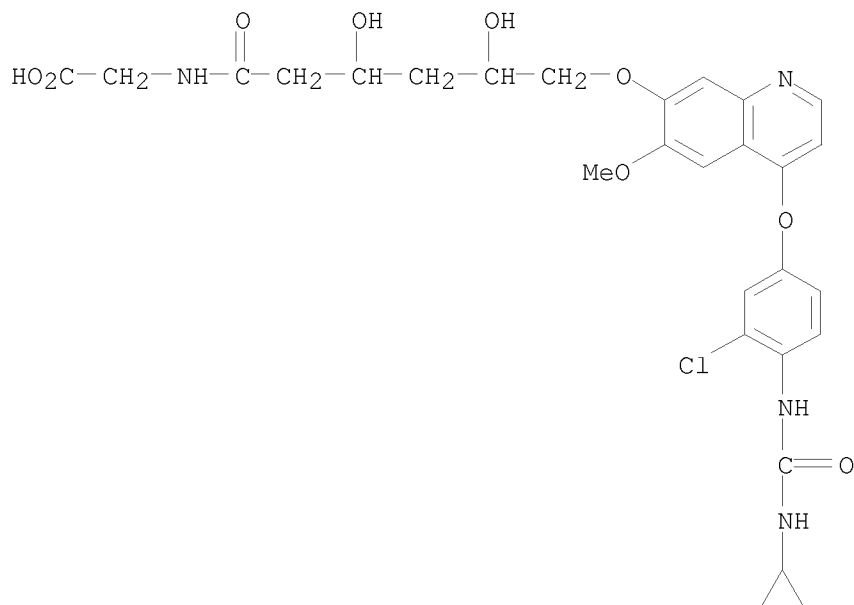
RL: PRPH (Prophetic)

(Preparation of quinazoline derivatives as protein kinase inhibitors)

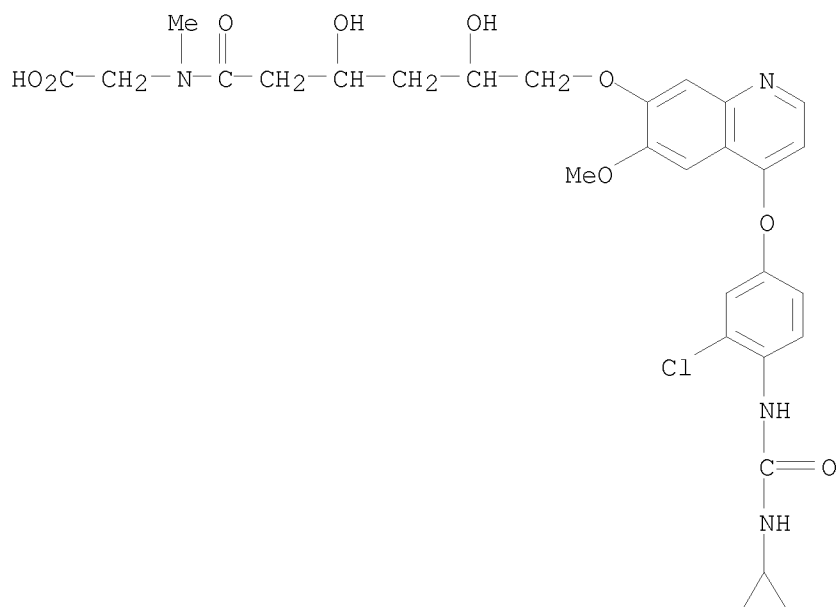
RN 1042446-97-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

10/562,112

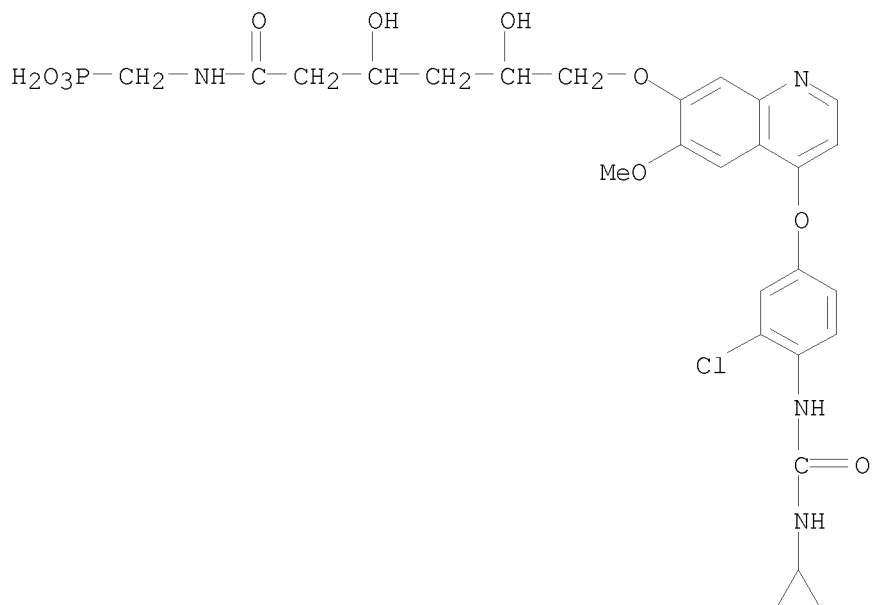


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CN INDEX NAME NOT YET ASSIGNED

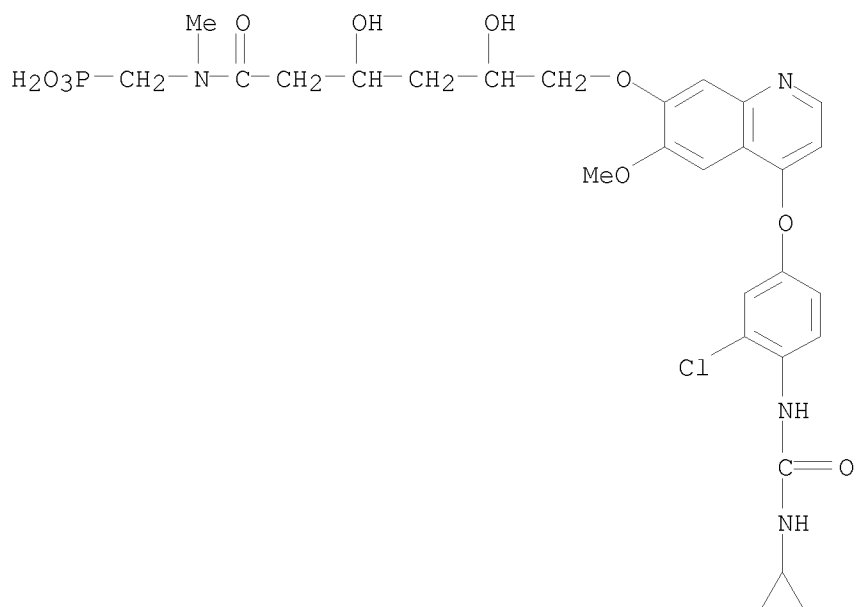


RN 1042447-03-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

10/562,112



RN 1042447-05-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

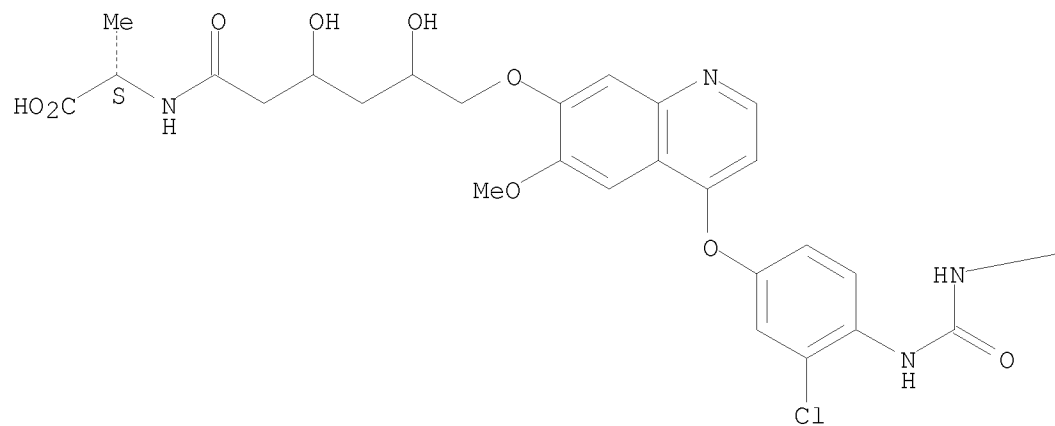


RN 1042448-49-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

10/562,112

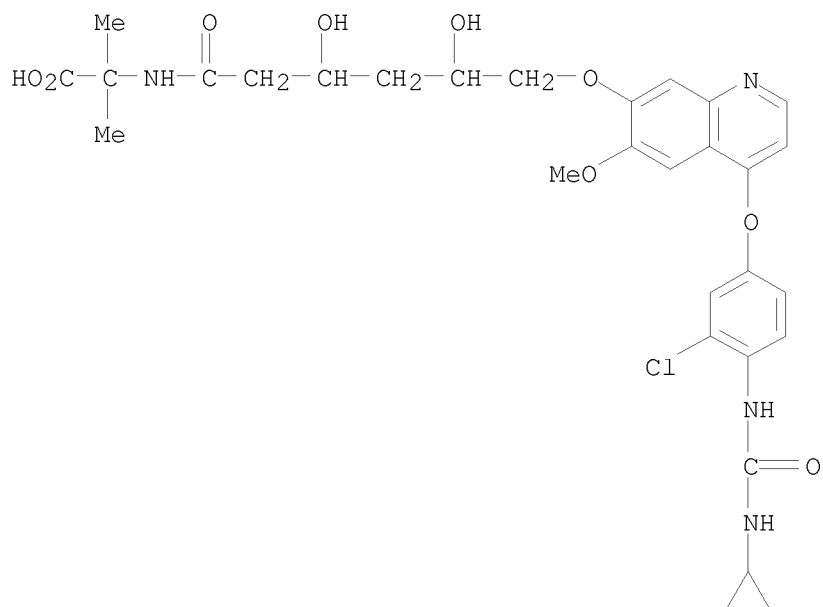
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PAGE 1-B

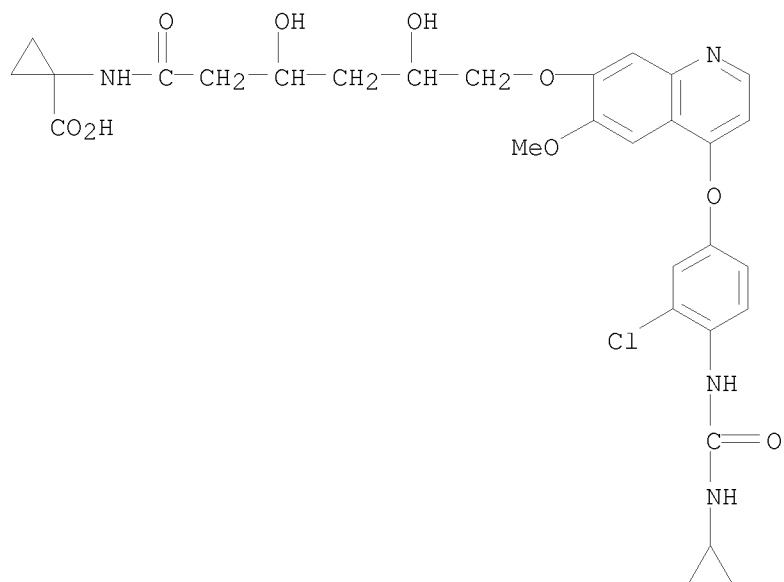


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CN INDEX NAME NOT YET ASSIGNED

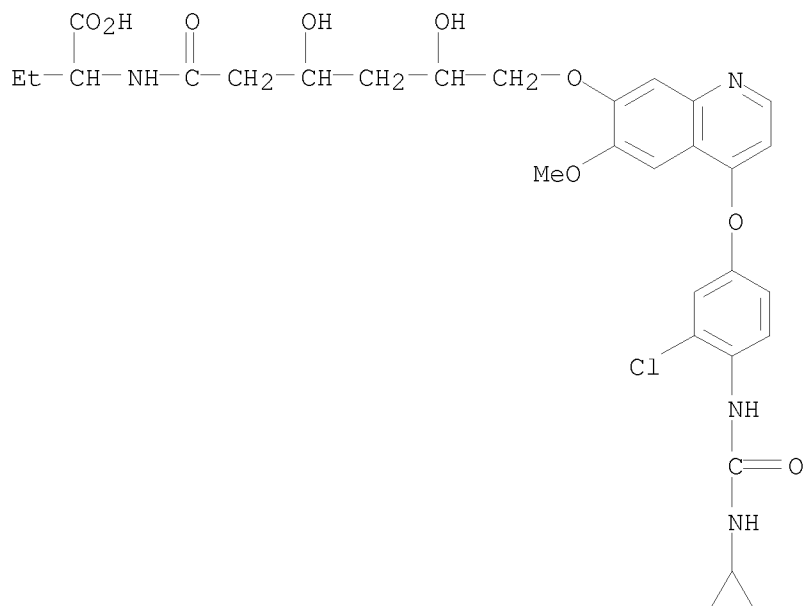


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RN 1042448-72-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1042448-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

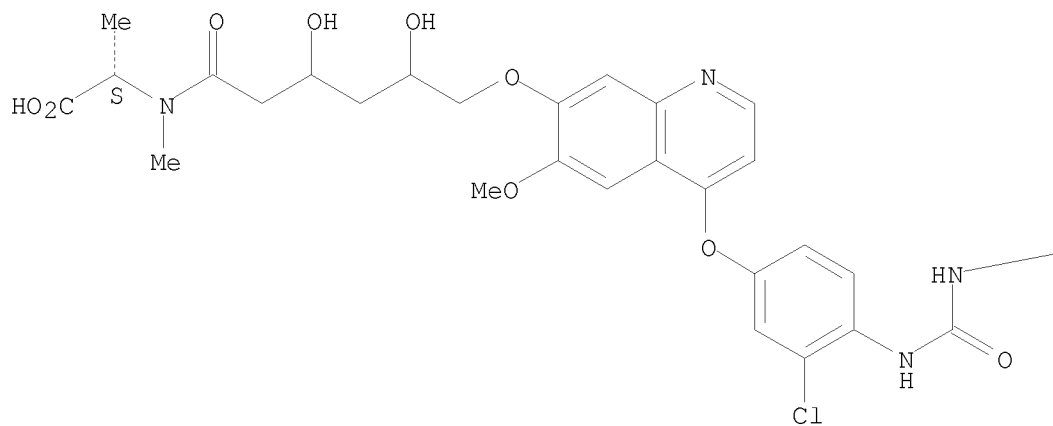


RN 1042448-74-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

10/562,112

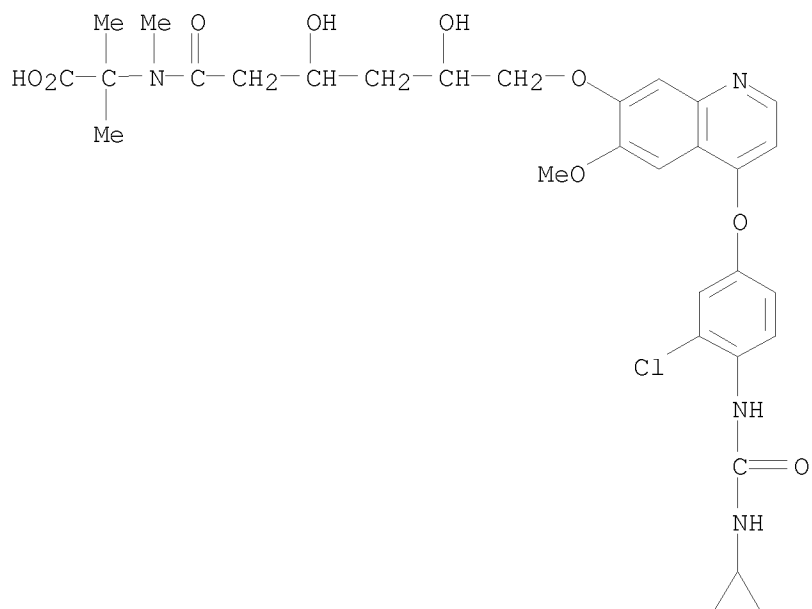
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PAGE 1-B

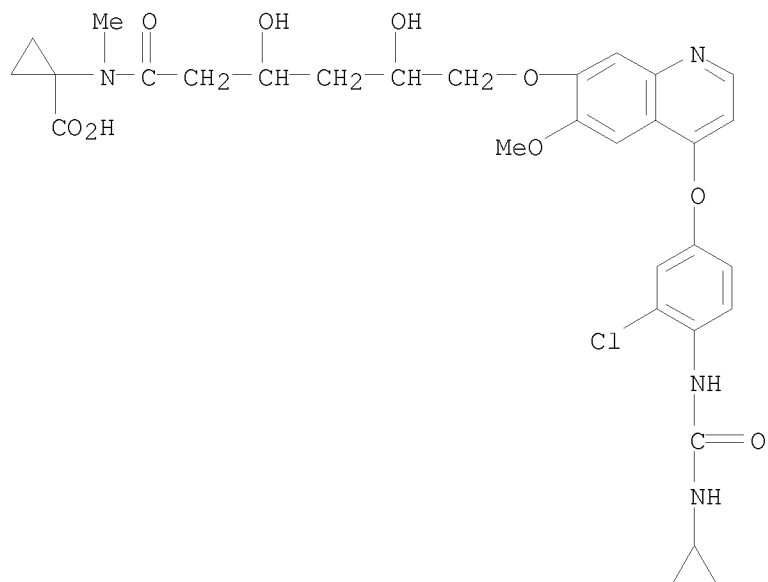


RN 1042448-75-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



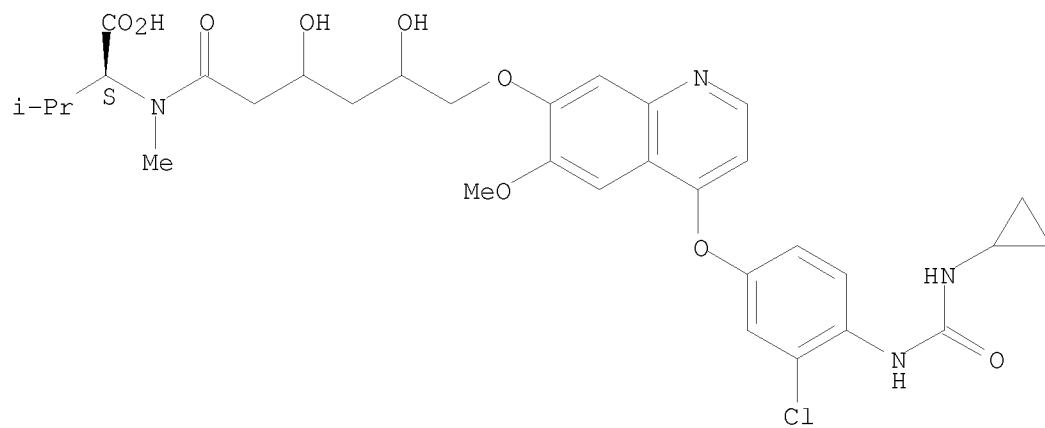
10/562,112

RN 1042448-76-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1042448-77-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

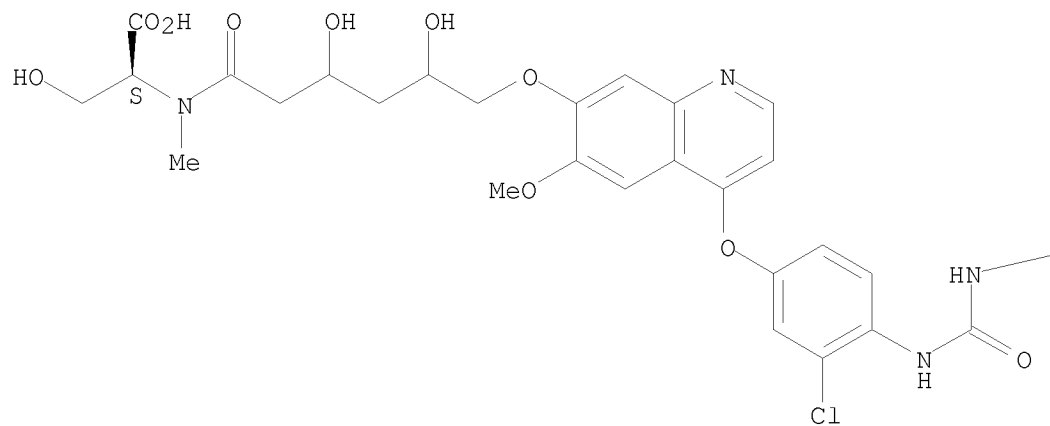


RN 1042448-79-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

10/562,112

PAGE 1-A

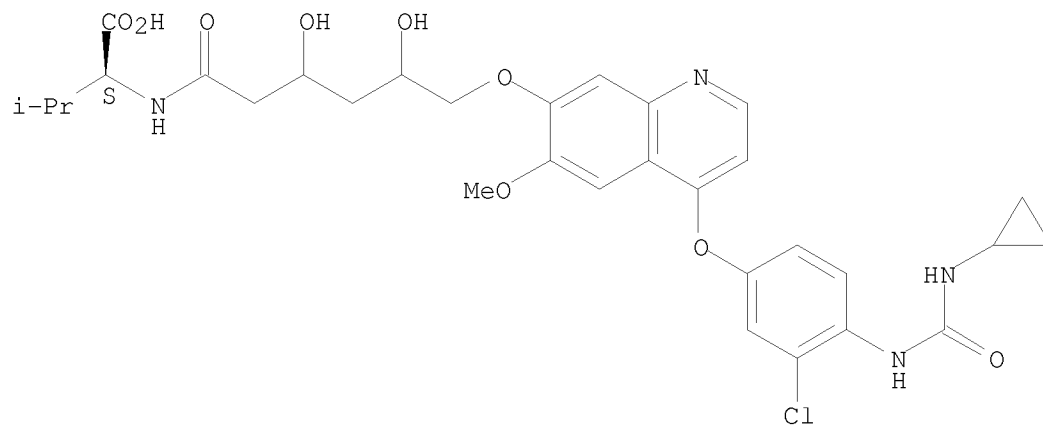


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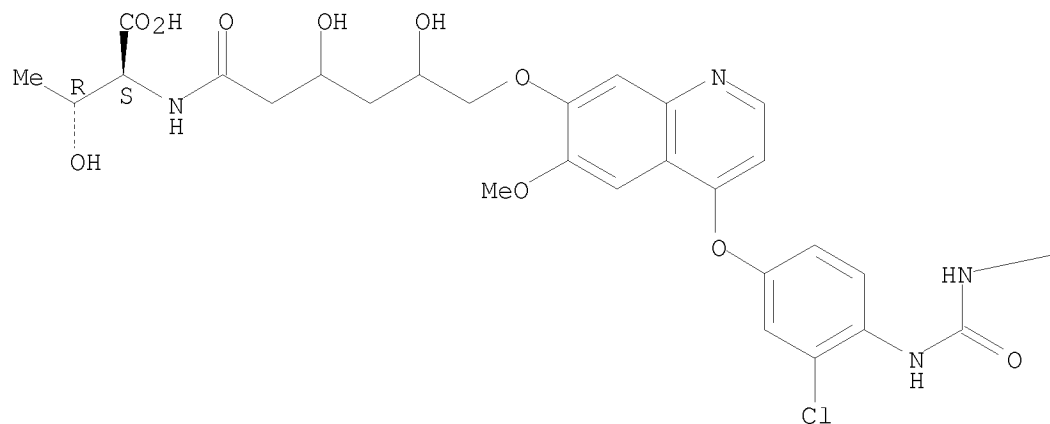
RN 1042448-81-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1042448-82-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



L3 ANSWER 67 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1123773 CAPLUS
 DOCUMENT NUMBER: 143:405916
 TITLE: Preparation of quinazoline derivatives as
 protein kinase inhibitors
 INVENTOR(S): Liang, Congxin
 PATENT ASSIGNEE(S): The Scripps Research Institute, USA
 SOURCE: PCT Int. Appl., 56 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005097134 | A2 | 20051020 | WO 2005-US10968 | 20050331 |
| WO 2005097134 | A3 | 20060126 | | |

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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM,
 SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,

10/562,112

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MR, NE, SN, TD, TG

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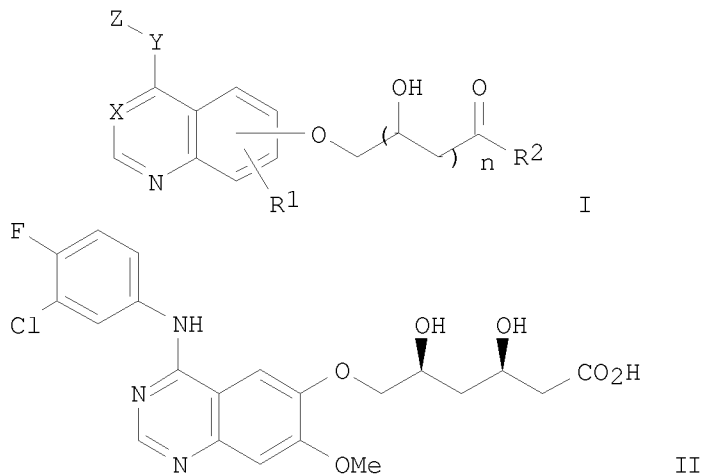
US 2004-558025P

P 20040331

OTHER SOURCE(S):

CASREACT 143:405916; MARPAT 143:405916

GI



AB The title quinazoline derivs. I [wherein X = N or (un)substituted CH; Y = O or (un)substituted NH; Z = (un)substituted Ph, pyridinyl, indolyl, etc.; R1 = H, alkyl, alkoxy, cycloalkoxy, or heterocycloalkoxy; R2 = OH, alkoxy, cycloalkoxy, or (un)substituted NH2; n = 1 or 2] or pharmaceutically acceptable salts thereof were prepared as inhibitors of protein kinases. For example, the compound II•Na was prepared in a multi-step synthesis in good yield. I are useful in treating disorders related to abnormal protein kinase activities such as cancer (no data).

IT 1042446-97-8 1042447-00-6 1042447-03-9
1042447-05-1 1042448-63-4 1042448-72-5
1042448-73-6 1042448-74-7 1042448-75-8
1042448-76-9 1042448-77-0 1042448-79-2

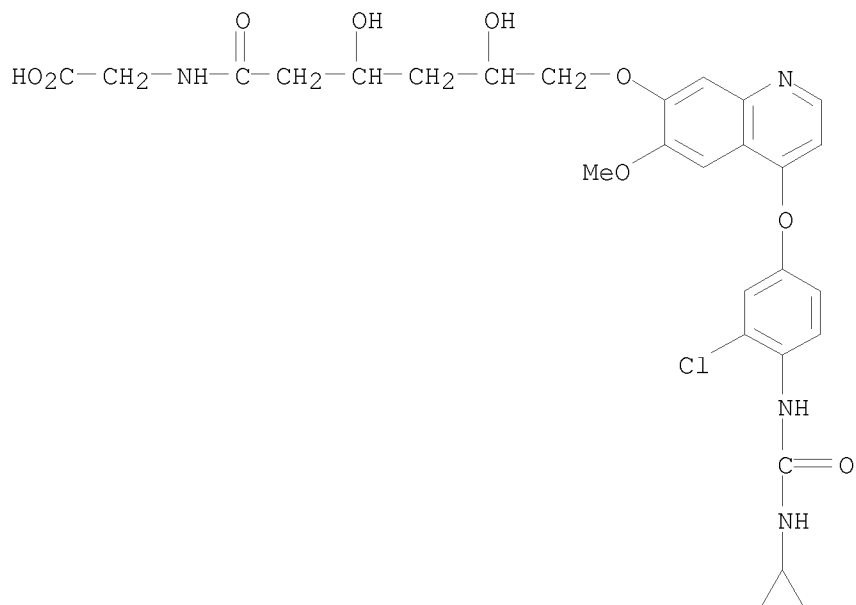
RL: PRPH (Prophetic)

(Preparation of quinazoline derivatives as protein kinase inhibitors)

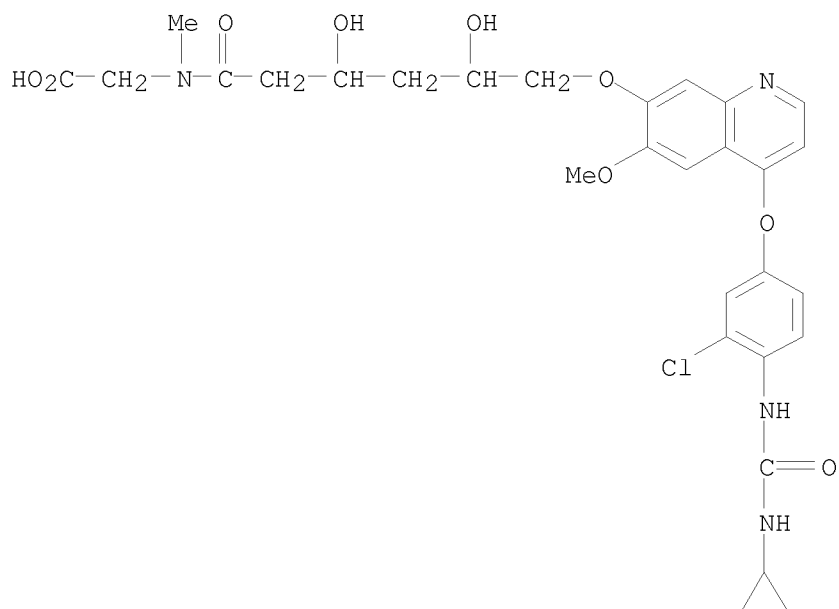
RN 1042446-97-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

10/562,112

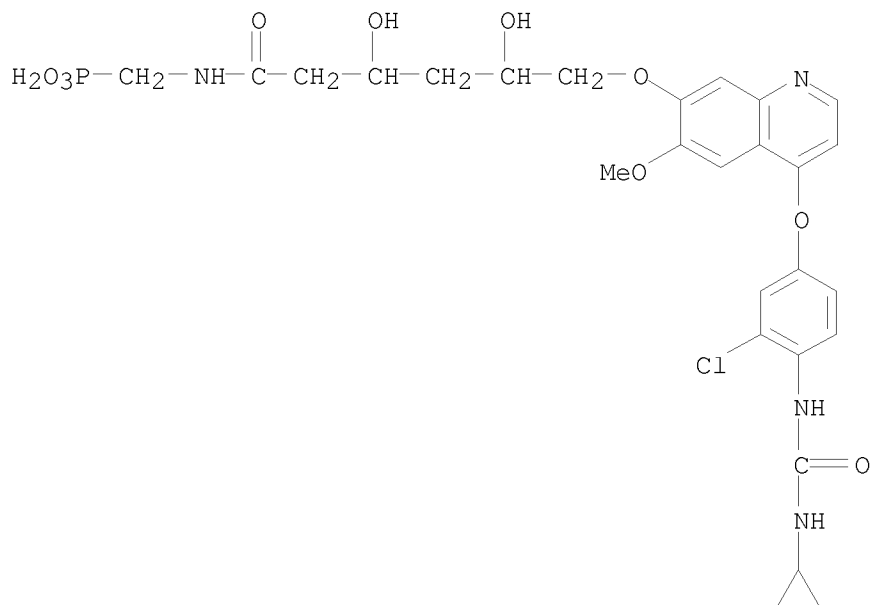


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CN INDEX NAME NOT YET ASSIGNED

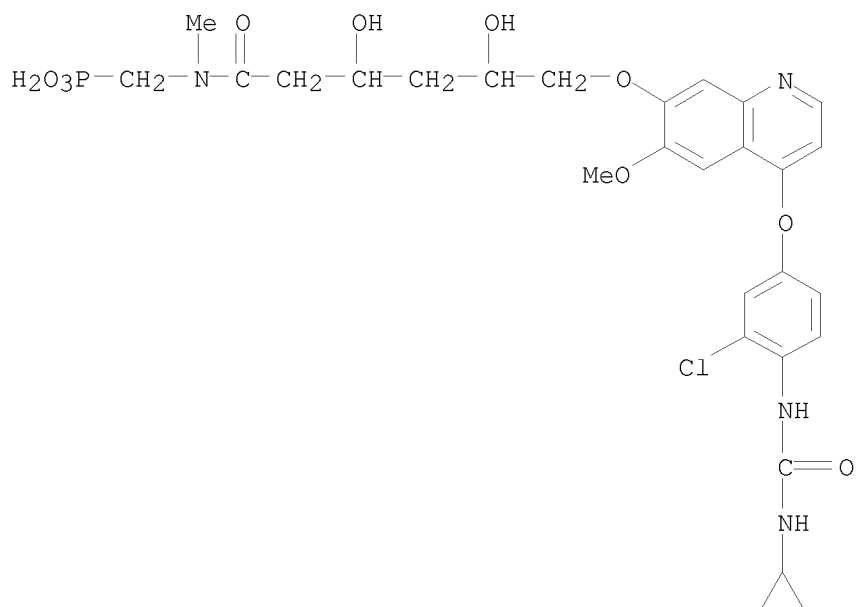


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CN INDEX NAME NOT YET ASSIGNED

10/562,112

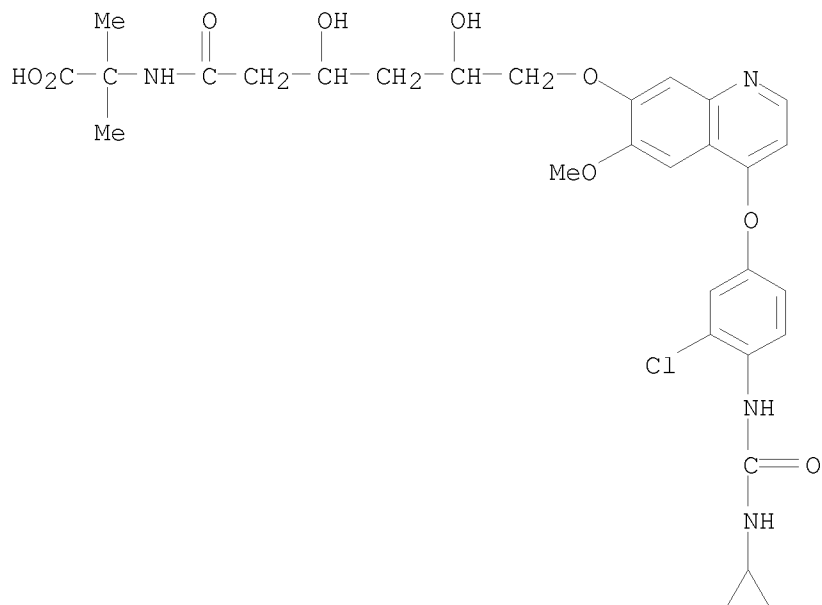


RN 1042447-05-1 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

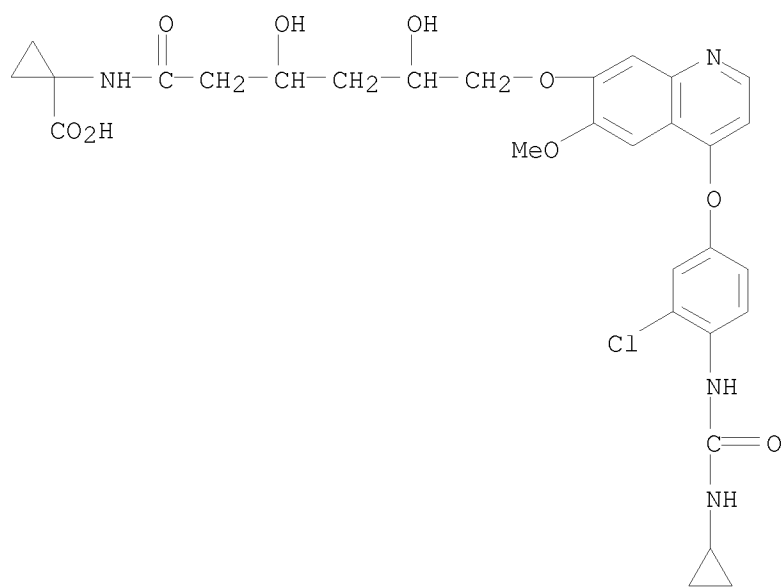


RN 1042448-63-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

10/562,112

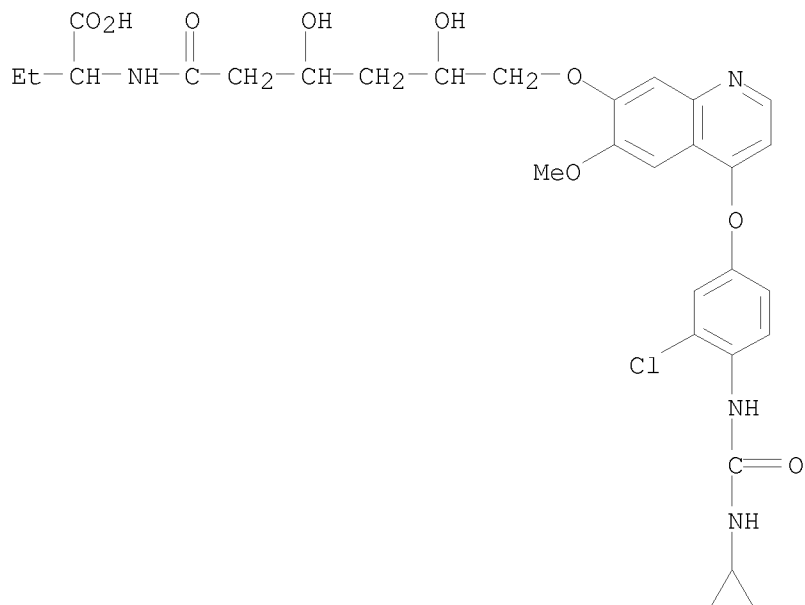


RN 1042448-72-5 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



RN 1042448-73-6 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

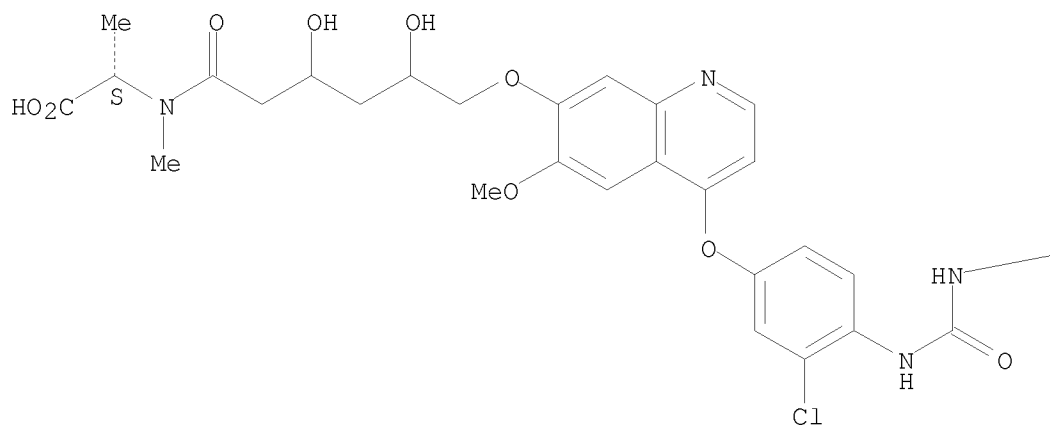
10/562,112



RN 1042448-74-7 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

PAGE 1-A

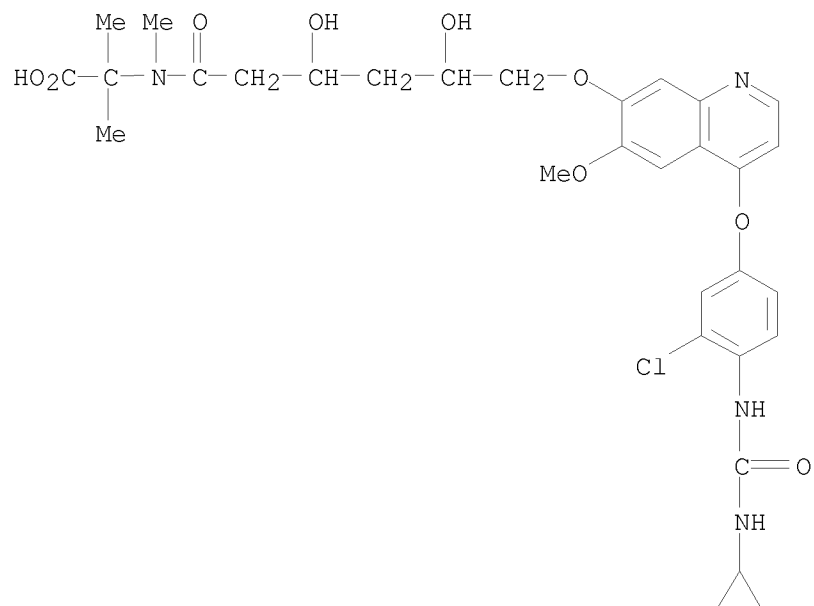


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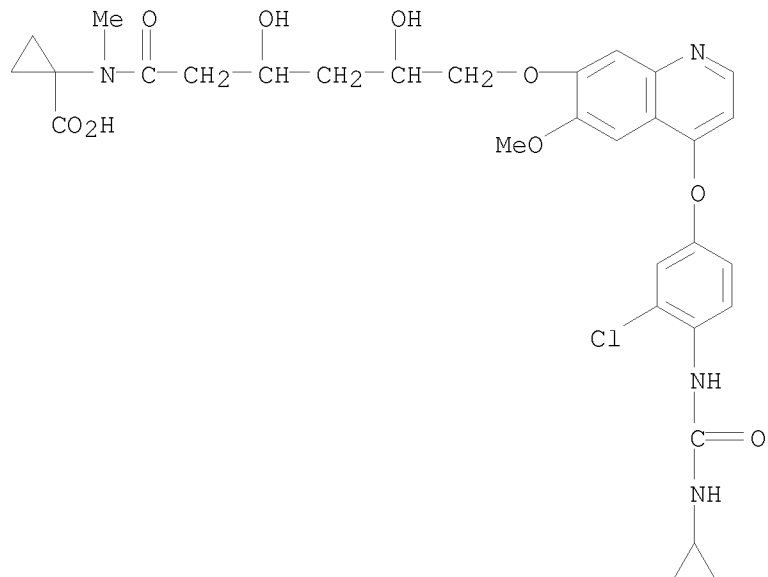


RN 1042448-75-8 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



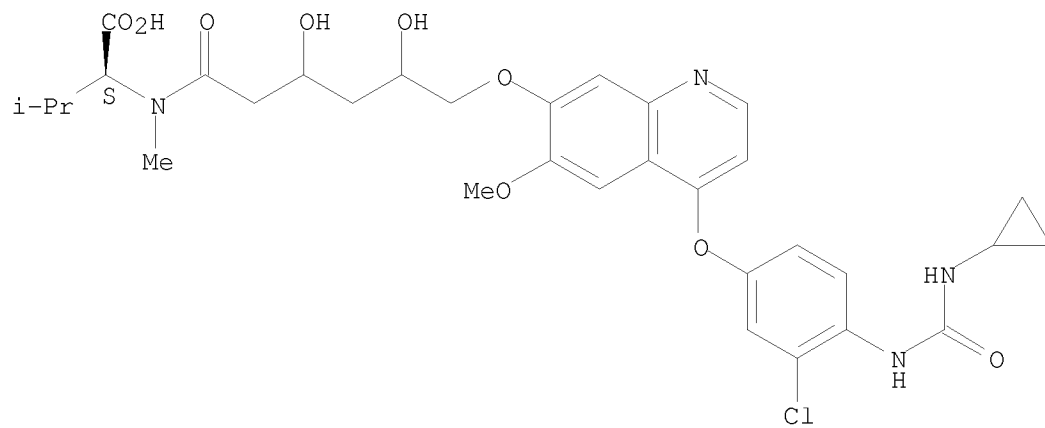
RN 1042448-76-9 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

10/562,112



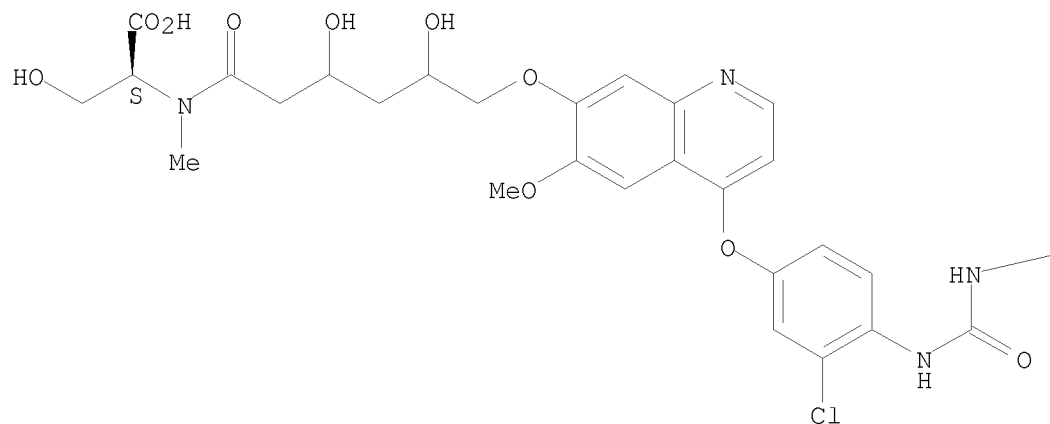
RN 1042448-77-0 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



RN 1042448-79-2 CAPLUS
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



L3 ANSWER 68 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1964:454909 CAPLUS

DOCUMENT NUMBER: 61:54909

ORIGINAL REFERENCE NO.: 61:9515f-h, 9516a-h, 9517a-e, 9518a-b

TITLE: 5-Aryl-3H-1,4-benzodiazepin-2(1H)-ones

INVENTOR(S): Reeder, Earl; Sternbach, Leo H.

PATENT ASSIGNEE(S): Hoffmann-La Roche Inc.

SOURCE: 26 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Unavailable

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| US 3136815 | | 19640609 | US 1961-149527 | 19611102 |
| CH 396016 | | | CH | |
| DE 1199776 | | | DE | |
| GB 972969 | | | GB | |

PRIORITY APPLN. INFO.: CH 19601202

GI For diagram(s), see printed CA Issue.

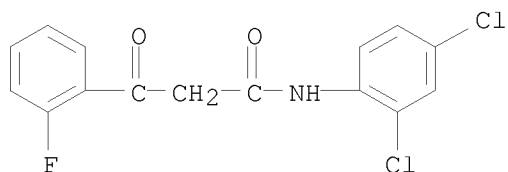
AB I, II, III, and IV are prepared. Thus, 26.2 g. 5,2-Cl(H₂N)C₆H₃CPh:NOH (β -form) is chloroacetylated with 12.4 g. ClCH₂COC₂H₅ in the presence of 3N NaOH to give 2-chloroacetamido-5-chlorobenzophenone β -oxime (V), m. 161-2°. V (6.4 g.) is treated 15 hrs. with 20 ml. N NaOH to give 7-chloro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one (VI) 4-oxide (VII). A

solution of 14.3 g. VII in 300 ml. dioxane is treated with H in the presence of 20 g. Raney Ni to give VI, m. 216-17° (Me₂CO). A solution of 7.6 g. VII in 150 ml. HOAc is treated with H in the presence of 0.6 g. PtO₂ to give 7-chloro-4-hydroxy-5-phenyl-4,5-dihydro-3H-1,4-benzodiazepin-2(1H)-one, m. 215-16° (HOAc). A solution of 10.8 g. VI in 120 ml. HOAc is treated with H in the presence of 1.2 g. Pt oxide to give the 4,5-dihydro derivative, m. 184.5-5.5° (dilute HOCNMe₂). Also prepared are the following I (R₂ = H): X, Ar, R, R₁, m.p., X, Ar, R, R₁, m.p.; Cl, Ph, Me, H, 188-9°; Me, Ph, H, H, 226-7°; Br, Ph, H, H, 230-1°; Me, Ph, H, Me, 234-5°; Br, p-tolyl, H, H, 237-8°; Cl, p-ClC₆H₄, H, H, 250-2°; Cl, Ph, allyl, H, 150-1°; Cl, o-ClC₆H₄, H, H, 248-9°; Cl, Ph, PhCH₂, H, 151-2°; Cl, Ph, Et, H, 207-8°. Also prepared are the following II (R = R₂ = H): X, Ar, R₁, and m.p. given): Br, p-tolyl, AcNMe, 209-10°; Br, p-tolyl, MeNH, 255-6°; Cl, p-ClC₆H₄, MeNH, 254-5°; Cl, p-ClC₆H₄, AcNMe, 191-2°; Cl, o-ClC₆H₄, MeNH, 247-8° (decomposition); Cl, Ph, AcNMe, 186-7°. Also prepared are the following III (R₃ = Z = H): X, Ar, R, R₁, R₂, m.p.; H, Ph, H, H, H, 182-3°; H, Ph, Me, H, H, 153.5-5.5°; Me, Ph, H, H, H, 209-10°; Me, Ph, H, H, Me, 210-11°; Cl, Ph, H, H, Cl, 207-8°; Cl, p-ClC₆H₄, H, H, H, 247-8°; Br, p-tolyl, H, H, H, 239-40°; Cl, Ph, Me, H, H, 125-6°; H, p-ClC₆H₄, H, H, H, 262-3°; Me, Ph, H, Me, H, 255-6°; Br, Ph, H, H, H, 220-1°; H, Ph, H, H, Cl, 174.5-6.5°; H, Ph, H, Cl, H, 214-15°; Cl, o-ClC₆H₄, H, H, H, 199-201°; Cl, o-ClC₆H₄, Me, H, H, 135-8°; Cl, o-tolyl, H, H, H, 180-1°; Cl, o-tolyl, Me, H, H, 137-9°; Cl, o-FC₆H₄, H, H, H, 205-6°; Cl, m-FC₆H₄, H, H, H, 200-1°; Br, o-FC₆H₄, H, H, H, 187-8°; Cl, o-FC₆H₄, Me, H, H, --; Br, o-FC₆H₄, Me, H, H, 132-2.5°; Me, o-ClC₆H₄, H, Me, H, 259-60°; Cl, Ph, CH₂OH, H, H, 201-2°; Cl, Ph, PhCH₂, H, H, 174-5°; Cl, Ph, Et, H, H, 127-8°; Cl, Ph, allyl, H, H, 105-6°; H, Ph, H, H, Me, 184-5°; H, Ph, H, Me, H, 255-6°; Me, o-ClC₆H₄, H, H, H, 223-4°; H, o-FC₆H₄, H, H, H, 180-1°; H, o-FC₆H₄, Me, H, H, 173-14°; Cl, p-FC₆H₄, H, H, H, 223-4°; F, Ph, H, H, H, 197-8°; H, o-ClC₆H₄, H, H, H, 212-13°; H, o-ClC₆H₄, Me, H, H, 135-7°; Cl, o-ClC₆H₄, HC:CCH₂, H, H, 140-2°; Cl, o-ClC₆H₄, iso-Pr, H, H, 148-50°; Cl, o-ClC₆H₄, allyl, H, H, 128-30°; Br, Ph, H, H, H, 219-20.5°; Me, Ph, H, H, H, 209-10°; Cl, m-tolyl, H, H, H, 148-9°; F, Ph, Me, H, H, 109-10°; Cl, p-ClC₆H₄, Me, H, H, 154-6°; Cl, Ph, (CH₂)₂CN, H, H, 117-18°; Br, o-FC₆H₄, H, H, H, 186-7°. Also prepared are the following IV: X, Ar, R, R₁, m.p.; Cl, o-ClC₆H₄, H, H, 235-7°; Cl, o-FC₆H₄, H, H, 214-15°; Br, o-FC₆H₄, H, H, 224-5°; Cl, o-ClC₆H₄, Me, H, 168-71°; Cl, Ph, Me, H, 139-41°; H, o-ClC₆H₄, H, H, 187-9°; H, o-ClC₆H₄, Me, Me, -- (1); H, o-ClC₆H₄, Me, H, 177-80°; Br, Ph, H, H, 191-2°; Br, Ph, Me, Me, 166-72°; H, Ph, H, H, 147-8°; Me, Ph, H, H, 174-6°; Me, Ph, Me, Me, 71-3° (2); Cl, o-tolyl, H, H, 248-9°; Cl, o-tolyl, Me, Me, -- (3); H, o-FC₆H₄, H, H, 162-3°; Cl, Ph, Me, H, 144-5°; Cl, Ph, Me, allyl, 108.5-109°; Cl, Ph, allyl, allyl, -- (4); H, Ph, H, Me, -- (5); Cl, o-FC₆H₄, H, Me, 185.6°; Cl, o-FC₆H₄, Me, Me, 124-5°; Cl, Ph, H, Me, 205-5.5°; Cl, Ph, Me, Me, 90-1°; Br, o-ClC₆H₄, Me, Me, 134-5°; H, Ph, Me, Me, 115-16°; (1) HCl salt m. 240-1° (Me₂CO-ether), (2) 4-MeI salt m. 160-1° (decomposition) (MeOH-ether), (3) HCl salt m. 197-215° (MeOH-ether), (4) HCl salt m. 190-1° (CH₂Cl₂-ether), (5) MeI salt m. 190-1° (EtOH) and 4-MeCl salt m. 199-201° (MeOH-ether). Also prepared are the following III (Z = R = R₁ = R₂ = H, X = Cl, Ar = Ph): (R₃ and m.p. given): Me, 220-1°; Ph, 269-70°; m-HOC₆H₄CH₂, 151-3°; iso-Bu,

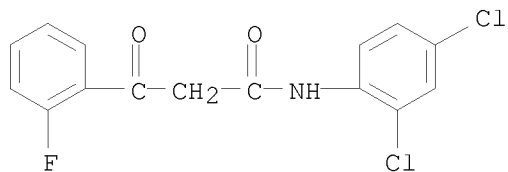
213-14°; CH₂OMe, 166-7°. Also prepared are the following (m.p. given): III (R = R₁ = R₂ = R₃ = X = H, Z = Cl, Ar = Ph), 243.5-45°; II [R = H, R₁ = AcNMe, Ar = Ph, X = R₂ = Me], 193-4° (decomposition); 7-chloro-2-methylamino-5-phenyl-3H-1,4-benzodiazepine, 240-1°; 7-chloro-2-(N-methylacetamido)-5-phenyl-3H-1,4-benzodiazepine, 162°; 6-bromo-2-chloromethyl-4-(p-tolyl)quinazoline 3-oxide, 162-4°; 6-chloro-2-chloromethyl-4-(4-chloromethyl)quinazoline 3-oxide, 163-4°; 5-chloro-2-methyl-4H-3,1-benzoxazin-4-one, 143.5-46°; 6,2-Cl(AcNH)C₆H₃CO₂H, --; 8-chloro-2-methyl-4H-3,1-benzoxazine-4-one, 131.5-2.5°; 2-methyl-7-chloro-4H-3,1-benzoxazin-4-one, --; 6-chloro-2-chloromethyl-4-(2-chlorophenyl)quinazoline 3-oxide, 140-3°; O-methylserine Et ester-HCl, --; o-(o-ClC₆H₄CO)C₆H₄NHCOCH₂Br, 119-21°; o-(o-ClC₆H₄CO)C₆H₄NHCOCH₂NH₂, 162-4°. Also prepared were the following 2-X1C₆H₄COC₆H₂(NRR₁)R₂X-2,3,5 VIII: R, R₁, R₂, X, X₁, m.p.; H, ClCH₂CO, H, Cl, H, 117-18°; H, H, Me, Me, H, 68-70°; H, Ac, Cl, Cl, H, 143-4°; H, H, Cl, Cl, H, 93-4°; H, MeCHBrCO, H, Cl, H, 114-15°; H, Ac, Cl, H, H, 129-31°; H, H, Cl, H, H, 56.8-58°; H, BrCH₂CO, Cl, H, H, 129-30°; H, H, H, Cl, Cl, 88-9°; H, BrCH₂CO, H, Cl, Cl, 136°; H, H₂NCH₂CO, H, Cl, Cl, 122-4°; H, H, H, Cl, Me, 50-5°; H, H, H, Cl, F, 94-5°; H, H, H, Br, F, 101-2°; H, BrCH₂CO, H, Cl, F, 132.5-33°; H, H₂NCH₂CO, H, Cl, F, 115-15.5°; H, BrCH₂CO, H, Br, F, 139-40°; H, H₂NCH₂CO, H, Br, F, 110-11°; Na, p-MeC₆H₄SO₂, H, Cl, H, 298-9°; H, p-MeC₆H₄SO₂, H, Cl, H, 120-1°; Me, p-MeC₆H₄SO₂, H, Cl, H, 151-2°; H, Me, H, Cl, H, 95-6°; H, allyl, H, Cl, H, 76-7°; PhCH₂, p-MeC₆H₄SO₂, H, Cl, H, 116-18°; H, PhCH₂, H, Cl, H, 86-7°; Me, BrCH₂CO, H, Cl, H, 95-6°; allyl, BrCH₂CO, H, Cl, H, 85-6°; PhCH₂, BrCH₂CO, H, Cl, H, 159-60°; H, Et, H, Cl, H, 56-7°; H, BrCH₂CO, H, Cl, Me, 137-8°; H, p-MeC₆H₄SO₂, H, Cl, Cl, 136-8°; Me, p-MeC₆H₄SO₂, H, Cl, Cl, 145°, 153-5°; H, Me, H, Cl, Cl, 78-80°, 88-90°; H, p-MeC₆H₄SO₂, H, Cl, F, 119-20°; Me, p-MeC₆H₄SO₂, H, Cl, F, 151-2°; H, Me, H, Cl, F, 119-20°; H, H, Cl, Cl, H, 93-4°; H, H, Me, Cl, H, 88.5-90°; H, H, Me, H, H, 51-2°; H, BrCH₂CO, Me, H, H, 117-18°; H, H, H, Me, F, 68.5-9.5°; H, H, H, Me, Cl, 106-7°; H, H, H, H, F, --; H, p-MeC₆H₄SO₂, H, H, F, 129.5-30°; H, BrCH₂CO, H, H, F, 117-18.5°; H, p-MeC₆H₄SO₂, H, Br, F, 114-15°; Me, p-MeC₆H₄SO₂, H, Br, F, 154-5°; H, Me, H, Br, F, 112-13°; H, H, H, Cl, Cl, 58-60°; H, ClCH₂CO, H, Cl, Cl, 157-9°; H, BrCH₂CO, H, Br, H, 117.5-18.5°; H, BrCH₂CO, H, Me, H, 116-17°; H, BrCH₂CO, H, F, H, 103-5°; Me, ClCH₂CO, H, Cl, H, 123-4°; Me, ICH₂CO, H, Cl, H, 95°; H, BrCH₂CO, H, Br, F, 139-40°; H, H₂NCH₂CO, H, Br, F, 110-11°; H, ClCH₂CO, H, Cl, F, 141-2°; H, BrCH₂CO, H, H, H, 94-5°; H, BrCH₂CO, Cl, Cl, H, 162-3°; (1) oxime m. 137-9° (C₆H₆-petr. ether). Also prepared were the following (m.p. given): p-[5,2-Br(H₂N)C₆H₃CO]C₆H₄Me, 105-6° (α-oxime m. 204-5°; β-oxime m. 115-16°), p-[5,2-Br(ClCH₂CONH)C₆H₃CO]C₆H₄Me α-oxime, 179-80°; p-[5,2-Cl(H₂N)C₆H₃CO]C₆H₄Cl, 118-19° (α-oxime m. 151-4°); o-(p-ClC₆H₄CO)C₆H₄NH₂, 98-9°; 6,2-Cl(AcNH)C₆H₃Bz, --; 6,2-Cl(H₂N)C₆H₃Bz, 101-2.5°; 6,2-Cl(BrCH₂CONH)C₆H₃Bz, 97-8°; 4,2-Cl(H₂N)C₆H₃Bz, 84-5°; 4,2-Me(H₂N)C₆H₃Bz, 68-70°; p-[5,2-Cl(H₂N)C₆H₃CO]C₆H₄F, 108-9°; p-[5,2-Cl(p-MeC₆H₄SO₂NH)C₆H₃CO]C₆H₄F, 126-8°; p-[5,2-Cl(BrCH₂CONH)C₆H₃CO]C₆H₄F, 97-8°; o-(o-ClC₆H₄CO)C₆H₄NO₂, 76-9°; o-(o-ClC₆H₄CO)C₆H₄NH₂, 58-60°; m-[5,2-Cl(H₂N)C₆H₃CO]C₆H₄Me, 90-1°; p-[5,2-Cl(BrCH₂CO NH)C₆H₃CO]C₆H₄Cl,

10/562,112

127-8°; p-[5,2-Cl(H₂NCH₂CONH)C₆H₃CO]C₆H₄Cl, 139-40°.
IT 875252-06-5P, Acetanilide, 2,4-dichloro-2'-(o-fluorobenzoyl)-
RL: PREP (Preparation)
(preparation of)
RN 875252-06-5 CAPLUS
CN Benzenepropanamide, N-(2,4-dichlorophenyl)-2-fluoro-β-oxo- (CA INDEX
NAME)

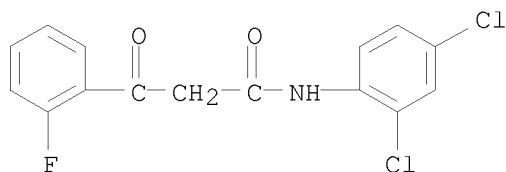


L3 ANSWER 69 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1963:20757 CAPLUS
DOCUMENT NUMBER: 58:20757
ORIGINAL REFERENCE NO.: 58:3436c-d
TITLE: Quinazolines and 1,4-benzodiazepines. VI.
Halo-, methyl-, and methoxy-substituted
1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones
AUTHOR(S): Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.;
Reeder, E.; Sach, G.; Saucy, G.; Stempel, A.
CORPORATE SOURCE: Hoffmann-La Roche Inc., Nutley, NJ
SOURCE: Journal of Organic Chemistry (1962), 27, 3788-96
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 58:20757
GI For diagram(s), see printed CA Issue.
AB Two new methods for the synthesis of 1,4-benzodiazepin-2-ones were
reported. A number of new 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-
ones (I), and intermediates leading to these compds. was described.
IT 875252-06-5P, Acetanilide, 2,4-dichloro-2'-(o-fluorobenzoyl)-
RL: PREP (Preparation)
(preparation of)
RN 875252-06-5 CAPLUS
CN Benzenepropanamide, N-(2,4-dichlorophenyl)-2-fluoro-β-oxo- (CA INDEX
NAME)

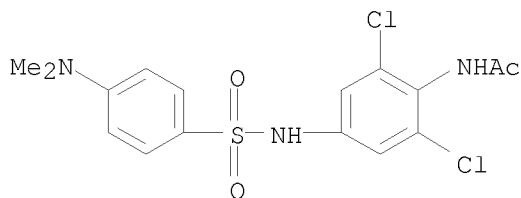


L3 ANSWER 70 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1963:20756 CAPLUS
DOCUMENT NUMBER: 58:20756
ORIGINAL REFERENCE NO.: 58:3436b-c
TITLE: Quinazolines and 1,4-benzodiazepines. V.
o-Aminobenzophenones

AUTHOR(S): Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.; Sach, G.; Stempel, A.
 CORPORATE SOURCE: Hoffmann-La Roche Inc., Nutley, NJ
 SOURCE: Journal of Organic Chemistry (1962), 27, 3781-8
 CODEN: JOCEAH; ISSN: 0022-3263
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 OTHER SOURCE(S): CASREACT 58:20756
 AB cf. CA 57, 14296c. A series of substituted o-aminobenzophenones was prepared. Some of these compds. were converted via their tosyl derivs. into N-mono-substituted o-aminobenzophenones. These primary and secondary amines were needed as intermediates for the synthesis of 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones.
 IT 875252-06-5P, Acetanilide, 2,4-dichloro-2'-(o-fluorobenzoyl)-
 RL: PREP (Preparation)
 (preparation of)
 RN 875252-06-5 CAPLUS
 CN Benzenepropanamide, N-(2,4-dichlorophenyl)-2-fluoro- β -oxo- (CA INDEX NAME)



L3 ANSWER 71 OF 72 CAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1949:47268 CAPLUS
 DOCUMENT NUMBER: 43:47268
 ORIGINAL REFERENCE NO.: 43:8528b
 TITLE: Chemotherapy of protozoal infections
 AUTHOR(S): Ishii, Nobutaro
 SOURCE: Japan. Med. J. (1948), 1, 30-51
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable
 AB Correction to C.A. 43, 1484i where the journal name is incorrectly given Japan. J. Med.
 IT 873401-06-0, Sulfanilamide 4'-acetamido-N4,N4-dimethyl-, 3',5'-dichloro-
 (in protozoa infection therapy)
 RN 873401-06-0 CAPLUS
 CN Acetamide, N-[2,6-dichloro-4-[[[4-(dimethylamino)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



ACCESSION NUMBER: 1949:6843 CAPLUS
 DOCUMENT NUMBER: 43:6843
 ORIGINAL REFERENCE NO.: 43:1484i,1485a-h
 TITLE: Chemotherapy of protozoal infections
 AUTHOR(S): Ishii, Nobutaro
 SOURCE: Japan. J. Med. (1948), 1, 30-51
 DOCUMENT TYPE: Journal
 LANGUAGE: Unavailable

AB A great variety of synthetic chems. were tested for their ability to control 6 infections: Malaria. 53 sulfonamides of which sulfadibromobenzene and sulfadichlorobenzene showed chemotherapeutic indexes similar to quinine-HCl in canary malaria. The Br compound gave satisfactory results in 3 human cases of tertian malaria; 16 benzothiazole and 3 benzothiazolone-2 compds. all were neg. in canaries; 9 quinazolone compds., 14 quinazolines, 5 benzotriazoles, and 7 benzoquinolines were neg.; 16 di-Ph sulfones and related compds. were neg. in canaries except that the slight activity of promin was confirmed; 42 quinine derivs. (structures given) were tested. Some exhibited malaricidal activity in canaries and 6-aminodihydrocinchonidine was found satisfactory in 5 human tertian cases. Atebrin and plasmochin displayed the highest chemotherapeutic indexes, but a modified (asano) atebrin, was twice as good as atebrin in canary tests and proved satisfactory in 5 human tertian cases. Its structure is given. A compound prepared from quinine bisulfate and sulfadibromobenzene gave effective results in canaries and in 5 tertian human cases. Its index was 8, compared to 60 for asano. Cepharanthin (I), isotetrandin, and hypoeipistephanin act as provocatives for extra-erythrocytic plasmodia in canary malaria. The erythrocytic plasmodia appear within 1-2 h. after I injections but only after 20 h. with the other 2 drugs. The action of I is believed to be due to the fact that this drug stimulates endothelial cells. Complete cures of malaria were obtained by treatment with I in conjunction with malaricidal drugs. The latter act on the erythrocytic forms after they have been changed from extra-erythrocytic forms by I. In several human cases about 60% complete cures were obtained. Spirochetosis. The test system was Spirochaeta recurrentis (duttoni) in white mice; 43 sulfonamides were tested, with sulfapyridine and sulfathiazole being equally active, and sulfamethylthiazole being less active; 8 di-Ph sulfones and related compds. all were neg. Trypanosomiasis (Trypanosoma gambiense in white mice). Eight Sb compds. were compared with foudin and neostibosan. The following showed some activity, in the order named, but foudin was superior: 7-iodo-8-oxyquinoline-5-sulfonate Na Sb compound (II), 7-bromo-8-oxyquinoline-5-sulfonate Na Sb compound (III), 7-chloro-8-oxyquinoline-5-sulfonate Na Sb compound (IV), and 7,8-dioxyquinoline-5-sulfonate Na Sb compound; 41 sulfonamides had no action; 11 di-Ph sulfones of which 6 were effective, the most promising being 4,4'-diguanidinodiphenyl sulfoxide. Leishmaniasis. The above di-Ph sulfone gave fair results in 8 out of 9 human cases treated, but leucopenia resulted. Ten sulfonamides were ineffective in kala-azar infection of the striped squirrel; neostibosan gave complete cures in squirrels. Entamebiasis (in vitro tests using Endamoeba histolytica). II, III, and IV were about 3 times more effective than yatren (7-iodo-8-oxyquinoline-5-sulfonate); 31 sulfonamides were neg. with exception of 1-sulfanylamido-3,5-dichlorobenzene and 3-carboxy-4-aminoazobenzene-4'-sulfonamide with emetine about 10 times more active. Trichomoniasis. Of quinolines tested in vitro using Trichomonas hominis, II, III and IV were 10 times more active than yatren and slightly more than emetine; 36 sulfonamides were neg.; 11 di-Ph sulfones were tested, with 2 active, stilbene guanidine more so than stilbene amidine.

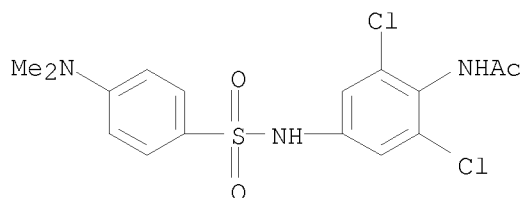
IT 873401-06-0, Sulfanilamide 4'-acetamido-N4,N4-dimethyl-,

10/562,112

3',5'-dichloro-
(in protozoa infection therapy)

RN 873401-06-0 CAPLUS

CN Acetamide, N-[2,6-dichloro-4-[[4-(dimethylamino)phenyl]sulfonyl]amino]phenyl]- (CA INDEX NAME)



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(FILE 'HOME' ENTERED AT 16:24:22 ON 02 OCT 2008)

FILE 'REGISTRY' ENTERED AT 16:24:40 ON 02 OCT 2008

L1 STRUCTURE UPLOADED

L2 214978 S L1 FULL

FILE 'CAPLUS' ENTERED AT 16:25:17 ON 02 OCT 2008

L3 72 S L2 AND QUINAZOL?

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COST IN U.S. DOLLARS

| SINCE FILE | TOTAL |
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| ENTRY | SESSION |

FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |

CA SUBSCRIBER PRICE

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| -57.60 | -57.60 |
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